

49723 Access DB# SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: Hong Liu Examiner #: _____ Date: 8/28/01
 Art Unit: 1624 Phone Number 306-5814 Serial Number: 091669-88
 Mail Box and Bldg/Room Location: 4E01 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

 Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: _____

Inventors (please provide full names): _____

Earliest Priority Filing Date: _____

**For Sequence Searches Only* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*

Barb please!



proviso: Z is imidazol-4-yl, 5-alkylimidazol-4-yl attached at 4 position of the ring, R' is other than phenyl or substituted phenyl.

BEST AVAILABLE COPY

POINT OF CONTACT:
 BARB O'BRYEN
 TECH. INFORMATION SPECIALIST
 STIC CM1 12C14 308-4291

STAFF USE ONLY

Searcher: P2013
 Searcher Phone #: _____
 Searcher Location: _____
 Date Searcher Picked Up: _____
 Date Completed: 9-4-01
 Searcher Prep & Review Time: 30
 Clerical Prep Time: _____
 Online Time: 29

Type of Search

NA Sequence (#) _____
 AA Sequence (#) _____
 Structure (#) 1
 Bibliographic _____
 Litigation _____
 Fulltext _____
 Patent Family _____
 Other _____

Vendors and cost where applicable

STN 622
 Dialog _____
 Questel/Orbit _____
 Dr. Link _____
 Lexis/Nexis _____
 Sequence Systems _____
 WWW/Internet _____
 Other (specify) _____

THIS PAGE BLANK (USPTO)

=> fil reg; d stat que l11

FILE 'REGISTRY', ENTERED AT 16:05:45 ON 04 SEP 2001

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2001 American Chemical Society (ACS)

STRUCTURE FILE UPDATES: 3 SEP 2001 HIGHEST RN 354528-22-6

DICTIONARY FILE UPDATES: 3 SEP 2001 HIGHEST RN 354528-22-6

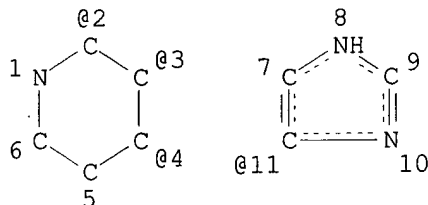
TSCA INFORMATION NOW CURRENT THROUGH January 11, 2001

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Structure search limits have been increased. See HELP SLIMIT
for details.

L1

STR



*full file search done
on this structure*

VPA 11-2/3/4 U

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

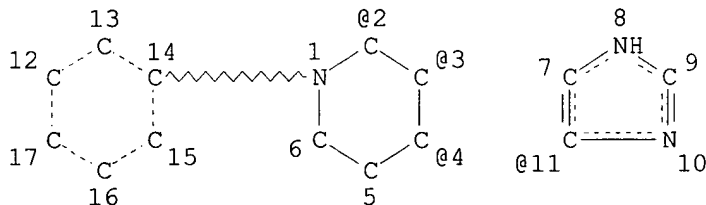
RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 11

STEREO ATTRIBUTES: NONE

L3 869 SEA FILE=REGISTRY SSS FUL L1

L4 STR



*this structure "NOT"-ed out
of answer set*

VPA 11-2/3/4 U

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

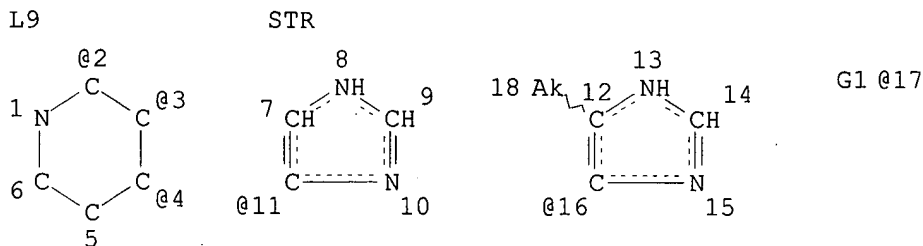
RSPEC 14

NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

L6 74 SEA FILE=REGISTRY SUB=L3 SSS FUL L4

L7 795 SEA FILE=REGISTRY ABB=ON L3 NOT L6



VAR G1=11/16
 VPA 17-2/3/4 U
 NODE ATTRIBUTES:
 CONNECT IS E1 RC AT 18
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

*subset search done on
 this structure*

GRAPH ATTRIBUTES:
 RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 18

STEREO ATTRIBUTES: NONE
 L11 706 SEA FILE=REGISTRY SUB=L7 SSS FUL L9

100.0% PROCESSED 795 ITERATIONS
 SEARCH TIME: 00.00.02

706 ANSWERS

=> d que nos 115

L1 STR
 L3 869 SEA FILE=REGISTRY SSS FUL L1
 L4 STR
 L6 74 SEA FILE=REGISTRY SUB=L3 SSS FUL L4
 L7 795 SEA FILE=REGISTRY ABB=ON L3 NOT L6
 L9 STR
 L11 706 SEA FILE=REGISTRY SUB=L7 SSS FUL L9
 L14 1 SEA FILE=REGISTRY ABB=ON 106243-16-7
 L15 705 SEA FILE=REGISTRY ABB=ON L11 NOT L14,

*most answers in CAPLUS included
 this Registry #, so it
 was temporarily removed
 from answer set (too
 many answers)*

=> fil capl; d que nos 116

FILE 'CAPLUS' ENTERED AT 16:05:56 ON 04 SEP 2001.
 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
 PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
 COPYRIGHT (C) 2001 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications.

FILE COVERS 1947 - 4 Sep 2001 VOL 135 ISS 11
 FILE LAST UPDATED: 3 Sep 2001 (20010903/ED)

This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for

more information.

CAPLUS now provides online access to patents and literature covered in CA from 1947 to the present. On April 22, 2001, bibliographic information and abstracts were added for over 2.2 million references published in CA from 1947 to 1966.

The CA Lexicon is now available in the Controlled Term (/CT) field. Enter HELP LEXICON for full details.

Attention, the CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

```
L1          STR
L3          869 SEA FILE=REGISTRY SSS FUL L1
L4          STR
L6          74 SEA FILE=REGISTRY SUB=L3 SSS FUL L4
L7          795 SEA FILE=REGISTRY ABB=ON L3 NOT L6
L9          STR
L11         706 SEA FILE=REGISTRY SUB=L7 SSS FUL L9
L14         1 SEA FILE=REGISTRY ABB=ON 106243-16-7
L15         705 SEA FILE=REGISTRY ABB=ON L11 NOT L14
L16         69 SEA FILE=CAPLUS ABB=ON L15
```

=> fil uspat; d que nos l17

FILE 'USPATFULL' ENTERED AT 16:06:43 ON 04 SEP 2001

CA INDEXING COPYRIGHT (C) 2001 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 30 Aug 2001 (20010830/PD)

FILE LAST UPDATED: 30 Aug 2001 (20010830/ED)

HIGHEST GRANTED PATENT NUMBER: US6249914

HIGHEST APPLICATION PUBLICATION NUMBER: US2001018774

CA INDEXING IS CURRENT THROUGH 30 Aug 2001 (20010830/UPCA)

ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 30 Aug 2001 (20010830/PD)

REVISED CLASS FIELDS (/NCL) LAST RELOADED: Apr 2001

USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Apr 2001

```
>>> Page images are available for patents from 1/1/1998. Patents <<<
>>> and applications are typically loaded on the day of publication.<<<
>>> Page images are available for display by the following day. <<<
>>> Image data for the /FA field are available the following update.<<<
```

```
>>> Complete CA file indexing for chemical patents (or equivalents) <<<
>>> is included in file records. A thesaurus is available for the <<<
>>> USPTO Manual of Classifications in the /NCL, /INCL, and /RPCL <<<
>>> fields. This thesaurus includes catchword terms from the <<<
>>> USPTO/MOC subject headings and subheadings. Thesauri are also <<<
>>> available for the WIPO International Patent Classification <<<
>>> (IPC) Manuals, editions 1-6, in the /IC1, /IC2, /IC3, /IC4, <<<
>>> /IC5, and /IC (/IC6) fields, respectively. The thesauri in <<<
>>> the /IC5 and /IC fields include the corresponding catchword <<<
>>> terms from the IPC subject headings and subheadings. <<<
```

This file contains CAS Registry Numbers for easy and accurate substance identification.

L1 STR
L3 869 SEA FILE=REGISTRY SSS FUL L1
L4 STR
L6 74 SEA FILE=REGISTRY SUB=L3 SSS FUL L4
L7 795 SEA FILE=REGISTRY ABB=ON L3 NOT L6
L9 STR
L11 706 SEA FILE=REGISTRY SUB=L7 SSS FUL L9
L14 1 SEA FILE=REGISTRY ABB=ON 106243-16-7
L15 705 SEA FILE=REGISTRY ABB=ON L11 NOT L14
L17 18 SEA FILE=USPATFULL ABB=ON L15

=> dup rem 116,117

FILE 'CAPLUS' ENTERED AT 16:06:49 ON 04 SEP 2001
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2001 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPATFULL' ENTERED AT 16:06:49 ON 04 SEP 2001
CA INDEXING COPYRIGHT (C) 2001 AMERICAN CHEMICAL SOCIETY (ACS)
PROCESSING COMPLETED FOR L16
PROCESSING COMPLETED FOR L17
L19 81 DUP REM L16 L17 (6 DUPLICATES REMOVED)
ANSWERS '1-69' FROM FILE CAPLUS
ANSWERS '70-81' FROM FILE USPATFULL

=> d ibib abs hitstr 1-81; fil cao; d que nos 118

X19 ANSWER 1 OF 81 CAPLUS COPYRIGHT 2001 ACS DUPLICATE 1
ACCESSION NUMBER: 2000:567449 CAPLUS
DOCUMENT NUMBER: 133:168392
TITLE: Composition and method for treating allergic diseases
INVENTOR(S): Aslanian, Robert G.; Piwinski, John J.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: U.S., 9 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|----------|-----------------|----------|
| US 6103735 | A | 20000815 | US 1999-412621 | 19991006 |

OTHER SOURCE(S): MARPAT 133:168392

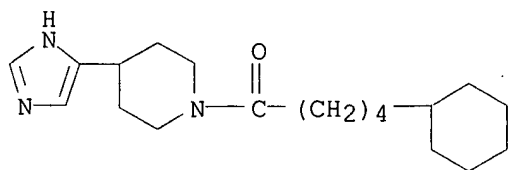
AB The present invention is directed towards a pharmaceutical compn. useful for the treatment of allergic rhinitis, asthma and related disorders. In one embodiment, the compn. comprises, in combination, a therapeutically effective amt. of at least one neurokinin antagonist, a therapeutically effective amt. of at least one H3 antagonist and a therapeutically effective amt. of at least one H1 antagonist.

IT 152241-24-2, GT-2016

RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(antagonists of neurokinin receptors and histamine receptors)

INDEX NAME) 1-(5-cyclohexyl-1-oxopentyl)-4-(1H-imidazol-4-yl)- (9CI) (CA



Same as ref. 2

REFERENCE COUNT:

16

REFERENCE(S):

- (1) Anon; WO 9606094 1996 CAPLUS
 - (2) Aslanian, R; Bioorganic & Medicinal Chem 1998, V8, P2263 CAPLUS
 - (3) Aslanian, R; Exp Opin Ther Patents 1997, V7(3), P201 CAPLUS
 - (4) Carruthers; US 5654316 1997 CAPLUS
 - (5) McCormick; US 5691362 1997 CAPLUS
- ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 81

CAPLUS COPYRIGHT 2001 ACS

DUPLICATE 2

ACCESSION NUMBER:

1999:104511 CAPLUS

DOCUMENT NUMBER:

130:163188

TITLE:

Treatment of upper airway allergic responses with H1- and H3-histamine receptor antagonists

INVENTOR(S):

Kreutner, William; Hey, John A.

PATENT ASSIGNEE(S):

Schering Corporation, USA

SOURCE:

U.S., 5 pp.

CODEN: USXXAM

DOCUMENT TYPE:

Patent

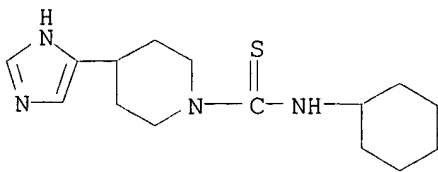
LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----|--|------|----------|-----------------|----------|
| | US 5869479 | A | 19990209 | US 1997-909319 | 19970814 |
| AB | Relief from the symptoms of rhinitis is obtained by treatment with: (a) an antihistaminic effective amt. of a histamine H1 receptor antagonist; together with (b) a sufficient amt. of a histamine H3 receptor antagonist to provide a nasal decongestant effect. The components may be administered together in a single dosage form, or sep. in the same or different dosage forms to maintain therapeutic systemic levels of both components. | | | | |
| IT | 148440-81-7 152241-24-2, GT-2016 | | | | |
| | RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses) | | | | |
| | (H1- and H3-histamine receptor antagonists for treatment of rhinitis) | | | | |
| RN | 148440-81-7 CAPLUS | | | | |
| CN | 1-Piperidinecarbothioamide, N-cyclohexyl-4-(1H-imidazol-4-yl)-, (2Z)-2-butenedioate (9CI) (CA INDEX NAME) | | | | |
| CM | 1 | | | | |
| CRN | 106243-16-7 | | | | |
| CMF | C15 H24 N4 S | | | | |



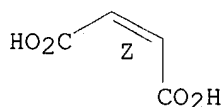
CM 2

CRN 110-16-7

CMF C4 H4 O4

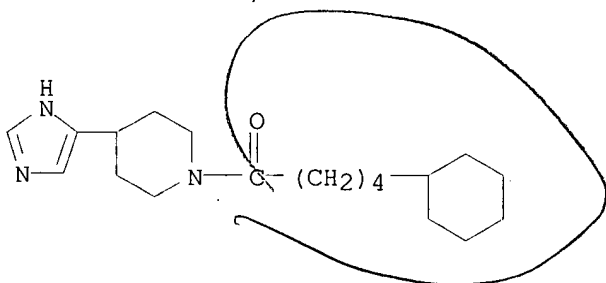
CDES 2:2

Double bond geometry as shown.



RN 152241-24-2 CAPLUS

CN Piperidine, 1-(5-cyclohexyl-1-oxopentyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

16

REFERENCE(S):

- (1) Anon; GB 2207865 1989 CAPLUS
 - (2) Anon; WO 94/18961 1994 CAPLUS
 - (3) Clitherow, J; Bioorganic and Medicinal Chemistry Letters 1996, V6, P833 CAPLUS
 - (4) Ganellin, C; Journal of Medicinal Chemistry 1995, V38, P3342 CAPLUS
 - (5) Gardner; US 5019591 1991 CAPLUS
- ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 3 OF 81 CAPLUS COPYRIGHT 2001 ACS

DUPLICATE 3

ACCESSION NUMBER: 1998:752227 CAPLUS

DOCUMENT NUMBER: 130:10646

TITLE: Analgesic heterocyclic compounds

INVENTOR(S): Hough, Lindsay B.

PATENT ASSIGNEE(S): Albany Medical College, USA

SOURCE: U.S., 19 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: ENGLISH

PATENT NO.

KIND DATE

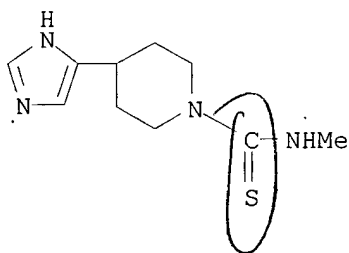
APPLICATION NO. DATE

Searched by Barb O'Bryen, STIC 308-4291

US 5837716 A 19981117 US 1996-748467 19961108
GI For diagram(s), see printed CA Issue.
AB The present invention discloses that heterocyclic compds. (I; Z represents the atoms necessary to complete a five-membered or six-membered heterocyclic ring; D is a 1-piperid-4-yl moiety, a -Q-NH- moiety, or a -Q-S- moiety; Q is a bridging group; R1 is H, R3 or R4 ; R2 is R3 ; each of A1 and A2 is H or A1 and A2 taken together form a second bond between the carbon atoms bearing A1 and A2 ; X is S, N--CN, CHNO2, O, or NH, provided that when D is a -Q-S- moiety, X is NH; R3 is selected from the group consisting of substituted or unsubstituted alkyls; substituted or unsubstituted 4-8-membered homocyclic rings; substituted or unsubstituted 4-8-membered heterocyclic rings; substituted or unsubstituted fused multicyclic rings; R4 is a moiety having the formula: -W-T, where -W- is -O-, -S-, -S-S-, -C(O)-O-, -C(O)-S-, -C(O)-N(R5)-, -N(R5)-, or CH=N-; T is selected from the group consisting of substituted or unsubstituted alkyls, substituted or unsubstituted 4-8-membered homocyclic rings, substituted or unsubstituted 4-8-membered heterocyclic rings, substituted or unsubstituted fused multicyclic rings, and proteinaceous transport vectors; R5 is H, substituted alkyl, or an unsubstituted alkyl and pharmaceutically acceptable salts thereof have analgesic activity. Methods for using these compds. in reducing pain and formulations contg. and brain-penetrating derivs. of these compds. are also. The analgesic activity of burimamide, SKF 92374, and metiamide was tested. All three compds. induced dose-related analgesic responses in rats on the tail flick test, and were also capable of inducing 100% response levels (i.e. inducing complete analgesic responses).
IT 190971-22-3, VUF 5261
 RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (VUF 5261; analgesic heterocyclic compds.)
RN 190971-22-3 CAPLUS
CN 1-Piperidinecarbothioamide, 4-(1H-imidazol-4-yl)-N-methyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

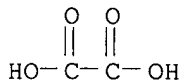
CM 1

CRN 106243-61-2
CMF C10 H16 N4 S



CM 2

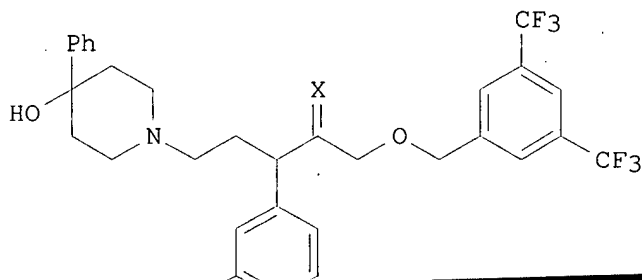
CRN 144-62-7
CMF C2 H2 O4



REFERENCE COUNT: 25
 REFERENCE(S):
 (1) Black; Nature 1972, V236, P385 CAPLUS
 (2) Brimblecombe; Pharmacological and Biochemical Properties of Drug Substances 1977, P329 CAPLUS
 (3) Brown; US 4681883 1987 CAPLUS
 (4) Buschauer; US 5021431 1991 CAPLUS
 (5) Clitherow; US 5221688 1993 CAPLUS
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~LI9~~ ANSWER 4 OF 81 CAPLUS COPYRIGHT 2001 ACS DUPLICATE 4
 ACCESSION NUMBER: 1997:809748 CAPLUS
 DOCUMENT NUMBER: 128:75317
 TITLE: Substituted oximes, hydrazones and olefins as neurokinin antagonists
 INVENTOR(S): Reichard, Gregory A.; Aslanian, Robert G.; Alaimo, Cheryl A.; Kirkup, Michael P.; Lupo, Andrew, Jr.; Mangiaracina, Pietro; McCormick, Kevin D.; Piwinski, John J.; Shankar, Bandarpalle B.; Shih, Neng-Yang; Spitler, James M.; Ting, Pauline C.; Ganguly, Ashit; Carruthers, Nicholas I.
 PATENT ASSIGNEE(S): Schering Corp., USA
 SOURCE: U.S., 80 pp. Cont.-in-part of U.S. Ser. No. 460,819, abandoned.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|------------------|-------------|
| US 5696267 | A | 19971209 | US 1996-641384 | 19960430 |
| CA 2218913 | AA | 19961107 | CA 1996-2218913 | 19960501 |
| CN 1189821 | A | 19980805 | CN 1996-195172 | 19960501 |
| US 5688960 | A | 19971118 | US 1996-742013 | 19961031 |
| US 5840725 | A | 19981124 | US 1997-901028 | 19970725 |
| PRIORITY APPLN. INFO.: | | | US 1995-432740 | B2 19950502 |
| | | | US 1995-460819 | B2 19950601 |
| | | | US 1996-641384 | A2 19960430 |
| OTHER SOURCE(S): | | | MARPAT 128:75317 | |
| GI | | | | |



Cl

I

AB Title compds. such as I (X = NOH, NNHCOMe, CHCH₂NMe₂) were prepd. and tested as neurokinin-1, -2, and -3 receptor antagonists. NK1 activity was measured in guinea pigs, NK2 activity in the isolated hamster trachea. Thus, I (X = NOH) at 1. μ M showed 88.0 and 95.0% inhibition in NK1 and NK2 assays, resp.

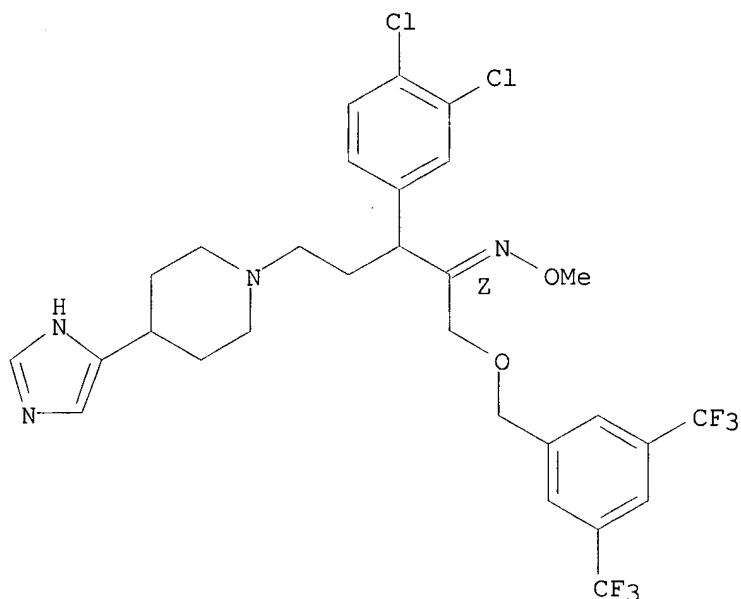
IT **184968-27-2P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(oximes, hydrazones and olefins as neurokinin antagonists)

RN 184968-27-2 CAPLUS

CN 2-Pentanone, 1-[[3,5-bis(trifluoromethyl)phenyl]methoxy]-3-(3,4-dichlorophenyl)-5-[4-(1H-imidazol-4-yl)-1-piperidinyl]-, O-methyloxime, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



ANSWER 5 OF 81 CAPLUS COPYRIGHT 2001 ACS DUPLICATE 5
ACCESSION NUMBER: 1997:411071 CAPLUS
DOCUMENT NUMBER: 127:90515
TITLE: 4-[4'-piperidinyl or 3'-pyrrolidinyl] substituted imidazoles as H3-receptor antagonists, their preparation, and their use in treating cognitive disorders or attention or arousal deficits
INVENTOR(S): Durant, Graham J.; Khan, Amin M.
PATENT ASSIGNEE(S): The University of Toledo, USA
SOURCE: U.S., 20 pp. Cont.-in-part of U.S. Ser. No. 862,657, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|----------|-----------------|----------|
| US 5639775 | A | 19970617 | US 1994-313282 | 19940930 |
| WO 9320061 | A1 | 19931014 | WO 1993-US3104 | 19930331 |

W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KR, KZ, LK, MG, MN, MW, NO,
NZ, PL, RO, RU, SD, SK, UA, US

RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,
BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1992-862657 19920401
WO 1993-US3104 19930331

OTHER SOURCE(S): MARPAT 127:90515

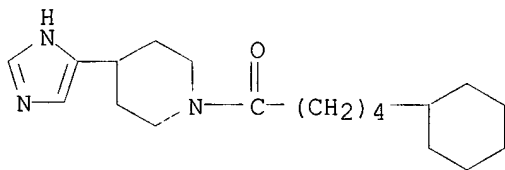
AB Piperidinyl or pyrrolidinyl substituted imidazoles and salts thereof, are disclosed which have activity as histamine H3-receptor antagonists. Also disclosed are pharmaceutical compns. and methods of using such compds. for treating cognitive disorder or attention or arousal deficit. Prepn. of compds., e.g. 4-(1-cyclohexylvaleroyl-4-piperidyl)-1H-imidazole, is described.

IT 152241-24-2P

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses) (piperidinyl or pyrrolidinyl imidazole deriv. prepn. for H3-receptor antagonists and use in treating cognitive disorders and attention or arousal deficits)

RN 152241-24-2 CAPLUS

CN Piperidine, 1-(5-cyclohexyl-1-oxopentyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



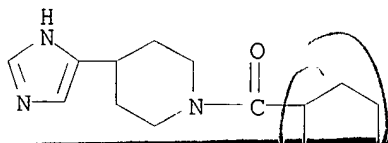
IT 143211-67-0P 143211-72-7P 143211-78-3P
143211-83-0P 143211-89-6P 143211-92-1P
143211-95-4P 143211-96-5P 152241-31-1P
152241-32-2P 152241-33-3P 152241-34-4P
152241-35-5P 152241-36-6P 152241-37-7P
152241-38-8P 152241-39-9P 152241-40-2P
152241-41-3P 152241-43-5P 168968-38-5P

RL: BPR (Biological process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(piperidinyl or pyrrolidinyl imidazole deriv. prepn. for H3-receptor antagonists and use in treating cognitive disorders and attention or arousal deficits)

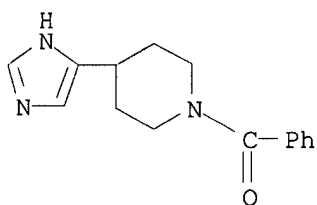
RN 143211-67-0 CAPLUS

CN Piperidine, 1-(cyclohexylcarbonyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

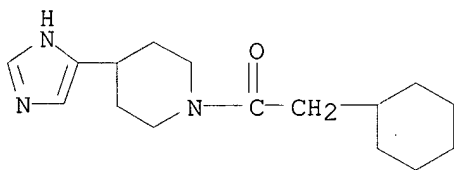


RN 143211-72-7 CAPLUS

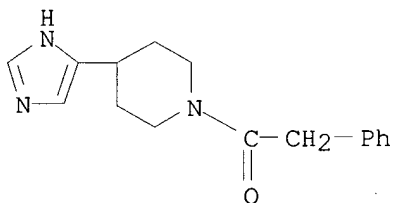
CN Piperidine, 1-benzoyl-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



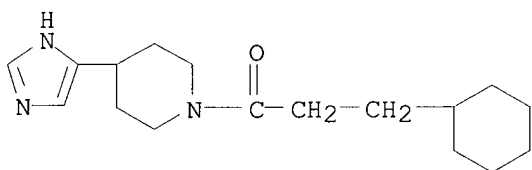
RN 143211-78-3 CAPLUS
CN Piperidine, 1-(cyclohexylacetyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



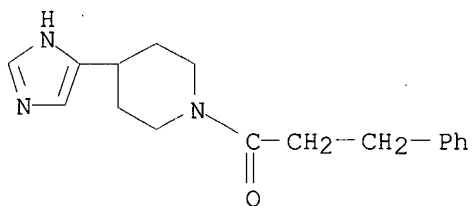
RN 143211-83-0 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(phenylacetyl)- (9CI) (CA INDEX NAME)



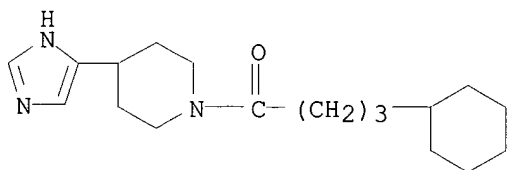
RN 143211-89-6 CAPLUS
CN Piperidine, 1-(3-cyclohexyl-1-oxopropyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



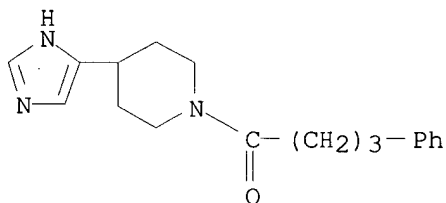
RN 143211-92-1 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-3-phenylpropyl)- (9CI) (CA INDEX NAME)



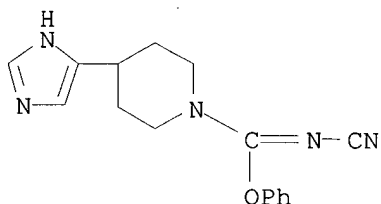
RN 143211-95-4 CAPLUS
CN Piperidine, 1-(4-cyclohexyl-1-oxobutyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



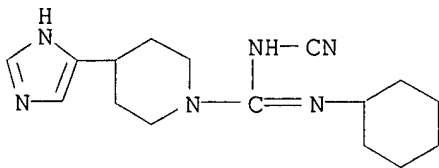
RN 143211-96-5 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-4-phenylbutyl)- (9CI) (CA INDEX NAME)



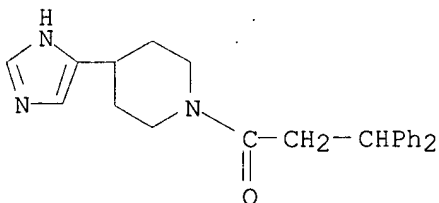
RN 152241-31-1 CAPLUS
CN 1-Piperidinecarboximidic acid, N-cyano-4-(1H-imidazol-4-yl)-, phenyl ester (9CI) (CA INDEX NAME)



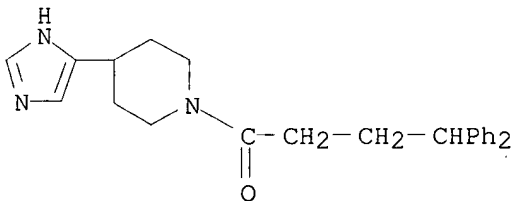
RN 152241-32-2 CAPLUS
CN 1-Piperidinecarboximidamide, N-cyano-N'-cyclohexyl-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



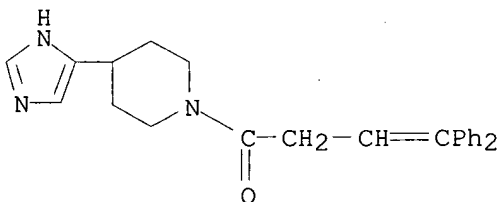
RN 152241-33-3 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-3,3-diphenylpropyl)- (9CI) (CA INDEX NAME)



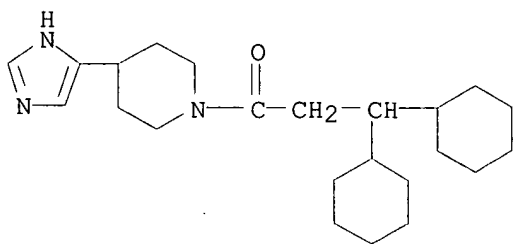
RN 152241-34-4 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-4,4-diphenylbutyl)- (9CI) (CA INDEX NAME)



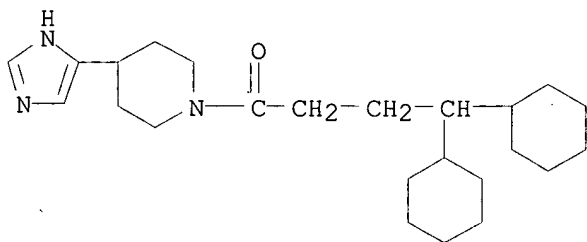
RN 152241-35-5 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-4,4-diphenyl-3-butenyl)- (9CI) (CA INDEX NAME)



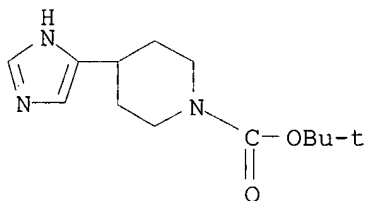
RN 152241-36-6 CAPLUS
CN Piperidine, 1-(3,3-dicyclohexyl-1-oxopropyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



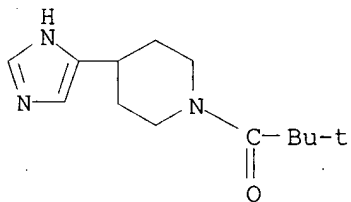
RN 152241-37-7 CAPLUS
CN Piperidine, 1-(4,4-dicyclohexyl-1-oxobutyl)-4-(1H-imidazol-4-yl)- (9CI)
(CA INDEX NAME)



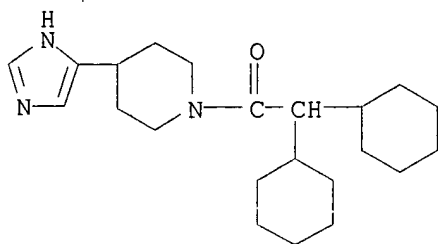
RN 152241-38-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(1H-imidazol-4-yl)-, 1,1-dimethylethyl
ester (9CI) (CA INDEX NAME)



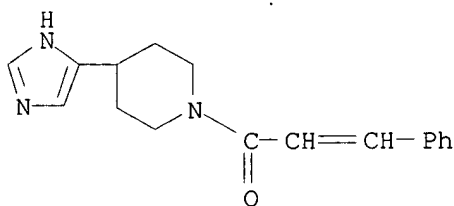
RN 152241-39-9 CAPLUS
CN Piperidine, 1-(2,2-dimethyl-1-oxopropyl)-4-(1H-imidazol-4-yl)- (9CI) (CA
INDEX NAME)



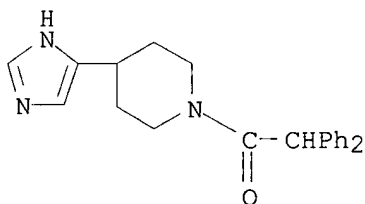
RN 152241-40-2 CAPLUS
CN Piperidine, 1-(2,2-dimethyl-1-oxopropyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX
NAME)



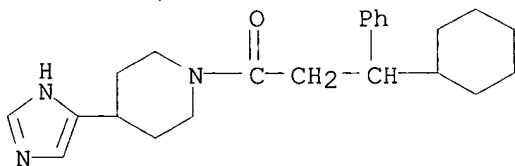
RN 152241-41-3 CAPLUS
 CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)



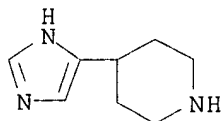
RN 152241-43-5 CAPLUS
 CN Piperidine, 1-(diphenylacetyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



RN 168968-38-5 CAPLUS
 CN Piperidine, 1-(3-cyclohexyl-1-oxo-3-phenylpropyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



IT **106243-23-6P**, 4-(4-Piperidyl)-1H-imidazole
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and reaction; piperidinyl or pyrrolidinyl imidazole deriv.
 prepn. for H3-receptor antagonists and use in treating cognitive
 disorders and attention or arousal deficits)
 RN 106243-23-6 CAPLUS
 CN Piperidine, 4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



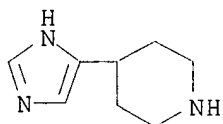
IT 51746-88-4, 4-(4-Piperidyl)-1H-imidazole dihydrochloride

RL: RCT (Reactant)

(reaction; piperidinyl or pyrrolidinyl imidazole deriv. prepn. for H3-receptor antagonists and use in treating cognitive disorders and attention or arousal deficits)

RN 51746-88-4 CAPLUS

CN Piperidine, 4-(1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



2 HCl

L19 ANSWER 6 OF 81 CAPLUS COPYRIGHT 2001 ACS

DUPLICATE 6

ACCESSION NUMBER: 1996:121331 CAPLUS

DOCUMENT NUMBER: 124:289535

TITLE: Piperidylimidazole histamine H3-receptor antagonists and therapeutic uses

INVENTOR(S): Durant, Graham J.; Khan, Amin M.; Tedford, Clark E.

PATENT ASSIGNEE(S): The University of Toledo, USA; Gliatech, Inc.

SOURCE: U.S., 24 pp. Cont.-in-part of U.S. Ser. No. 862,657, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|--|----------|-----------------|----------|
| US 5486526 | A | 19960123 | US 1993-145903 | 19931029 |
| HU 71353 | A2 | 19951128 | HU 1994-2827 | 19930331 |
| US 5633382 | A | 19970527 | US 1994-259926 | 19940615 |
| WO 9511894 | A1 | 19950504 | WO 1994-US11790 | 19941018 |
| W: | AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KE, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, UZ, VN | | | |
| RW: | KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | |

AU 9479815 A1 19950522 AU 1994-79815 19941018

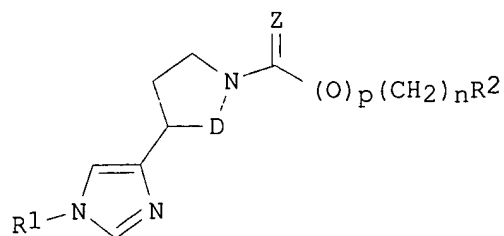
PRIORITY APPLN. INFO:

US 1993-145903 19931029
WO 1994-US11790 19941018

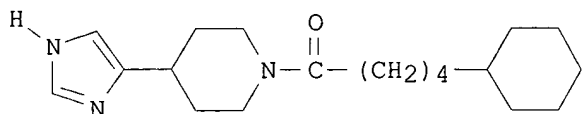
OTHER SOURCE(S): MARPAT 124:289535

GI

Searched by Barb O'Bryen, STIC 308-4291



I



II

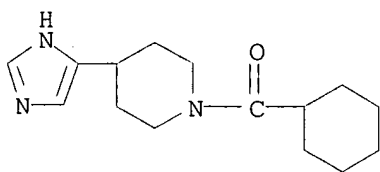
AB A method is claimed for suppressing appetite in a subject comprising administering to an animal, in whom appetite suppression is desired, an effective amt. of a histamine H3-receptor antagonist compd. of the formula: I wherein R1 represents hydrogen, an in vivo hydrolyzable group, an alkyl group, a cyclic alkyl group, or an aryl group; D is CH2 or CH2CH2; Z is S or O; p is 0 or 1; n is an integer from 0 to 6; and R2 represents a substituted or unsubstituted linear chain or branched chain alkyl group of up to about 20 carbon atoms, a substituted or unsubstituted carbocyclic group of up to about 20 atoms, or a substituted or unsubstituted aryl group of up to about 20 carbon atoms, and salts thereof, with the provisos that if R2 is tert-Bu, cyclohexyl, or dicyclohexylmethyl, p or n must not be 0; and if R2 is adamantane, the sum of p and n must be greater than 1; or a pharmaceutically acceptable salt thereof. I have affinity for histamine H3-receptor, and preferably penetrate the blood-brain barrier. I can block the soporific effect of an H3-receptor agonist. Thus, e.g., acylation of 4-(4-piperidyl)-1H-imidazole with cyclohexanevaleroyl chloride afforded 4-(1-cyclohexylvaleroyl-4-piperidyl)-1H-imidazole (II) which exhibited antagonist activity in vitro (IC50 = 23 +/- 6 nM for binding to the histamine H3 receptor), penetrated the blood-brain barrier at least as well as thioperamide, inhibited the soporific effect of 25 mg/kg (R)-.alpha.-methylhistamine, and demonstrated appetite suppression activity in vivo in rats.

IT 143211-67-0P 143211-81-8P 152241-32-2P
152241-39-9P 152241-40-2P

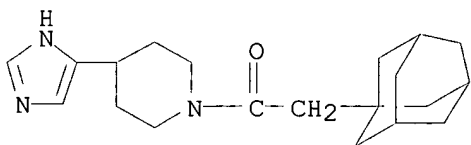
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(inactive; piperidylimidazole histamine H3-receptor antagonists and therapeutic uses)

RN 143211-67-0 CAPLUS

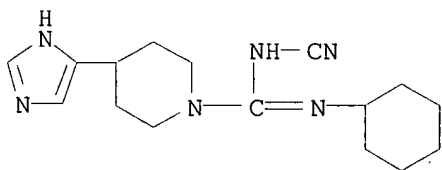
CN Piperidine, 1-(cyclohexylcarbonyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



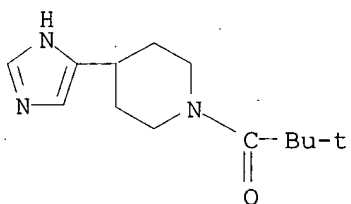
RN 143211-81-8 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(tricyclo[3.3.1.1^{3,7}]dec-1-ylacetyl)-
(9CI) (CA INDEX NAME)



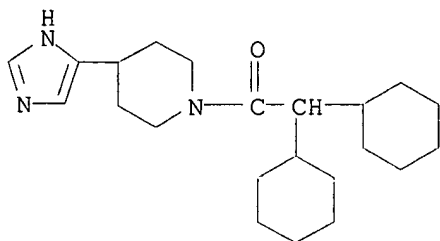
RN 152241-32-2 CAPLUS
CN 1-Piperidinecarboximidamide, N-cyano-N'-cyclohexyl-4-(1H-imidazol-4-yl)-
(9CI) (CA INDEX NAME)



RN 152241-39-9 CAPLUS
CN Piperidine, 1-(2,2-dimethyl-1-oxopropyl)-4-(1H-imidazol-4-yl)- (9CI) (CA
INDEX NAME)



RN 152241-40-2 CAPLUS
CN Piperidine, 1-(dicyclohexylacetyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX
NAME)



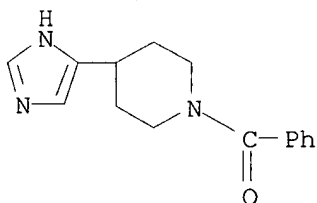
IT 143211-72-7P 143211-78-3P 143211-83-0P
 143211-89-6P 143211-92-1P 143211-95-4P
 143211-96-5P 143211-98-7P 152241-24-2P
 152241-31-1P 152241-33-3P 152241-34-4P
 152241-35-5P 152241-36-6P 152241-37-7P
 152241-38-8P 152241-41-3P 152241-42-4P
 152241-43-5P 175676-87-6P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(piperidylimidazole histamine H3-receptor antagonists and therapeutic uses)

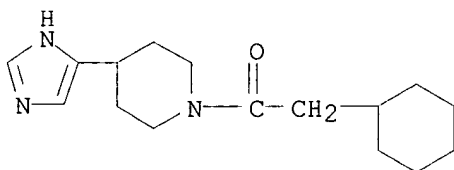
RN 143211-72-7 CAPLUS

CN Piperidine, 1-benzoyl-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



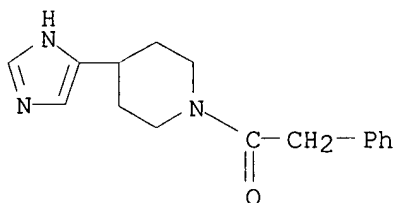
RN 143211-78-3 CAPLUS

CN Piperidine, 1-(cyclohexylacetyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

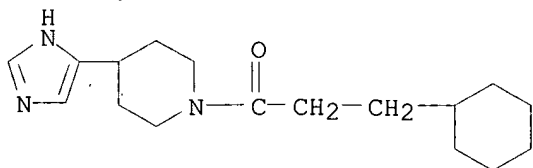


RN 143211-83-0 CAPLUS

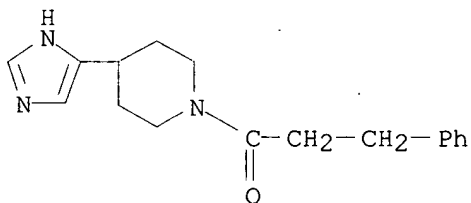
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(phenylacetyl)- (9CI) (CA INDEX NAME)



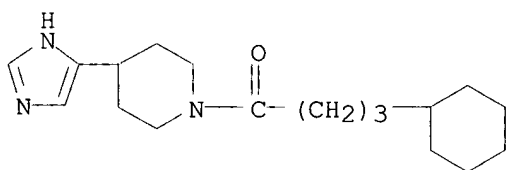
RN 143211-89-6 CAPLUS
CN Piperidine, 1-(3-cyclohexyl-1-oxopropyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



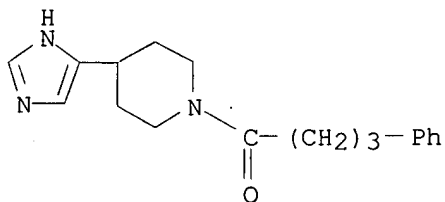
RN 143211-92-1 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-3-phenylpropyl)- (9CI) (CA INDEX NAME)



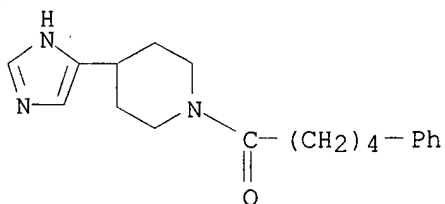
RN 143211-95-4 CAPLUS
CN Piperidine, 1-(4-cyclohexyl-1-oxobutyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



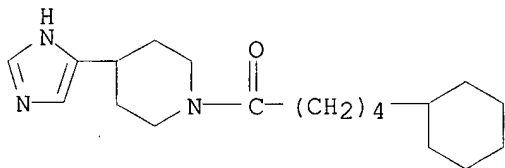
RN 143211-96-5 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-4-phenylbutyl)- (9CI) (CA INDEX NAME)



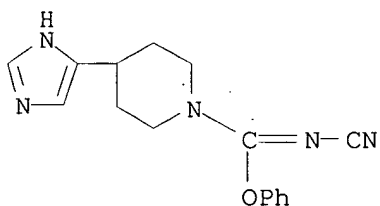
RN 143211-98-7 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-5-phenylpentyl)- (9CI) (CA INDEX NAME)



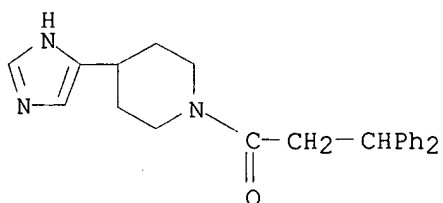
RN 152241-24-2 CAPLUS
CN Piperidine, 1-(5-cyclohexyl-1-oxopentyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



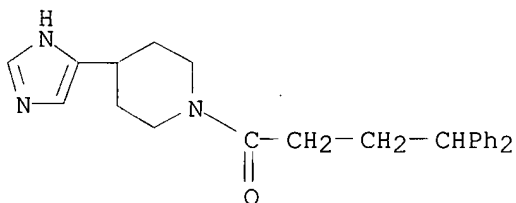
RN 152241-31-1 CAPLUS
CN 1-Piperidinecarboximidic acid, N-cyano-4-(1H-imidazol-4-yl)-, phenyl ester (9CI) (CA INDEX NAME)



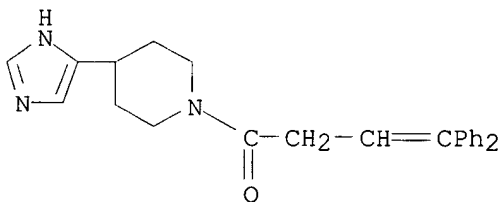
RN 152241-33-3 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-3,3-diphenylpropyl)- (9CI) (CA INDEX NAME)



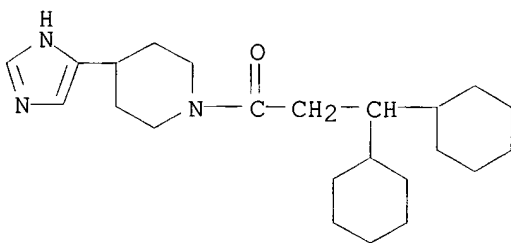
RN 152241-34-4 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-4,4-diphenylbutyl)- (9CI) (CA INDEX NAME)



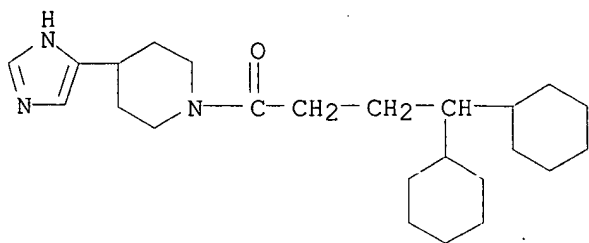
RN 152241-35-5 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-4,4-diphenyl-3-butenyl)- (9CI) (CA INDEX NAME)



RN 152241-36-6 CAPLUS
CN Piperidine, 1-(3,3-dicyclohexyl-1-oxopropyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

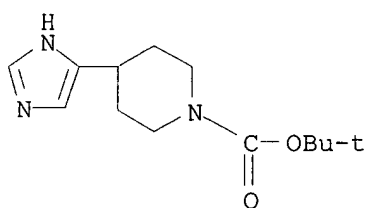


RN 152241-37-7 CAPLUS
CN Piperidine, 1-(4,4-dicyclohexyl-1-oxobutyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



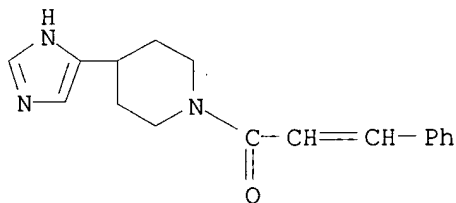
RN 152241-38-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(1H-imidazol-4-yl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



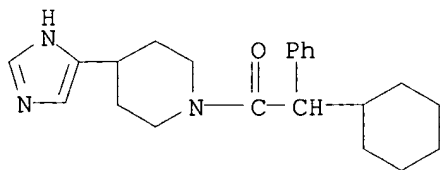
RN 152241-41-3 CAPLUS

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)



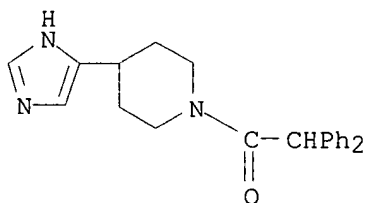
RN 152241-42-4 CAPLUS

CN Piperidine, 1-(cyclohexylphenylacetyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

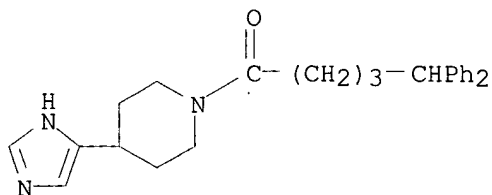


RN 152241-43-5 CAPLUS

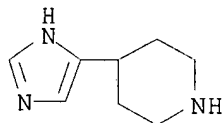
CN Piperidine, 1-(diphenylacetyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



RN 175676-87-6 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-5,5-diphenylpentyl)- (9CI) (CA INDEX NAME)

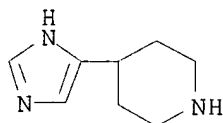


IT **51746-88-4**, 4-(4-Piperidyl)-1H-imidazole dihydrochloride
RL: RCT (Reactant)
(piperidylimidazole histamine H3-receptor antagonists and therapeutic uses)
RN 51746-88-4 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



2 HCl

IT **106243-23-6P**, 4-(4-Piperidyl)-1H-imidazole
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(piperidylimidazole histamine H3-receptor antagonists and therapeutic uses)
RN 106243-23-6 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

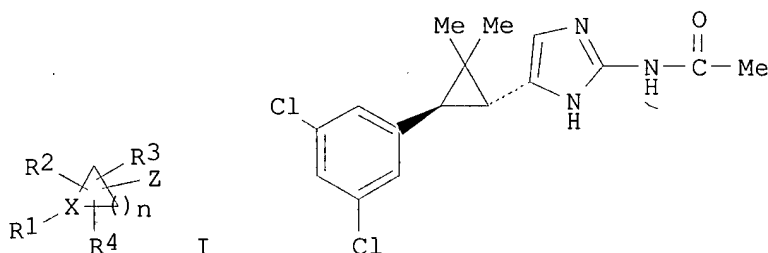


DOCUMENT NUMBER: 134:311218
 TITLE: Synthesis and use of heterocyclic sodium/proton exchange inhibitors
 INVENTOR(S): Ahmad, Saleem; Wu, Shung C.; O'Neil, Steven V.; Ngu, Khehyong; Atwal, Karnail S.
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
 SOURCE: PCT Int. Appl., 221 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

Applicant by another

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-------------------|------------|
| WO 2001027107 | A2 | 20010419 | WO 2000-US27461 | 20001002 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| PRIORITY APPLN. INFO.: | | | US 1999-158755 | P 19991012 |
| OTHER SOURCE(S): | | | MARPAT 134:311218 | |

GI



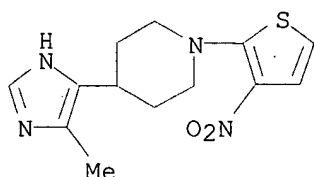
AB Compds. of formula I [wherein; n is 1-5; X is N or CR₅, where R₅ is H, halo, alkenyl, alkynyl, alkoxy, alkyl, aryl or heteroaryl; Z is a heteroaryl group; R₁ is H, alk(en)(yn)yl, alk(enyl)(ynyl)oxy, (aryl or alkyl)₃Si, cycloalk(en)yl, (aryl)amino, aryl(alkyl), cycloheteroaryl, etc.; R₂, R₃ and R₄ are any of the groups set out for R₁ and optionally substituted with 1 to 5 substituents which may be the same or different and when X is N, R₁ is preferably aryl or heteroaryl] are claimed. Several hundred examples are disclosed. Synthesis of II proceeds via cyclopropanation of the cinnamate derived from the olefination between 3,5-dichlorobenzaldehyde and t-butyl-diethylphosphonoacetate. The intermediate tert-Bu ester is converted to the corresponding .alpha.-chloro ketone and reacted with acetyl guanidine to provide II in a total of 5 steps. Compds. I are said to be sodium/proton exchange inhibitors (NHE). Pharmaceutical combinations are claimed using I and certain antihypertensive agents, .beta.-adrenergic agonists, hypolipidemic agents, antidiabetic agents; antiobesity agents, etc. Compds. I are useful as antianginal and cardioprotective agents and provide a method for preventing or treating angina pectoris, cardiac dysfunction, myocardial necrosis, and arrhythmia.

IT 335062-12-9P

RL: BAC (Biological activity or effector, except adverse); RCT (Reactant);
SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological
study); PREP (Preparation); USES (Uses)
(synthesis and use of heterocyclic sodium/proton exchange inhibitors)

RN 335062-12-9 CAPLUS

CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-(3-nitro-2-thienyl)- (9CI)
(CA INDEX NAME)



546/210
514/326

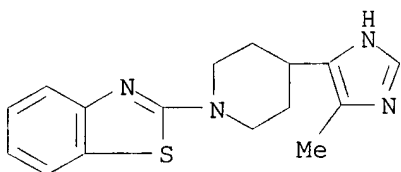
| | | | |
|----|--------------|--------------|--------------|
| IT | 146365-55-1P | 335062-07-2P | 335062-08-3P |
| | 335062-09-4P | 335062-10-7P | 335062-11-8P |
| | 335062-13-0P | 335062-15-2P | 335062-16-3P |
| | 335062-17-4P | 335062-18-5P | 335062-19-6P |
| | 335062-20-9P | 335062-21-0P | 335062-22-1P |
| | 335062-23-2P | 335062-24-3P | 335062-25-4P |
| | 335062-26-5P | 335062-27-6P | 335062-28-7P |
| | 335062-29-8P | 335062-30-1P | 335062-31-2P |
| | 335062-32-3P | 335062-33-4P | 335062-34-5P |
| | 335062-35-6P | 335062-36-7P | 335062-52-7P |
| | 335062-53-8P | 335062-54-9P | 335062-55-0P |
| | 335062-56-1P | 335062-58-3P | 335062-59-4P |
| | 335062-60-7P | 335062-61-8P | 335062-62-9P |
| | 335062-63-0P | 335062-64-1P | 335062-65-2P |
| | 335062-66-3P | 335062-67-4P | 335062-68-5P |
| | 335062-69-6P | 335062-71-0P | 335062-72-1P |
| | 335062-73-2P | 335062-74-3P | 335062-75-4P |
| | 335062-76-5P | 335062-77-6P | 335062-78-7P |
| | 335062-79-8P | 335062-80-1P | 335062-81-2P |
| | 335062-82-3P | 335062-83-4P | 335062-84-5P |
| | 335062-85-6P | 335062-86-7P | 335062-87-8P |
| | 335062-88-9P | 335062-89-0P | 335062-90-3P |
| | 335062-91-4P | 335062-92-5P | 335062-93-6P |
| | 335062-94-7P | 335062-95-8P | 335062-96-9P |
| | 335062-97-0P | 335062-98-1P | 335063-10-0P |
| | 335063-11-1P | 335063-12-2P | 335063-13-3P |
| | 335063-14-4P | 335063-15-5P | 335063-16-6P |
| | 335063-17-7P | 335063-18-8P | 335063-19-9P |
| | 335063-20-2P | 335063-21-3P | 335063-22-4P |
| | 335063-23-5P | 335063-24-6P | 335063-25-7P |
| | 335063-26-8P | 335063-27-9P | 335063-28-0P |
| | 335063-29-1P | 335063-30-4P | 335063-31-5P |
| | 335063-32-6P | 335063-33-7P | 335063-34-8P |
| | 335063-35-9P | 335063-36-0P | 335063-37-1P |
| | 335063-38-2P | 335063-39-3P | 335063-40-6P |
| | 335063-41-7P | 335063-42-8P | 335063-43-9P |
| | 335063-44-0P | 335063-45-1P | 335063-46-2P |
| | 335063-47-3P | 335063-48-4P | 335063-49-5P |
| | 335063-50-6P | 335063-51-7P | 335063-52-8P |
| | 335063-53-9P | 335063-54-0P | 335063-55-1P |
| | 335063-56-2P | 335063-57-3P | 335063-58-4P |
| | 335063-59-5P | 335063-60-6P | 335063-61-7P |
| | 335063-62-8P | 335063-63-9P | 335063-64-0P |
| | 335063-65-1P | 335063-66-2P | 335063-67-3P |
| | 335063-68-4P | 335063-69-5P | 335063-70-6P |
| | 335063-71-7P | 335063-72-8P | 335063-73-9P |
| | 335063-74-0P | 335063-75-1P | 335063-76-2P |
| | 335063-77-3P | 335063-78-4P | 335063-79-5P |
| | 335063-80-6P | 335063-81-7P | 335063-82-8P |
| | 335063-83-9P | 335063-84-0P | 335063-85-1P |
| | 335063-86-2P | 335063-87-3P | 335063-88-4P |
| | 335063-89-5P | 335063-90-6P | 335063-91-7P |
| | 335063-92-8P | 335063-93-9P | 335063-94-0P |
| | 335063-95-1P | 335063-96-2P | 335063-97-3P |
| | 335063-98-4P | 335063-99-5P | 335064-00-6P |

335063-81-5P 335063-82-6P 335063-83-7P
335063-84-8P 335063-85-9P 335063-86-0P
335063-87-1P 335063-88-2P 335063-89-3P
335063-90-6P 335063-91-7P 335063-92-8P
335063-93-9P 335063-94-0P 335063-95-1P
335063-96-2P 335063-97-3P 335063-98-4P
335063-99-5P 335064-00-1P 335064-01-2P
335064-02-3P 335064-03-4P 335064-04-5P
335064-05-6P 335064-06-7P 335064-07-8P
335064-08-9P 335064-09-0P 335064-10-3P
335064-11-4P 335064-12-5P 335064-13-6P
335064-14-7P 335064-15-8P 335064-16-9P
335064-17-0P 335064-18-1P 335064-19-2P
335064-20-5P 335064-21-6P 335064-28-3P
335064-29-4P 335064-30-7P 335064-31-8P
335064-32-9P 335064-33-0P 335064-34-1P
335064-35-2P 335064-37-4P 335064-39-6P
335064-40-9P 335064-41-0P 335064-42-1P
335064-43-2P 335064-44-3P 335064-45-4P
335065-07-1P 335065-09-3P 335065-10-6P
335065-11-7P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and use of heterocyclic sodium/proton exchange inhibitors)

RN 146365-55-1 CAPLUS

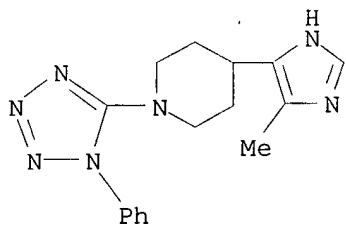
CN Benzothiazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



546/198
514/321

RN 335062-07-2 CAPLUS

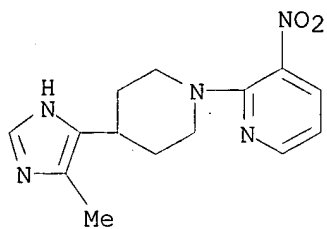
CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-(1-phenyl-1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)



546/210
514/326

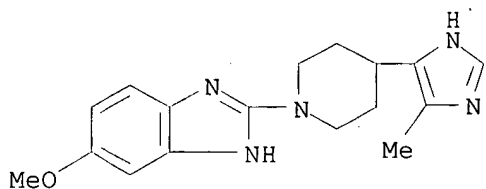
RN 335062-08-3 CAPLUS

CN Pyridine, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-3-nitro- (9CI) (CA INDEX NAME)



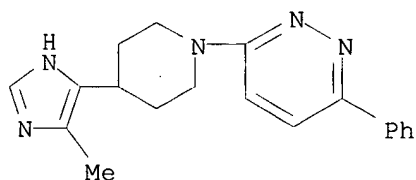
546/193
514/318

RN 335062-09-4 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



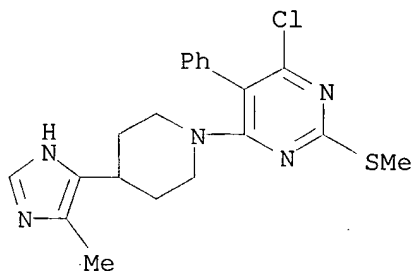
546/199
514/322

RN 335062-10-7 CAPLUS
CN Pyridazine, 3-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-6-phenyl- (9CI) (CA INDEX NAME)



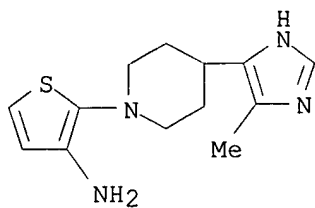
544/238
514/252.03

RN 335062-11-8 CAPLUS
CN Pyrimidine, 4-chloro-6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-(methylthio)-5-phenyl- (9CI) (CA INDEX NAME)



544/317
514/274

RN 335062-13-0 CAPLUS



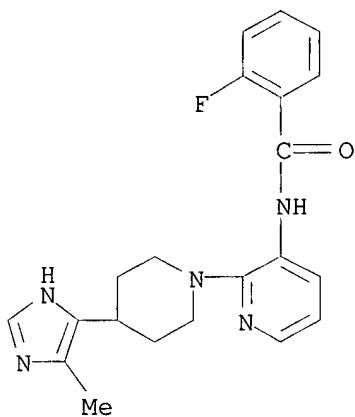
RN 335062-15-2 CAPLUS

CN Benzamide, 2-fluoro-N-[2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-3-pyridinyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 335062-14-1

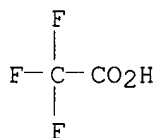
CMF C21 H22 F N5 O



CM 2

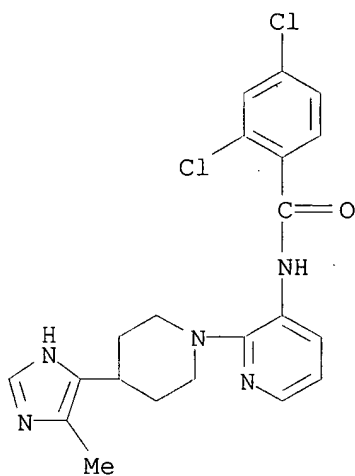
CRN 76-05-1

CMF C2 H F3 O2

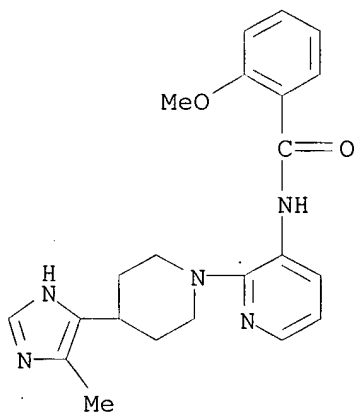


RN 335062-16-3 CAPLUS

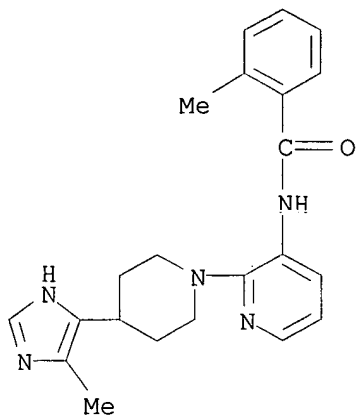
CN Benzamide, 2,4-dichloro-N-[2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)



RN 335062-17-4 CAPLUS
CN Benzamide, 2-methoxy-N-[2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)

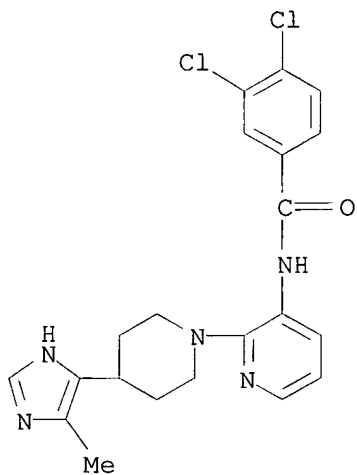


RN 335062-18-5 CAPLUS
CN Benzamide, 2-methoxy-N-[2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)



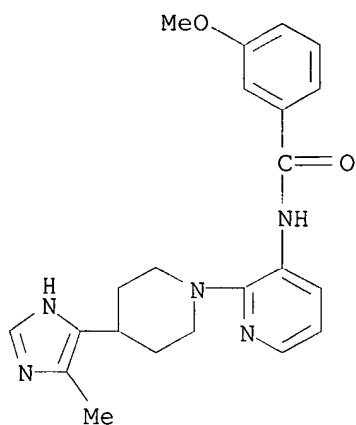
RN 335062-19-6 CAPLUS

CN Benzamide, 3,4-dichloro-N-[2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)



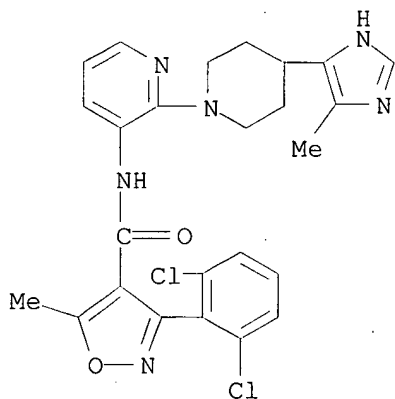
RN 335062-20-9 CAPLUS

CN Benzamide, 3-methoxy-N-[2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)



RN 335062-21-0 CAPLUS

CN 4-Isoxazolecarboxamide, 3-(2,6-dichlorophenyl)-5-methyl-N-[2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)

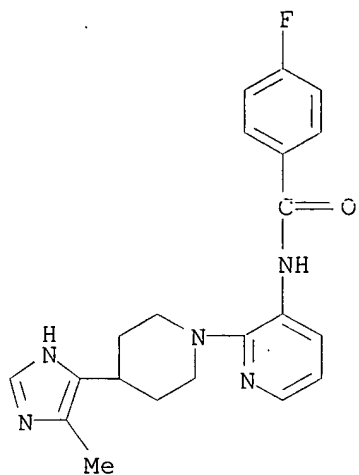


546/194

514 /318

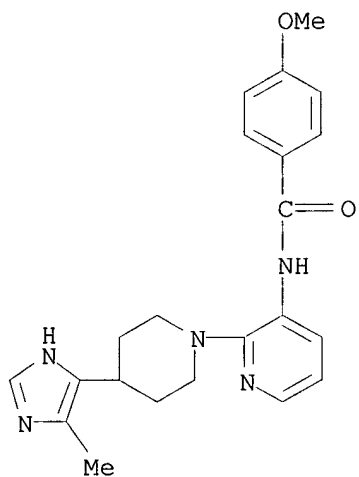
RN 335062-22-1 CAPLUS

CN Benzamide, 4-fluoro-N-[2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)



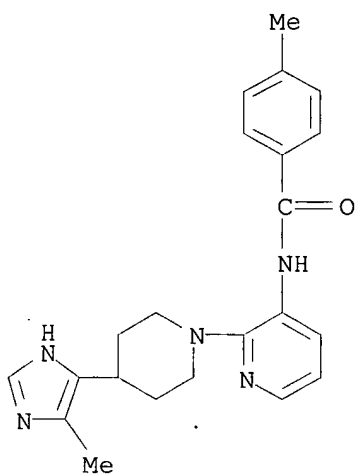
RN 335062-23-2 CAPLUS

CN Benzamide, 4-methoxy-N-[2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)

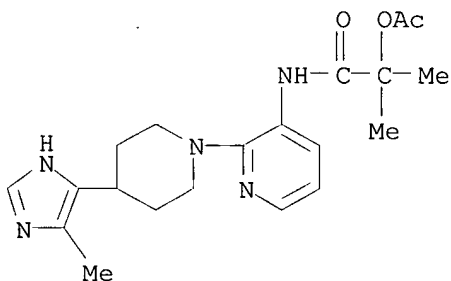


RN 335062-24-3 CAPLUS

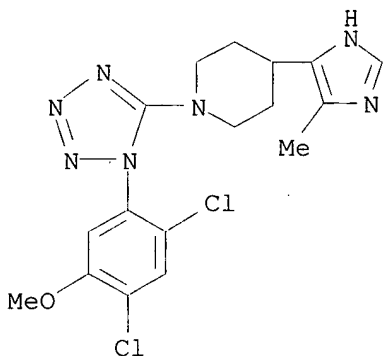
CN Benzamide, 4-methyl-N-[2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)



RN 335062-25-4 CAPLUS
 CN Propanamide, 2-(acetyloxy)-2-methyl-N-[2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)



RN 335062-26-5 CAPLUS
 CN Piperidine, 1-[1-(2,4-dichloro-5-methoxyphenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

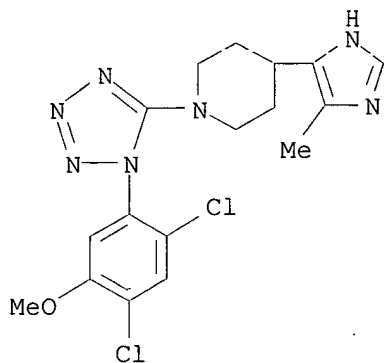


CN Piperidine, 1-[1-(2,4-dichloro-5-methoxyphenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 335062-26-5

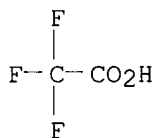
CMF C17 H19 C12 N7 O



CM 2

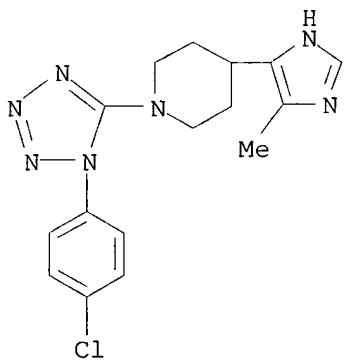
CRN 76-05-1

CMF C2 H F3 O2



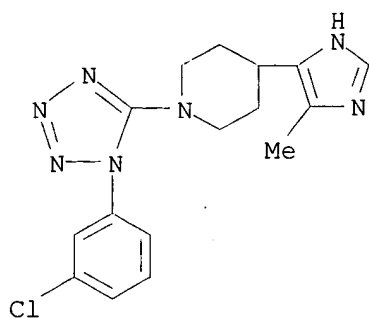
RN 335062-28-7 CAPLUS

CN Piperidine, 1-[1-(4-chlorophenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



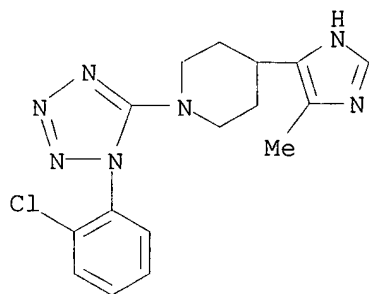
RN 335062-29-8 CAPLUS

CN Piperidine, 1-[1-(3-chlorophenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



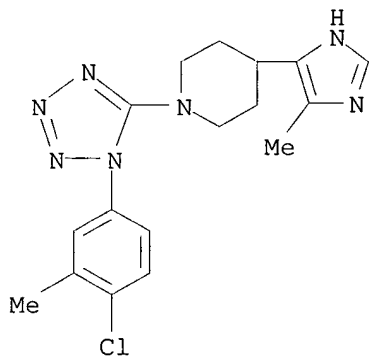
RN 335062-30-1 CAPLUS

CN Piperidine, 1-[1-(2-chlorophenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



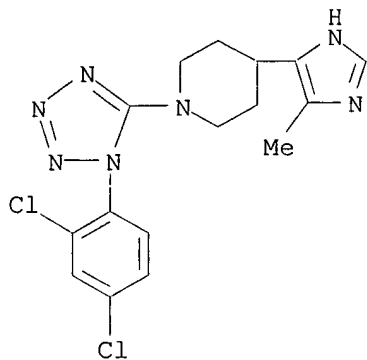
RN 335062-31-2 CAPLUS

CN Piperidine, 1-[1-(4-chloro-3-methylphenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



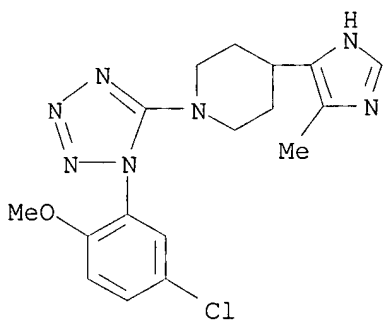
RN 335062-32-3 CAPLUS

CN Piperidine, 1-[1-(2,4-dichlorophenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



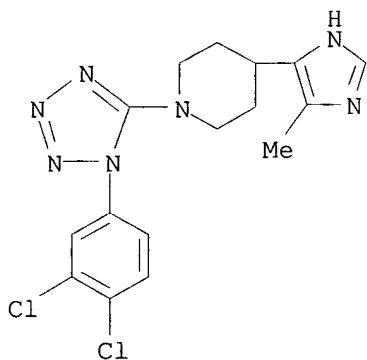
RN 335062-33-4 CAPLUS

CN Piperidine, 1-[1-(5-chloro-2-methoxyphenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



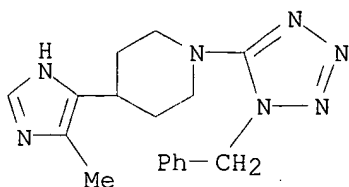
RN 335062-34-5 CAPLUS

CN Piperidine, 1-[1-(3,4-dichlorophenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



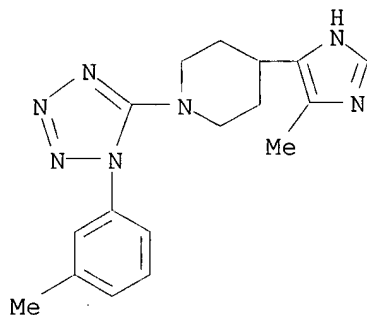
RN 335062-35-6 CAPLUS

CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-[1-(phenylmethyl)-1H-tetrazol-5-yl]- (9CI) (CA INDEX NAME)



RN 335062-36-7 CAPLUS

CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-[1-(3-methylphenyl)-1H-tetrazol-5-yl]- (9CI) (CA INDEX NAME)



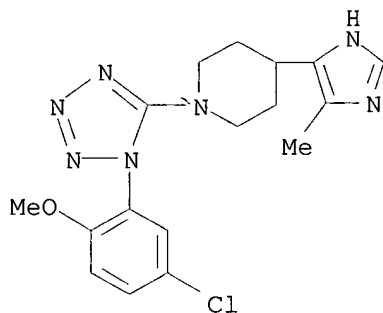
RN 335062-52-7 CAPLUS

CN Piperidine, 1-[1-(5-chloro-2-methoxyphenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 335062-33-4

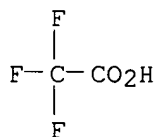
CMF C17 H20 Cl N7 O



CM 2

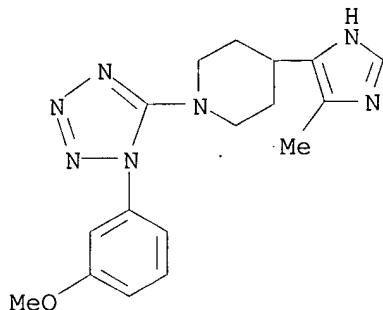
CRN 76-05-1

CMF C2 H F3 O2



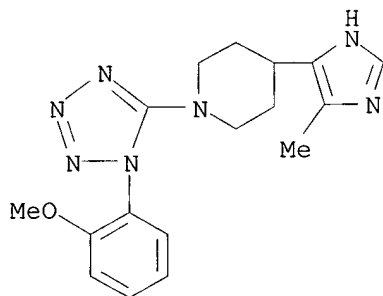
RN 335062-53-8 CAPLUS

CN Piperidine, 1-[1-(3-methoxyphenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



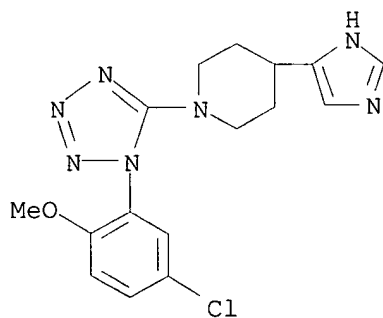
RN 335062-54-9 CAPLUS

CN Piperidine, 1-[1-(2-methoxyphenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



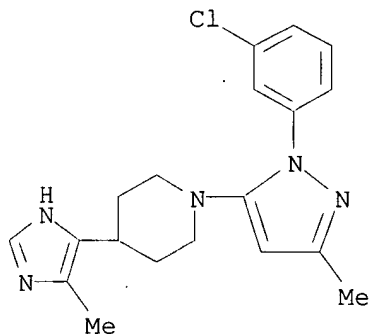
RN 335062-55-0 CAPLUS

CN Piperidine, 1-[1-(5-chloro-2-methoxyphenyl)-1H-tetrazol-5-yl]-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



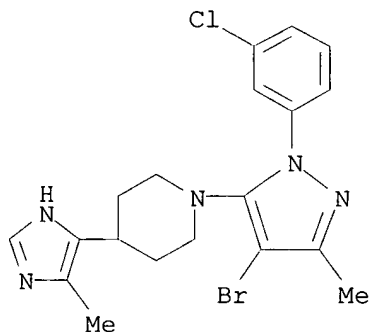
RN 335062-56-1 CAPLUS

CN Piperidine, 1-[1-(3-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



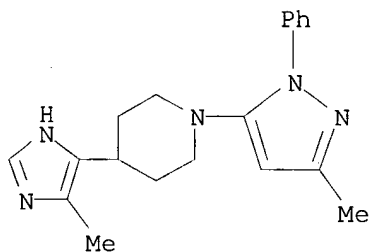
RN 335062-58-3 CAPLUS

CN Piperidine, 1-[4-bromo-1-(3-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



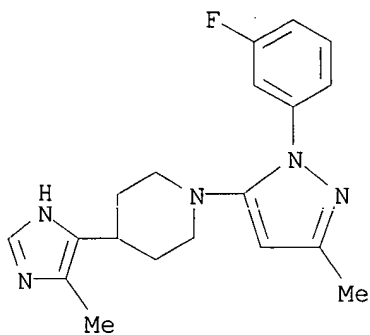
RN 335062-59-4 CAPLUS

CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-(3-methyl-1-phenyl-1H-pyrazol-5-yl)- (9CI) (CA INDEX NAME)



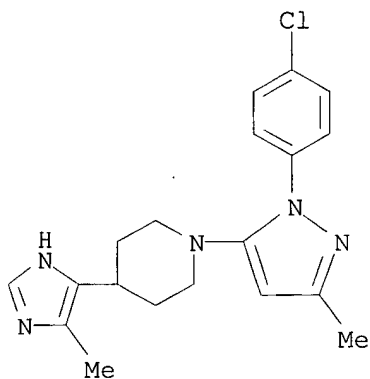
RN 335062-60-7 CAPLUS

CN Piperidine, 1-[1-(3-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



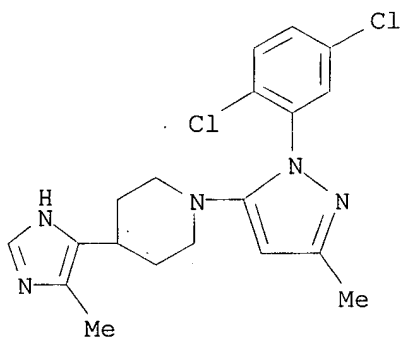
RN 335062-61-8 CAPLUS

CN Piperidine, 1-[1-(4-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



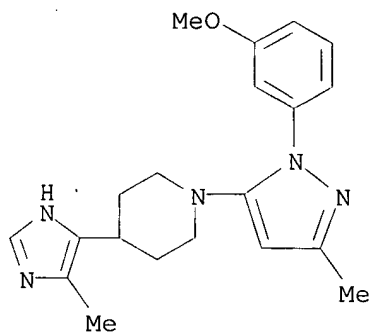
RN 335062-62-9 CAPLUS

CN Piperidine, 1-[1-(2,5-dichlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



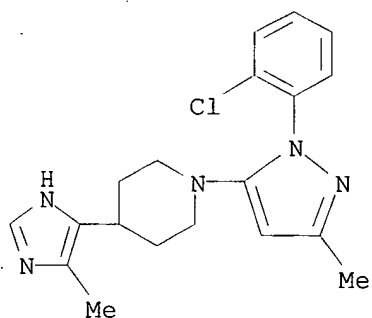
RN 335062-63-0 CAPLUS

CN Piperidine, 1-[1-(3-methoxyphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



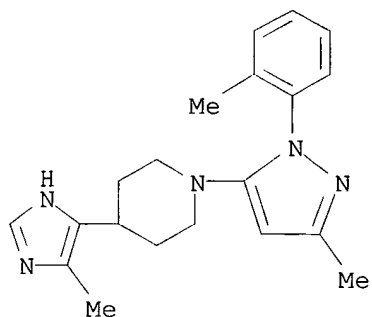
RN 335062-64-1 CAPLUS

CN Piperidine, 1-[1-(2-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



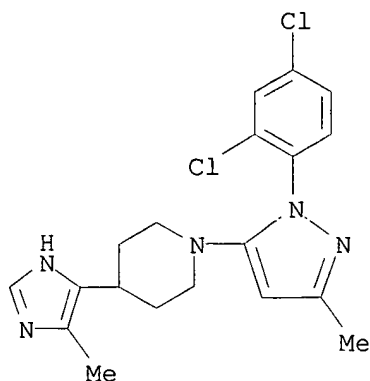
RN 335062-65-2 CAPLUS

CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-[3-methyl-1-(2-methylphenyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



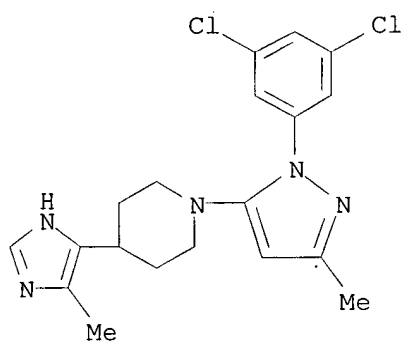
RN 335062-66-3 CAPLUS

CN Piperidine, 1-[1-(2,4-dichlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



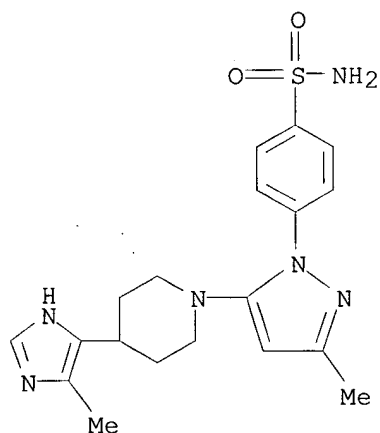
RN 335062-67-4 CAPLUS

CN Piperidine, 1-[1-(3,5-dichlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



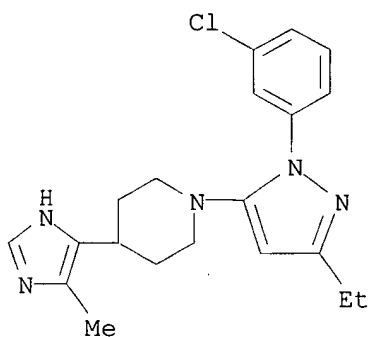
RN 335062-68-5 CAPLUS

CN Benzenesulfonamide, 4-[3-methyl-5-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



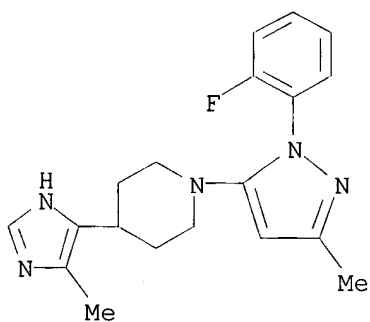
RN 335062-69-6 CAPLUS

CN Piperidine, 1-[1-(3-chlorophenyl)-3-ethyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



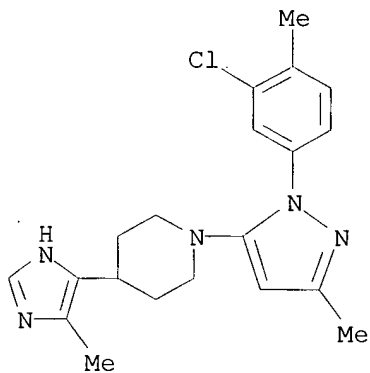
RN 335062-71-0 CAPLUS

CN Piperidine, 1-[1-(2-fluorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



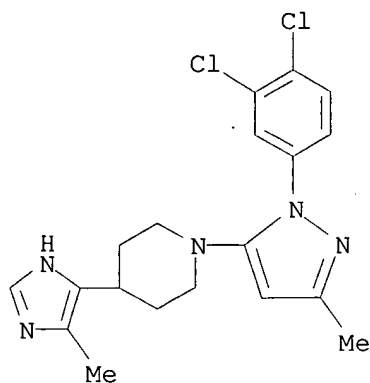
RN 335062-72-1 CAPLUS

CN Piperidine, 1-[1-(3-chloro-4-methylphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



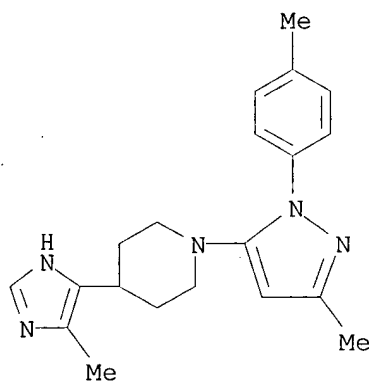
RN 335062-73-2 CAPLUS

CN Piperidine, 1-[1-(3,4-dichlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



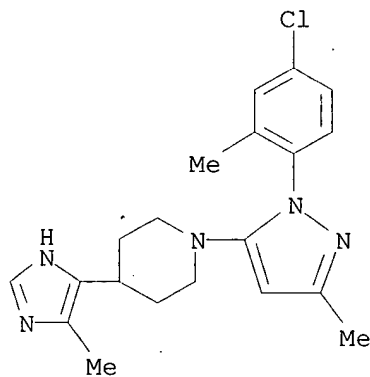
RN 335062-74-3 CAPLUS

CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-[3-methyl-1-(4-methylphenyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



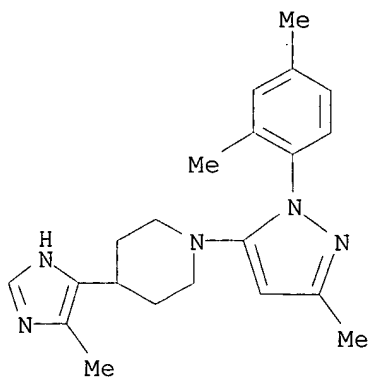
RN 335062-75-4 CAPLUS

CN Piperidine, 1-[1-(4-chloro-2-methylphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

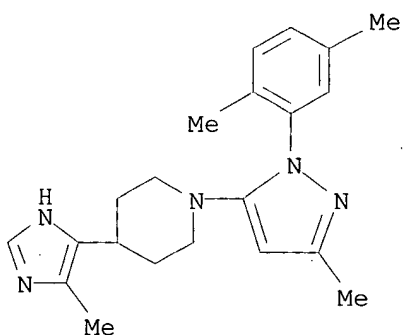


RN 335062-76-5 CAPLUS

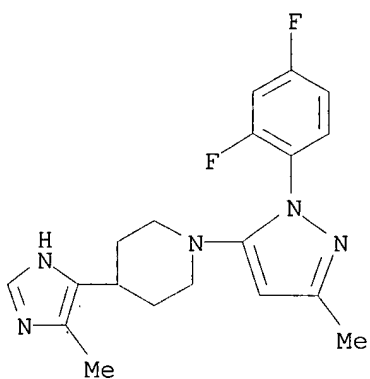
CN Piperidine, 1-[1-(2,4-dimethylphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



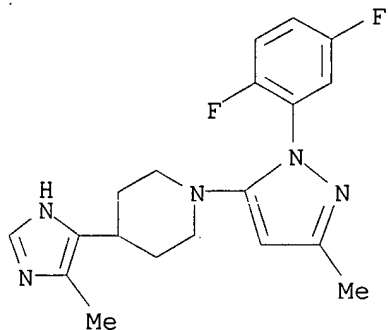
RN 335062-77-6 CAPLUS
CN Piperidine, 1-[1-(2,5-dimethylphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



RN 335062-78-7 CAPLUS
CN Piperidine, 1-[1-(2,4-difluorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

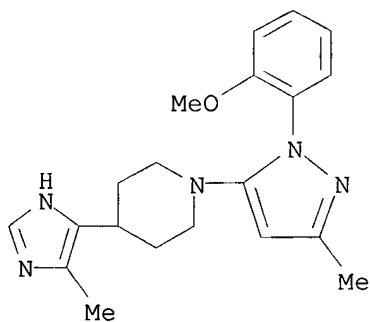


RN 335062-79-8 CAPLUS
CN Piperidine, 1-[1-(2,5-difluorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



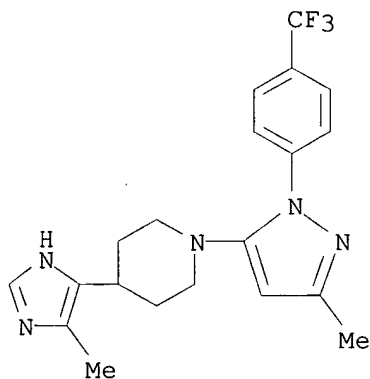
RN 335062-80-1 CAPLUS

CN Piperidine, 1-[1-(2-methoxyphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



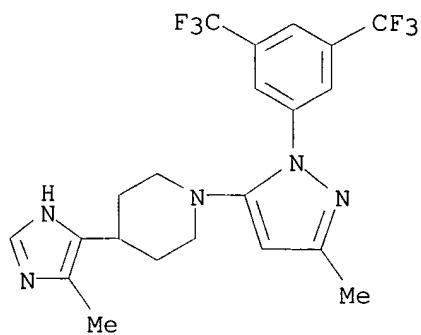
RN 335062-81-2 CAPLUS

CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-[3-methyl-1-[4-(trifluoromethyl)phenyl]-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



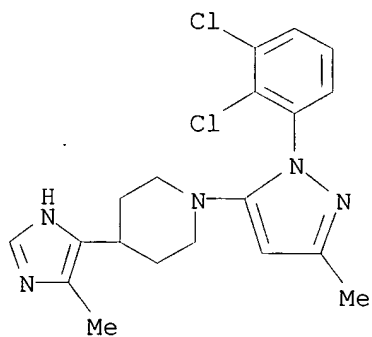
RN 335062-82-3 CAPLUS

CN Piperidine, 1-[1-[3,5-bis(trifluoromethyl)phenyl]-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



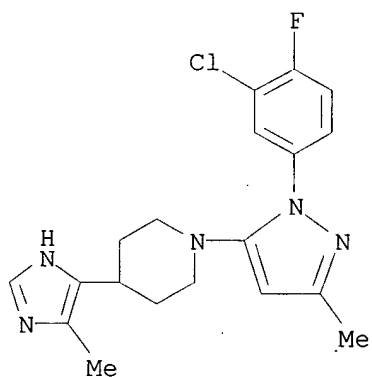
RN 335062-83-4 CAPLUS

CN Piperidine, 1-[1-(2,3-dichlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



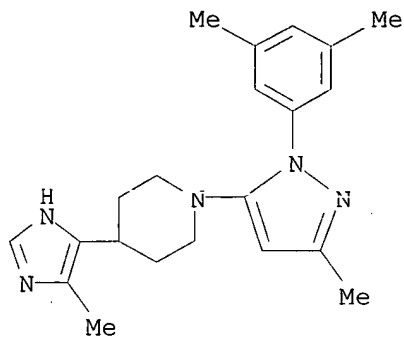
RN 335062-84-5 CAPLUS

CN Piperidine, 1-[1-(3-chloro-4-fluorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



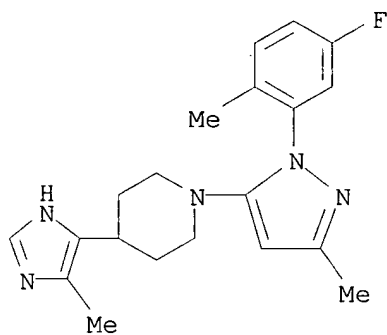
RN 335062-85-6 CAPLUS

CN Piperidine, 1-[1-(3,5-dimethylphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



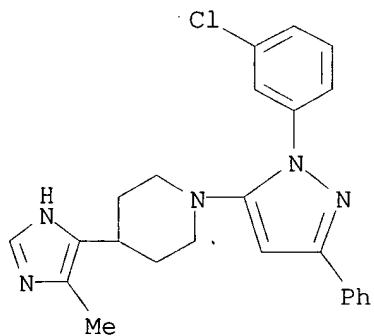
RN 335062-86-7 CAPLUS

CN Piperidine, 1-[1-(5-fluoro-2-methylphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



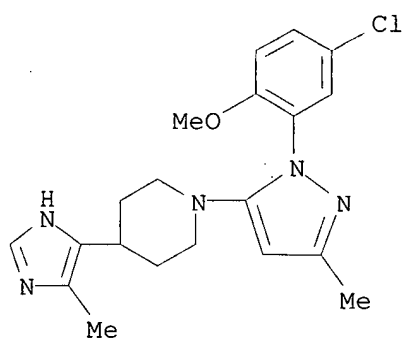
RN 335062-87-8 CAPLUS

CN Piperidine, 1-[1-(3-chlorophenyl)-3-phenyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

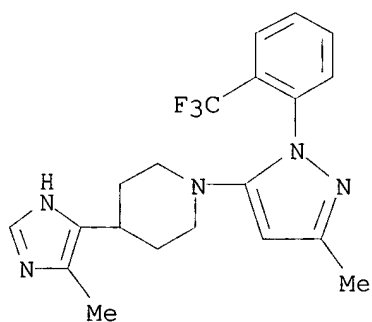


RN 335062-88-9 CAPLUS

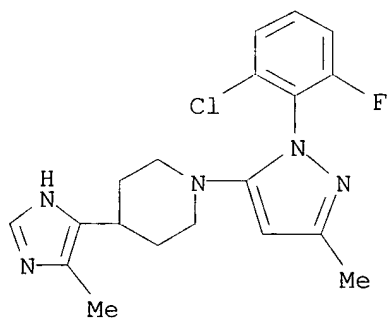
CN Piperidine, 1-[1-(5-chloro-2-methoxyphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



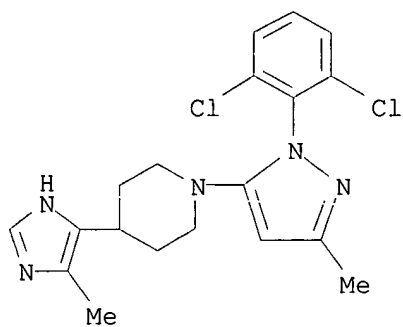
RN 335062-89-0 CAPLUS
CN Piperidine, 4-[1-(2-chloro-4-methoxyphenyl)-3-methyl-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



RN 335062-90-3 CAPLUS
CN Piperidine, 1-[1-(2-chloro-6-fluorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

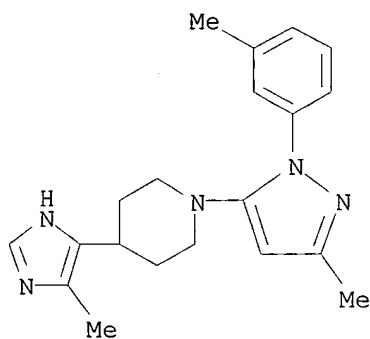


RN 335062-91-4 CAPLUS
CN Piperidine, 1-[1-(2,6-dichlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



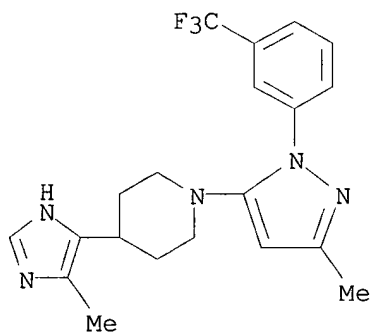
RN 335062-92-5 CAPLUS

CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-[3-methyl-1-(3-methylphenyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



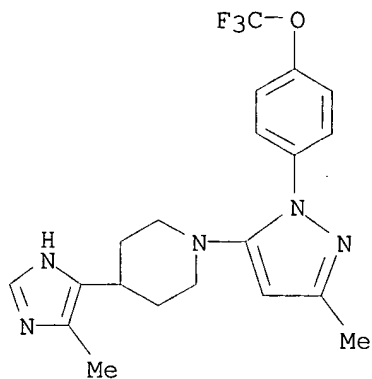
RN 335062-93-6 CAPLUS

CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-[3-methyl-1-[3-(trifluoromethyl)phenyl]-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



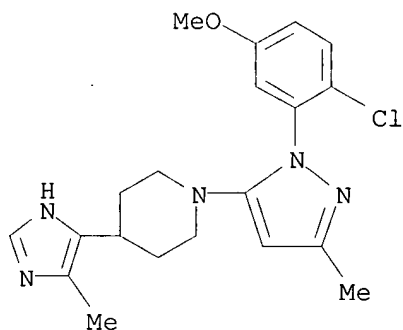
RN 335062-94-7 CAPLUS

CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-[3-methyl-1-[4-(trifluoromethoxy)phenyl]-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)



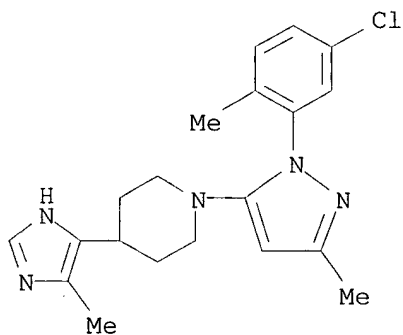
RN 335062-95-8 CAPLUS

CN Piperidine, 1-[1-(2-chloro-5-methoxyphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



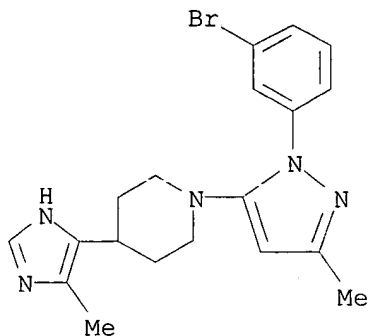
RN 335062-96-9 CAPLUS

CN Piperidine, 1-[1-(5-chloro-2-methylphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



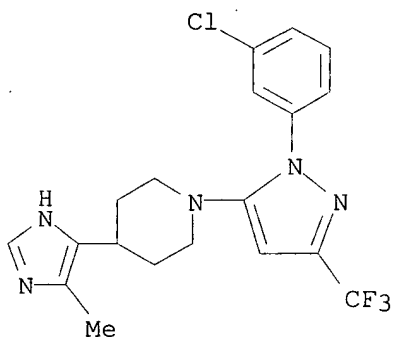
RN 335062-97-0 CAPLUS

CN Piperidine, 1-[1-(3-bromophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



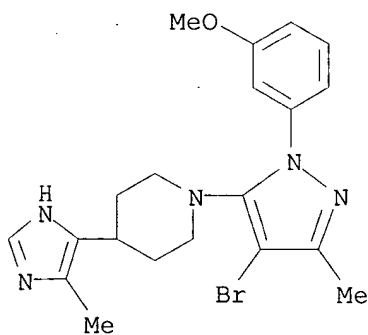
RN 335062-98-1 CAPLUS

CN Piperidine, 1-[1-(3-chlorophenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



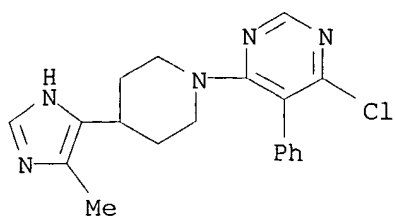
RN 335063-10-0 CAPLUS

CN Piperidine, 1-[4-bromo-1-(3-methoxyphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



RN 335063-11-1 CAPLUS

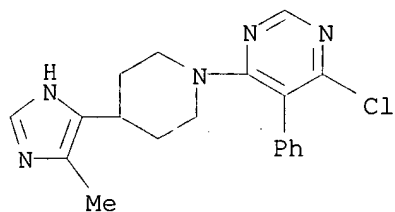
CN Pyrimidine, 4-chloro-6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-phenyl- (9CI) (CA INDEX NAME)



RN 335063-12-2 CAPLUS
CN Pyrimidine, 4-chloro-6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-phenyl-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

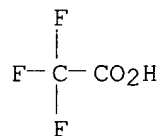
CM 1

CRN 335063-11-1
CMF C19 H20 Cl N5

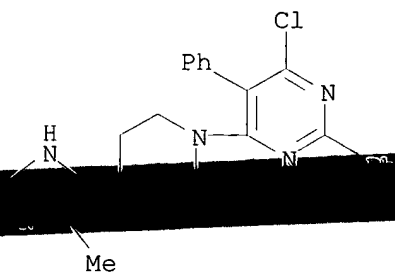


CM 2

CRN 76-05-1
CMF C2 H F3 O2

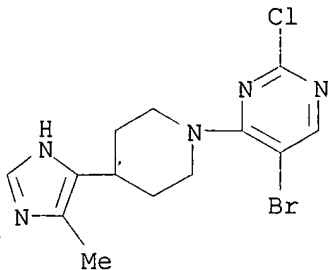


RN 335063-13-3 CAPLUS
CN Pyrimidine, 4-chloro-6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2,5-diphenyl- (9CI) (CA INDEX NAME)

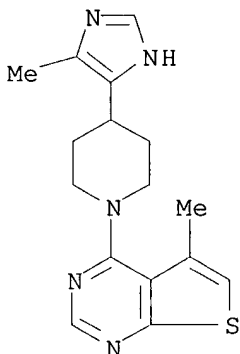


544/328
514/256

RN 335063-14-4 CAPLUS
CN Pyrimidine, 5-bromo-2-chloro-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

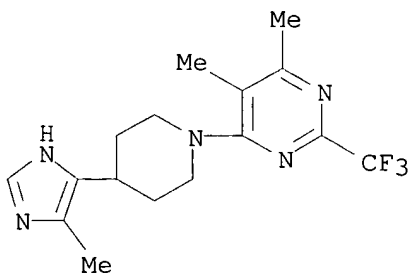


RN 335063-15-5 CAPLUS
CN Thieno[2,3-d]pyrimidine, 5-methyl-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

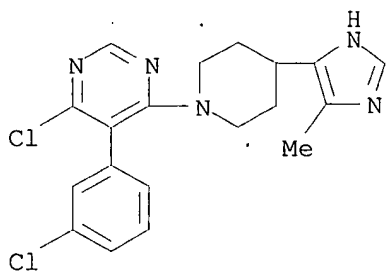


544/278
514/258

RN 335063-16-6 CAPLUS
CN Pyrimidine, 4,5-dimethyl-6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)

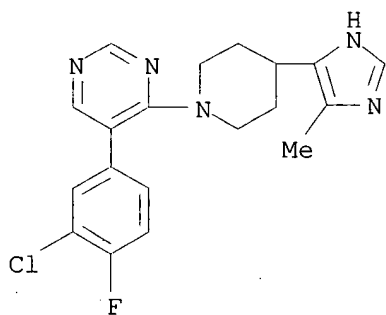


RN 335063-17-7 CAPLUS
CN Pyrimidine, 4-chloro-5-(3-chlorophenyl)-6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 335063-18-8 CAPLUS

CN Pyrimidine, 5-(3-chloro-4-fluorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



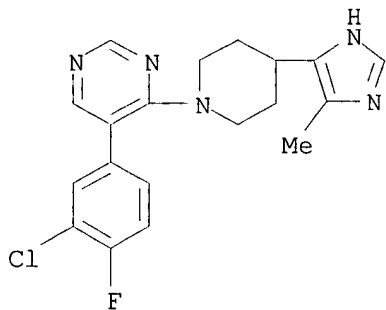
RN 335063-19-9 CAPLUS

CN Pyrimidine, 5-(3-chloro-4-fluorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

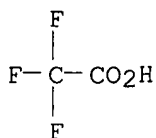
CRN 335063-18-8

CMF C19 H19 Cl F N5



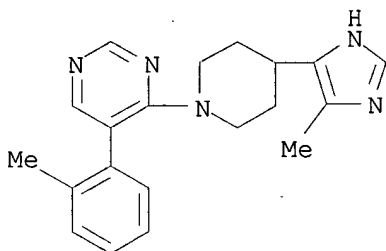
CM 2

CMF C2 H F3 O2



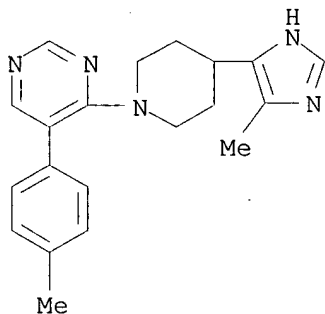
RN 335063-20-2 CAPLUS

CN Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(2-methylphenyl)- (9CI) (CA INDEX NAME)



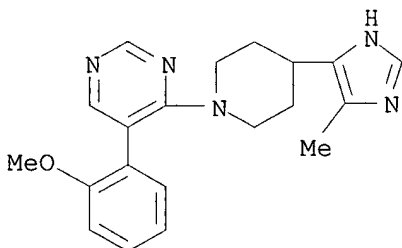
RN 335063-21-3 CAPLUS

CN Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(4-methylphenyl)- (9CI) (CA INDEX NAME)



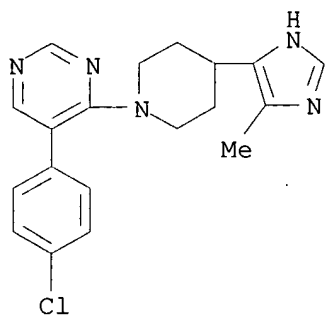
RN 335063-22-4 CAPLUS

CN Pyrimidine, 5-(2-methoxyphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

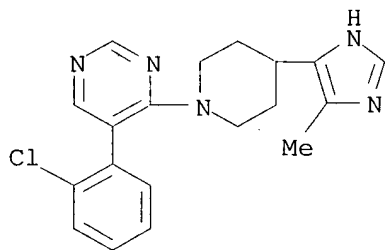


RN 335063-23-5 CAPLUS

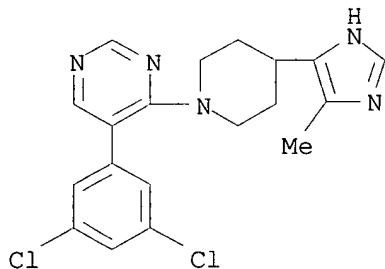
CN Pyrimidine, 5-(4-chlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



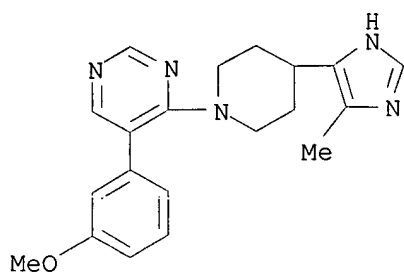
RN 335063-24-6 CAPLUS
CN Pyrimidine, 5-(2-chlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 335063-25-7 CAPLUS
CN Pyrimidine, 5-(3,5-dichlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

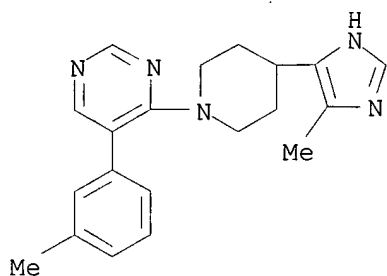


RN 335063-26-8 CAPLUS
CN Pyrimidine, 5-(3-methoxyphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



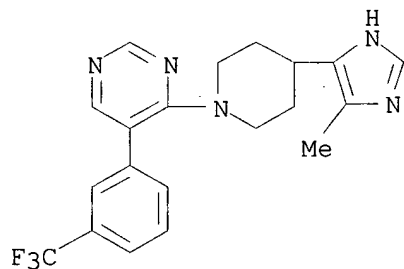
RN 335063-27-9 CAPLUS

CN Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(3-methylphenyl)- (9CI) (CA INDEX NAME)



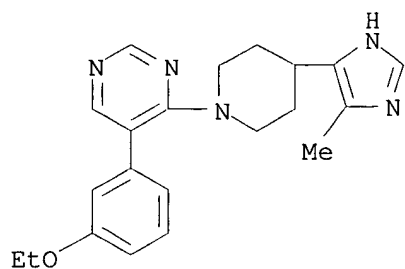
RN 335063-28-0 CAPLUS

CN Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



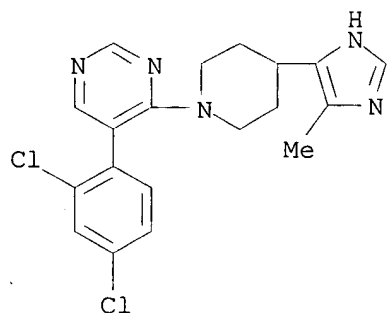
RN 335063-29-1 CAPLUS

CN Pyrimidine, 5-(3-ethoxyphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



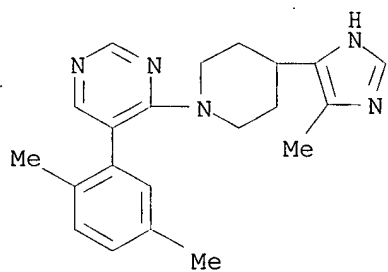
RN 335063-30-4 CAPLUS

CN Pyrimidine, 5-(2,4-dichlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



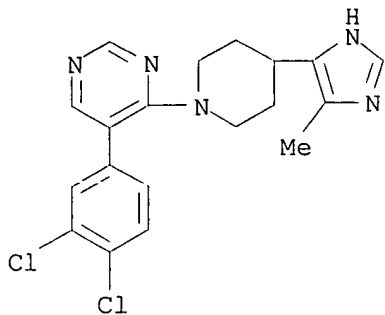
RN 335063-31-5 CAPLUS

CN Pyrimidine, 5-(2,5-dimethylphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



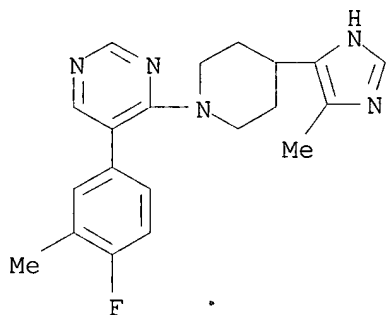
RN 335063-32-6 CAPLUS

CN Pyrimidine, 5-(3,4-dichlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



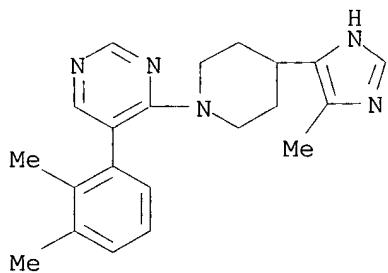
RN 335063-33-7 CAPLUS

CN Pyrimidine, 5-(4-fluoro-3-methylphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



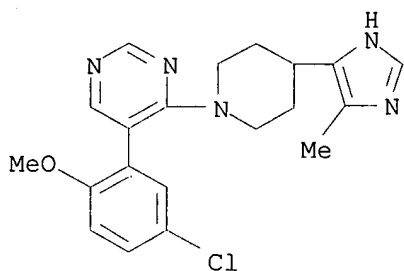
RN 335063-34-8 CAPLUS

CN Pyrimidine, 5-(2,3-dimethylphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

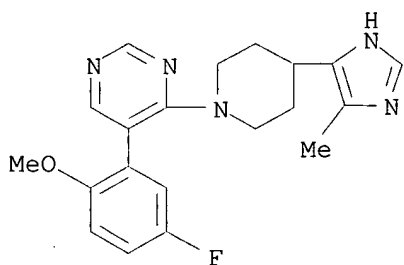


RN 335063-35-9 CAPLUS

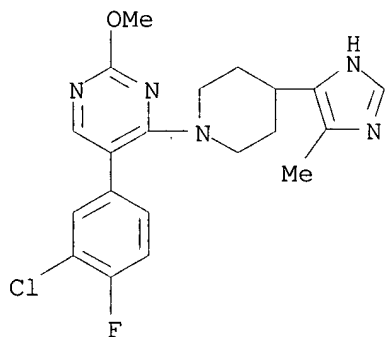
CN Pyrimidine, 5-(5-chloro-2-methoxyphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



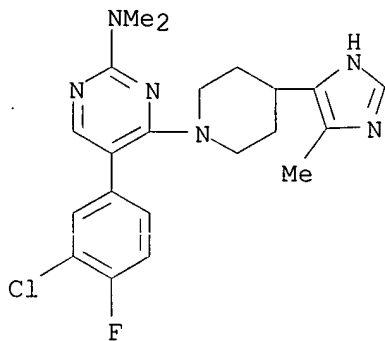
RN 335063-36-0 CAPLUS
CN Pyrimidine, 5-(5-fluoro-2-methoxyphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



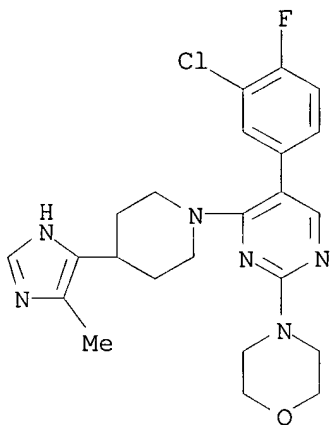
RN 335063-37-1 CAPLUS
CN Pyrimidine, 5-(3-chloro-4-fluorophenyl)-2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 335063-38-2 CAPLUS
CN 2-Pyrimidinamine, 5-(3-chloro-4-fluorophenyl)-N,N-dimethyl-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

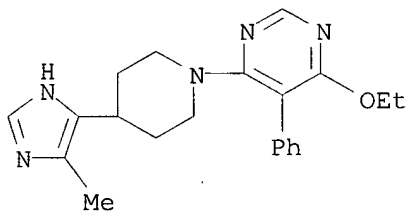


RN 335063-39-3 CAPLUS
CN Morpholine, 4-[5-(3-chloro-4-fluorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



544/122
514/235.8

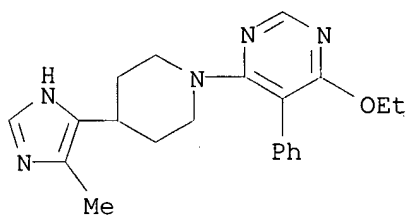
RN 335063-40-6 CAPLUS
CN Pyrimidine, 4-ethoxy-6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-phenyl- (9CI) (CA INDEX NAME)



RN 335063-41-7 CAPLUS
CN Pyrimidine, 4-ethoxy-6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-phenyl-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

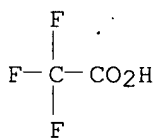
CRN 335063-40-6
CMF C21 H25 N5 O



CM 2

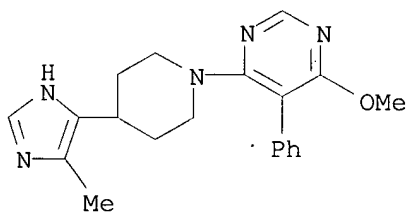
CRN 76-05-1

CMF C2 H F3 O2



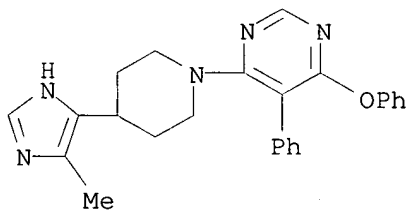
RN 335063-42-8 CAPLUS

CN Pyrimidine, 4-methoxy-6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-phenyl- (9CI) (CA INDEX NAME)



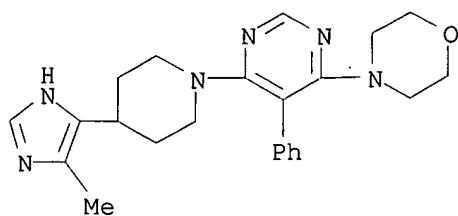
RN 335063-43-9 CAPLUS

CN Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-6-phenoxy-5-phenyl- (9CI) (CA INDEX NAME)



RN 335063-44-0 CAPLUS

CN Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-phenyl-4-phenoxy- (9CI) (CA INDEX NAME)



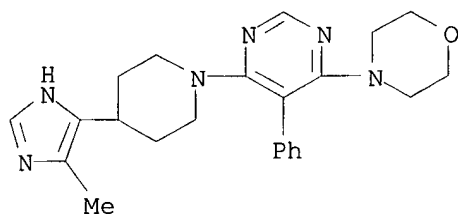
RN 335063-45-1 CAPLUS

CN Morpholine, 4-[6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-phenyl-4-pyrimidinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 335063-44-0

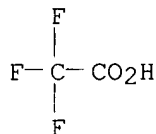
CMF C23 H28 N6 O



CM 2

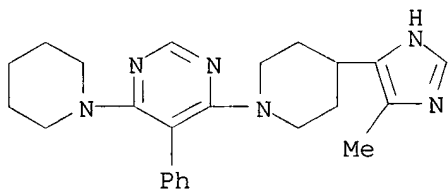
CRN 76-05-1

CMF C2 H F3 O2



RN 335063-46-2 CAPLUS

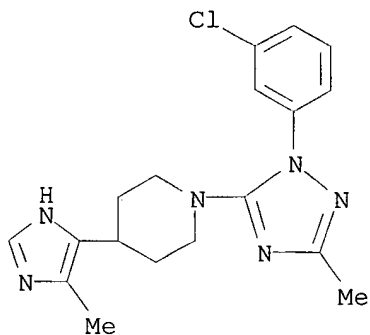
CN Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-phenyl-6-(1-piperidinyl)- (9CI) (CA INDEX NAME)



RN 335063-54-2 CAPLUS

CN Piperidine, 1-[1-(3-chlorophenyl)-3-methyl-1H-1,2,4-triazol-5-yl]-4-(5-

methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



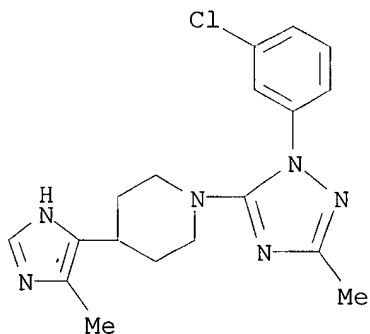
RN 335063-55-3 CAPLUS

CN Piperidine, 1-[1-(3-chlorophenyl)-3-methyl-1H-1,2,4-triazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 335063-54-2

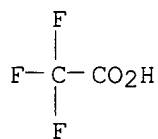
CMF C18 H21 Cl N6



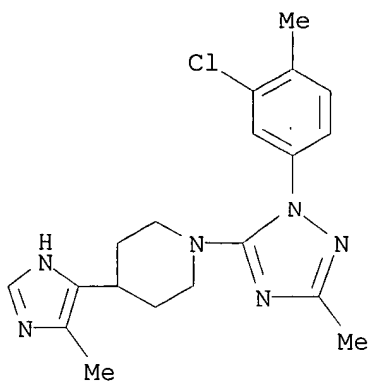
CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 335063-58-6 CAPLUS

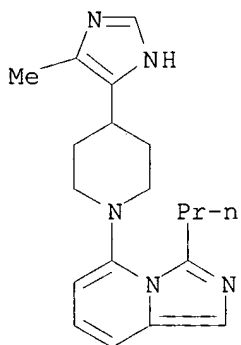


RN 335063-61-1 CAPLUS
 CN Imidazo[1,5-a]pyridine, 5-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-3-propyl-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 335063-60-0

CMF C19 H25 N5

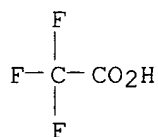


546/199
 514/322

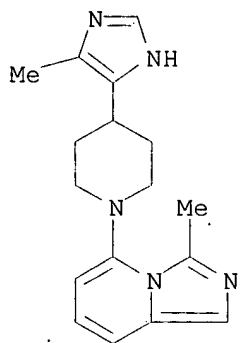
CM 2

CRN 76-05-1

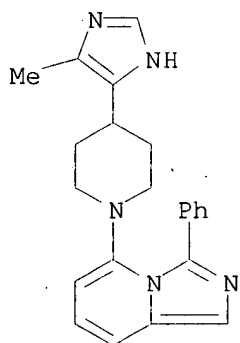
CMF C2 H F3 O2



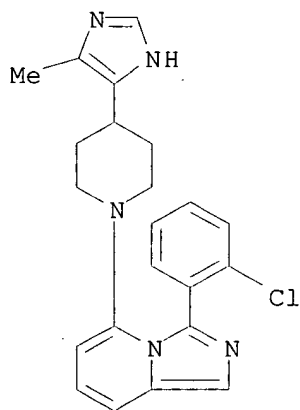
RN 335063-62-2 CAPLUS
 CN Imidazo[1,5-a]pyridine, 3-methyl-5-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



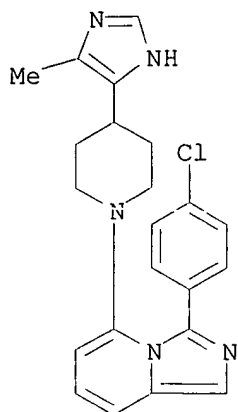
RN 335063-63-3 CAPLUS
CN Imidazo[1,5-a]pyridine, 5-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-3-phenyl- (9CI) (CA INDEX NAME)



RN 335063-64-4 CAPLUS
CN Imidazo[1,5-a]pyridine, 3-(2-chlorophenyl)-5-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

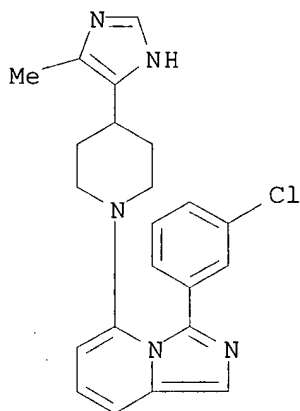


RN 335063-65-5 CAPLUS
CN Imidazo[1,5-a]pyridine, 3-(2-chlorophenyl)-5-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



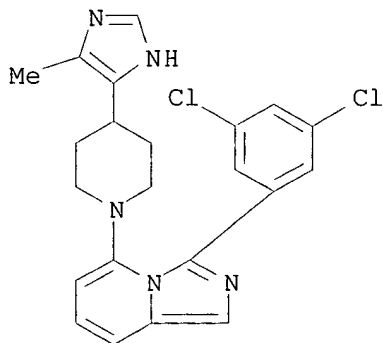
RN 335063-66-6 CAPLUS

CN Imidazo[1,5-a]pyridine, 3-(3-chlorophenyl)-5-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



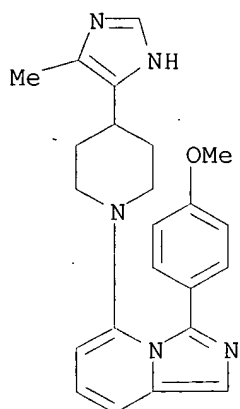
RN 335063-67-7 CAPLUS

CN Imidazo[1,5-a]pyridine, 3-(3,5-dichlorophenyl)-5-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



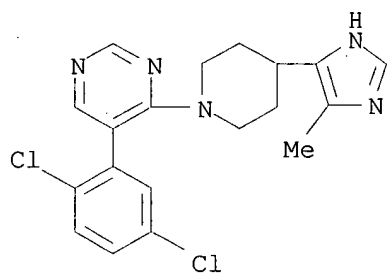
RN 335063-68-8 CAPLUS

CN Imidazo[1,5-a]pyridine, 3-(4-methoxyphenyl)-5-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



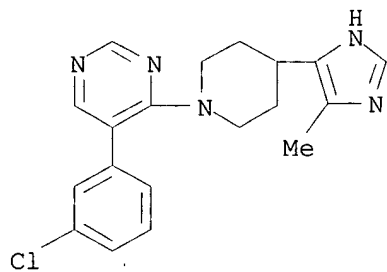
RN 335063-77-9 CAPLUS

CN Pyrimidine, 5-(2,5-dichlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidiny]- (9CI) (CA INDEX NAME)



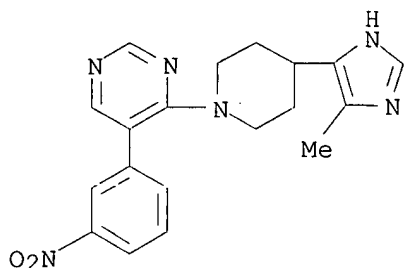
RN 335063-78-0 CAPLUS

CN Pyrimidine, 5-(3-chlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidiny]- (9CI) (CA INDEX NAME)



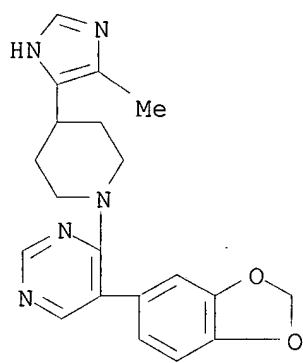
RN 335063-79-1 CAPLUS

CN Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidiny]-5-(3-nitrophenyl)- (9CI) (CA INDEX NAME)



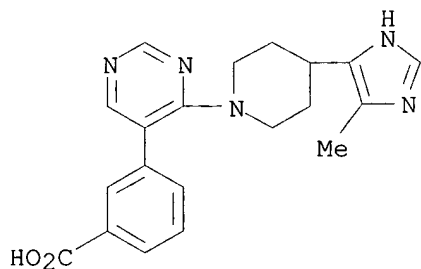
RN 335063-80-4 CAPLUS

CN Pyrimidine, 5-(1,3-benzodioxol-5-yl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



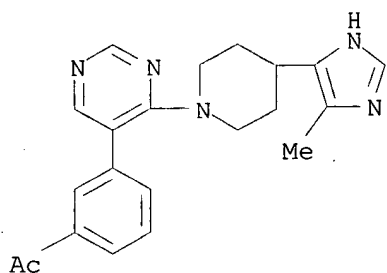
RN 335063-81-5 CAPLUS

CN Benzoic acid, 3-[4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-pyrimidinyl]- (9CI) (CA INDEX NAME)

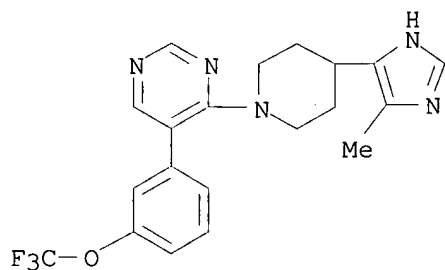


RN 335063-82-6 CAPLUS

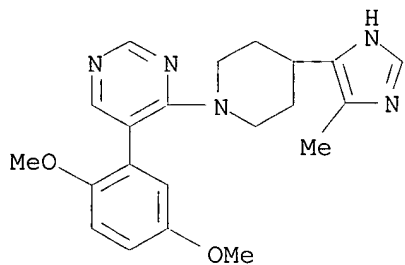
CN Ethanone, 1-[3-[4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-pyrimidinyl]phenyl]- (9CI) (CA INDEX NAME)



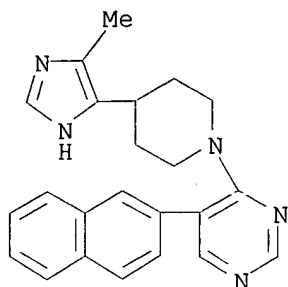
RN 335063-83-7 CAPLUS
CN Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-[3-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 335063-84-8 CAPLUS
CN Pyrimidine, 5-(2,5-dimethoxyphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

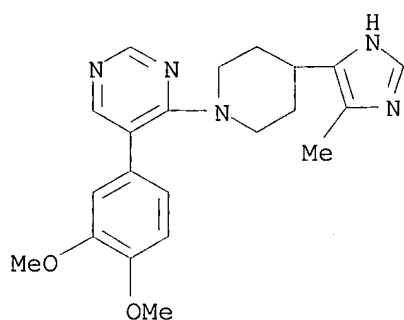


RN 335063-85-9 CAPLUS
CN Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(2-naphthalenyl)- (9CI) (CA INDEX NAME)



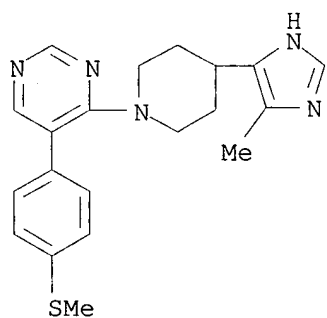
RN 335063-86-0 CAPLUS

CN Pyrimidine, 5-(3,4-dimethoxyphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



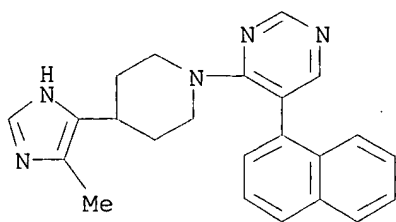
RN 335063-87-1 CAPLUS

CN Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-[4-(methoxythio)phenyl]- (9CI) (CA INDEX NAME)



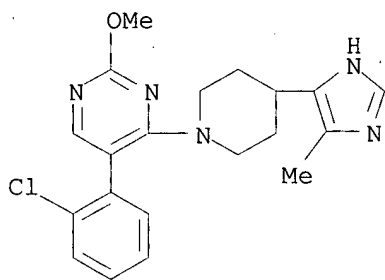
RN 335063-88-2 CAPLUS

CN Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(1-naphthalenyl)- (9CI) (CA INDEX NAME)



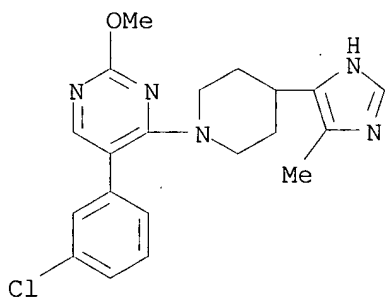
RN 335063-89-3 CAPLUS

CN Pyrimidine, 5-(2-chlorophenyl)-2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidiny]- (9CI) (CA INDEX NAME)



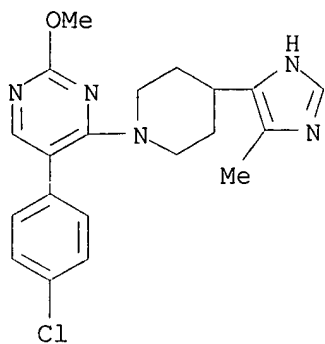
RN 335063-90-6 CAPLUS

CN Pyrimidine, 5-(3-chlorophenyl)-2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidiny]- (9CI) (CA INDEX NAME)

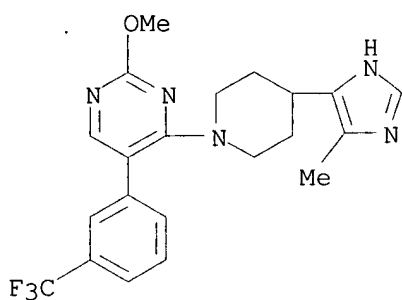


RN 335063-91-7 CAPLUS

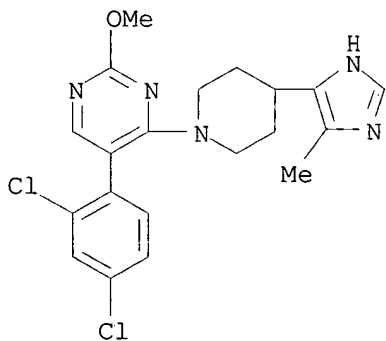
CN Pyrimidine, 5-(4-chlorophenyl)-2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidiny]- (9CI) (CA INDEX NAME)



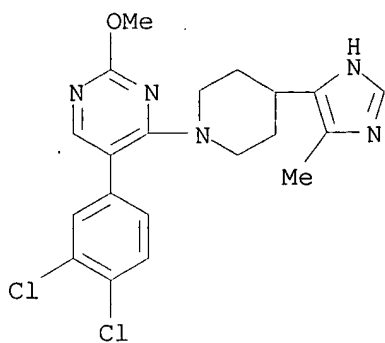
RN 335063-92-8 CAPLUS
CN Pyrimidine, 2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



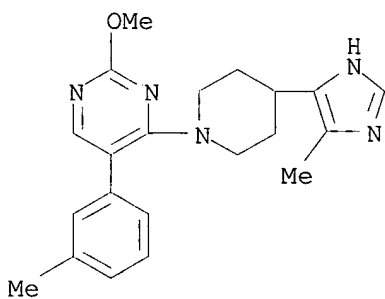
RN 335063-93-9 CAPLUS
CN Pyrimidine, 5-(2,4-dichlorophenyl)-2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



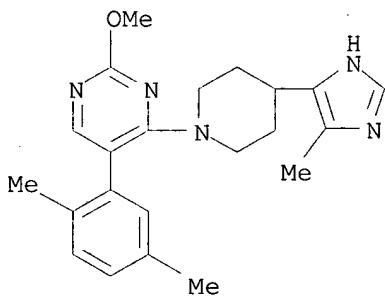
RN 335063-94-0 CAPLUS
CN Pyrimidine, 5-(3,4-dichlorophenyl)-2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



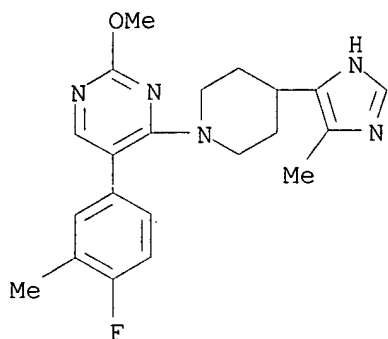
RN 335063-95-1 CAPLUS
CN Pyrimidine, 2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(3-methylphenyl)- (9CI) (CA INDEX NAME)



RN 335063-96-2 CAPLUS
CN Pyrimidine, 5-(2,5-dimethylphenyl)-2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

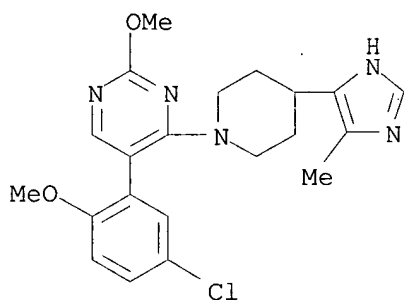


RN 335063-97-3 CAPLUS
CN Pyrimidine, 5-(4-fluoro-3-methylphenyl)-2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



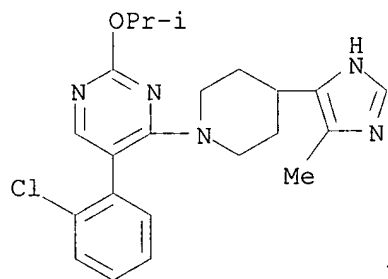
RN 335063-98-4 CAPLUS

CN Pyrimidine, 5-(5-chloro-2-methoxyphenyl)-2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



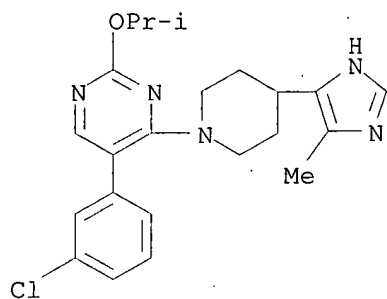
RN 335063-99-5 CAPLUS

CN Pyrimidine, 5-(2-chlorophenyl)-2-(1-methylethoxy)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



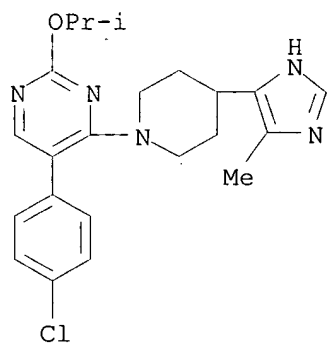
RN 335064-00-1 CAPLUS

CN Pyrimidine, 5-(3-chlorophenyl)-2-(1-methylethoxy)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



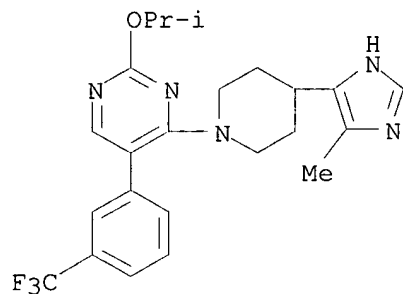
RN 335064-01-2 CAPLUS

CN Pyrimidine, 5-(4-chlorophenyl)-2-(1-methylethoxy)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



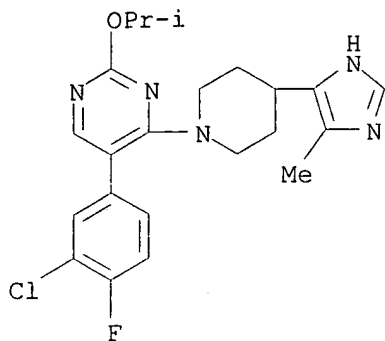
RN 335064-02-3 CAPLUS

CN Pyrimidine, 2-(1-methylethoxy)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

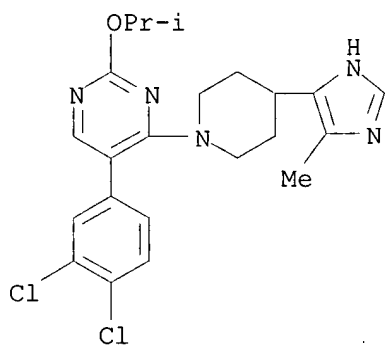


RN 335064-03-4 CAPLUS

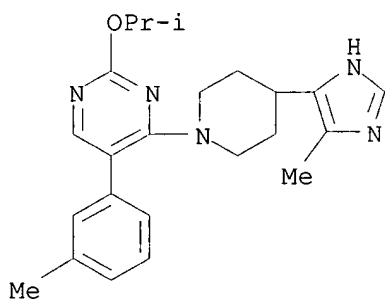
CN Pyrimidine, 5-(3-chloro-4-fluorophenyl)-2-(1-methylethoxy)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



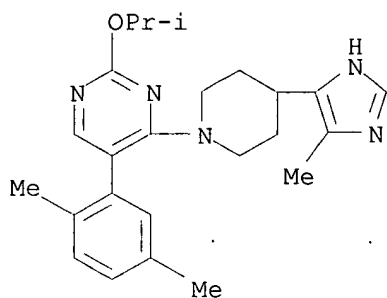
RN 335064-04-5 CAPLUS
CN Pyrimidine, 5-(3,4-dichlorophenyl)-2-(1-methylethoxy)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 335064-05-6 CAPLUS
CN Pyrimidine, 2-(1-methylethoxy)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(3-methylphenyl)- (9CI) (CA INDEX NAME)

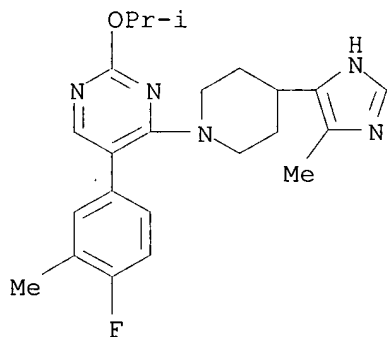


RN 335064-06-7 CAPLUS
CN Pyrimidine, 5-(2,5-dimethylphenyl)-2-(1-methylethoxy)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



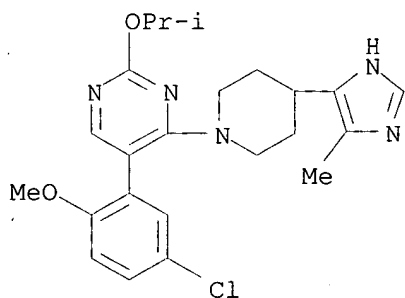
RN 335064-07-8 CAPLUS

CN Pyrimidine, 5-(4-fluoro-3-methylphenyl)-2-(1-methylethoxy)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



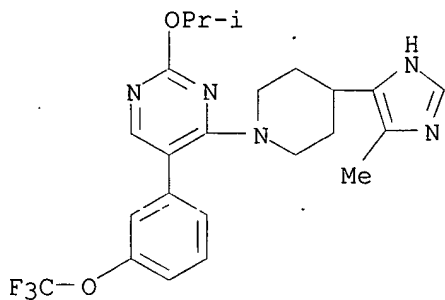
RN 335064-08-9 CAPLUS

CN Pyrimidine, 5-(5-chloro-2-methoxyphenyl)-2-(1-methylethoxy)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



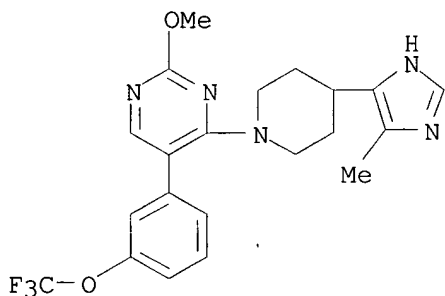
RN 335064-09-0 CAPLUS

CN Pyrimidine, 2-(1-methylethoxy)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-[3-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



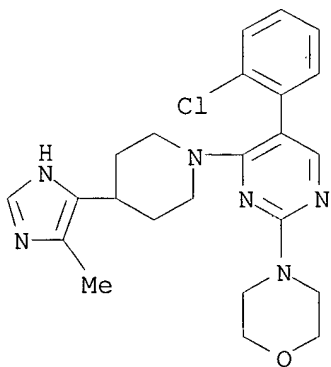
RN 335064-10-3 CAPLUS

CN Pyrimidine, 2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-[3-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



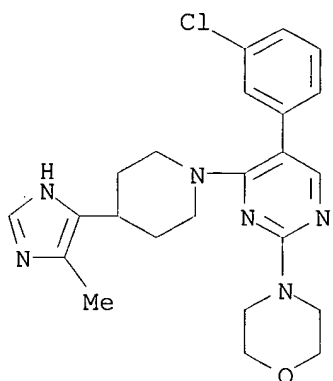
RN 335064-11-4 CAPLUS

CN Morpholine, 4-[5-(2-chlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



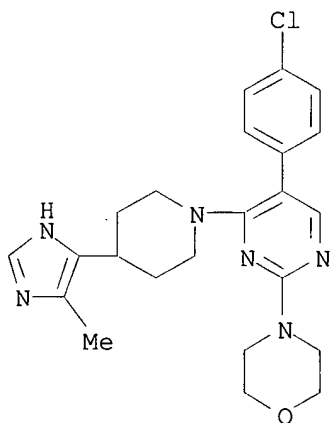
RN 335064-12-5 CAPLUS

CN Morpholine, 4-[5-(3-chlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



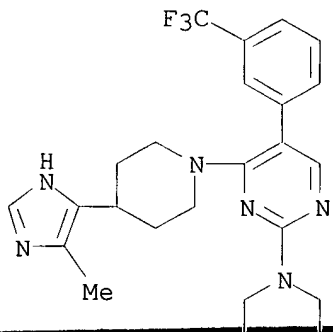
RN 335064-13-6 CAPLUS

CN Morpholine, 4-[5-(4-chlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



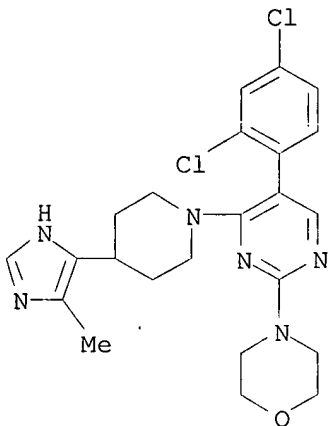
RN 335064-14-7 CAPLUS

CN Morpholine, 4-[4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-[3-(trifluoromethyl)phenyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



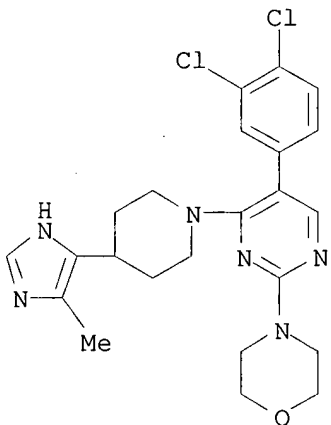
RN 335064-15-8 CAPLUS

CN Morpholine, 4-[5-(2,4-dichlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



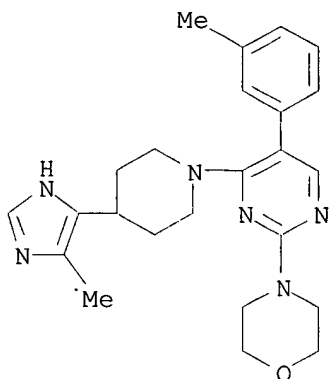
RN 335064-16-9 CAPLUS

CN Morpholine, 4-[5-(3,4-dichlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



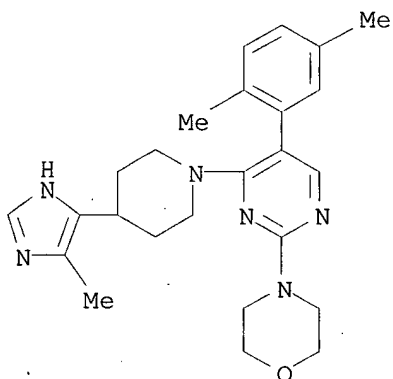
RN 335064-17-0 CAPLUS

CN Morpholine, 4-[4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(3-methylphenyl)-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



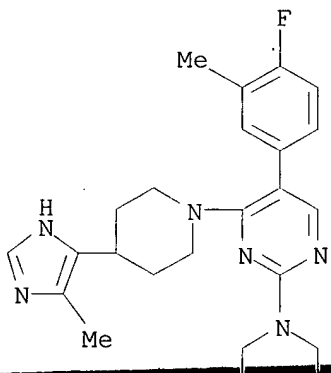
RN 335064-18-1 CAPLUS

CN Morpholine, 4-[5-(2,5-dimethylphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



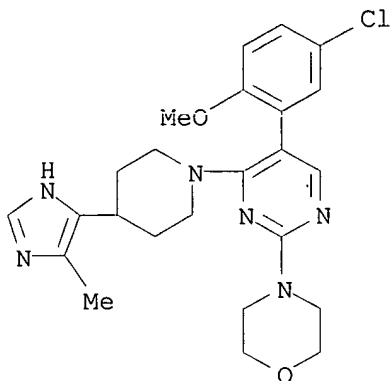
RN 335064-19-2 CAPLUS

CN Morpholine, 4-[5-(4-fluoro-3-methylphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



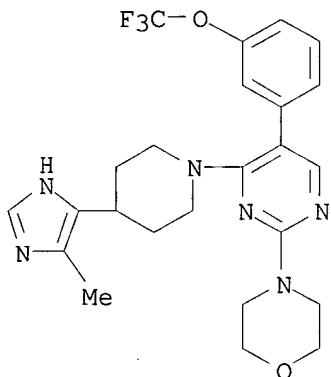
RN 335064-20-5 CAPLUS

CN Morpholine, 4-[5-(5-chloro-2-methoxyphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



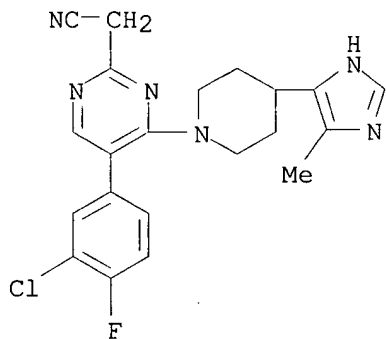
RN 335064-21-6 CAPLUS

CN Morpholine, 4-[4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-[3-(trifluoromethoxy)phenyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 335064-28-3 CAPLUS

CN 2-Pyrimidineacetonitrile, 5-(3-chloro-4-fluorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



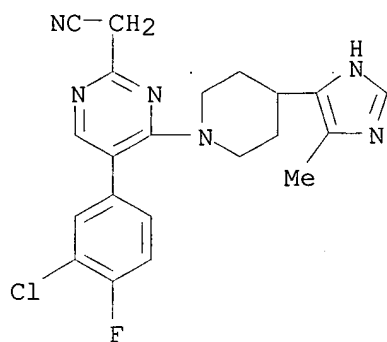
RN 335064-29-4 CAPLUS

CN 2-Pyrimidineacetonitrile, 5-(3-chloro-4-fluorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidiny]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 335064-28-3

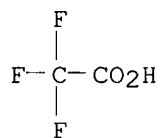
CMF C21 H20 Cl F N6



CM 2

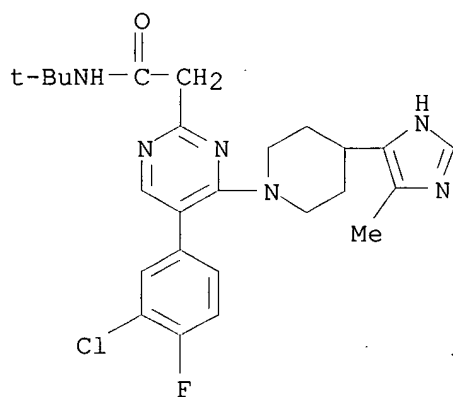
CRN 76-05-1

CMF C2 H F3 O2



RN 335064-30-7 CAPLUS

CN 2-Pyrimidineacetamide, 5-(3-chloro-4-fluorophenyl)-N-(1,1-dimethylethyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidiny]- (9CI) (CA INDEX NAME)



RN 335064-31-8 CAPLUS

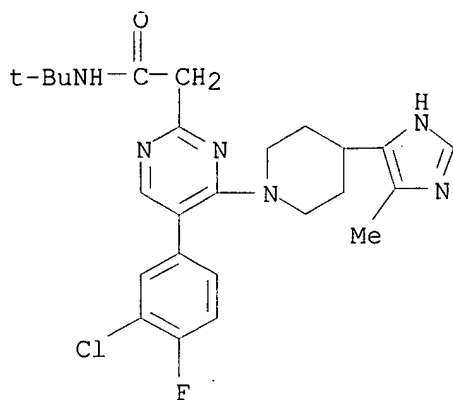
CN 2-Pyrimidineacetamide, 5-(3-chloro-4-fluorophenyl)-N-(1,1-dimethylethyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidiny]-, trifluoroacetate (9CI)

(CA INDEX NAME)

CM 1

CRN 335064-30-7

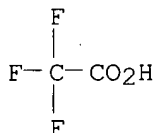
CMF C25 H30 Cl F N6 O



CM 2

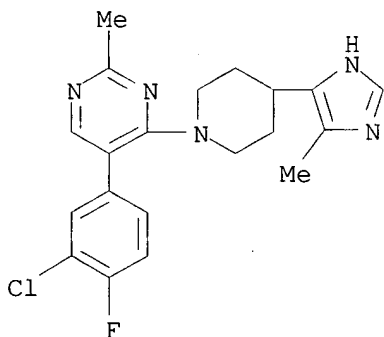
CRN 76-05-1

CMF C2 H F3 O2



RN 335064-32-9 CAPLUS

CN Pyrimidine, 5-(3-chloro-4-fluorophenyl)-2-methyl-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



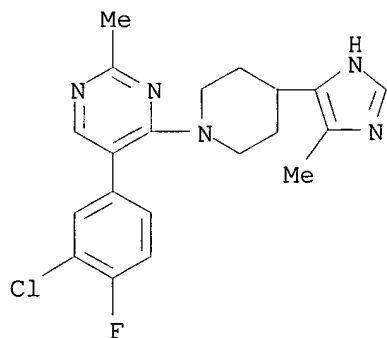
RN 335064-33-0 CAPLUS

CN Pyrimidine, 5-(3-chloro-4-fluorophenyl)-2-methyl-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 335064-32-9

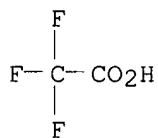
CMF C20 H21 Cl F N5



CM 2

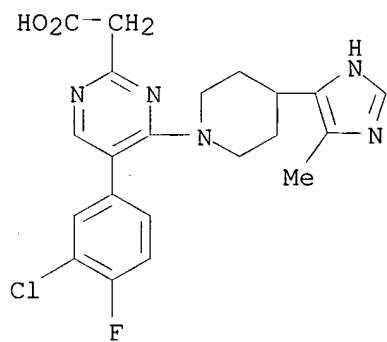
CRN 76-05-1

CMF C2 H F3 O2



RN 335064-34-1 CAPLUS

CN 2-Pyrimidineacetic acid, 5-(3-chloro-4-fluorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

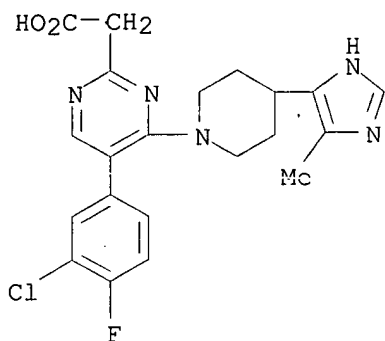


RN 335064-35-2 CAPLUS

CN 2-Pyrimidineacetic acid, 5-(3-chloro-4-fluorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CRN 335064-34-1

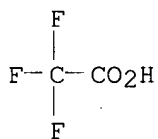
CMF C21 H21 Cl F N5 O2



CM 2

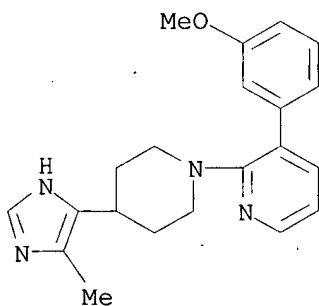
CRN 76-05-1

CMF C2 H F3 O2



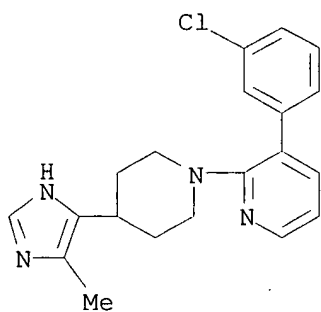
RN 335064-37-4 CAPLUS

CN Pyridine, 3-(3-methoxyphenyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

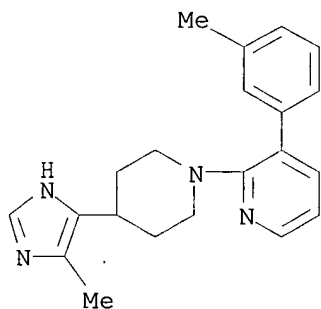


RN 335064-39-6 CAPLUS

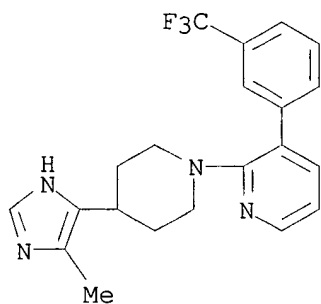
CN Pyridine, 3-(3-chlorophenyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



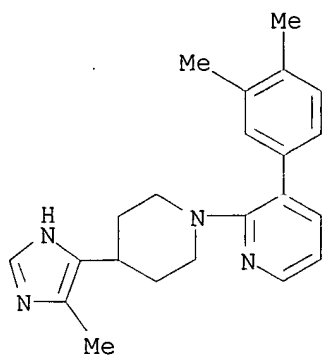
RN 335064-40-9 CAPLUS
CN Pyridine, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-3-(3-methylphenyl)- (9CI) (CA INDEX NAME)



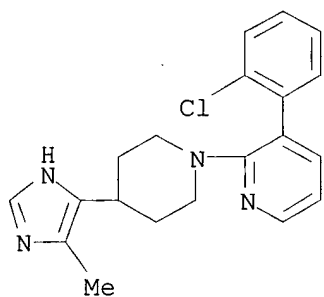
RN 335064-41-0 CAPLUS
CN Pyridine, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-3-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



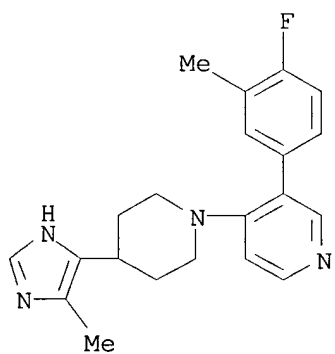
RN 335064-42-1 CAPLUS
CN Pyridine, 3-(3,4-dimethylphenyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



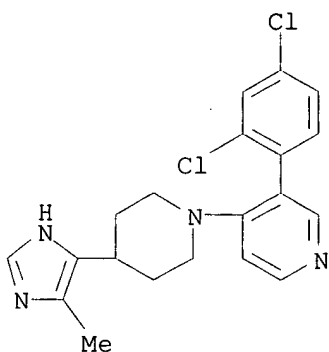
RN 335064-43-2 CAPLUS
CN Pyridine, 3-(2-chlorophenyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



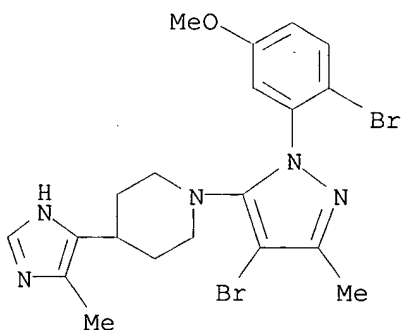
RN 335064-44-3 CAPLUS
CN Pyridine, 3-(4-fluoro-3-methylphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



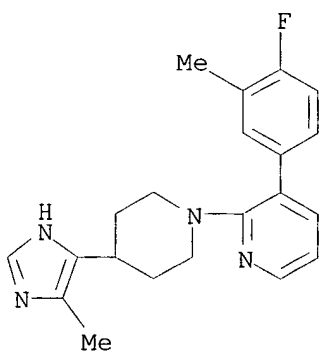
RN 335064-45-4 CAPLUS
CN Pyridine, 3-(2,4-dichlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



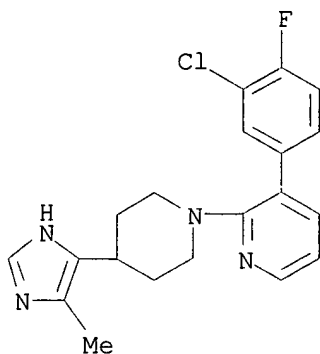
RN 335065-07-1 CAPLUS
CN Piperidine, 1-[4-bromo-1-(2-bromo-5-methoxyphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



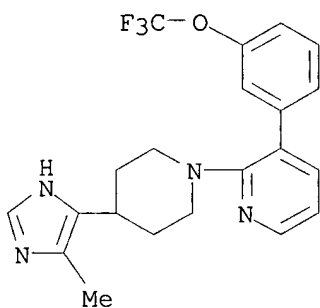
RN 335065-09-3 CAPLUS
CN Pyridine, 3-(4-fluoro-3-methylphenyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



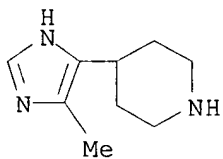
RN 335065-10-6 CAPLUS
CN Pyridine, 3-(4-fluoro-3-methylphenyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 335065-11-7 CAPLUS
CN Pyridine, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-3-[3-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

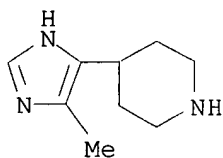


IT 147960-33-6 155511-82-3
RL: RCT (Reactant)
(synthesis and use of heterocyclic sodium/proton exchange inhibitors)
RN 147960-33-6 CAPLUS
CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



2 HCl

RN 155511-82-3 CAPLUS
CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

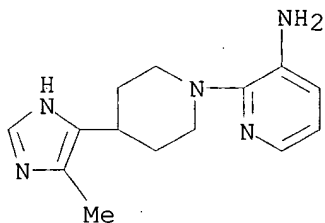


IT 335064-76-1P 335064-81-8P 335064-82-9P
335064-89-6P 335064-90-9P 335064-91-0P
335064-92-1P 335064-95-4P 335064-96-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(synthesis and use of heterocyclic sodium/proton exchange inhibitors)

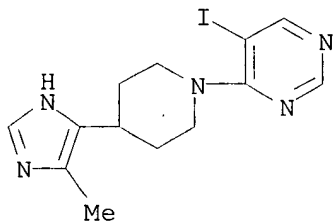
RN 335064-76-1 CAPLUS

CN 3-Pyridinamine, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI)
(CA INDEX NAME)



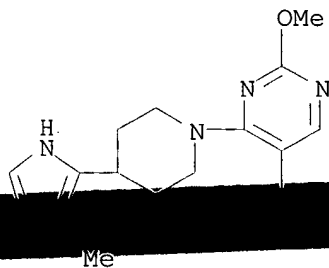
RN 335064-81-8 CAPLUS

CN Pyrimidine, 5-iodo-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI)
(CA INDEX NAME)

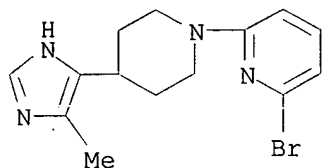


RN 335064-82-9 CAPLUS

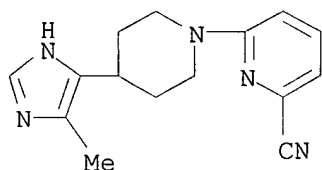
CN Pyrimidine, 5-bromo-2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



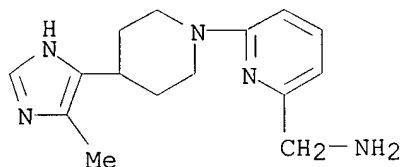
RN 335064-89-6 CAPLUS
CN Pyridine, 2-bromo-6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI)
(CA INDEX NAME)



RN 335064-90-9 CAPLUS
CN 2-Pyridinecarbonitrile, 6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

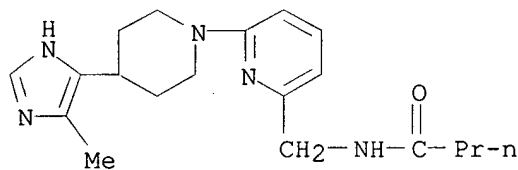


RN 335064-91-0 CAPLUS
CN 2-Pyridinemethanamine, 6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, hydrochloride (9CI) (CA INDEX NAME)

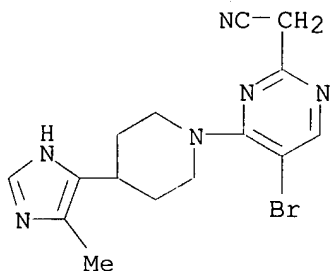


● x HCl

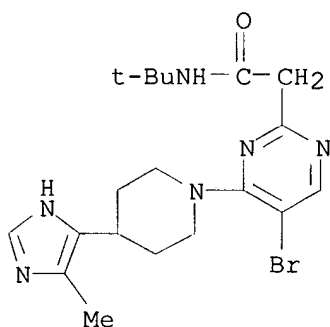
RN 335064-92-1 CAPLUS
CN Butanamide, N-[[6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-pyridinyl]methyl]- (9CI) (CA INDEX NAME)



RN 335064-95-4 CAPLUS
CN 2-Pyrimidineacetonitrile, 5-bromo-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 335064-96-5 CAPLUS
 CN 2-Pyrimidineacetamide, 5-bromo-N-(1,1-dimethylethyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



~~119~~ ANSWER 8 OF 81 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 2001:290355 CAPLUS

DOCUMENT NUMBER: 135:55463

TITLE: Development of a Pharmacophore Model for Histamine H3 Receptor Antagonists, Using the Newly Developed Molecular Modeling Program SLATE

AUTHOR(S): DeEsch, Iwan J. P.; Mills, James E. J.; Perkins, Tim D. J.; Romeo, Giuseppe; Hoffmann, Marcel; Wieland, Kerstin; Leurs, Rob; Menge, Wiro M. P. B.; Nederkoorn, Paul H. J.; Dean, Philip M.; Timmerman, Henk

CORPORATE SOURCE: De Novo Pharmaceuticals, Cambridge, CB2 3DD, UK

SOURCE: J. Med. Chem. (2001), 44(11), 1666-1674

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB New mol. modeling tools were developed to construct a qual. pharmacophore model for histamine H3 receptor antagonists. The program SLATE superposes ligands assuming optimum hydrogen bond geometry. One or two ligands are allowed to flex in the procedure, thereby enabling the detn. of the bioactive conformation of flexible H3 antagonists. In the derived model, four hydrogen-bonding site points and two hydrophobic pockets available for binding antagonists are revealed. The model results in a better understanding of the structure-activity relationships of H3 antagonists.

To validate the model, a series of new antagonists are synthesized.

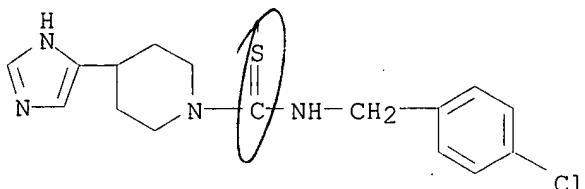
These ligands have high H3 receptor affinity, thereby illustrating how the model can be used in the design of new classes of H3 antagonists.

IT 159147-62-3 273219-09-3

RL: BAC (Biological activity or effector, except adverse); PRP
(Properties); BIOL (Biological study)
(development of a pharmacophore model for histamine H3 receptor
antagonists using newly developed mol. modeling program SLATE)

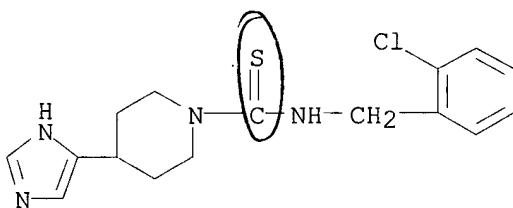
RN 159147-62-3 CAPLUS

CN 1-Piperidinecarbothioamide, N-[(4-chlorophenyl)methyl]-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



RN 273219-09-3 CAPLUS

CN 1-Piperidinecarbothioamide, N-[(2-chlorophenyl)methyl]-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

35

REFERENCE(S):

- (1) Arrang, J; Nature 1983, V302, P832 CAPLUS
 - (2) Arrang, J; Nature 1987, V327, P117 CAPLUS
 - (3) Arrang, J; Neuroscience 1985, V15, P553 CAPLUS
 - (6) Barakat, M; J Comput-Aided Mol Des 1991, V5, P107 CAPLUS
 - (8) Bloemhoff, W; Recueil Trav Chim Pays Bas 1970, V89, P1181 CAPLUS
- ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~19~~ ANSWER 9 OF 81 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 2000:772618 CAPLUS

DOCUMENT NUMBER: 133:321883

TITLE: Preparation of piperidylimidazole derivatives useful in the treatment and/or prevention of diseases and disorders related to the histamine H3 receptor

INVENTOR(S): Dorwald, Florencio Zaragoza; Andersen, Knud Erik; Jorgensen, Tine Krogh; Wulff, Birgitte Schjellerup; Pettersson, Ingrid

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.; Boehringer Ingelheim International, G.m.b.H.

SOURCE: PCT Int. Appl., 47 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

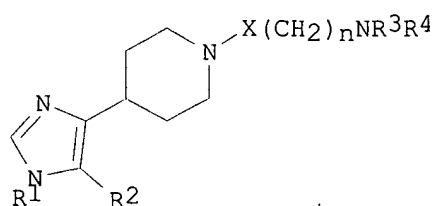
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2000064884 | A1 | 20001102 | WO 2000-DK186 | 20000414 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |

PRIORITY APPLN. INFO.: DK 1999-565 A 19990426

OTHER SOURCE(S): MARPAT 133:321883

GI



AB Piperidylimidazole derivs. I [R1 = H, functional group; R2 = H, cyano, halo, alkyl; X = CO, CS, CH2; n = 0-10; R3, R4 = cycloalkyl, heteroaryl, etc.], useful in the treatment and/or prevention of diseases and disorders related to the histamine H3 receptor, were prepd. E.g., reaction of 4-(4-piperidyl)imidazole dihydrochloride with 5-(3-chloropropyl)-10,11-dihydro-5H-dibenzo[b,f]azepine in presence of potassium carbonate and potassium iodide gave 5-(3-(4-(1H-imidazol-4-yl)piperidin-1-yl)propyl)-10,11-dihydro-5H-dibenzo[b,f]azepine. The affinity of I for histamine H3 receptors was detd.

IT 302919-83-1P 302919-84-2P 302919-85-3P

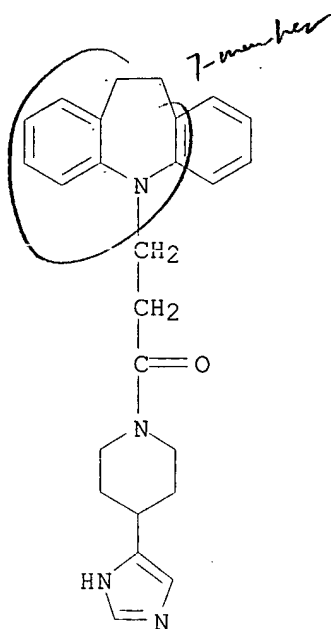
302919-86-4P 302919-87-5P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of piperidylimidazole derivs. useful in the treatment and/or prevention of diseases and disorders related to the histamine H3 receptor)

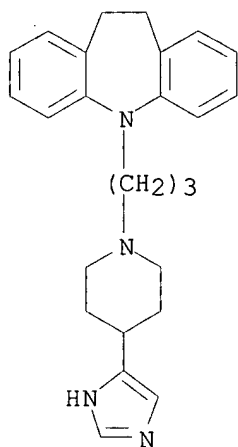
RN 302919-83-1 CAPLUS

CN Piperidine, 1-[3-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)-1-oxopropyl]-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



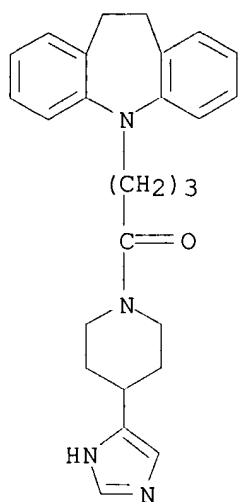
RN 302919-84-2 CAPLUS

CN 5H-Dibenz[b,f]azepine, 10,11-dihydro-5-[3-[4-(1H-imidazol-4-yl)-1-piperidinyl]propyl]- (9CI) (CA INDEX NAME)

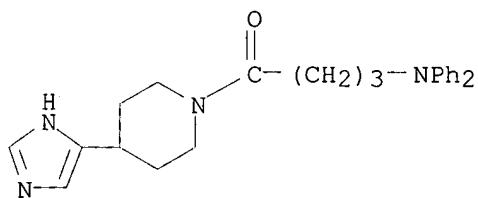


RN 302919-85-3 CAPLUS

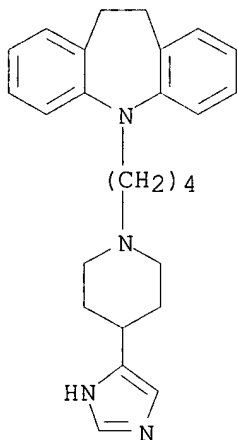
CN Piperidine, 1-[4-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)-1-oxobutyl]-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



RN 302919-86-4 CAPLUS
CN Piperidine, 1-[4-(diphenylamino)-1-oxobutyl]-4-(1H-imidazol-4-yl)- (9CI)
(CA INDEX NAME)



RN 302919-87-5 CAPLUS
CN 5H-Dibenz[b,f]azepine, 10,11-dihydro-5-[4-[4-(1H-imidazol-4-yl)-1-piperidinyl]butyl]- (9CI) (CA INDEX NAME)

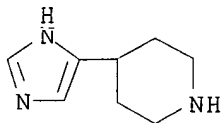


RL: RCT (Reactant)
(prepn. of piperidylimidazole derivs. useful in the treatment and/or

prevention of diseases and disorders related to the histamine H3
receptor)

RN 51746-88-4 CAPLUS

CN Piperidine, 4-(1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



2 HCl

REFERENCE COUNT: 3

REFERENCE(S): (1) Institut National de La Sante Et de La Recherche
Medicale Inserm; EP 0197840 A1 1986 CAPLUS
(2) The University Of Toledo; WO 9320061 A1 1993
CAPLUS
(3) The University Of Toledo; WO 9511894 A1 1995
CAPLUS

~~L19~~ ANSWER 10 OF 81 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 2000:441785 CAPLUS

DOCUMENT NUMBER: 133:74034

TITLE: Preparation of 4-[5,6-dihydro-1H-
benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]piperazine-2-
carboxylates and analogs as farnesyl protein
transferase inhibitors

INVENTOR(S): Guzi, Timothy; Rane, Dinanath F.; Mallams, Alan K.;
Cooper, Alan B.; Doll, Ronald J.; Girijavallabhan,
Viyoor M.; Taveras, Arthur G.; Strickland, Corey;
Kelly, Joseph M.; Chao, Jianping

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: PCT Int. Appl., 359 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

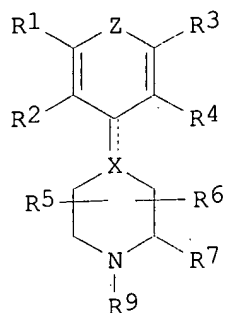
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|----------|
| WO 2000037458 | A1 | 20000629 | WO 1999-US27938 | 19991216 |
| W: | AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| RW: | GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | |

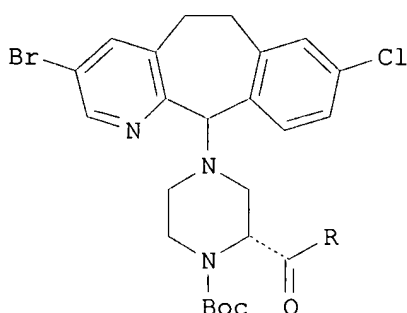
PRIORITY APPLN. INFO.: US 1998-216560 A 19981218

OTHER SOURCE(S): MARPAT 133:74034

GI



I



II

AB Title compds. [I; R1R2 = (un)substituted CH:CHCH:CH, -N:CHCH:CH, -CH:CHCH:N, etc.; R3R4 = (un)substituted CH:CHCH:CH; R5 = H or 1-3 of alkyl, aryl, COR10, etc.; R6 = H; R5R6 = O or S; R7 = COR8; R8 = Z1R12; R9 = (esterified) CO2H, (un)substituted CONH2, alkanoyl, etc.; R10 = H, (ar)alkyl, aryl; R12 = (un)substituted imidazolyl or pyridyl; X = N, CH, C; Z = (un)substituted CH:CHCH:CH or -CH2CH2; Z1 = N-attached heterocyclylene; dashed bond = optional bond] were prepd. Thus, title compd. II (R = H) was amidated by (S)-3-(1-imidazolylmethyl)piperidine (prepn. each given) to give II [R = (S)-3-(1-imidazolylmethyl)]. Data for biol. activity of I were given.

IT 278785-26-5P

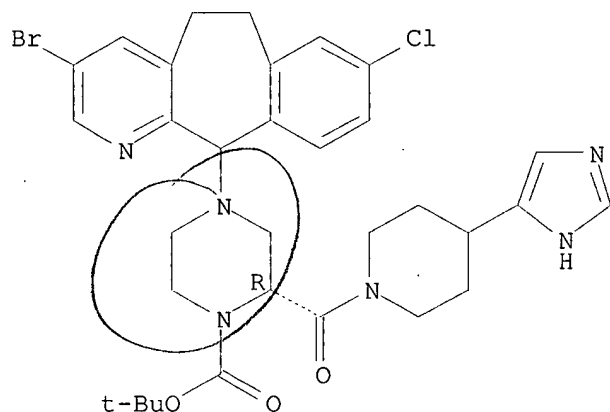
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 4-[5,6-dihydro-1H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl]piperazine-2-carboxylates and analogs as farnesyl protein transferase inhibitors)

RN 278785-26-5 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-(3-bromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)-2-[[4-(1H-imidazol-4-yl)-1-piperidinyl]carbonyl]-, 1,1-dimethylethyl ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



- (1) Gill, J; US 5712286 A 1998 CAPLUS
- (2) Schering Corp; WO 9510516 A 1995 CAPLUS
- (3) Schering Corp; WO 9631478 A 1996 CAPLUS

(4) Schering Corp; WO 9857960 A 1998 CAPLUS

L19 ANSWER 11 OF 81 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 2000:441625 CAPLUS

DOCUMENT NUMBER: 133:68909

TITLE: Mutilin 14-ester derivatives having antibacterial activity

INVENTOR(S): Brooks, Gerald; Hunt, Eric

PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK

SOURCE: PCT Int. Appl., 40 pp.

CODEN: PIXXD2

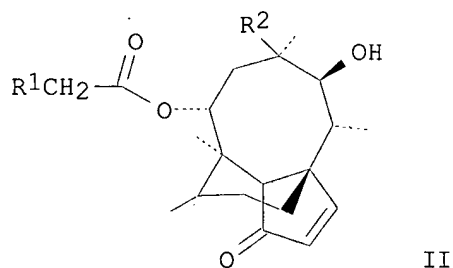
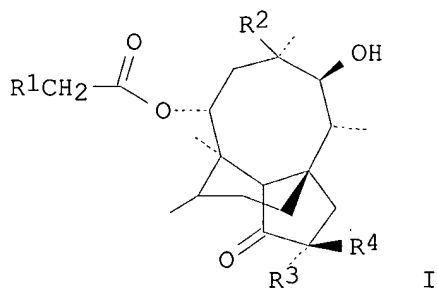
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|------------------|-----------------|------------|
| WO 2000037074 | A1 | 20000629 | WO 1999-EP9577 | 19991207 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| PRIORITY APPLN. INFO.: | | | GB 1998-28005 | A 19981218 |
| OTHER SOURCE(S): | | MARPAT 133:68909 | | |
| GI | | | | |



AB The invention discloses compds. I and II (R1 = (un)substituted heteroaryl comprising 5-membered heteroarom. ring with .gtoreq.1 N and linked via N; R2 = vinyl, ethyl; R3 = H, OH, F; R4 = H, or R3 is H and R4). Compd. prepn. is included. Antibacterial activity against Staphylococcus aureus and Streptococcus pneumoniae was detd.

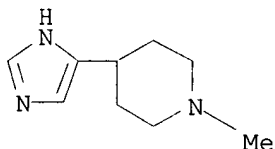
IT **106243-44-1**

RL: RCT (Reactant)

(reaction; mutilin 14-ester derivs. with antibacterial activity)

RN 106243-44-1 CAPLUS

CN Piperidine, 4-(1H-imidazol-4-yl)-1-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

3

REFERENCE(S):

(1) Hunt, E; WO 9725309 A 1997 CAPLUS

(2) Naylor, A; WO 9805659 A 1998 CAPLUS

(3) Reinshagen, H; US 4278674 A 1981 CAPLUS

~~119~~ ANSWER 12 OF 81 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 2000:259985 CAPLUS

DOCUMENT NUMBER: 132:284236

TITLE: Composition and method for treating allergic diseases

INVENTOR(S): Aslanian, Robert G.; Piwinski, John J.

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: PCT Int. Appl., 22 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| WO 2000021512 | A2 | 20000420 | WO 1999-US21437 | 19991006 |
| WO 2000021512 | A3 | 20000706 | | |

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MD, MG, MK, MN, MX, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 9962526 A1 20000501 AU 1999-62526 19991006

EP 1117405 A2 20010725 EP 1999-949707 19991006

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

PRIORITY APPLN. INFO.: US 1998-169608 A 19981009

The present invention is directed towards a pharmaceutical compn. useful for the treatment of allergic rhinitis, asthma and related disorders. In one embodiment, the compns. comprise, in combination, a therapeutically

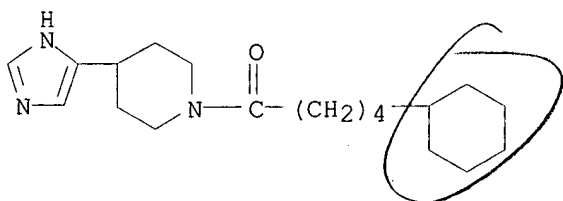
effective amt. of at least one neurokinin antagonist, a therapeutically effective amt. of at least one H3 antagonist and a therapeutically effective amt. of at least one H1 antagonist. The invention neurokinin antagonists include 3,5-dichloro-N-[3-(3,4-dichlorophenyl)-2-(methoxyimino)-5-(2-oxo[1,4'-bipiperidin]-1'-yl)pentyl]-N-methylbenzamide and derivs. thereof.

IT 152241-24-2, GT-2016

RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmaceutical compns. contg. neurokinin antagonists and antihistaminics for treatment of allergic diseases)

RN 152241-24-2 CAPLUS

CN Piperidine, 1-(5-cyclohexyl-1-oxopentyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



✓ 149 ANSWER 13 OF 81 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 2000:238924 CAPLUS

DOCUMENT NUMBER: 133:17424

TITLE: Characterization of the binding site of the histamine H3 Receptor. 2. Synthesis, in vitro pharmacology, and QSAR of a series of monosubstituted benzyl analogues of thioperamide

AUTHOR(S): Windhorst, Albert D.; Timmerman, Henk; Worthington, Edward A.; Bijloo, Greetje J.; Nederkoorn, Paul H. J.; Menge, Wiro M. P. B.; Leurs, Rob; Herscheid, Jacobus D. M.

CORPORATE SOURCE: Radionuclide Center, Vrije Universiteit, Amsterdam, 1081 HV, Neth.

SOURCE: J. Med. Chem. (2000), 43(9), 1754-1761

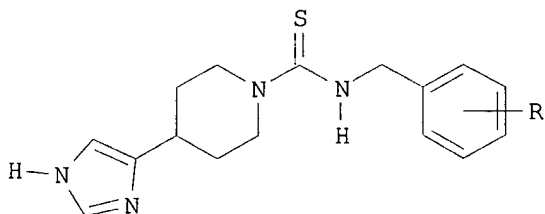
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I.

AB The thioperamide analogs I (R = Cl, Br, F, H, Me3C) were prepd. and evaluated for their histamine H3 receptor activity on the guinea pig jejunum. Incorporation of Cl, Br, and I at the ortho position of the benzyl moiety led to an increase of the pA2 value, whereas the same

substituents at the para position led to a decrease. However, a fluorine substituent gave a strong decrease in pA2, regardless of the position. Mol. modeling revealed a QSAR with a correlation between the pA2 and the dihedral angle between the thiourea and the benzyl moiety and the calcd. electron d. on the substituted carbon atom. To verify whether this QSAR model had a predictive value, the ortho tert-Bu and Me analogs were synthesized and evaluated. Indeed it was shown that the predicted pA2 values of these two compds. were in accordance with the measured pA2 values.

IT 273218-98-7P 273219-00-4P 273219-02-6P
273219-04-8P 273219-06-0P 273219-08-2P
273219-10-6P 273219-12-8P 273219-13-9P
273219-15-1P 273219-17-3P 273219-19-5P
273219-20-8P 273219-21-9P 273219-23-1P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn., pharmacol., characterization of the binding site of the histamine H3 receptor, and QSAR of thioperamide benzyl analogs)

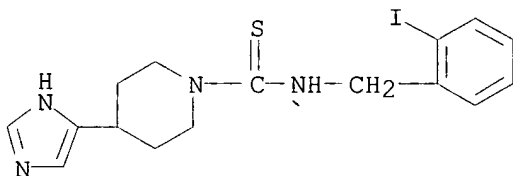
RN 273218-98-7 CAPLUS

CN 1-Piperidinecarbothioamide, 4-(1H-imidazol-4-yl)-N-[(2-iodophenyl)methyl]-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 273218-97-6

CMF C16 H19 I N4 S



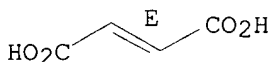
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.



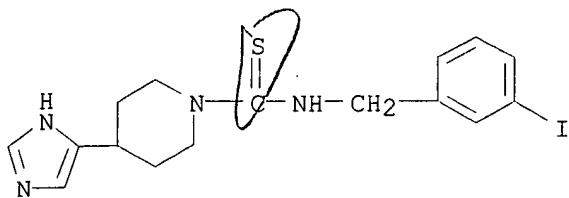
RN 273219-00-4 CAPLUS

CN 1-Piperidinecarbothioamide, 4-(1H-imidazol-4-yl)-N-[(3-iodophenyl)methyl]-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 273218-99-8

CMF C16 H19 I N4 S



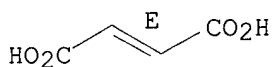
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.



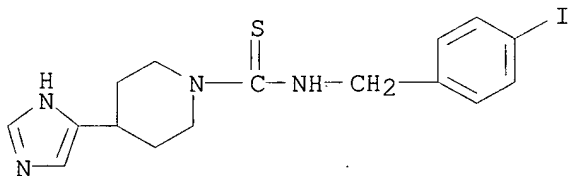
RN 273219-02-6 CAPLUS

CN 1-Piperidinecarbothioamide, 4-(1H-imidazol-4-yl)-N-[(4-iodophenyl)methyl]-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 273219-01-5

CMF C16 H19 I N4 S



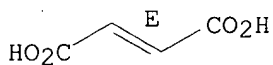
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.



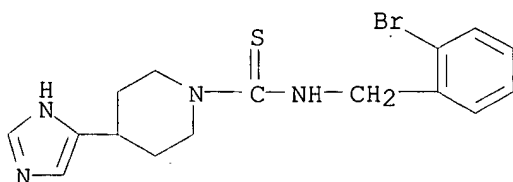
RN 273219-04-8 CAPLUS

CN 1-Piperidinecarbothioamide, N-[(2-bromophenyl)methyl]-4-(1H-imidazol-4-yl)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 273219-03-7

CMF C16 H19 Br N4 S



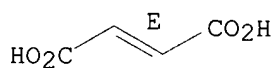
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.



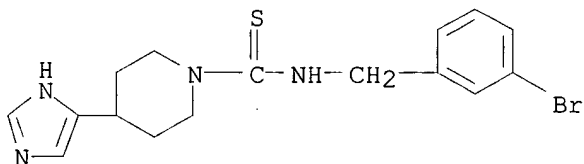
RN 273219-06-0 CAPLUS

CN 1-Piperidinecarbothioamide, N-[(3-bromophenyl)methyl]-4-(1H-imidazol-4-yl)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 273219-05-9

CMF C16 H19 Br N4 S



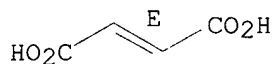
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.

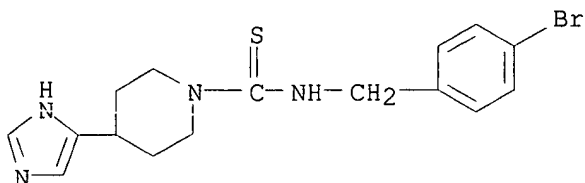


RN 273219-08-2 CAPLUS

CN 1-Piperidinecarbothioamide, N-[(4-bromophenyl)methyl]-4-(1H-imidazol-4-yl)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

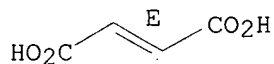
CRN 273219-07-1
CMF C16 H19 Br N4 S



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

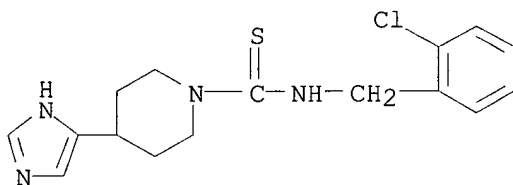
Double bond geometry as shown.



RN 273219-10-6 CAPLUS
CN 1-Piperidinecarbothioamide, N-[(2-chlorophenyl)methyl]-4-(1H-imidazol-4-yl)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

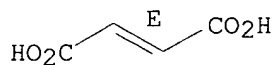
CRN 273219-09-3
CMF C16 H19 Cl N4 S



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.

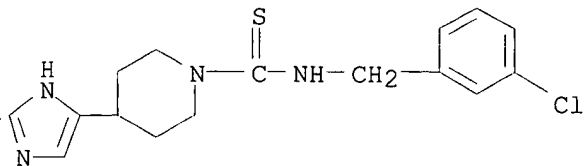


RN 273219-12-8 CAPLUS
CN 1-Piperidinecarbothioamide, N-[(3-chlorophenyl)methyl]-4-(1H-imidazol-4-yl)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 273219-11-7

CMF C16 H19 Cl N4 S



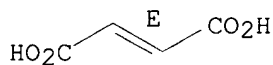
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.



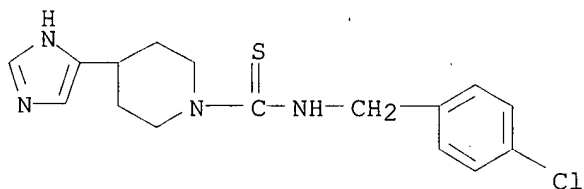
RN 273219-13-9 CAPLUS

CN 1-Piperidinecarbothioamide, N-[(4-chlorophenyl)methyl]-4-(1H-imidazol-4-yl)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 159147-62-3

CMF C16 H19 Cl N4 S



CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.

E

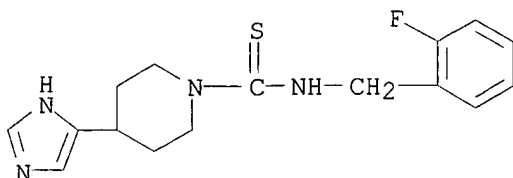
RN 273219-15-1 CAPLUS

CN 1-Piperidinecarbothioamide, N-[(2-fluorophenyl)methyl]-4-(1H-imidazol-4-yl)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 273219-14-0

CMF C16 H19 F N4 S



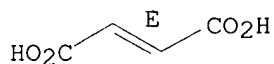
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.



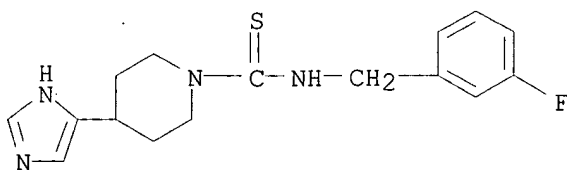
RN 273219-17-3 CAPLUS

CN 1-Piperidinecarbothioamide, N-[(3-fluorophenyl)methyl]-4-(1H-imidazol-4-yl)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 273219-16-2

CMF C16 H19 F N4 S



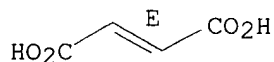
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

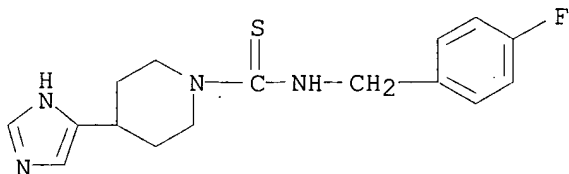
Double bond geometry as shown.



RN 273219-19-5 CAPLUS
CN 1-Piperidinecarbothioamide, N-[(4-fluorophenyl)methyl]-4-(1H-imidazol-4-yl)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

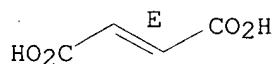
CRN 273219-18-4
CMF C16 H19 F N4 S



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

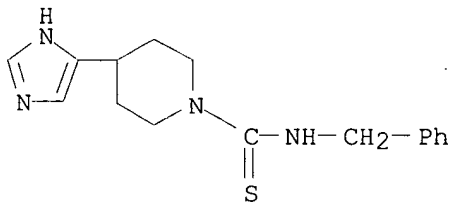
Double bond geometry as shown.



RN 273219-20-8 CAPLUS
CN 1-Piperidinecarbothioamide, 4-(1H-imidazol-4-yl)-N-(phenylmethyl)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

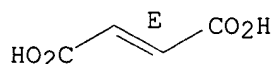
CM 1

CRN 106243-86-1
CMF C16 H20 N4 S



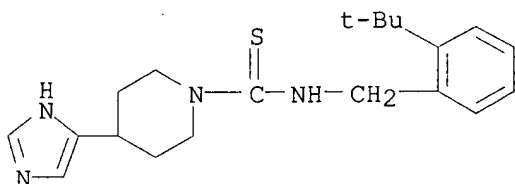
CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E



RN 273219-21-9 CAPLUS

CN 1-Piperidinecarbothioamide, N-[[2-(1,1-dimethylethyl)phenyl]methyl]-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



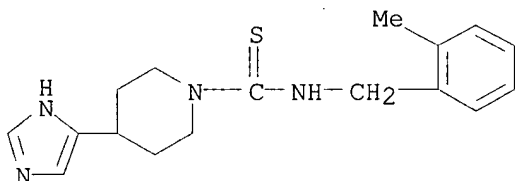
RN 273219-23-1 CAPLUS

CN 1-Piperidinecarbothioamide, 4-(1H-imidazol-4-yl)-N-[(2-methylphenyl)methyl]-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 273219-22-0

CMF C17 H22 N4 S



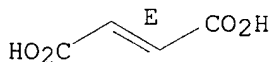
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.

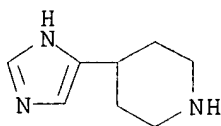


IT 106243-23-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn., pharmacol., characterization of the binding site of the
histamine H3 receptor, and QSAR of thioperamide benzyl analogs)

RN 106243-23-6 CAPLUS

CN Piperidine, 4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 28
 REFERENCE(S): (1) Arrang, J; Nature 1983, V302, P832 CAPLUS
 (2) Carpenter, A; Tetrahedron 1986, V42, P2351 CAPLUS
 (3) Chadwick, D; J Chem Soc, Perkin Trans I 1984, P481 CAPLUS
 (5) Fink, K; Naunyn-Schmiedeberg's Arch Pharmacol 1990, V342, P513 CAPLUS
 (6) Friedman, L; J Org Chem 1961, V26, P2522 CAPLUS
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 14 OF 81 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1999:404954 CAPLUS

DOCUMENT NUMBER: 131:44821

TITLE: Preparation of 1-(1H-imidazol-2-yl)pyrrolidine and 1-(1H-imidazol-2-yl)piperidine derivatives and their affinity with histaminergic H3 receptors

INVENTOR(S): Jegham, Samir; Saady, Mourad; Yaiche, Philippe; Horter, Laurence

PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.

SOURCE: PCT Int. Appl., 26 pp.

CODEN: PIXXD2

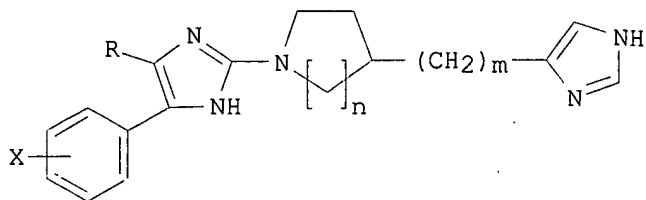
DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|------------------|-----------------|----------|
| WO 9931089 | A1 | 19990624 | WO 1998-FR2677 | 19981210 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| FR 2772377 | A1 | 19990618 | FR 1997-15747 | 19971212 |
| AU 9915663 | A1 | 19990705 | AU 1999-15663 | 19981210 |
| PRIORITY APPLN. INFO.: | | | FR 1997-15747 | 19971212 |
| | | | WO 1998-FR2677 | 19981210 |
| OTHER SOURCE(S): | | MARPAT 131:44821 | | |
| GI | | | | |



AB The title compds. I [R = H, Ph group optionally substituted by a halo atom or a Me, methoxy, trifluoromethyl or nitro group; X = H, halo, Me, methoxy, trifluoromethyl, nitro; n = 1, 2; m = 0, 1], were prepd. E.g., I (R = Ph, X = H, n = 2, m = 0) was prepd. Affinity of I with histaminergic H3 receptors was measured.

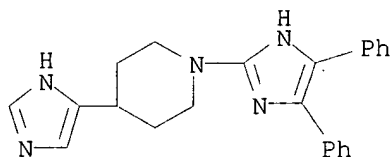
IT 227313-11-3P 227313-12-4P 227313-13-5P
 227313-14-6P 227313-15-7P 227313-16-8P
 227313-17-9P 227313-18-0P 227313-19-1P
 227313-20-4P 227313-21-5P 227313-43-1P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of imidazolylpyrrolidines and imidazolylpiperidines and their affinity for histaminergic H3 receptors)

RN 227313-11-3 CAPLUS

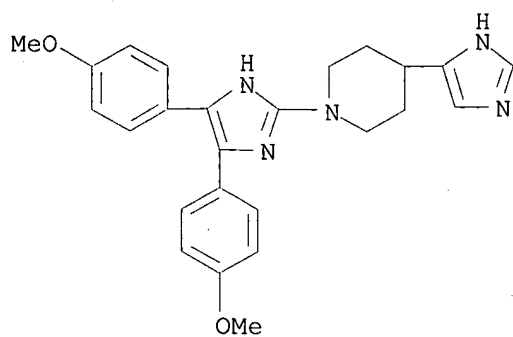
CN Piperidine, 1-(4,5-diphenyl-1H-imidazol-2-yl)-4-(1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

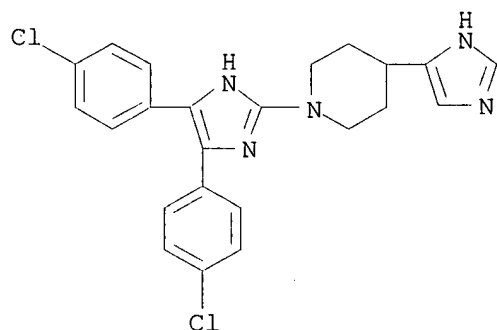
RN 227313-12-4 CAPLUS

CN Piperidine, 1-[4,5-bis(4-methoxyphenyl)-1H-imidazol-2-yl]-4-(1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



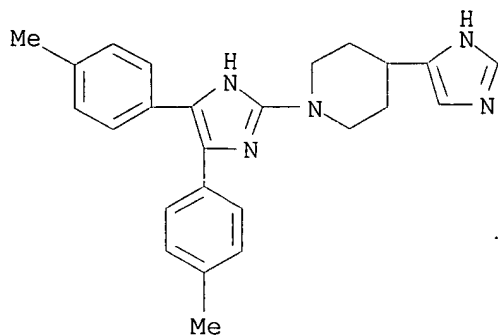
●2 HCl

RN 227313-13-5 CAPLUS
CN Piperidine, 1-[4,5-bis(4-chlorophenyl)-1H-imidazol-2-yl]-4-(1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

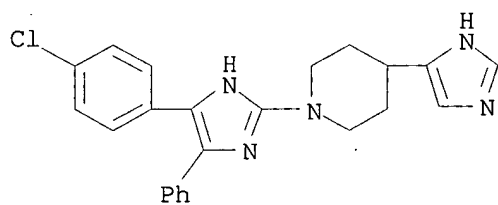
RN 227313-14-6 CAPLUS
CN Piperidine, 1-[4,5-bis(4-methylphenyl)-1H-imidazol-2-yl]-4-(1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 227313-15-7 CAPLUS

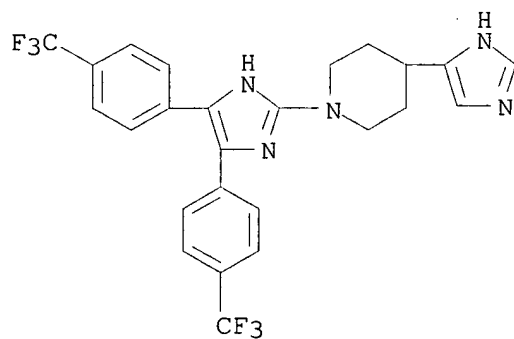
CN Piperidine, 1-[4-(4-chlorophenyl)-5-phenyl-1H-imidazol-2-yl]-4-(1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 227313-16-8 CAPLUS

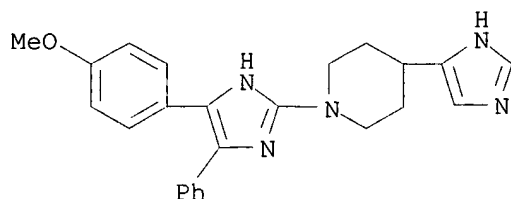
CN Piperidine, 1-[4,5-bis[4-(trifluoromethyl)phenyl]-1H-imidazol-2-yl]-4-(1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



2 HCl

RN 227313-17-9 CAPLUS

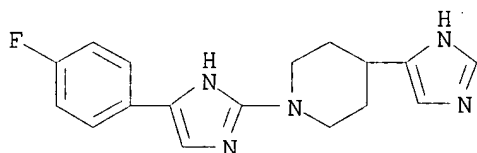
CN Piperidine, 4-(1H-imidazol-4-yl)-1-[4-(4-methoxyphenyl)-5-phenyl-1H-imidazol-2-yl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 227313-18-0 CAPLUS

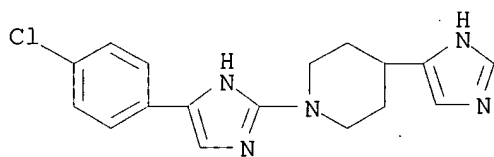
CN Piperidine, 1-[4-(4-fluorophenyl)-1H-imidazol-2-yl]-4-(1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 227313-19-1 CAPLUS

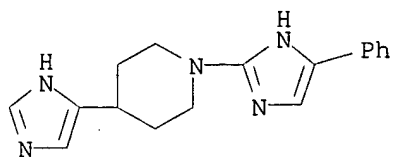
CN Piperidine, 1-[4-(4-chlorophenyl)-1H-imidazol-2-yl]-4-(1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 227313-20-4 CAPLUS

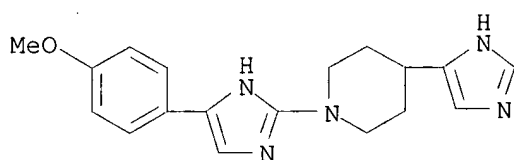
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(4-phenyl-1H-imidazol-2-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 227313-21-5 CAPLUS

CN Piperidine, 4-(1H-imidazol-4-yl)-1-[4-(4-methoxyphenyl)-1H-imidazol-2-yl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

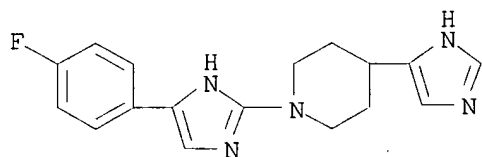
RN 227313-43-1 CAPLUS

CN Piperidine, 1-[4-(4-fluorophenyl)-1H-imidazol-2-yl]-4-(1H-imidazol-4-yl)-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 227313-42-0

CMF C17 H18 F N5



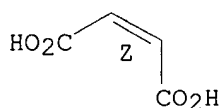
CM 2

CRN 110-16-7

CMF C4 H4 O4

CDES 2:Z

Double bond geometry as shown.



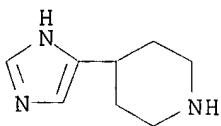
IT 106243-23-6

RL: RCT (Reactant)

(prepn. of imidazolylpyrrolidines and imidazolylpiperidines and their affinity for histaminergic H3 receptors)

RN 106243-23-6 CAPLUS

CN Piperidine, 4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

5

REFERENCE(S):

- (1) Ganellin, C; Journal of Medicinal Chemistry 1995, V38(17), P3342 CAPLUS
- (2) Institut National De La Sante Et De La Recherche Medicale; EP 0197840 A 1986 CAPLUS
- (3) Neng-Yang, S; Journal of Medicinal Chemistry 1995, V38(10), P1593
- (4) Synthelabo; EP 0507650 A 1992 CAPLUS
- (5) Vollinga, R; Journal of Medicinal Chemistry 1994, V37(3), P332 CAPLUS

L19 ANSWER 15 OF 81 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1999:48705 CAPLUS

DOCUMENT NUMBER: 130:110267

TITLE: (1H-Imidazol-4-yl)piperidine derivatives as inhibitors of Na/H+ exchange

INVENTOR(S): Cremer, Gerard; Hoornaert, Christian

PATENT ASSIGNEE(S): Synthelabo S. A., Fr.

SOURCE: PCT Int. Appl., 32 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

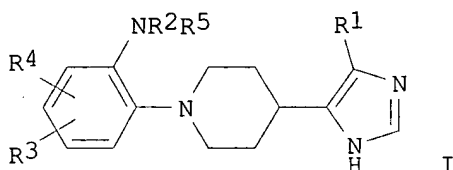
LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 9901435 | A1 | 19990114 | WO 1998-FR1287 | 19980619 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, NO, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| FR 2765580 | A1 | 19990108 | FR 1997-8256 | 19970701 |
| FR 2765580 | B1 | 19990806 | | |
| AU 9882205 | A1 | 19990125 | AU 1998-82205 | 19980619 |

EP 994857 A1 20000426 EP 1998-932236 19980619
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,
SI, LT, LV, FI, RO
ZA 9805727 A 19990127 ZA 1998-5727 19980630
PRIORITY APPLN. INFO.: FR 1997-8256 19970701
WO 1998-FR1287 19980619
OTHER SOURCE(S): MARPAT 130:110267
GI



AB Title compds. I [R1, R2 = H, alkyl; R3, R4 = H, halogen, alkyl, trifluoromethyl, alkoxy, S(O)pR; R = alkyl; p = 0-2; R5 = H, alkyl, phenylalkyl, COR6, CO2R6, CONHR6, SO2R6; R6 = alkyl, cycloalkyl, cycloalkylalkyl, alkoxyalkyl, Ph, phenylalkyl, phenylalkylidene, COCH2NR7R8; R7 = H, alkyl; R8 = alkyl, acyl; R2R5 = (CH2)nCO; n = 2-6] and their salts were prepd. for use as inhibitors of Na/H+ exchange (no data). Thus, 4-(5-methyl-1H-imidazol-4-yl)piperidine was converted to the 1-(2-nitrophenyl) deriv. followed by tritylation of the imidazole N, redn. to amine, acetylation, and detritylation to give I [R1 = Me, R2-R4 = H, R5 = Ac].

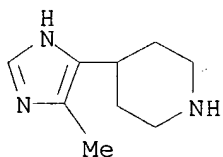
IT 147960-33-6

RL: RCT (Reactant)

```
(prepn. of imidazolylpiperidines as inhibitors of Na/H+ exchange)
```

RN 147960-33-6 CAPLUS

CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA
INDEX NAME)


$$2 \text{ HCl}$$

REFERENCE COUNT:

2

REFERENCE (S) :

(1) Jeffrey, S; US 4357341 A 1982 CAPLUS
(2) Synthelabo; EP 0507650 A 1992 CAPLUS

DA9 ANSWER 16 OF 81 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1999:709802 CAPLUS

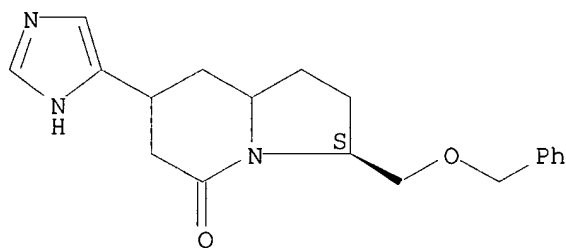
DOCUMENT NUMBER: 132:93612

TITLE: The synthesis of bicyclic lactam based His-Pro building blocks: the effect of substituent polarity on an intramolecular bond migration

Searched by Barb O'Bryen, STIC 308-4291

AUTHOR(S): Chu, Wenhua; Moeller, Kevin D.
CORPORATE SOURCE: Department of Chemistry, Washington University, St. Louis, MO, 63130, USA
SOURCE: Tetrahedron Lett. (1999), 40(45), 7939-7943
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 132:93612
AB A strategy for constructing bicyclic lactam amino acid building blocks with imidazole sidechains is reported. The synthetic route described utilizes an electrochem. amide oxidn. to functionalize a proline deriv., and then a sequential cyclization-rearrangement strategy to construct a substituted six-membered ring lactam. Alternatively, the seven-membered ring lactams were obtained without rearrangement when electron withdrawing groups were present beta to the amide carbonyl.
IT 255045-05-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of as bicyclic lactam based His-Pro building blocks for peptide synthesis)
RN 255045-05-7 CAPLUS
CN 5(1H)-Indolizinone, hexahydro-7-(1H-imidazol-4-yl)-3-[(phenylmethoxy)methyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 23
REFERENCE(S): (1) Beal, L; Tetrahedron Lett 1998, V39, P4639 CAPLUS
(2) Chu, W; Bioorg Med Chem Lett 1998, V8, P3093 CAPLUS
(3) d'Avignon, D; Coordination Chem 1994, V32, P135 CAPLUS
(4) Fobian, Y; Bioorg Med Chem Lett 1996, V6, P315 CAPLUS
(7) Goren, H; Mol Pharmacol 1977, V13, P606 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~LI9~~ ANSWER 17 OF 81 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1999:581372 CAPLUS
DOCUMENT NUMBER: 131:334151
TITLE: Evaluation of [18F]VUF 5000 as a potential PET ligand for brain imaging of the histamine H3 receptor
AUTHOR(S): Windhorst, A. D.; Timmerman, H.; Klok, R. P.; Menge, W. M. P. B.; Leurs, R.; Herscheid, J. D. M.
CORPORATE SOURCE: Radionuclide Center, Vrije Universiteit Amsterdam
Tetrahedron Lett. (1999), 40(9), 1761-1767
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal

LANGUAGE: English

AB [18F]VUF 5000 was evaluated as a potential PET ligand for the histamine H3 receptor. In the rat a high uptake of [18F]VUF 5000 was obsd. in liver, lung and kidney and a low uptake in the brain. In order to explain these findings we detd. the LogDoct,7.2 of [18F]VUF 5000, studied the biodistribution in the presence of carrier VUF 5000, modified [18F]VUF 5000 chem. to the carbonyl analog and studied the binding of [18F]VUF 5000 to human serum albumin. From the results of these expts. it was concluded that [18F]VUF 5000 is not suitable as a PET ligand for brain imaging of the histamine H3 receptor, since [18F]VUF 5000 hardly penetrates into the brain.

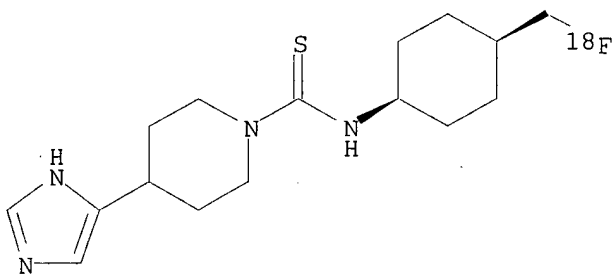
IT 223131-75-7

RL: BPR (Biological process); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(evaluation of [18F]VUF 5000 as potential PET ligand for brain imaging of histamine H3 receptor)

RN 223131-75-7 CAPLUS

CN 1-Piperidinecarbothioamide, N-[cis-4-(fluoro-18F-methyl)cyclohexyl]-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



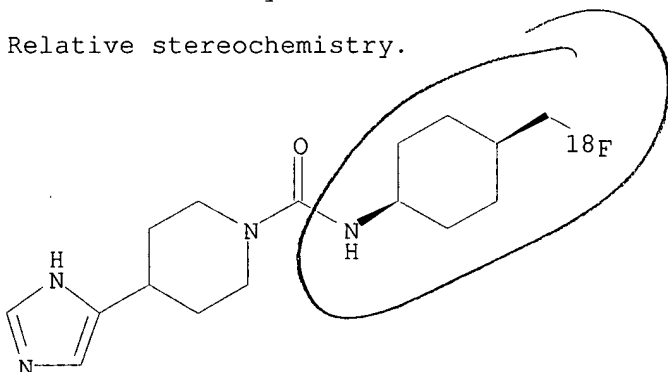
IT 249629-09-2P

RL: BPR (Biological process); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(evaluation of [18F]VUF 5000 as potential PET ligand for brain imaging of histamine H3 receptor)

RN 249629-09-2 CAPLUS

CN 1-Piperidinecarboxamide, N-[cis-4-(fluoro-18F-methyl)cyclohexyl]-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

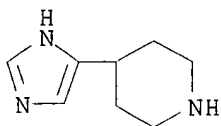
Relative stereochemistry.



IT 106243-23-6

RL: RCT (Reactant)
(evaluation of [18F]VUF 5000 as potential PET ligand for brain imaging of histamine H3 receptor)

RN 106243-23-6 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



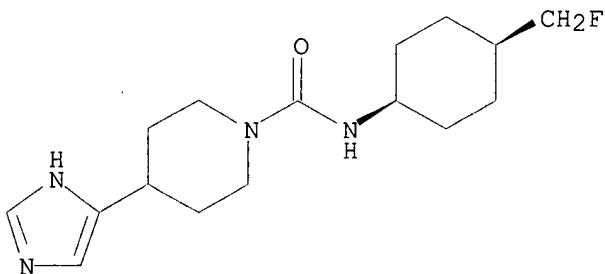
IT 249629-08-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(evaluation of [18F]VUF 5000 as potential PET ligand for brain imaging
of histamine H3 receptor)

RN 249629-08-1 CAPLUS

CN 1-Piperidinecarboxamide, N-[cis-4-(fluoromethyl)cyclohexyl]-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT:

24

REFERENCE(S):

- (1) Arrang, J; EP 0197840 A1 1986 CAPLUS
 - (2) Arrang, J; Nature 1983, V302, P832 CAPLUS
 - (3) Fink, K; Naunyn-Schmiedeberg's Arch Pharmacol 1990, V342, P513 CAPLUS
 - (4) Haas, H; Behav Brain Res 1995, V66, P41 CAPLUS
 - (5) Hansch, C; J Pharm Sci 1987, V76, P663 CAPLUS
- ALL CITATIONS AVAILABLE IN THE RE FORMAT

119 ANSWER 18 OF 81 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1999:251549 CAPLUS

DOCUMENT NUMBER: 131:53821

TITLE: Effects of selected histamine H3 receptor antagonists on tele-methylhistamine levels in rat cerebral cortex

AUTHOR(S): Yates, Stephen L.; Tedford, Clark E.; Gregory, Rosilyn; Pawlowski, Gary P.; Handley, Michael K.; Boyd, D. L.; Hough, Lindsay B.

CORPORATE SOURCE: Gliatech Inc., Cleveland, OH, 44122, USA

SOURCE: Biochem. Pharmacol. (1999), 57(9), 1059-1066
CODEN: BCPA6; ISSN: 0006-2952

PUBLISHER: Elsevier Science Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The H3 antagonist

metab. of neuronal histamine (HA). Our studies investigated the effects of several new brain-penetrating H3 antagonists on rat cerebral cortical levels of the HA metabolite tele-methylhistamine (t-MH). Animals were pretreated with H3 antagonists

(0.3 to 30 mg/kg; 1-4 h; i.p.) in the presence or absence of the monoamine oxidase inhibitor pargyline to prevent metab. of t-MH. Cortical t-MH levels were measured by both RIA and gas chromatog.-mass spectrometry (GC-MS). Pargyline (60 mg/kg; 1 h; i.p.) produced an .apprx.2-fold increase in t-MH levels as measured by either GC-MS or RIA. Thioperamide (.+-. pargyline) increased t-MH levels as measured by both GC-MS and RIA. In contrast, neither 5-cyclohexyl-1-(4-imidazol-4-ylpiperidyl)pentan-1-one (GT-2016) (.+-. pargyline), 4-(6-cyclohexylhex-cis-3-enyl)imidazole (GT-2227) (.+-. pargyline), nor clobenpropit (minus pargyline) increased t-MH levels as measured by GC-MS. A good agreement was found between t-MH levels as detd. by either RIA or GC-MS except after treatment with GT-2016, which increased apparent t-MH brain levels according to the former but not the latter method. Subsequent studies suggest the in vivo formation of a GT-2016 metabolite, which can cross-react in the t-MH RIA. Although all H3 receptor antagonists studied to date seem capable of enhancing brain HA release, only thioperamide presently was found to enhance cortical t-MH levels. Thus, H3 receptor antagonists may differentially affect HA release and turnover, and brain t-MH levels may not be reliable predictors of H3 agonist, partial agonist, or antagonist in vivo activity.

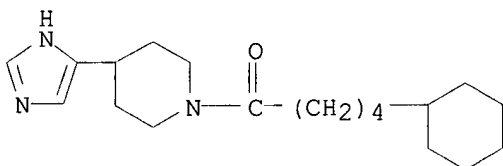
IT 152241-24-2, GT-2016

RL: BAC (Biological activity or effector, except adverse); BIOL
(Biological study)

(histamine H3 receptor antagonists effect on tele-methylhistamine
levels in cerebral cortex)

RN 152241-24-2 CAPLUS

CN Piperidine, 1-(5-cyclohexyl-1-oxopentyl)-4-(1H-imidazol-4-yl)- (9CI) (CA
INDEX NAME)



REFERENCE COUNT:

26

REFERENCE(S):

- (1) Arrang, J; Nature 1983, V302, P832 CAPLUS
- (2) Arrang, J; Nature 1987, V327, P117 CAPLUS
- (3) Barke, K; J Neurochem 1994, V63, P238 CAPLUS
- (4) Bischoff, S; Brain Res 1978, V141, P375 CAPLUS
- (5) Ganellin, C; J Med Chem 1996, V39, P3806 CAPLUS

ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 19 OF 81 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1999:709956 CAPLUS

DOCUMENT NUMBER: 132:19126

TITLE: Evidence that histamine homologues discriminate
between H3-receptors in guinea-pig cerebral cortex and
ileum longitudinal muscle myenteric plexus

AUTHOR(S): Harper, E. A.; Shankley, N. P.; Black, J. W.
CORPORATE SOURCE: James Black Foundation, London, SE24 9JE, UK
SOURCE: Br. J. Pharmacol. (1999), 128(3), 751-759

CODEN: BJPCBM; ISSN: 0007-1188

PUBLISHER: Stockton Press

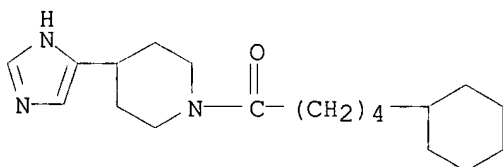
DOCUMENT TYPE: Journal

LANGUAGE: English

AB The binding of the selective histamine H3-receptor agonist
([3H]-R-.alpha.-methylhistamine) to sites in guinea-pig cerebral cortex

and ileum longitudinal muscle myenteric plexus has been characterized and a comparison made of the apparent affinities of a series of H₃-receptor ligands. Satn. anal. suggested that [3H]-R-.alpha.-methylhistamine labeled a homogeneous population of histamine H₃-receptors in guinea-pig cerebral cortex (pK_D = 9.91; nH = 1.07) and ileum longitudinal muscle myenteric plexus (pK_D = 9.75; nH = 0.97). There was no significant difference in the estd. affinity of [3H]-R-.alpha.-methylhistamine in the two tissues. The cerebral cortex had a significantly higher receptor d. (91 fmol mg⁻¹ tissue) than the ileum longitudinal muscle myenteric plexus (0.39 fmol mg⁻¹). Overall, the apparent affinities of compds., classified as H₃-receptor ligands, in cerebral cortex and ileum longitudinal muscle myenteric plexus were well correlated (r = 0.91) and consistent with the cerebral cortex and ileum longitudinal muscle myenteric plexus expressing histamine H₃-receptor population(s) that are pharmacol. indistinguishable by the majority of histamine H₃-receptor ligands. However, it was evident that the homologs of histamine within this group of compds. could discriminate between the receptor populations in the two tissues. Thus, the estd. affinity of five imidazole unbranched alkylamines (histamine, homohistamine, VUF4701, VUF4732 and impentamine) were significantly higher in the guinea-pig cerebral cortex than in the ileum longitudinal muscle myenteric plexus assay.

IT 152241-24-2, GT2016
RL: BPR (Biological process); BIOL (Biological study); PROC (Process)
(histamine homologues effect on H₃-receptors in guinea-pig cerebral cortex and ileum longitudinal muscle myenteric plexus)
RN 152241-24-2 CAPLUS
CN Piperidine, 1-(5-cyclohexyl-1-oxopentyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 50
REFERENCE(S): (1) Arrang, J; Eur J Pharmacol 1985, V111, P73 CAPLUS
(2) Arrang, J; Eur J Pharmacol 1990, V188, P219 CAPLUS
(3) Beinborn, M; Nature 1993, V362, P348 CAPLUS
(4) Borea, P; Eur J Pharmacol 1996, V298, P329 CAPLUS
(5) Burt, D; Mol Pharmacol 1976, V12, P800 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

119 ANSWER 20 OF 81 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1999:188511 CAPLUS
DOCUMENT NUMBER: 130:296641
TITLE: Synthesis, in vitro pharmacology and radiosynthesis of N-(cis-4-fluoromethylcyclohexyl)-4-(1H-imidazol-4-yl)piperidine-1-thiocarbonamide (VUF 5000), a potential PET ligand for the histamine H₃ receptor
AUTHOR(S): Windhorst, Albert D.; Timmerman, Henk; Menge, Wiro M. P. B.; Leurs, Rob; Herscheid, Jacobus D. M.
CORPORATE SOURCE: Radionuclide Center, Vrije Universiteit, Amsterdam, 1081 HV, NL

PUBLISHER: John Wiley & Sons Ltd.
DOCUMENT TYPE: Journal
CODEN: JLCRD4; ISSN: 0362-4803

Searched by Barb O'Bryen, STIC 308-4291

LANGUAGE: English

AB The synthesis of VUF 5000, a fluorinated analog of the potent (pA2 value of 8.9 \pm 0.1, K_i = 4.3 \pm 0.9 nM) histamine H3 receptor antagonist thioperamide is described. After establishing the H3 antagonistic activity of VUF 5000, pA2 value = 9.0 \pm 0.2, K_i = 2.3 \pm 0.5 nM, a four step synthesis for the radiolabelling of VUF 5000 with 18F (half life 110 min) was developed. Within 4 h of the end of the bombardment, [18F]VUF 5000 was obtained with an av. radiochem. yield of 23% (decay cor.) and a specific activity > 96.2 TBq/. μ mole (2.6 Ci/. μ mole).

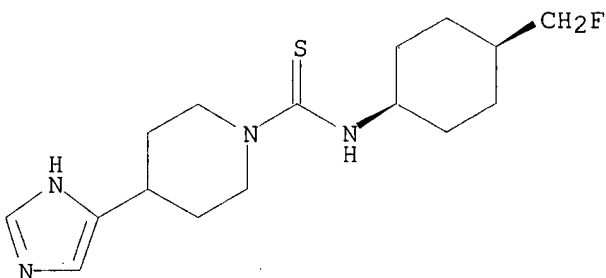
IT 223131-51-9P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn., pharmacol. and radiosynthesis of N-(cis-4-fluoromethylcyclohexyl)-4-(1H-imidazol-4-yl)piperidine-1-thiocarboxamide)

RN 223131-51-9 CAPLUS

CN 1-Piperidinecarbothioamide, N-[cis-4-(fluoromethyl)cyclohexyl]-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



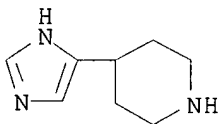
IT 106243-23-6

RL: RCT (Reactant)

(prepn., pharmacol. and radiosynthesis of N-(cis-4-fluoromethylcyclohexyl)-4-(1H-imidazol-4-yl)piperidine-1-thiocarboxamide)

RN 106243-23-6 CAPLUS

CN Piperidine, 4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



IT 223131-75-7P

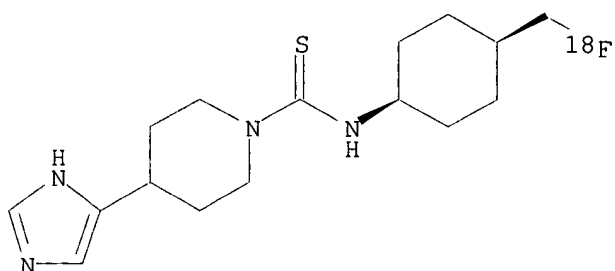
RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn., pharmacol. and radiosynthesis of N-(cis-4-fluoromethylcyclohexyl)-4-(1H-imidazol-4-yl)piperidine-1-thiocarboxamide)

RN 223131-75-7 CAPLUS

CN 1-Piperidinecarbothioamide, N-[cis-4-(fluoro-18F-methyl)cyclohexyl]-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 20
REFERENCE(S): (1) Arrang, J; EP 0197840 A1 1986 CAPLUS
(2) Arrang, J; Nature 1983, V302, P832 CAPLUS
(3) Clapham, J; Br J Pharmacol 1992, V107, P919 CAPLUS
(4) Jansen, F; Br J Pharmacol 1994, V113, P355 CAPLUS
(5) Jansen, F; Eur J Pharmacol 1992, V217, P203 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~L19~~ ANSWER 21 OF 81 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1999:434290 CAPLUS

DOCUMENT NUMBER: 131:266480

TITLE: Pharmacological evaluation of an in vivo model of vestibular dysfunction in the rat

AUTHOR(S): O'Neill, Alyssa B.; Pan, Jia-Bao; Sullivan, James P.; Brioni, Jorge D.

CORPORATE SOURCE: Neurological and Urological Diseases Research (D4ND), Abbott Laboratories, Abbott Park, IL, USA

SOURCE: Methods Find. Exp. Clin. Pharmacol. (1999), 21(4), 285-289

CODEN: MFEPDX; ISSN: 0379-0355

PUBLISHER: Prous Science

DOCUMENT TYPE: Journal

LANGUAGE: English

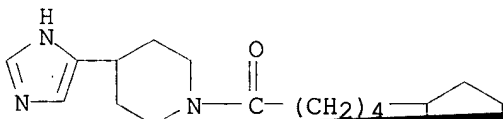
AB A unilateral microinjection of either histamine or kainic acid was made into the medial vestibular nucleus of rats, eliciting robust barrel rotations that were evaluated by an elevated body-rotation test. Systemic pretreatment with betahistine or GT-2016 significantly attenuated the kainic acid-induced barrel rotations. These data indicate that the animal model described herein may represent a new model to identify novel drugs with potential antivertigo properties.

IT 152241-24-2, GT-2016

RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmacol. evaluation of an in vivo model of vestibular dysfunction)

RN 152241-24-2 CAPLUS

CN Piperidine, 1-(5-cyclohexyl-1-oxopentyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 19

Searched by Barb O'Bryen, STIC 308-4291

REFERENCE(S): (1) Borlongan, C; Brain Res 1995, V676, P231 CAPLUS
(4) Gross, P; Exp Brain Res 1993, V95, P397 CAPLUS
(7) Rascol, O; Drugs 1995, V50, P777 CAPLUS
(8) Rubin, W; Arch Otolaryngol (Stockh) 1973, V97, P135 CAPLUS
(12) Smith, P; Trends Pharmacol Sci 1996, V17, P421 CAPLUS

ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~149~~ ANSWER 22 OF 81 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1999:373522 CAPLUS

DOCUMENT NUMBER: 131:165172

TITLE: Interactions of new and conventional H3-antagonists with non-histaminergic receptors involved in neurogenic and myogenic contractile responses of guinea pig ileum

AUTHOR(S): Barocelli, E.; Ballabeni, V.; Bertoni, S.; Silva, C.; Impicciatore, M.

CORPORATE SOURCE: Istituto di Farmacologia e Farmacognosia; Universita degli Studi di Parma, Facolta di Farmacia, Viale delle Scienze, Parma, 43100, Italy

SOURCE: J. Auton. Pharmacol. (1999), 19(1), 7-17

CODEN: JAPHDU; ISSN: 0144-1795

PUBLISHER: Blackwell Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

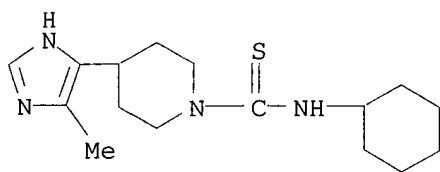
AB Possible effects of new and conventional H3-receptor antagonists towards various nonhistaminergic receptors (.alpha.2-adrenergic, 5-HT3-serotonin, .mu.-opiate, A1-adenosine, M1- and M3-muscarinic) involved in neurogenic and myogenic contractile responses of guinea pig ileum are investigated. When the isolated ileum was contracted by the 5-HT3 receptor agonist, 2-methyl-5-HT (5 .times. 10⁻⁷-8 .times. 10⁻⁶ M), acetylcholine (1 .times. 10⁻⁹-1 .times. 10⁻⁷ M), KCl (3 .times. 10⁻² M) or elec. stimulation some of the drugs, included thioperamide and clobenpropit, reduced the contractile response when tested at micromolar concns. (1-3 .times. 10⁻⁵ M) (only compd. IV exhibited an M3 competitive antagonism with a pKB = 5.49 .+-. 0.18). Ileal twitch responses to elec. stimulation were dose-dependently inhibited by the selective agonists clonidine (3 .times. 10⁻¹⁰-1 .times. 10⁻⁷ M), dermorphin (1 .times. 10⁻¹¹-1 .times. 10⁻⁸ M), R-N6-(2-phenylisopropyl)-adenosine (1 .times. 10⁻⁹-3 .times. 10⁻⁸ M) and McN-A-343 (1 .times. 10⁻⁷-1 .times. 10⁻⁵ M) with different potencies and comparable efficacy (spike amplitude redn. > 85%). All the H3 antagonists under study (up to 1 .times. 10⁻⁵ M) showed no or minor interactions at the neuronal sites except the compd. V which behaved as a weak competitive antagonist at .alpha.2-adrenoreceptors (pKB = 5.96 .+-. 0.06). In conclusion, both new and conventional H3-blockers interacted at the enteric neuronal sites here studied with a 1000-30 000 fold lower antagonistic potency than the previously reported for the ileal H3 histamine receptors. Their spasmolytic activity precludes firm conclusions about the noncompetitive interaction with 5-HT3 ileal receptor which requires further investigations.

IT 147960-34-7

RL: BAC (Biological activity or effector, except adverse); BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(interactions of H3-antagonists with non-histaminergic receptors involved in neurogenic and myogenic contractile responses of guinea pig ileum)

RN 147960-34-7 CAPLUS

CN 1-Piperidinecarbothioamide, N-cyclohexyl-4-(5-methyl-1H-imidazol-4-yl)-(9CI) (CA INDEX NAME)



REFERENCE COUNT:

9

REFERENCE(S):

- (2) Schwartz, J; Agents Actions 1990, V30, P13 CAPLUS
- (4) Stark, H; Eur J Med Chem 1994, V29, P695 CAPLUS
- (5) Stark, H; J Med Chem 1996, V39, P1157 CAPLUS
- (6) Van Der Goot, H; Eur J Med Chem 1992, V27, P511 CAPLUS
- (7) Van Rossum, J; Arch Int Pharmacodyn 1963, V143, P299 CAPLUS

ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~119~~ ANSWER 23 OF 81 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1998:745053 CAPLUS

DOCUMENT NUMBER: 129:343500

TITLE: 5-Phenyl-1,3,4-oxadiazol-2(3H)-one derivatives and their use as 5-HT₄ ligands

INVENTOR(S): Jegham, Samir; Lochead, Alistair; Nedelec, Alain; Galli, Frederic; Gallet, Thierry

PATENT ASSIGNEE(S): Synthelabo S. A., Fr.

SOURCE: PCT Int. Appl., 35 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

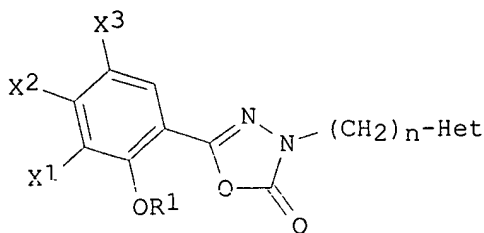
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|--|----------|-----------------|----------|
| WO 9850383 | A1 | 19981112 | WO 1998-FR886 | 19980504 |
| W: | AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| RW: | GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | |
| FR 2763067 | A1 | 19981113 | FR 1997-5537 | 19970506 |
| FR 2763067 | B1 | 19990604 | | |
| FR 2763069 | A1 | 19981113 | FR 1997-5538 | 19970506 |
| FR 2763069 | B1 | 19990604 | | |
| AU 9876587 | A1 | 19981127 | AU 1998-76587 | 19980504 |
| EP 980370 | A1 | 20000223 | EP 1998-924364 | 19980504 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | |
| ZA 9803778 | A | 19981124 | ZA 1998-3778 | 19980505 |

PRIORITY APPLN. INFO.:

FR 1997-5537 19970506

FR 1997-5538

19980504



I

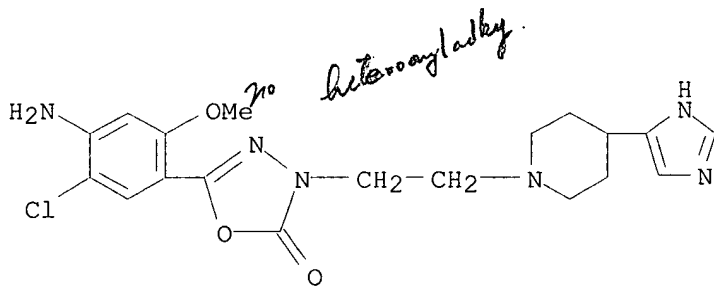
AB Title compds. I [R1 = H, alkyl, cycloalkylmethyl; X1 = H, alkoxy; or OR1 and X1 together = -OCH2O-, -O(CH2)2-, -O(CH2)3-, O(CH2)2O- or -O(CH2)3O-; X2 = H, amino, -NHCO2R; R = alkyl, phenylalkyl; X3 = H, halo; n = 0, 1, 2, 3; Het = (un)substituted pyrrolidin-1-yl, (un)substituted piperidin-1-yl, 1H-hexahydroazepin-1-yl, 8-azabicyclo{3.2.1}oct-8-yl, 4-(phenylmethyl)piperazin-1-yl, or a 4-methyl-hexahydro-1,4-diazepin-1-yl, or a 1,2,3,4-tetrahydroisoquinolin-2-yl, 1-azabicyclo{2.2.2}oct-3-yl] are prepd. I are useful for preventing disorders in which 5-HT4 receptors are involved, whether in the central nervous, the gastrointestinal, the cardiovascular or the urinary system (no data). Thus, (S)-I [OR1 = MeO, X1 = H, X2 = NHCO-OCH2-Ph, X3 = Cl, n = 0, Y = nil, Z = nil] was prepd. via reacting Me 4-amino-5-chloro-2-methoxybenzoate with hydrazine hydrate, treating the resulting 4-amino-5-chloro-2-methoxybenzoic hydrazide with phosgene and benzyl alc., and treating the resulting benzyl [2-chloro-5-methoxy-4-(5-oxo-4,5-dihydro-1,3,4-oxadiazol-2-yl)phenyl]carbamate with (R)-1-azabicyclo[2.2.2]octan-3-ol.

IT 215439-86-4P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(5-Phenyloxadiazol-2(3H)-one derivs. and use as 5-HT4 ligands)

RN 215439-86-4 CAPLUS

CN 1,3,4-Oxadiazol-2(3H)-one, 5-(4-amino-5-chloro-2-methoxyphenyl)-3-[2-[4-(1H-imidazol-4-yl)-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



119 ANSWER 24 OF 81 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1998:293496 CAPLUS

DOCUMENT NUMBER: 128:321659

TITLE: Preparation of thiourea derivatives and related compounds as constrained somatostatin agonists and antagonists

INVENTOR(S): Ankersen, Michael; Dorwald, Florenzio Zaragoza; Stidsen, Carsten Enggaard; Crider, Albert Michael

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 45 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

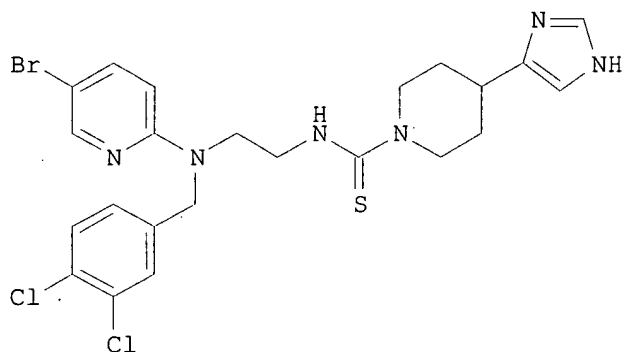
LANGUAGE: English

Searched by Barb O'Bryen, STIC 308-4291

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|-------------|
| WO 9818786 | A1 | 19980507 | WO 1997-DK488 | 19971029 |
| W: | AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| RW: | GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | |
| AU 9747724 | A1 | 19980522 | AU 1997-47724 | 19971029 |
| EP 937065 | A1 | 19990825 | EP 1997-910268 | 19971029 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO | | | |
| JP 2001502712 | T2 | 20010227 | JP 1998-519947 | 19971029 |
| US 6020349 | A | 20000201 | US 1997-962098 | 19971031 |
| US 6083960 | A | 20000704 | US 1999-397355 | 19990916 |
| PRIORITY APPLN. INFO.: | | | DK 1996-1216 | A 19961031 |
| | | | WO 1997-DK488 | W 19971029 |
| | | | US 1997-962098 | A3 19971031 |
| OTHER SOURCE(S): | MARPAT 128:321659 | | | |
| GI | | | | |



I

AB The title compds. B(CH₂)_nNA(CH₂)_mYNR1C:(X)E [I; A = (un)substituted aryl; B = (un)substituted aryl; E = heterocyclyl, amino; R1 = H, (un)substituted C1-6 alkyl; X = S, O, NR₃; R₃ = H, CPh, cyano; Y = bond, etc.; m = 0-6; n = 0-3], somatostatin agonists and antagonists (no data) useful for treating medical disorders related to binding to human somatostatin receptor subtypes, and their pharmaceutically acceptable salts were prepd. and claimed. For example, amination of 2,5-dibromopyridine with H₂NCH₂CH₂NH₂ in pyridine gave N-1-(5-bromopyrid-2-yl)ethane-1,2-diamine which was benzylated with 3,4-dichlorobenzyl chloride in DMSO in the presence of NaH and the product condensed with 3,4-dichlorobenzyl isocyanate to give N-1-(5-bromopyrid-2-yl)-N'-(3,4-dichlorobenzyl)-1,2-ethanediamine. Addn. of the latter with 1-(3,4-dichlorobenzyl)-1H-imidazolyl]piperidine-2HCl in THF in the presence of Et₃N gave a title compd. I.

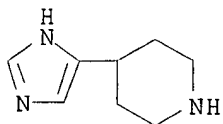
IT 51746-88-4

RL: RCT (Reactant)

(addn. reaction with (pyridyl)aminoethyl isothiocyanate deriv.; prepn. of thiourea derivs. and related compds. as constrained somatostatin agonists and antagonists)

RN 51746-88-4 CAPLUS

CN Piperidine, 4-(1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



2 HCl

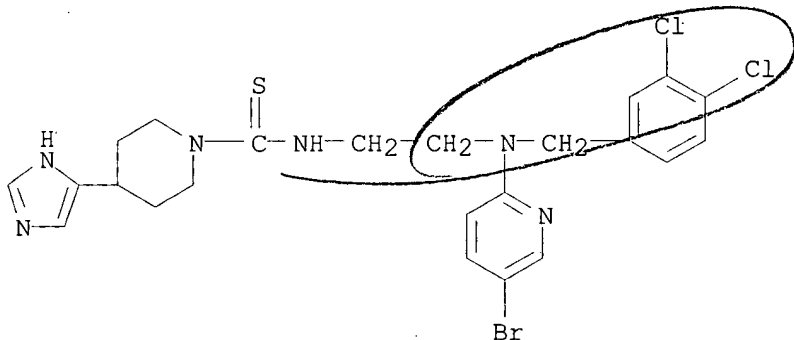
IT 207276-71-9P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of thiourea derivs. and related compds. as constrained somatostatin agonists and antagonists)

RN 207276-71-9 CAPLUS

CN 1-Piperidinecarbothioamide, N-[2-[(5-bromo-2-pyridinyl)[(3,4-dichlorophenyl)methyl]amino]ethyl]-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



L19 ANSWER 25 OF 81 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1998:180884 CAPLUS

DOCUMENT NUMBER: 128:244047

TITLE: Preparation of pyrazolobenzoxazinoyl imidazolylpiperidides and analogs as 5-HT3 and 5-HT4 receptor antagonists

INVENTOR(S): Even, Luc; Aletru, Michel

PATENT ASSIGNEE(S): Synthelabo S. A., Fr.; Even, Luc; Aletru, Michel

SOURCE: PCT Int. Appl., 34 pp.

CODEN: PIXXD2

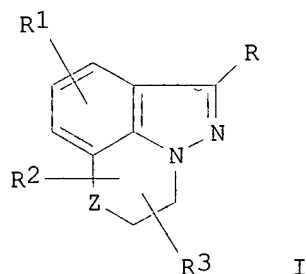
DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-------------------|----------|
| WO 9811112 | A1 | 19980319 | WO 1997-FR1581 | 19970909 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| FR 2753196 | A1 | 19980313 | FR 1996-11116 | 19960912 |
| FR 2753196 | B1 | 19981023 | | |
| AU 9742119 | A1 | 19980402 | AU 1997-42119 | 19970909 |
| PRIORITY APPLN. INFO.: | | | FR 1996-11116 | 19960912 |
| | | | WO 1997-FR1581 | 19970909 |
| OTHER SOURCE(S): | | | MARPAT 128:244047 | |
| GI | | | | |



AB Title compds. [I; R = COZlR4; R1 = H, halo, OH, NH2, alkoxy; R2,R3 = H, alkyl, Ph, CH2Ph; R4 = C-(un)substituted 4-imidazolyl; Z = O or CH2; Z1 = piperidine-1,4-diyl] were prepd. Thus, 3,4-dihydro-2H-1,4-benzoxazine was acylated by 2-furonitrile and the N-nitrosylated product cyclized to give, after oxidn., I (R1-R3 = H, Z = O) (II; R = CO2H) which was amidated by 4-(5-methyl-1H-imidazol-4-yl)piperidine to give II [R = 4-(5-methyl-1H-imidazol-4-yl)piperidin-1-yl]. Data for biol. activity of I were given.

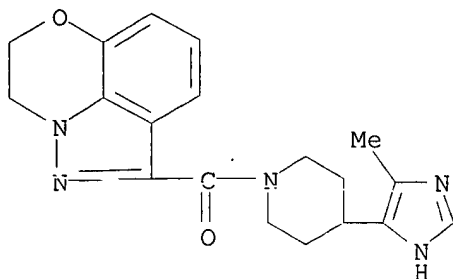
IT 204925-52-0P 204925-53-1P 204925-54-2P
204925-55-3P 204925-56-4P 204925-57-5P
204925-58-6P 204925-59-7P 204925-60-0P
204925-61-1P 204925-62-2P 204925-63-3P
204925-64-4P 204925-65-5P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

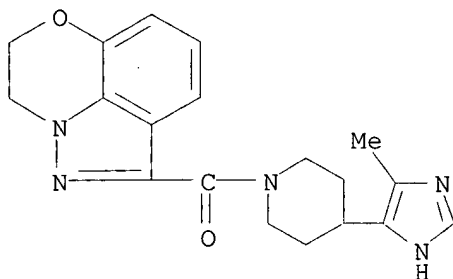
(prepn. of pyrazolobenzoxazinoyl imidazolylpiperidides and analogs as 5-HT3 and 5-HT4 receptor antagonists)

RN 204925-52-0 CAPLUS

CN Piperidine, 1-[(2,3-dihydropyrazolo[1,5,4-de]-1,4-benzoxazin-6-yl)carbonyl]-4-(5-methyl-1H-imidazol-4-yl)- (867) (867)

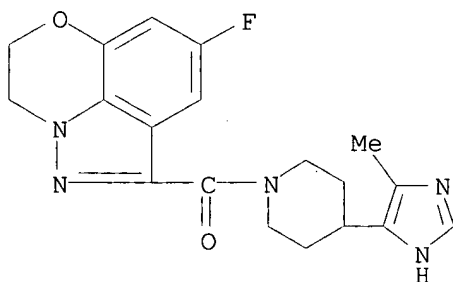


RN 204925-53-1 CAPLUS
CN Piperidine, 1-[(2,3-dihydropyrazolo[1,5,4-de]-1,4-benzoxazin-6-yl)carbonyl]-4-(5-methyl-1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA INDEX NAME)

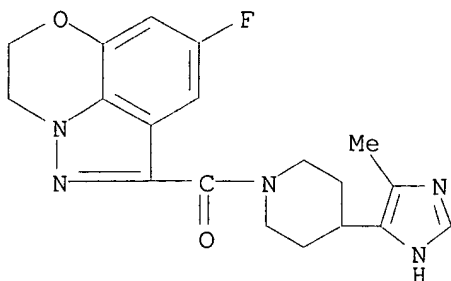


● 2 HCl

RN 204925-54-2 CAPLUS
CN Piperidine, 1-[(8-fluoro-2,3-dihydropyrazolo[1,5,4-de]-1,4-benzoxazin-6-yl)carbonyl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

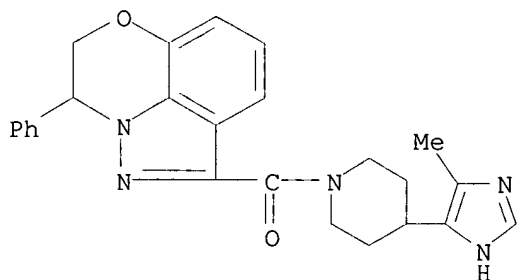


RN 204925-55-3 CAPLUS
CN Piperidine, 1-[(8-fluoro-2,3-dihydropyrazolo[1,5,4-de]-1,4-benzoxazin-6-yl)carbonyl]-4-(5-methyl-1H-imidazol-4-yl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

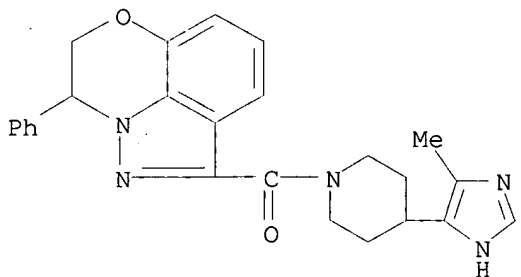
RN 204925-56-4 CAPLUS
CN Piperidine, 1-[(2,3-dihydro-3-phenylpyrazolo[1,5,4-de]-1,4-benzoxazin-6-yl)carbonyl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



RN 204925-57-5 CAPLUS
CN Piperidine, 1-[(2,3-dihydro-3-phenylpyrazolo[1,5,4-de]-1,4-benzoxazin-6-yl)carbonyl]-4-(5-methyl-1H-imidazol-4-yl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

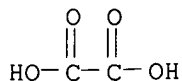
CM 1

CRN 204925-56-4
CMF C25 H25 N5 O2



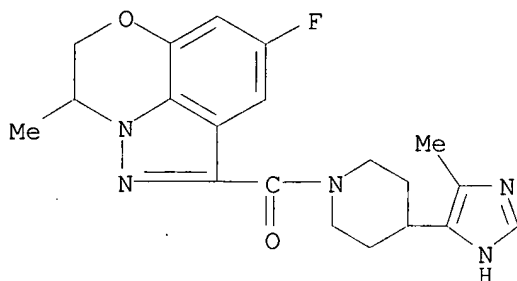
CRN 144-62-7

CMF C2 H2 O4



RN 204925-58-6 CAPLUS

CN Piperidine, 1-[(8-fluoro-2,3-dihydro-3-methylpyrazolo[1,5,4-de]-1,4-benzoxazin-6-yl)carbonyl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



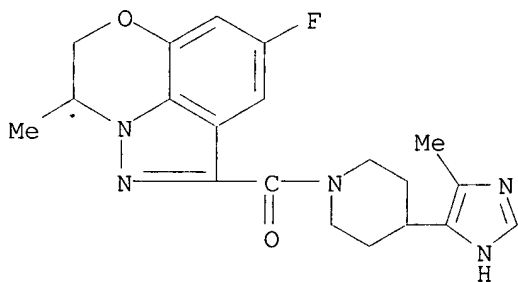
RN 204925-59-7 CAPLUS

CN Piperidine, 1-[(8-fluoro-2,3-dihydro-3-methylpyrazolo[1,5,4-de]-1,4-benzoxazin-6-yl)carbonyl]-4-(5-methyl-1H-imidazol-4-yl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 204925-58-6

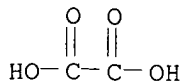
CMF C20 H22 F N5 O2



CM 2

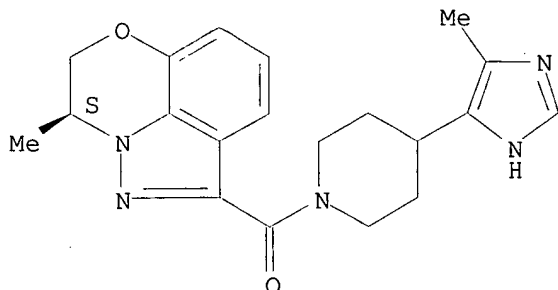
CRN 144-62-7

CMF C2 H2 O4



RN 204925-60-0 CAPLUS
 CN Piperidine, 1-[(2,3-dihydro-3-methylpyrazolo[1,5,4-de]-1,4-benzoxazin-6-yl)carbonyl]-4-(5-methyl-1H-imidazol-4-yl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

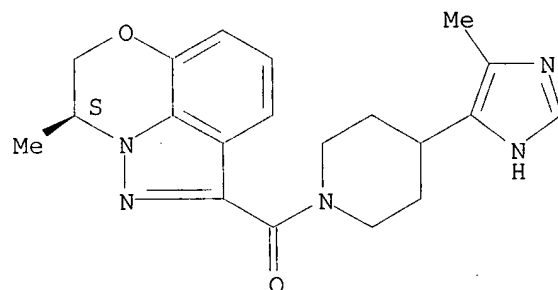


RN 204925-61-1 CAPLUS
 CN Piperidine, 1-[(2,3-dihydro-3-methylpyrazolo[1,5,4-de]-1,4-benzoxazin-6-yl)carbonyl]-4-(5-methyl-1H-imidazol-4-yl)-, (S)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

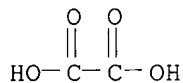
CRN 204925-60-0
 CMF C20 H23 N5 O2

Absolute stereochemistry.



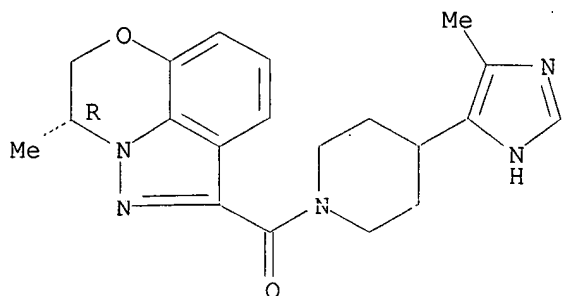
CM 2

CRN 144-62-7
 CMF C2 H2 O4



CN Piperidine, 1-[(2,3-dihydro-3-methylpyrazolo[1,5,4-de]-1,4-benzoxazin-6-yl)carbonyl]-4-(5-methyl-1H-imidazol-4-yl)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

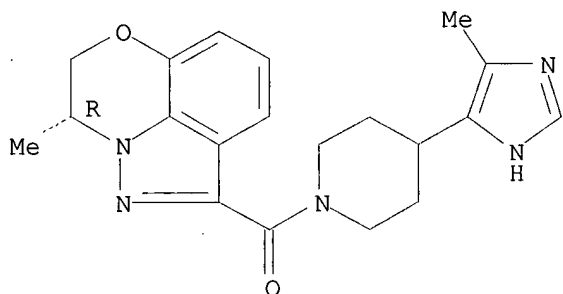


RN 204925-63-3 CAPLUS
 CN Piperidine, 1-[(2,3-dihydro-3-methylpyrazolo[1,5,4-de]-1,4-benzoxazin-6-yl)carbonyl]-4-(5-methyl-1H-imidazol-4-yl)-, (R)-, ethanedioate (1:1)
 (9CI) (CA INDEX NAME)

CM 1

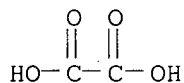
CRN 204925-62-2
 CMF C20 H23 N5 O2

Absolute stereochemistry. Rotation (-).

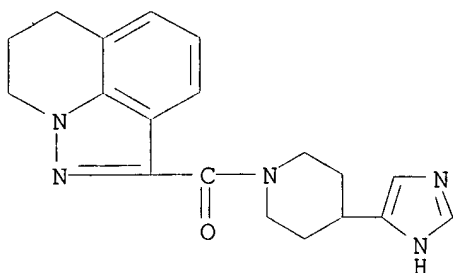


CM 2

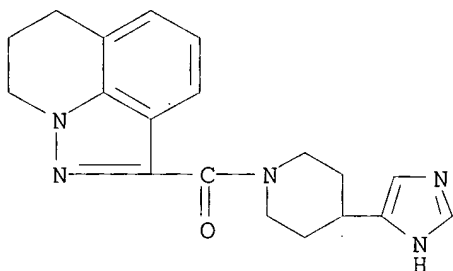
CRN 144-62-7
 CMF C2 H2 O4



RN 204925-64-4 CAPLUS
 CN Piperidine, 1-[(7,8-dihydro-6H-pyrazolo[4,5,1-ij]quinolin-2-yl)carbonyl]-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

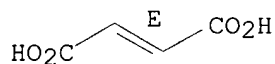


RN 204925-65-5 CAPLUS
CN Piperidine, 1-[(7,8-dihydro-6H-pyrazolo[4,5,1-ij]quinolin-2-yl)carbonyl]-4-(1H-imidazol-4-yl)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)
CM 1
CRN 204925-64-4
CMF C19 H21 N5 O

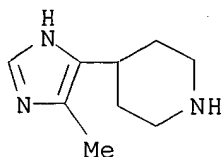


CM 2
CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.

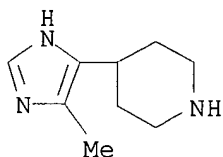


IT 147960-33-6, 4-(5-Methyl-1H-imidazol-4-yl)piperidine dihydrochloride
RL: RCT (Reactant)
(prepn. of pyrazolobenzoxazinoyl imidazolylpiperidides and analogs as 5-HT3 and 5-HT4 receptor antagonists)
RN 147960-33-6 CAPLUS
CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



2 HCl

IT **155511-82-3P**, 4-(5-Methyl-1H-imidazol-4-yl)piperidine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of pyrazolobenzoxazinoyl imidazolylpiperidides and analogs as
5-HT3 and 5-HT4 receptor antagonists)
RN 155511-82-3 CAPLUS
CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



L19 ANSWER 26 OF 81 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1998:124005 CAPLUS
DOCUMENT NUMBER: 128:208908
TITLE: Treatment of upper airway allergic responses with a
combination of histamine receptor antagonists
INVENTOR(S): Kreutner, William; Hey, John A.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|--|----------|-----------------|----------|
| WO 9806394 | A1 | 19980219 | WO 1997-US13903 | 19970813 |
| W: | AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| RW: | GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | |
| AU 9739733 | A1 | 19980306 | AU 1997-39733 | 19970813 |
| AU 722040 | B2 | 20000720 | | |
| EP 920315 | A1 | 19990609 | EP 1997-937153 | 19970813 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, LT, LV, FI, RO | | | |
| BR 9711149 | A | 19990817 | BR 1997-11149 | 19970813 |
| CN 1233179 | A | 19991027 | CN 1997-198713 | 19970813 |

JP 2000505094 T2 20000425 JP 1998-509859 19970813
NO 9900706 A 19990215 NO 1999-706 19990215
PRIORITY APPLN. INFO.: US 1996-689951 A 19960816
WO 1997-US13903 W 19970813

AB Relief from the symptoms of rhinitis is obtained by treatment with: (a) an antihistaminic effective amt. of a histamine H1 receptor antagonist; together with (b) a sufficient amt. of a histamine H3 receptor antagonist to provide a nasal decongestant effect. The components may be administered together in a single dosage form, or sep. in the same or different dosage forms to maintain therapeutic systemic levels of both components. The nasal airways resistance following injection of 3 mg/kg loratadine and 10 mg/kg thioperamide in cats was 2.1 as compared with 10.2 for loratadine alone. A tablet contained H1 antagonist effective amt., H3 antagonist effective amt., lactose 100, 10% corn starch past 5, dried corn starch 25, and magnesium stearate 1.25 mg.

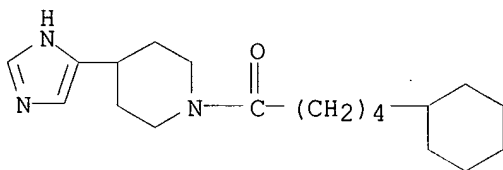
IT 152241-24-2, Gt-2016

RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(treatment of upper airway allergic responses with combination of histamine receptor antagonists)

RN 152241-24-2 CAPLUS

CN Piperidine, 1-(5-cyclohexyl-1-oxopentyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



49 ANSWER 27 OF 81 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1999:183059 CAPLUS

DOCUMENT NUMBER: 130:182465

TITLE: 4-[(1H-Imidazol-4-yl)piperidin-1-yl]anilide
derivatives as inhibitors of the sodium-proton
exchanger

INVENTOR(S): Cremer, Gerard; Daumas, Marc; Adler, Marie Angele;
Dellac, Genevieve; Rouannet, Veronique; Hoornaert,
Christian

PATENT ASSIGNEE(S): Synthelabo S. A., Fr.

SOURCE: Fr. Demande, 25 pp.

CODEN: FRXXBL

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----------------------|------|----------|-----------------|----------|
| FR 2765221 <i>DS</i> | A1 | 19981231 | FR 1997-7900 | 19970625 |
| FR 2765221 | B1 | 19990730 | | |
| WO 9900379 | A1 | 19990107 | WO 1998-FR1288 | 19980619 |

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,

EP, FR, GR, HU, IL, IN, IS, IT, JP, KR, KZ, LC, LI, LU, LV, MD, MG, MK, MN, MW, MX,
NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
CM, GA, GN, ML, MR, NE, SN, TD, TG

AU 9882206 A1 19990119 AU 1998-82206 19980619

EP 991639 A1 20000412 EP 1998-932237 19980619

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,
SI, LT, LV, FI, RO

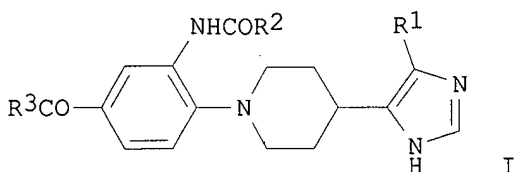
ZA 9805518 A 19990128 ZA 1998-5518 19980624

PRIORITY APPLN. INFO.: FR 1997-7900 19970625

WO 1998-FR1288 19980619

OTHER SOURCE(S): MARPAT 130:182465

GI



AB Title compds. I [R1 = H, alkyl; R2 = alkyl, cycloalkyl, cycloalkylalkyl;
R3 = (un)substituted OH, alkoxy, aminoalkoxy, NHC(:NH)NH2, NHC(:NH)NMe2,
amino, aminoalkylamino, heterocyclic amino] were prep'd. for use as
inhibitors of the sodium-proton exchanger (no data). Thus, I [R1 = Me,
R2 = cyclopropyl, R3 = 4-methylpiperazino] was prep'd. from
4,3-F(O2N)C6H3CO2H in 8 steps via coupling with the imidazolylpiperidine
fragment, acylation with cyclopropanecarbonyl chloride, and reaction with
N-methylpiperazine.

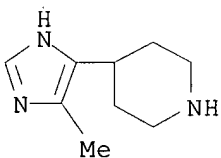
IT 147960-33-6

RL: RCT (Reactant)

(prepn. of imidazolylpiperidinybenzamides as sodium proton exchanger
inhibitors)

RN 147960-33-6 CAPLUS

CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA
INDEX NAME)



Same as ref 25

2 HCl

~~19~~ ANSWER 28 OF 81 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1998:694227 CAPLUS

DOCUMENT NUMBER: 130:90056

TITLE: Nonpeptide Somatostatin Agonists with sst4
Selectivity: Synthesis and Structure-Activity
Relationships of Thioureas

AUTHOR(S): Liu, Shenquan; Tang, Cheng; Ho, Bin; Ankersen,

CORPORATE SOURCE: Michael; Stidsen, Carsten E.; Crider, A. Michael
Division of Basic Pharmaceutical Sciences School of
Pharmacy, Northeast Louisiana University, Monroe, LA,
71209-0470, USA

SOURCE: J. Med. Chem. (1998), 41(24), 4693-4705
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Utilizing NNC 26-9100 as a structural lead, a variety of nonpeptide derivs. of somatostatin were synthesized and evaluated for sst2 and sst4 receptor binding affinity. A novel thiourea scaffold was utilized to attach (1) a heteroarom. nucleus to mimic the Trp8 residue, (2) a nonheteroarom. nucleus to mimic Phe7, and (3) a primary amine or other basic group to mimic the Lys9 residue of somatostatin. Displacement studies were carried out using membranes from cell lines expressing ssts [BHK cells (sst4) and HEK 293 cells (sst2)] utilizing [¹²⁵I]Tyr11-SRIF as the radioligand. Several thioureas and an urea deriv. exhibited Ki values of less than 100 nM. Two thioureas and the urea deriv. are believed to be the most potent nonpeptide sst4 agonists known with Ki of 6, 16, and 14 nM, resp. Since the thiourea and the urea derivs. exhibit high sst4 selectivity, these novel nonpeptide derivs. may be useful tools for studying the sst4 receptor. Studies are currently in progress to evaluate the therapeutic potential of NNC 26-9100 in the treatment of glaucoma.

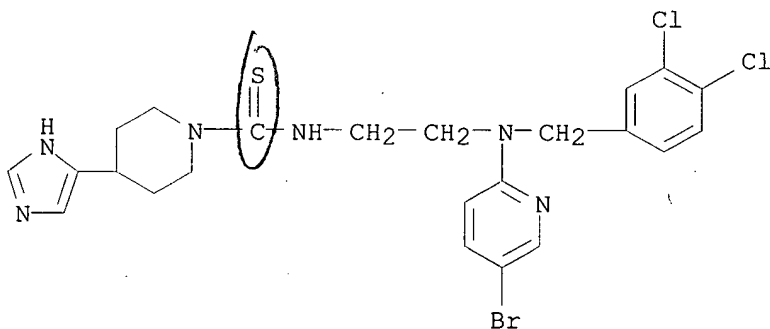
IT 207276-71-9P

RL: BAC (Biological activity or effector, except adverse); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of thioureas as somatostatin agonists with sst4 selectivity)

RN 207276-71-9 CAPLUS

CN 1-Piperidinecarbothioamide, N-[2-[(5-bromo-2-pyridinyl)[(3,4-dichlorophenyl)methyl]amino]ethyl]-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



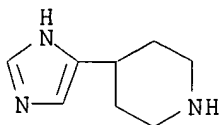
IT 51746-88-4, 4-(Piperidin-4-yl)-1H-imidazole dihydrochloride

RL: RCT (Reactant)

(prepn. of thioureas as somatostatin agonists with sst4 selectivity)

RN 51746-88-4 CAPLUS

CN Piperidine, 4-(1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



2 HCl

REFERENCE COUNT: 37
REFERENCE(S): (1) Ankersen, M; J Am Chem Soc 1998, V120, P1368
CAPLUS
(2) Bass, R; Mol Pharmacol 1996, V50, P709 CAPLUS
(3) Bauer, W; Life Sci 1982, V31, P1133 CAPLUS
(4) Bell, F; J Med Chem 1995, V38, P4929 CAPLUS
(5) Brazeau, P; Science 1973, V179, P77 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

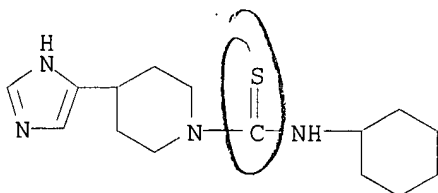
~~149~~ ANSWER 29 OF 81 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1998:661053 CAPLUS
DOCUMENT NUMBER: 130:76523
TITLE: Thioperamide, a histamine H3 receptor antagonist,
suppresses NPY-but not Dynorphin A-induced feeding in
rats
AUTHOR(S): Itoh, Etsuro; Fujimiya, Mineko; Inui, Akio
CORPORATE SOURCE: Pharmaceutical Research Dept., Ube Research
Laboratory, UBE Industries Ltd., Ube, Yamaguchi,
755-8633, Japan
SOURCE: Regul. Pept. (1998), 75-76, 373-376
CODEN: REPPDY; ISSN: 0167-0115
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Whether or not neuropeptide Y (NPY)-induced feeding in rats is influenced
by the histaminergic system in the brain was investigated by
intracerebroventricular (i.c.v.) administration of a selective histamine
H3 receptor antagonist prior to i.c.v. administration of NPY. NPY (10
.mu.g/10 .mu.l) strongly induced feeding in sated rats during the light
phase of the day. Dynorphin A1-17 (10 .mu.g/10 .mu.l), a kappa-opioid
agonist, and rat pancreatic polypeptide (rPP, 30 .mu.g/10 .mu.l) also
stimulated ingestive behavior in sated rats, but food intake in both cases
was less than that induced by NPY. Thioperamide maleate, a specific
histamine H3 receptor antagonist (408.5 .mu.g/10 .mu.l) reduced the
feeding response to NPY by 52%, but not to dynorphin A1-17 and rPP.
Thioperamide at i.c.v. doses of 40.8-408.5 .mu.g/10 .mu.l had no effect on
food intake in sated rats. These results suggest that the thioperamide
may have a specific effect on NPY receptor-mediated neuronal systems
related to feeding.

IT 148440-81-7
RL: BAC (Biological activity or effector, except adverse); BIOL
(Biological study)
(histamine H3 receptor antagonist thioperamide suppresses
neuropeptide-Y- but not Dynorphin A-induced feeding in rats in relation
to brain histaminergic system)

RN 148440-81-7 CAPLUS
CN 1-Piperidinecarbothioamide, N-cyclohexyl-4-(1H-imidazol-4-yl)-,
(2Z)-2-butenedioate (9CI) (CA INDEX NAME)

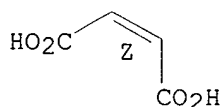
CM 1

CRN 106243-16-7
CMF C15 H24 N4 S

CM 2

CRN 110-16-7
CMF C4 H4 O4
CDES 2:Z

Double bond geometry as shown.



REFERENCE COUNT:

24

REFERENCE(S):

- (2) Chavkin, C; Science 1982, V215, P413 CAPLUS
- (3) Clark, J; Endocrinology 1984, V115, P427 CAPLUS
- (4) Hagan, M; Peptides 1994, V15, P243 CAPLUS
- (5) Hagan, M; Pharmacol Biochem Behav 1993, V46, P679 CAPLUS
- (6) Hagan, M; Pharmacol Biochem Behav 1993, V45, P941 CAPLUS

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 30 OF 81 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1998:131072 CAPLUS

DOCUMENT NUMBER: 128:204837

TITLE: trans-4-Methyl-3-imidazolyl pyrrolidine as a potent, highly selective histamine H3 receptor agonist in vivo
AUTHOR(S): Shih, Neng-Yang; Aslanian, Robert; Lupo, Andrew T., Jr.; Orlando, Steve; Piwinski, John J.; Green, Michael J.; Ganguly, Ashit K.; West, Robert; Tozzi, Salvatore; Kreutner, William; Hey, John A.

CORPORATE SOURCE: Department of Chemical Research, Schering-Plough Research Institute, Kenilworth, NJ, 07033-0539, USA

SOURCE: Bioorg. Med. Chem. Lett. (1998), 8(3), 243-248

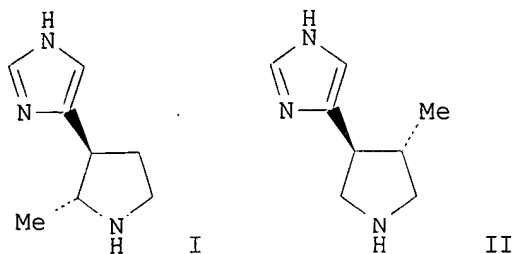
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB Extensive structural modification of imnepyr, (+)-I, led to the discovery of trans-4-methyl-3-imidazolyl pyrrolidine, (+-)-II, as a potent and highly selective H3 agonist. (+-)-II was resolved, and its (+) enantiomer, Sch 50971, showed a greater sepn. of H3 and H1 activities in vivo (H3/H1 ratio >> 330) than (R)-.alpha.-methylhistamine (H3/H1 ratio = 17), the std. H3 agonist.

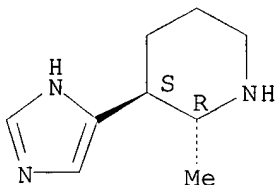
IT 203873-92-1P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and histamine H3 receptor agonist activity of imidazolylpyrrolidines)

RN 203873-92-1 CAPLUS

CN Piperidine, 3-(1H-imidazol-4-yl)-2-methyl-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



119 ANSWER 31 OF 81 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1998:639596 CAPLUS

DOCUMENT NUMBER: 130:60536

TITLE: Development of a sensitive and quantitative analytical method for 1H-4-substituted imidazole histamine H3-receptor antagonists utilizing high-performance liquid chromatography and dabsyl derivatization
AUTHOR(S): Handley, Michael K.; Hirth, Walter W.; Phillips, James G.; Ali, Syed M.; Khan, Amin; Fadnis, Leena; Tedford, Clark E.

CORPORATE SOURCE: Gliatech, Inc., Cleveland, OH, 44122, USA

SOURCE: J. Chromatogr., B: Biomed. Sci. Appl. (1998), 716(1 + 2), 239-249

CODEN: JCBBEP; ISSN: 0378-4347

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A sensitive and versatile anal. method utilizing high-performance liq. chromatog. (HPLC) and precolumn derivatization of 1H-4-substituted imidazole compds. is described. A HPLC method using 4-dimethylaminoazobenzene-4'-sulfonyl chloride (dabsyl chloride) and UV detection was developed for the anal. of histamine (HA) H3-selective

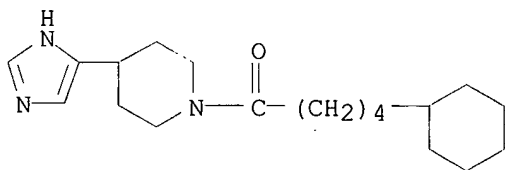
comps. in human plasma, rat plasma, or homogenized rat cortical tissue. The av. intra- and inter-assay variability, over a range of 10 to 0.01 .mu.g/mL, was detd. to be acceptable. The lower limit of detection for the dabsylated ligands was estd. to be <1.0 ng/mL while the lower limit of quantitation (LLOQ) was detd. to be 10 ng/mL of conjugate. This assay has demonstrated it's suitability for the sensitive quantitation of several structurally diverse 1H-4-substituted imidazole HA H3-receptor antagonists in biol. matrixes for pharmacokinetic and biodistribution studies.

IT 152241-24-2, GT-2016

RL: ANT (Analyte); ANST (Analytical study)
(sensitive and quant. anal. method for substituted imidazole histamine H3-receptor antagonists in blood and cortical tissue utilizing high-performance liq. chromatog. and dabsyl derivatization and UV detection)

RN 152241-24-2 CAPLUS

CN Piperidine, 1-(5-cyclohexyl-1-oxopentyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

33

REFERENCE(S):

- (1) Arrang, J; Nature 1983, V302, P832 CAPLUS
 - (2) Arrang, J; Nature 1987, V327, P117 CAPLUS
 - (3) Chang, J; Biochem J 1981, V199, P547 CAPLUS
 - (5) Drnevich, D; J Chromatogr 1993, V613, P137 CAPLUS
 - (6) Dunnett, M; J Chromatogr B 1997, V688, P47 CAPLUS
- ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~119~~ ANSWER 32 OF 81 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1997:425332 CAPLUS

DOCUMENT NUMBER: 127:29107

TITLE: Analgesic compounds and uses thereof

INVENTOR(S): Hough, Lindsay B.

PATENT ASSIGNEE(S): Albany Medical College, USA

SOURCE: PCT Int. Appl., 52 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--------------------|--|----------|-----------------|----------|
| WO 9717954 | A1 | 19970522 | WO 1996-US17855 | 19961108 |
| W: | AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| RW: | KE, LS, MW, SD, SZ, UG, ZM, ZW, BF, CH, DE, DK, EE, ES, FI, FR, GB, GR, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AR, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, FR, GB, GR, GU, HK, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NI, NL, NO, NZ, OM, PA, PE, PG, PH, PK, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, SM, SN, SR, ST, SV, SW, SZ, TD, TG, TH, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW | | | |
| MR, NE, SN, TD, TG | | | | |
| CA 2237384 | AA | 19970522 | CA 1996-2237384 | 19961108 |
| AU 9711174 | A1 | 19970605 | AU 1997-11174 | 19961108 |

EP 861075 A1 19980902 EP 1996-941975 19961108

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, FI

PRIORITY APPLN. INFO.:

US 1995-6624 19951113

WO 1996-US17855 19961108

OTHER SOURCE(S): MARPAT 127:29107.

AB Analgesic compds. are claimed. Methods for using these compds. in
reducing pain and brain-penetrating derivs. of these compds. are also
described. The analgesic activity is given for compds. such as
burmiamide, SKF 92374, and metiamide.

IT 190971-22-3, VUF 5261

RL: BAC (Biological activity or effector, except adverse); THU
(Therapeutic use); BIOL (Biological study); USES (Uses)
(analgesic compds.)

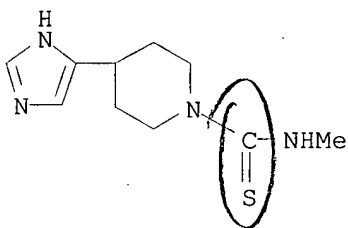
RN 190971-22-3 CAPLUS

CN 1-Piperidinecarbothioamide, 4-(1H-imidazol-4-yl)-N-methyl-, ethanedioate
(1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 106243-61-2

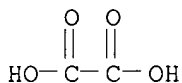
CMF C10 H16 N4 S



CM 2

CRN 144-62-7

CMF C2 H2 O4



L19 ANSWER 33 OF 81 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1998:26879 CAPLUS

DOCUMENT NUMBER: 128:162536

TITLE: Novel qualitative structure-activity relationships for
the antinociceptive actions of H2 antagonists, H3
antagonists and derivativesAUTHOR(S): Hough, L. B.; Nalwalk, J. W.; Li, B. Y.; Leurs, R.;
Menge, W. M. P. B.; Timmerman, H.; Carlile, M. E.;
Cioffi, C.; Wentland, M.CORPORATE SOURCE: Department of Pharmacology and Neuroscience, Albany
Medical College, Albany, NY, USA

SOURCE: J. Pharmacol. Exp. Ther. (1997), 283(3), 1534-1543

CODEN: JPETAB; ISSN: 0022-3565

PUBLISHER: Williams & Wilkins

DOCUMENT TYPE: Journal

Searched by Barb O'Bryen, STIC 308-4291

LANGUAGE: English

AB Recent studies have shown that cimetidine, burimamide and improgan (also known as SKF92374, a cimetidine congener lacking H2 antagonist activity) induce antinociception after intracerebroventricular administration in rodents. Because these substances closely resemble the structure of histamine (a known mediator of some endogenous analgesic responses), yet no role for known histamine receptors has been found in the analgesic actions of these agents, the structure-activity relationships for the antinociceptive effects of 21 compds. chem. related to H2 and H3 antagonists were investigated in this study. Antinociceptive activity was assessed on the hot-plate and tail-flick tests after intracerebroventricular administration in rats. Eleven compds. induced time-dependent (10-min peak) and dose-dependent antinociceptive activity with no observable behavioral impairment. ED50 values, estd. by nonlinear regression, were highly correlated across nociceptive assays ($r^2 = 0.98$, $n = 11$). Antinociceptive potencies varied more than 6-fold (80-464 nmol), but were not correlated with activity on H1, H2 or H3 receptors. Although highly potent H3 antagonists such as thioperamide lacked antinociceptive activity, homologs of burimamide and thioperamide contg. N-arom. substituents retained H3 antagonist activity and also showed potent, effective analgesia. A literature review of the pharmacol. of these agents did not find a basis for their antinociceptive effects. Taken with previous findings, the present results suggest: (1) these compds. act on the brain to activate powerful analgesic responses that are independent of known histamine receptors, (2) the structure-activity profile of these agents is novel and (3) brain-penetrating derivs. of these compds. could be clin. useful analgesics.

IT 190971-22-3, VUF 5261

RL: BAC (Biological activity or effector, except adverse); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (VUF 5261; structure-activity relationships for the antinociceptive actions of H2 antagonists, H3 antagonists and their derivs.)

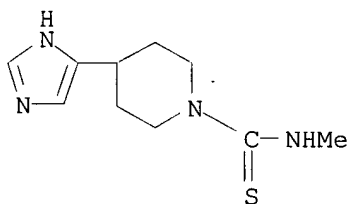
RN 190971-22-3 CAPLUS

CN 1-Piperidinecarbothioamide, 4-(1H-imidazol-4-yl)-N-methyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 106243-61-2

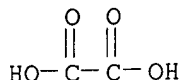
CMF C10 H16 N4 S



CM 2

CRN 144-62-7

CMF C2 H2 O4

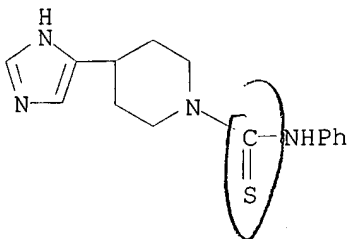


IT 106243-82-7, VUF5262

RL: BAC (Biological activity or effector, except adverse); PRP
(Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(structure-activity relationships for the antinociceptive actions of H2
antagonists, H3 antagonists and their derivs.)

RN 106243-82-7 CAPLUS

CN 1-Piperidinecarbothioamide, 4-(1H-imidazol-4-yl)-N-phenyl- (9CI) (CA
INDEX NAME)



L19 ANSWER 34 OF 81 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1997:698528 CAPLUS

DOCUMENT NUMBER: 128:43409

TITLE: In vitro characterization of potency, affinity and
selectivity of H3-antagonists: from thioperamide to
thioperamide unrelated imidazole derivatives

AUTHOR(S): Barocelli, Elisabetta; Ballabeni, Vigilio; Caretta,
Antonio; Bertoni, Simona; Bordi, Fabrizio; Rivara,
Silvia; Silva, Claudia; Mor, Marco; Impicciatore,
Mariannina

CORPORATE SOURCE: Istituto di Farmacologia e Farmacognosia, Facolta di
Farmacia, Universita degli Studi di Parma, Parma,
43100, Italy

SOURCE: Farmaco (1997), 52(6-7), 463-469

CODEN: FRMCE8; ISSN: 0014-827X

PUBLISHER: Societa Chimica Italiana

DOCUMENT TYPE: Journal

LANGUAGE: English

AB This paper summarizes the findings obtained for three different series of
original compds. designed as potential H3-antagonists starting from
thioperamide structure. The compds. were tested in functional and binding
assays to est. their potency, affinity and selectivity for histamine H3
receptors. Among them, many non-thiourea/isothiourea derivs. acted as
selective H3 competitive antagonists and, particularly,
4(5)-[2-[4(5)-cyclohexylimidazol-2-ylthio]ethyl] imidazole proved to be
the most potent H3 blocker vs. (R)-.alpha.-methylhistamine in
elec.-stimulated ileum. This imidazole deriv., devoid of thiourea
dependent toxic effects, with high affinity displaced biphasically
[3H]-N.alpha.-methylhistamine bound to rat brain H3 sites. Thus, such
compd. could be proposed as the prototype mol. for the development of new
non-thiourea/isothiourea H3-antagonists and as exptl. tool to explore the
intriguing question of H3 receptor heterogeneity.

IT 146365-89-1 147960-34-7

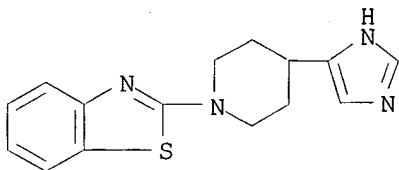
RL: BAC (Biological activity or effector, except adverse); PRP

(Properties); BIOL (Biological study)

(affinity, potency and selectivity of thioperamide and imidazole
derivs. as H3-antagonists)

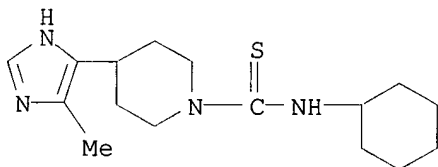
RN 146365-89-1 CAPLUS

CN Benzothiazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX
NAME)



RN 147960-34-7 CAPLUS

CN 1-Piperidinecarbothioamide, N-cyclohexyl-4-(5-methyl-1H-imidazol-4-yl)-
(9CI) (CA INDEX NAME)



~~1479~~ ANSWER 35 OF 81 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1997:141341 CAPLUS

DOCUMENT NUMBER: 126:246349

TITLE: Novel histamine H3 receptor antagonists: synthesis and
evaluation of formamidine and S-methylisothiurea
derivatives

AUTHOR(S): Goto, Tomokazu; Sakashita, Hiroshi; Murakami, Kazuki;
Sugiura, Masanori; Kondo, Takao; Fukaya, Chikara

CORPORATE SOURCE: Res. Div., Green Cross Corp., Osaka, 573, Japan

SOURCE: Chem. Pharm. Bull. (1997), 45(2), 305-311

CODEN: CPBTAL; ISSN: 0009-2363

PUBLISHER: Pharmaceutical Society of Japan

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 126:246349

AB To obtain a new, potent and selective histamine H3 receptor antagonist,
chem. modifications of thioperamide, a well-known H3 receptor affinity by
receptor binding assay using plasma membrane from rat cerebral cortex.
The thiourea group of thioperamide was replaceable with a basic moiety
such as formamidine or S-methylisothiurea. Replacement of the cyclohexyl
group in thioperamide by a 1-adamantyl or an exo-2-norbornyl group
increased the affinity for H3 receptor. Among the compds. synthesized,
N-(1-adamantyl)-N',N''-[3-(4(5)-1H-imidazolyl)pentamethylene]formamidine
(AQ0145) showed the highest H3 receptor affinity, having a potent
antagonistic activity. This compd. was at least 1000-fold more active
towards H3 than towards H1 and H2 receptors.

1479 1479 1479 1479 1479 1479 1479 1479 1479 1479

1479 1479 1479 1479 1479 1479 1479 1479 1479 1479

188606-06-6P 188606-08-8P 188606-11-3P

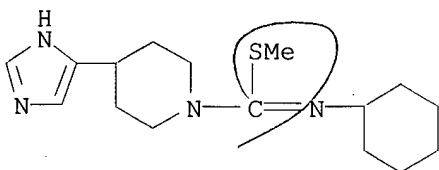
188606-14-6P 188606-17-9P 188606-21-5P

188606-23-7P 188606-25-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(intermediate; synthesis and evaluation of formamidine and
methylothiourea derivs. as novel histamine H3 receptor antagonists)

RN 159147-51-0 CAPLUS

CN 1-Piperidinecarboximidothioic acid, N-cyclohexyl-4-(1H-imidazol-4-yl)-,
methyl ester (9CI) (CA INDEX NAME)



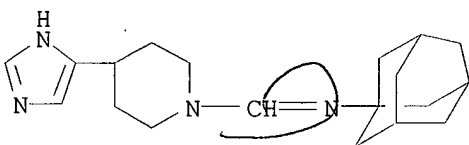
RN 188605-87-0 CAPLUS

CN Piperidine, 4-(1H-imidazol-4-yl)-1-[(tricyclo[3.3.1.1^{3,7}]dec-1-ylimino)methyl]-, compd. with 2,4,6-trinitrophenol (9CI) (CA INDEX NAME)

CM 1

CRN 159147-42-9

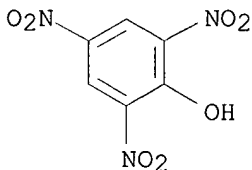
CMF C19 H28 N4



CM 2

CRN 88-89-1

CMF C6 H3 N3 O7



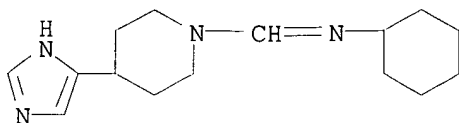
RN 188605-89-2 CAPLUS

CN Piperidine, 1-[(cyclohexylimino)methyl]-4-(1H-imidazol-4-yl)-, compd. with
2,4,6-trinitrophenol (9CI) (CA INDEX NAME)

CM 1

CRN 188605-88-1

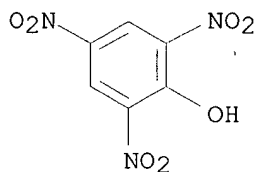
CMF C15 H24 N4



CM 2

CRN 88-89-1

CMF C6 H3 N3 O7



RN 188605-92-7 CAPLUS

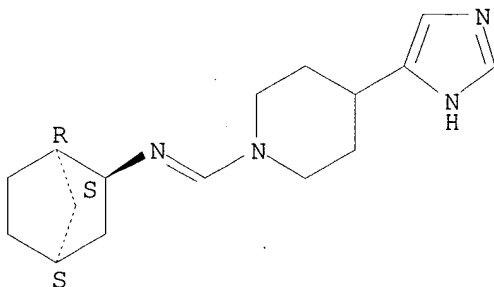
CN Piperidine, 1-[(bicyclo[2.2.1]hept-2-ylimino)methyl]-4-(1H-imidazol-4-yl)-, endo-, compd. with 2,4,6-trinitrophenol (9CI) (CA INDEX NAME)

CM 1

CRN 188605-91-6

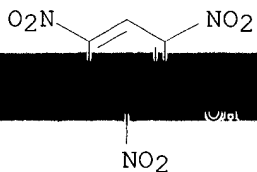
CMF C16 H24 N4

Relative stereochemistry.
Double bond geometry unknown.



CM 2

CRN 88-89-1

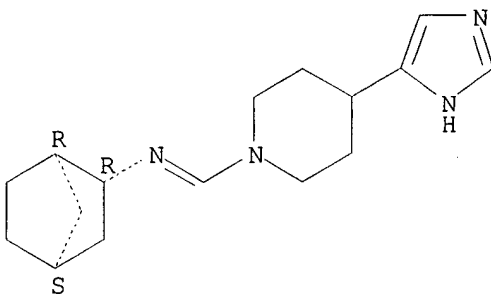
CMF C6 H3 N3 O7
7

RN 188605-94-9 CAPLUS
CN Piperidine, 1-[(bicyclo[2.2.1]hept-2-ylimino)methyl]-4-(1H-imidazol-4-yl)-, exo-, compd. with 2,4,6-trinitrophenol (9CI) (CA INDEX NAME)

CM 1

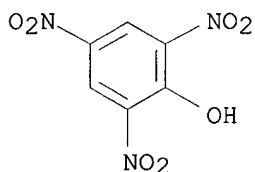
CRN 159147-43-0
CMF C16 H24 N4
CDES 2:EXO

Relative stereochemistry.
Double bond geometry unknown.



CM 2

CRN 88-89-1
CMF C6 H3 N3 O7

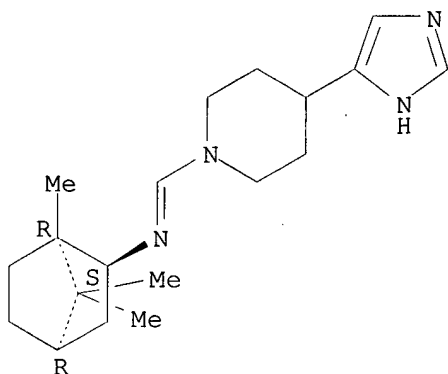


RN 188605-97-2 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-[[[(1,7,7-trimethylbicyclo[2.2.1]hept-2-yl)imino]methyl]-, endo-, compd. with 2,4,6-trinitrophenol (9CI) (CA INDEX NAME)

CM 1

CRN 188605-96-1
CMF C19 H30 N4

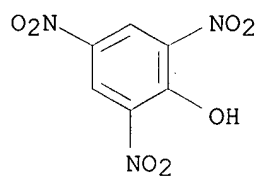
Relative stereochemistry.
Double bond geometry unknown.



CM 2

CRN 88-89-1

CMF C6 H3 N3 O7

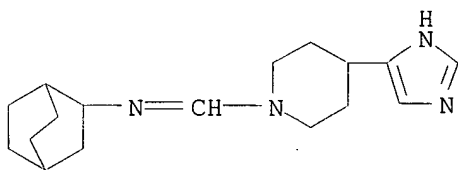


RN 188606-00-0 CAPLUS
CN Piperidine, 1-[(bicyclo[2.2.2]oct-2-ylimino)methyl]-4-(1H-imidazol-4-yl)-,
compd. with 2,4,6-trinitrophenol (9CI) (CA INDEX NAME)

CM 1

CRN 188605-99-4

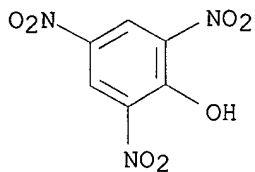
CMF C17 H26 N4



CM 2

CRN 88-89-1

CMF C6 H3 N3 O7



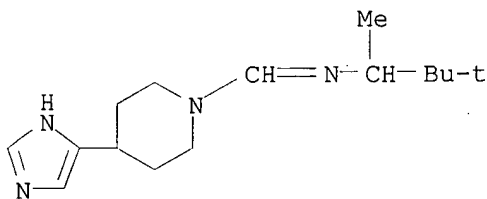
RN 188606-02-2 CAPLUS

CN Piperidine, 4-(1H-imidazol-4-yl)-1-[[[(1,2,2-trimethylpropyl)imino]methyl]-, compd. with 2,4,6-trinitrophenol (9CI) (CA INDEX NAME)

CM 1

CRN 188606-01-1

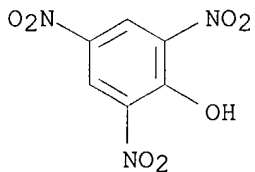
CMF C15 H26 N4



CM 2

CRN 88-89-1

CMF C6 H3 N3 O7



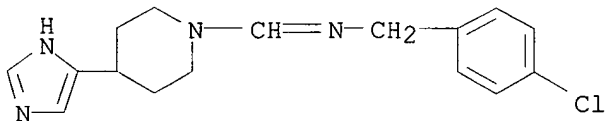
RN 188606-04-4 CAPLUS

CN Piperidine, 1-[[[(4-chlorophenyl)methyl]imino]methyl]-4-(1H-imidazol-4-yl)-, compd. with 2,4,6-trinitrophenol (9CI) (CA INDEX NAME)

CM 1

CRN 188606-03-3

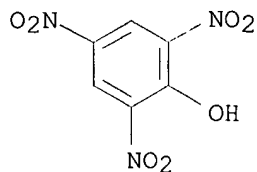
CMF C16 H19 Cl N4



CM 2

CRN 88-89-1

CMF C6 H3 N3 O7



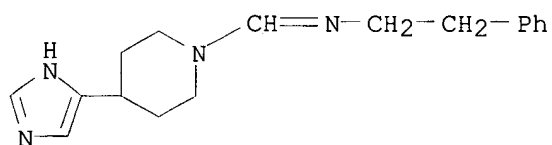
RN 188606-06-6 CAPLUS

CN Piperidine, 4-(1H-imidazol-4-yl)-1-[[(2-phenylethyl)imino]methyl]-, compd. with 2,4,6-trinitrophenol (9CI) (CA INDEX NAME)

CM 1

CRN 188606-05-5

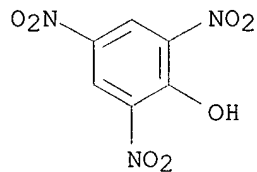
CMF C17 H22 N4



CM 2

CRN 88-89-1

CMF C6 H3 N3 O7



RN 188606-08-8 CAPLUS

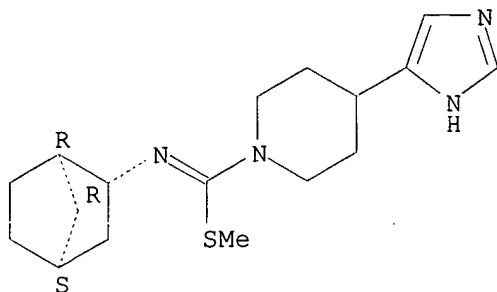
CN 1-Piperidinecarboximidothioic acid, N-bicyclo[2.2.1]hept-2-yl-4-(1H-imidazol-4-yl)-, methyl ester, exo-, compd. with 2,4,6-trinitrophenol (9CI) (CA INDEX NAME)

CM 1

CRN 188606-07-7

CMF C17 H26 N4 S

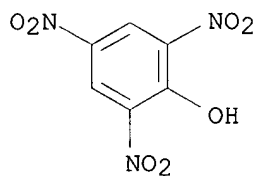
Relative stereochemistry



CM 2

CRN 88-89-1

CMF C6 H3 N3 O7



RN 188606-11-3 CAPLUS

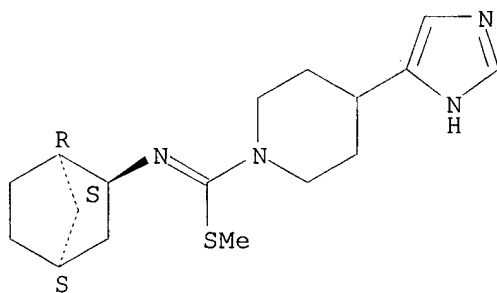
CN 1-Piperidinecarboximidothioic acid, N-bicyclo[2.2.1]hept-2-yl-4-(1H-imidazol-4-yl)-, methyl ester, endo-, compd. with 2,4,6-trinitrophenol (9CI) (CA INDEX NAME)

CM 1

CRN 188606-10-2

CMF C17 H26 N4 S

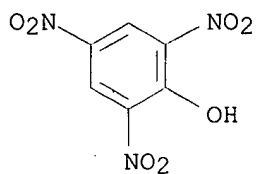
Relative stereochemistry.
Double bond geometry unknown.



CM 2

CRN 88-89-1

CMF C6 H3 N3 O7



RN 188606-14-6 CAPLUS

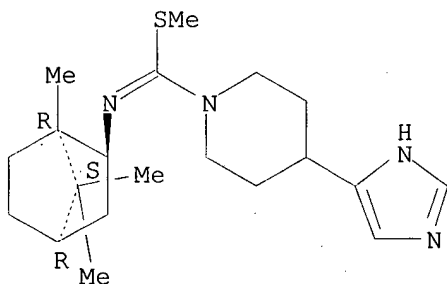
CN 1-Piperidinecarboximidothioic acid, 4-(1H-imidazol-4-yl)-N-(1,7,7-trimethylbicyclo[2.2.1]hept-2-yl)-, methyl ester, endo-, compd. with 2,4,6-trinitrophenol (9CI) (CA INDEX NAME)

CM 1

CRN 188606-13-5

CMF C20 H32 N4 S

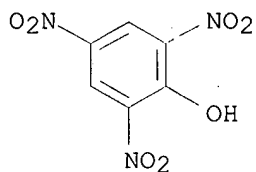
Relative stereochemistry.
Double bond geometry unknown.



CM 2

CRN 88-89-1

CMF C6 H3 N3 O7

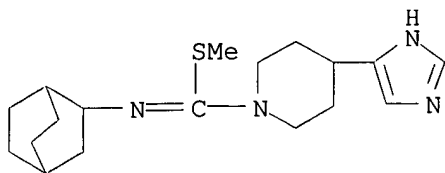


RN 188606-17-9 CAPLUS

CN 1-Piperidinecarboximidothioic acid, N-bicyclo[2.2.2]oct-2-yl-4-(1H-imidazol-4-yl)-, methyl ester, compd. with 2,4,6-trinitrophenol (9CI) (CA INDEX NAME)

CM 1

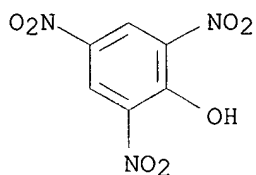
CRN 188606-16-8



CM 2

CRN 88-89-1

CMF C6 H3 N3 O7



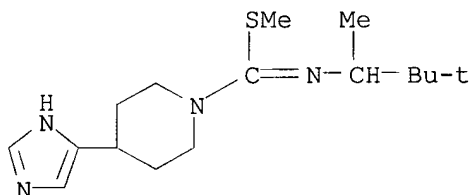
RN 188606-21-5 CAPLUS

CN 1-Piperidinecarboximidothioic acid, 4-(1H-imidazol-4-yl)-N-(1,2,2-trimethylpropyl)-, methyl ester, compd. with 2,4,6-trinitrophenol (9CI)
(CA INDEX NAME)

CM 1

CRN 188606-20-4

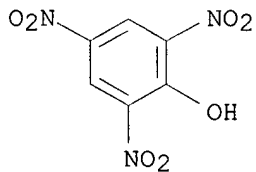
CMF C16 H28 N4 S



CM 2

CRN 88-89-1

CMF C6 H3 N3 O7



RN 188606-23-7 CAPLUS

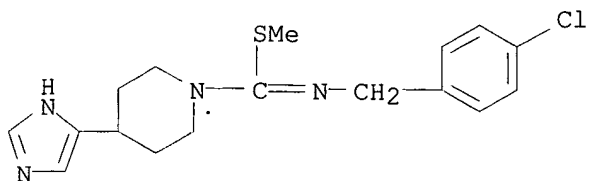
CN 1-Piperidinecarboximidothioic acid, N-[(4-chlorophenyl)methyl]-4-(1H-

imidazol-4-yl)-, methyl ester, compd. with 2,4,6-trinitrophenol (9CI) (CA INDEX NAME)

CM 1

CRN 188606-22-6

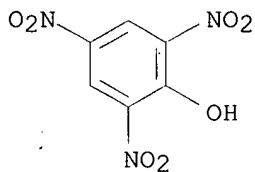
CMF C17 H21 Cl N4 S



CM 2

CRN 88-89-1

CMF C6 H3 N3 O7



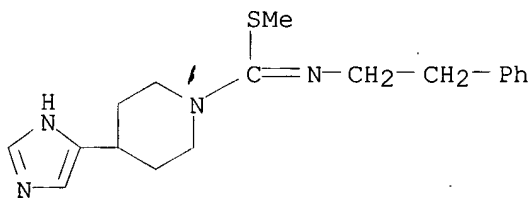
RN 188606-25-9 CAPLUS

CN 1-Piperidinecarboximidothioic acid, 4-(1H-imidazol-4-yl)-N-(2-phenylethyl)-, methyl ester, compd. with 2,4,6-trinitrophenol (9CI) (CA INDEX NAME)

CM 1

CRN 188606-24-8

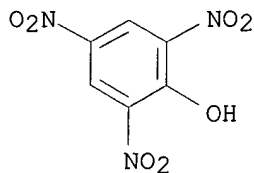
CMF C18 H24 N4 S



CM 2

CRN 88-89-1

CMF C6 H3 N3 O7



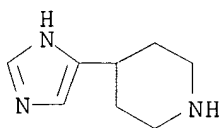
IT 51746-88-4

RL: RCT (Reactant)

(reactant; synthesis and evaluation of formamidine and methylisothiurea derivs. as novel histamine H3 receptor antagonists)

RN 51746-88-4 CAPLUS

CN Piperidine, 4-(1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



2 HCl

IT 106243-20-3P 106243-82-7P 143412-19-5P

159147-60-1P 159147-61-2P 159147-62-3P

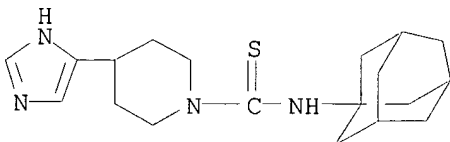
159147-63-4P 188605-77-8P 188605-78-9P

188605-79-0P

RL: BAC (Biological activity or effector, except adverse); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

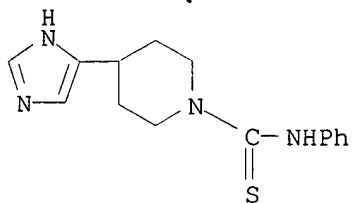
(synthesis and evaluation of formamidine and methylisothiurea derivs. as novel histamine H3 receptor antagonists)

RN 106243-20-3 CAPLUS

CN 1-Piperidinecarbothioamide, 4-(1H-imidazol-4-yl)-N-tricyclo[3.3.1.1^{3,7}]dec-1-yl- (9CI) (CA INDEX NAME)

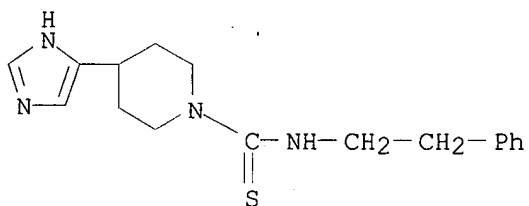
RN 106243-82-7 CAPLUS

CN 1-Piperidinecarbothioamide, 4-(1H-imidazol-4-yl)-N-phenyl- (9CI) (CA INDEX NAME)



RN 143412-19-5 CAPLUS

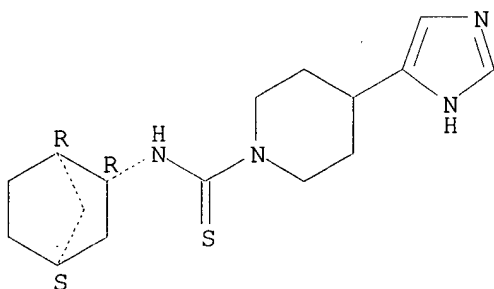
CN 1-Piperidinecarbothioamide, 4-(1H-imidazol-4-yl)-N-(2-phenylethyl)- (9CI)
(CA INDEX NAME)



RN 159147-60-1 CAPLUS

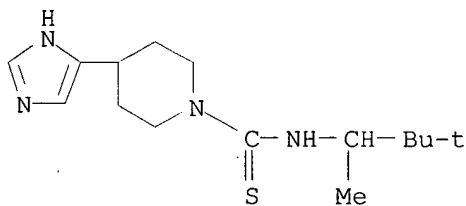
CN 1-Piperidinecarbothioamide, N-bicyclo[2.2.1]hept-2-yl-4-(1H-imidazol-4-yl)-, exo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

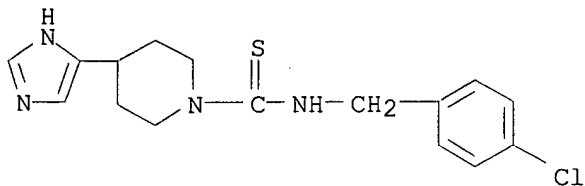


RN 159147-61-2 CAPLUS

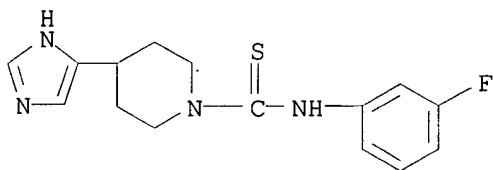
CN 1-Piperidinecarbothioamide, 4-(1H-imidazol-4-yl)-N-(1,2,2-trimethylpropyl)- (9CI) (CA INDEX NAME)



yl)- (9CI) (CA INDEX NAME)



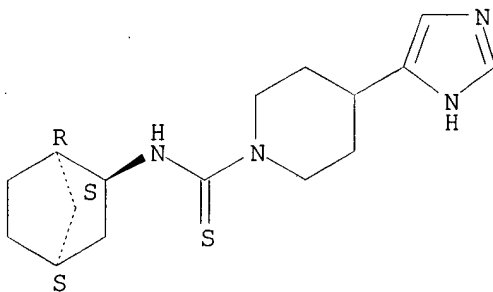
RN 159147-63-4 CAPLUS

CN 1-Piperidinecarbothioamide, N-(3-fluorophenyl)-4-(1H-imidazol-4-yl)- (9CI)
(CA INDEX NAME)

RN 188605-77-8 CAPLUS

CN 1-Piperidinecarbothioamide, N-bicyclo[2.2.1]hept-2-yl-4-(1H-imidazol-4-yl)-, endo- (9CI) (CA INDEX NAME)

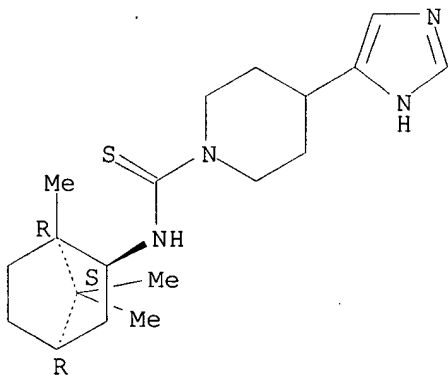
Relative stereochemistry.



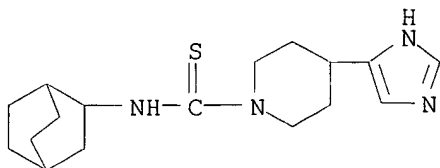
RN 188605-78-9 CAPLUS

CN 1-Piperidinecarbothioamide, 4-(1H-imidazol-4-yl)-N-(1,7,7-trimethylbicyclo[2.2.1]hept-2-yl)-, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



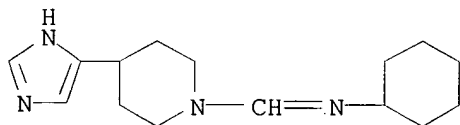
RN 188605-79-0 CAPLUS
CN 1-Piperidinecarbothioamide, N-bicyclo[2.2.2]oct-2-yl-4-(1H-imidazol-4-yl)-
(9CI) (CA INDEX NAME)



IT 159147-41-8P 159147-44-1P 159147-45-2P
159147-46-3P 159147-48-5P 159147-49-6P
159147-52-1P 159147-53-2P 159147-55-4P
159147-56-5P 159147-57-6P 159147-58-7P
159147-59-8P 175033-29-1P 188605-90-5P
188605-93-8P 188605-95-0P 188605-98-3P
188606-09-9P 188606-12-4P 188606-15-7P
188606-19-1P

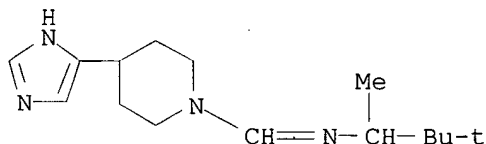
RL: BAC (Biological activity or effector, except adverse); PRP
(Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL
(Biological study); PREP (Preparation); USES (Uses)
(synthesis and evaluation of formamidine and methylisothiourea derivs.
as novel histamine H3 receptor antagonists)

RN 159147-41-8 CAPLUS
CN Piperidine, 1-[(cyclohexylimino)methyl]-4-(1H-imidazol-4-yl)-,
dihydrochloride (9CI) (CA INDEX NAME)



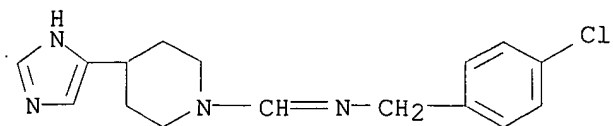
● 2 HCl

RN 159147-44-1 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-[[[(1,2,2-trimethylpropyl)imino]methyl]-
, dihydrochloride (9CI) (CA INDEX NAME)



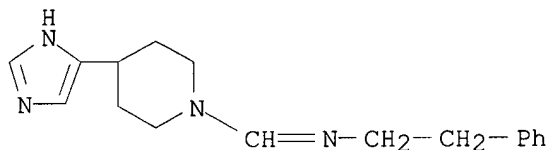
RN 159147-45-2 CAPLUS
CN Piperidine, 1-[[[(4-chlorophenyl)methyl]imino]methyl]-4-(1H-imidazol-4-yl)-

, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 159147-46-3 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-[[(2-phenylethyl)imino]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

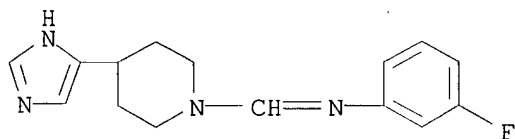


●2 HCl

RN 159147-48-5 CAPLUS
CN Piperidine, 1-[[(3-fluorophenyl)imino]methyl]-4-(1H-imidazol-4-yl)-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

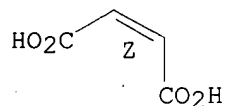
CRN 159147-47-4
CMF C15 H17 F N4



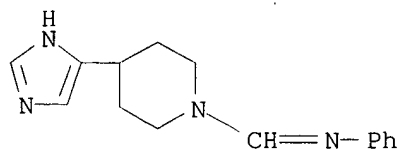
CM 2

CRN 110-16-7
CMF C4 H4 O4
CDES 2:Z

Double bond geometry as shown.



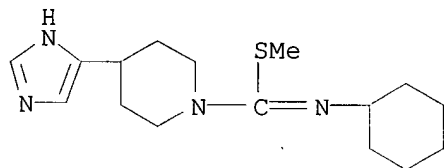
RN 159147-49-6 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-[(phenylimino)methyl]- (9CI) (CA INDEX NAME)



RN 159147-52-1 CAPLUS
CN 1-Piperidinecarboximidothioic acid, N-cyclohexyl-4-(1H-imidazol-4-yl)-, methyl ester, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

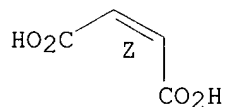
CRN 159147-51-0
CMF C16 H26 N4 S



CM 2

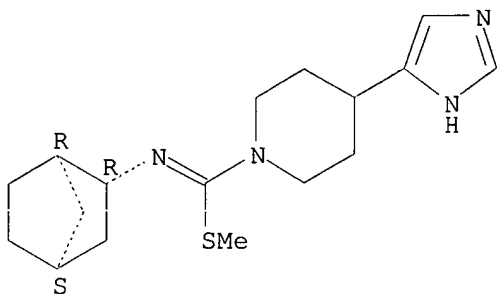
CRN 110-16-7
CMF C4 H4 O4
CDES 2:Z

Double bond geometry as shown.



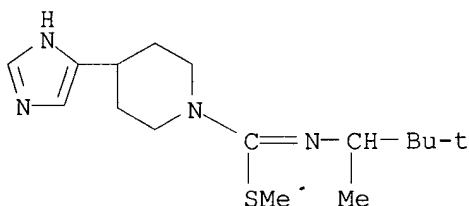
RN 159147-53-2 CAPLUS
CN 1-Piperidinecarboximidothioic acid, N-bicyclo[2.2.1]hept-2-yl-4-(1H-imidazol-4-yl)-, methyl ester, dihydrochloride, exo- (9CI) (CA INDEX NAME)

Double bond geometry unknown.



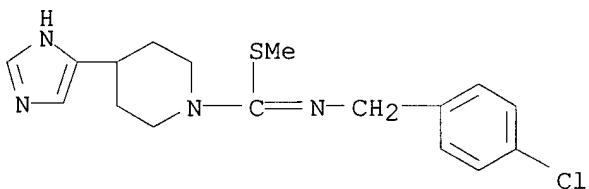
● 2 HCl

RN 159147-55-4 CAPLUS
 CN 1-Piperidinecarboximidodithioic acid, 4-(1H-imidazol-4-yl)-N-(1,2,2-trimethylpropyl)-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)



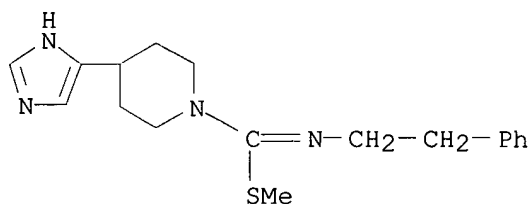
● 2 HCl

RN 159147-56-5 CAPLUS
 CN 1-Piperidinecarboximidodithioic acid, N-[(4-chlorophenyl)methyl]-4-(1H-imidazol-4-yl)-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

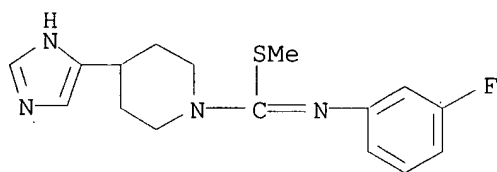
RN 159147-57-6 CAPLUS
 CN 1-Piperidinecarboximidodithioic acid, 4-(1H-imidazol-4-yl)-N-(2-phenylethyl)-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

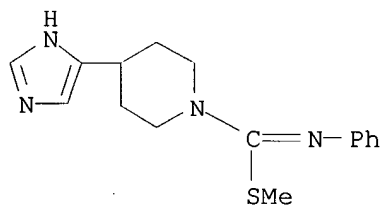
RN 159147-58-7 CAPLUS

CN 1-Piperidinecarboximidothioic acid, N-(3-fluorophenyl)-4-(1H-imidazol-4-yl)-, methyl ester (9CI) (CA INDEX NAME)



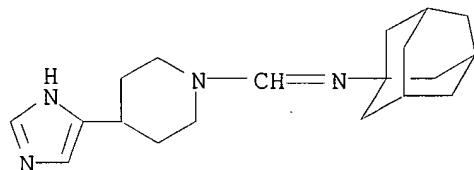
RN 159147-59-8 CAPLUS

CN 1-Piperidinecarboximidothioic acid, 4-(1H-imidazol-4-yl)-N-phenyl-, methyl ester (9CI) (CA INDEX NAME)



RN 175033-29-1 CAPLUS

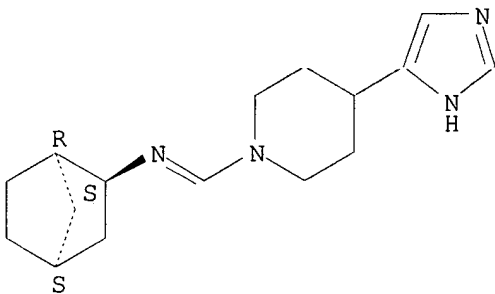
CN Piperidine, 4-(1H-imidazol-4-yl)-1-[(tricyclo[3.3.1.1^{3,7}]dec-1-ylimino)methyl]-, dihydrochloride (9CI) (CA INDEX NAME)



RN 188605-90-5 CAPLUS

CN Piperidine, 1-[(bicyclo[2.2.1]hept-2-ylimino)methyl]-4-(1H-imidazol-4-yl)-, dihydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.

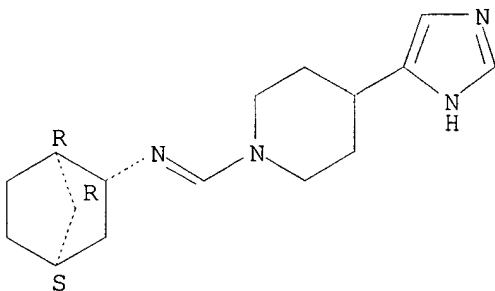


●2 HCl

RN 188605-93-8 CAPLUS

CN Piperidine, 1-[(bicyclo[2.2.1]hept-2-ylimino)methyl]-4-(1H-imidazol-4-yl)-, dihydrochloride, exo- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.

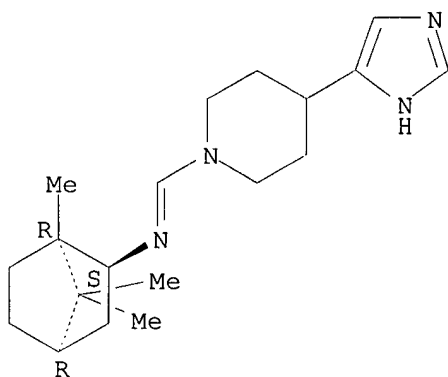


●2 HCl

RN 188605-95-0 CAPLUS

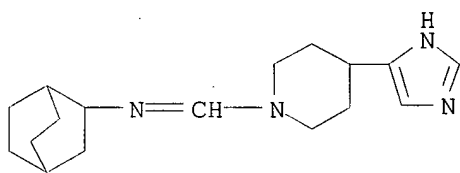
CN Piperidine, 4-(1H-imidazol-4-yl)-1-[[[(1,7,7-trimethylbicyclo[2.2.1]hept-2-yl)imino]methyl]-, dihydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



● 2 HCl

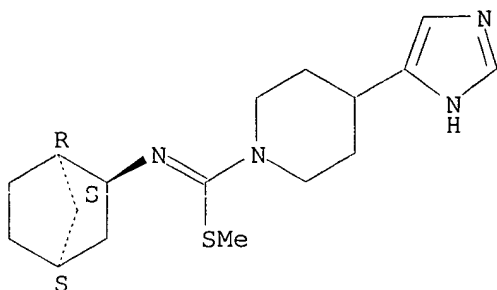
RN 188605-98-3 CAPLUS
 CN Piperidine, 1-[(bicyclo[2.2.2]oct-2-ylimino)methyl]-4-(1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 188606-09-9 CAPLUS
 CN 1-Piperidinecarboximidothioic acid, N-bicyclo[2.2.1]hept-2-yl-4-(1H-imidazol-4-yl)-, methyl ester, dihydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.
 Double bond geometry unknown.

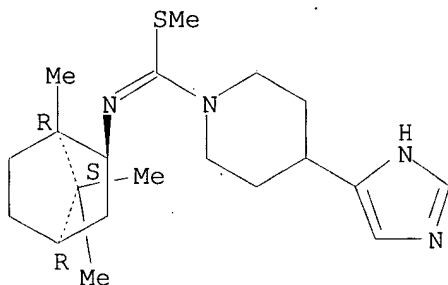


● 2 HCl

RN 188606-12-4 CAPLUS

CN 1-Piperidinecarboximidodithioic acid, 4-(1H-imidazol-4-yl)-N-(1,7,7-trimethylbicyclo[2.2.1]hept-2-yl)-, methyl ester, dihydrochloride, endo- (9CI) (CA INDEX NAME)

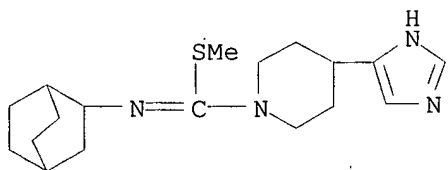
Relative stereochemistry.
Double bond geometry unknown.



● 2 HCl

RN 188606-15-7 CAPLUS

CN 1-Piperidinecarboximidodithioic acid, N-bicyclo[2.2.2]oct-2-yl-4-(1H-imidazol-4-yl)-, methyl ester, dihydrochloride (9CI). (CA INDEX NAME)



● 2 HCl

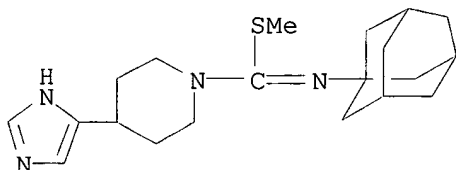
RN 188606-19-1 CAPLUS

CN 1-Piperidinecarboximidothioic acid, 4-(1H-imidazol-4-yl)-N-tricyclo[3.3.1.1^{3,7}]dec-1-yl-, methyl ester, compd. with 2,4,6-trinitrophenol (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 188606-18-0

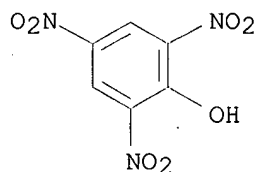
CMF C20 H30 N4 S



CM 2

CRN 88-89-1

CMF C6 H3 N3 O7



L19 ANSWER 36 OF 81 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1997:156760 CAPLUS

DOCUMENT NUMBER: 126:259445

TITLE: Binding of histamine H3-receptor antagonists to hematopoietic progenitor cells. Evidence for a histamine transporter unrelated to histamine H3 receptors

AUTHOR(S): Corbel, Stephane; Traiffort, Elisabeth; Stark, Holger; Schunack, Walter; Dy, Michel

CORPORATE SOURCE: CNRS URA 1461, Hopital Necker, 161 rue de Sevres, Paris, 75743/15, Fr.

SOURCE: FEBS Lett. (1997), 404(2,3), 289-293
CODEN: FEBLAL; ISSN: 0014-5793

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Hematopoietic progenitor cells can take up histamine or release IL-3-induced histamine through a bidirectional transport system that is blocked by H3-receptor antagonists. In the present study the authors demonstrate a correlation between the affinity of various H3-receptor antagonists and their potency as inhibitors of histamine uptake. All compds. that blocked histamine uptake also inhibited IL-3-induced histamine release.

neither alter histamine uptake nor affect the release of endogenous histamine synthesized in response to IL-3. Furthermore, the inhibitory effect of H3-receptor antagonists on histamine uptake was not reversed by

the agonists. Unlike H3-receptor antagonists, the agonists did not displace the binding of the labeled antagonist iodoproxyfan.

IT 180031-68-9, Carboperamide

RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)

(binding of histamine H3-receptor antagonists to hematopoietic progenitor cells and histamine transporter unrelated to histamine H3 receptors)

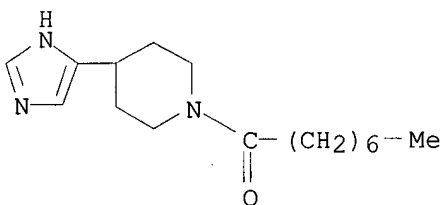
RN 180031-68-9 CAPLUS

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxooctyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 143211-99-8

CMF C16 H27 N3 O



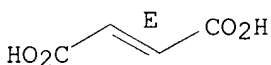
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.



~~119~~ ANSWER 37 OF 81 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1997:26236 CAPLUS

DOCUMENT NUMBER: 126:47113

TITLE: Substituted oximes, hydrazones and olefins as neurokinin antagonists

INVENTOR(S): Reichard, Gregory A.; Aslanian, Robert G.; Alaimo, Cheryl L.; Kirkup, Michael P.; Lupo, Andrew; Mangiaracina, Pietro; McCormick, Kevin D.; Piwinski, John J.; Shankar, Bandarpalle; et al.

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: PCT Int. Appl., 21 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|----------|-----------------|----------|
| WO 9634857 | A1 | 19961107 | WO 1996-US5659 | 19960501 |

W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU

RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

| | | | | |
|------------|----|----------|-----------------|----------|
| CA 2218913 | AA | 19961107 | CA 1996-2218913 | 19960501 |
| AU 9657140 | A1 | 19961121 | AU 1996-57140 | 19960501 |
| AU 706526 | B2 | 19990617 | | |
| EP 823896 | A1 | 19980218 | EP 1996-915341 | 19960501 |
| EP 823896 | B1 | 20010711 | | |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, LT, LV, FI

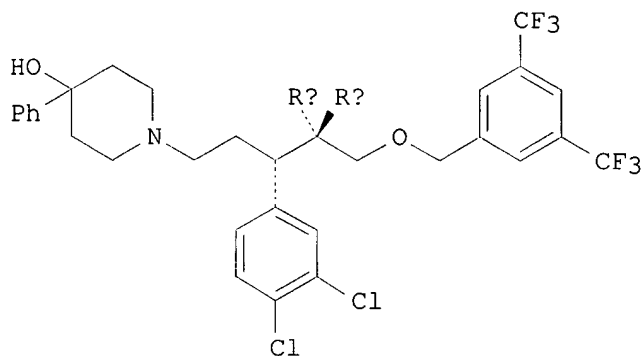
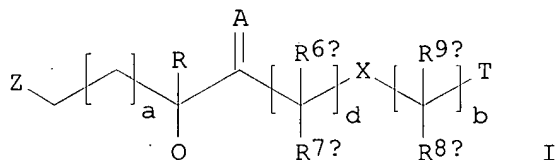
| | | | | |
|-------------|----|----------|----------------|----------|
| JP 10506923 | T2 | 19980707 | JP 1996-533354 | 19960501 |
| CN 1189821 | A | 19980805 | CN 1996-195172 | 19960501 |
| BR 9608269 | A | 19990217 | BR 1996-8269 | 19960501 |
| AT 203014 | E | 20010715 | AT 1996-915341 | 19960501 |
| NO 9705029 | A | 19971230 | NO 1997-5029 | 19971031 |

PRIORITY APPLN. INFO.:

| | | |
|----------------|---|----------|
| US 1995-432740 | A | 19950502 |
| US 1995-460819 | A | 19950601 |
| WO 1996-US5659 | W | 19960501 |

OTHER SOURCE(S): MARPAT 126:47113

GI



II

AB Compds. I and their pharmaceutically acceptable salts are disclosed [wherein: a = 0-3; b, d, e = 0-2; R = H, C1-6 alkyl, OH, C2-6 hydroxyalkyl; A = (un)substituted oxime, hydrazone, or olefin; X = bond, CO, O, NR6, S(O)e, N(R6)CO, OCON(R6), OC(:S)NR6, N(R6)C(:S)O, C(:NOR1), S(O)2NR6, N(R6)S(O)2, N(R6)CO2, or OCO; T = H, phthalimidyl, aryl,

R? = H, C1-6 hydroxyalkyl, C1-6 alkoxy-C1-6 alkyl, Ph, CH2Ph; or NR6R7 forms a ring; R9a = R6 or OR6; Z = morpholinyl, (un)substituted piperazinyl, (un) substituted piperidino and analogs, substituted

8-azabicyclo[3.2.1]octan-8-yl; g = 0-3; h = 1-4; provided that (h + g) = 1-7]. Also disclosed are methods of treating asthma, cough, bronchospasm, inflammatory diseases, and gastrointestinal disorders with I, and pharmaceutical compns. comprising I. For instance, 3-(3,4-dichlorophenyl)-2-propenoic acid underwent a sequence of Me esterification (99%), redn. by Dibal-H to an alc. (99%), O-acetylation (97%), rearrangement (89%), epoxidn. and cyclization to form a furanone deriv. (81%), and 3 addnl. steps (71%, 91%, and >90%), to give the epimeric alcs. II [Ra/Rb = H/OH or OH/H]. These underwent Jones oxidn. to the ketone (82%), and oximation with MeONH₂.HCl (67%), to give title compd. II [RaRb = :NOMe] (III). Several bioassays were performed, and III at 1 .mu.M gave 88.0% inhibition at NK1 receptors and 95.0% inhibition at NK2 receptors.

IT 184968-27-2P 184968-56-7P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

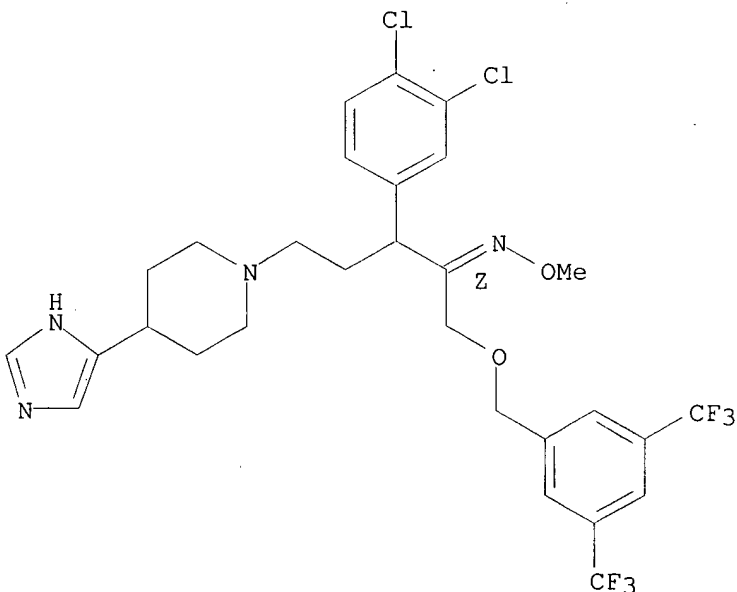
(Preparation); USES (Uses)

(prepn. of oxime, hydrazone, and olefin derivs. of cyclic amines as neurokinin antagonists)

RN 184968-27-2 CAPLUS

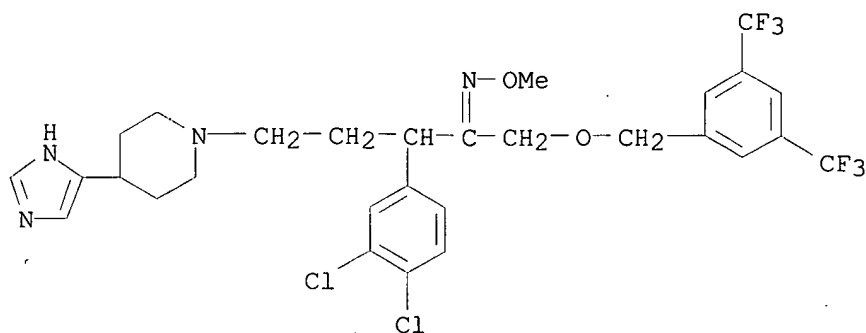
CN 2-Pentanone, 1-[[3,5-bis(trifluoromethyl)phenyl]methoxy]-3-(3,4-dichlorophenyl)-5-[4-(1H-imidazol-4-yl)-1-piperidinyl]-, O-methyloxime, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 184968-56-7 CAPLUS

CN 2-Pentanone, 1-[[3,5-bis(trifluoromethyl)phenyl]methoxy]-3-(3,4-dichlorophenyl)-5-[4-(1H-imidazol-4-yl)-1-piperidinyl]-, O-methyloxime (9CI) (CA INDEX NAME)



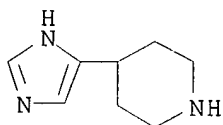
IT 106243-23-6

RL: RCT (Reactant)

(starting material; prepn. of oxime, hydrazone, and olefin derivs. of cyclic amines as neurokinin antagonists)

RN 106243-23-6 CAPLUS

CN Piperidine, 4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



L19 ANSWER 38 OF 81 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1996:632188 CAPLUS

DOCUMENT NUMBER: 125:275893

TITLE: Preparation of 1-(benzimidazolyl)piperidine 5-HT4 and/or 5-HT3 receptor antagonists

INVENTOR(S): Even, Luc; Jegham, Samir; Defosse, Gerard; Aletru, Michel

PATENT ASSIGNEE(S): Synthelabo S. A., Fr.

SOURCE: Eur. Pat. Appl., 14 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| EP 732334 | A1 | 19960918 | EP 1996-400452 | 19960304 |
| R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| FR 2731708 | A1 | 19960920 | FR 1995-2863 | 19950313 |
| FR 2731708 | B1 | 19970430 | | |
| ZA 9601994 | A | 19960903 | ZA 1996-1994 | 19960312 |
| CA 2171579 | AA | 19960914 | CA 1996-2171579 | 19960312 |
| NO 9601000 | A | 19960916 | NO 1996-1000 | 19960312 |
| AU 9648008 | A1 | 19960926 | AU 1996-48008 | 19960312 |
| JP 08269058 | A2 | 19961015 | JP 1996-51568 | 19960312 |

PRIORITY APPLN. INFO.:

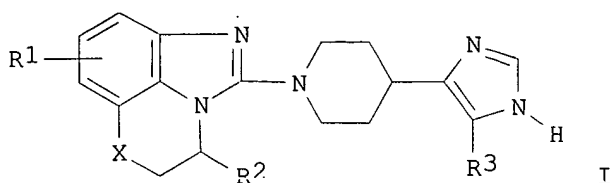
FR 1995-2863

19950313

OTHER SOURCE(S):

MARPAT 125:275893

GI



AB The title compds. (I; R1 = Cl, F, Me, MeO, NH2; R2, R3 = H, Me; X = O, CH2) (e.g., R1 = 8-Cl, R2 = R3 = H, X = O, hydrochloride salt; m.p. 275.degree.), useful as 5-HT4 and/or 5-HT3 receptor antagonists (e.g., I demonstrate a IC50 of 0.02-2 .mu.M against [3H]-GR 113808), are prepd.

IT 182264-50-2P 182264-52-4P 182264-54-6P
 182264-56-8P 182264-57-9P 182264-59-1P
 182264-61-5P 182264-63-7P 182264-65-9P
 182264-67-1P 182264-69-3P 182264-70-6P
 182264-73-9P 182264-75-1P 182264-77-3P
 182264-80-8P

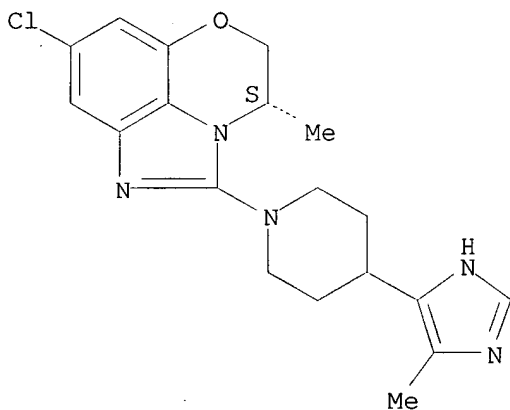
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 1-(benzimidazolyl)piperidine 5-HT4 and/or 5-HT3 receptor antagonists)

RN 182264-50-2 CAPLUS

CN Imidazo[1,5,4-de][1,4]benzoxazine, 8-chloro-4,5-dihydro-4-methyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (S)- (9CI) (CA INDEX NAME)

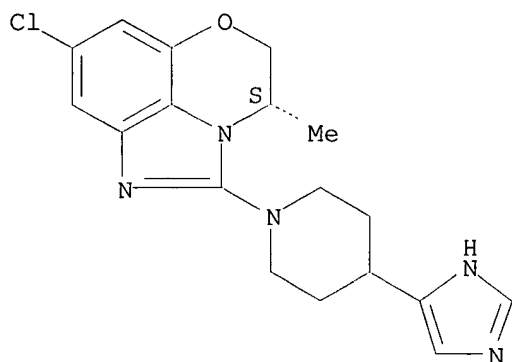
Absolute stereochemistry. Rotation (-).



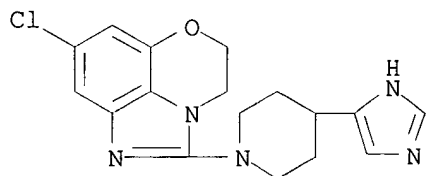
RN 182264-52-4 CAPLUS

CN Imidazo[1,5,4-de][1,4]benzoxazine, 8-chloro-4,5-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-4-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

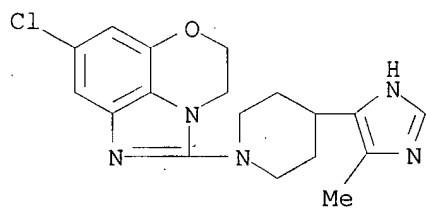


RN 182264-54-6 CAPLUS
CN Imidazo[1,5,4-de][1,4]benzoxazine, 8-chloro-4,5-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-, monohydrochloride (9CI) (CA INDEX NAME)



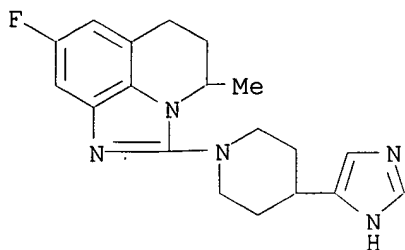
● HCl

RN 182264-56-8 CAPLUS
CN Imidazo[1,5,4-de][1,4]benzoxazine, 8-chloro-4,5-dihydro-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)



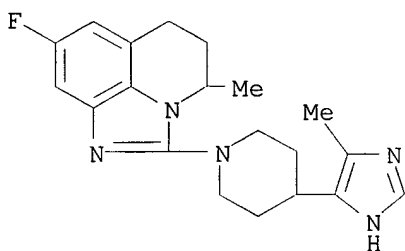
● HCl

RN 182264-57-9 CAPLUS
CN 4H-Imidazo[4,5,1-ij]quinoline, 8-fluoro-5,6-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-, monohydrochloride (9CI) (CA INDEX NAME)



RN 182264-59-1 CAPLUS

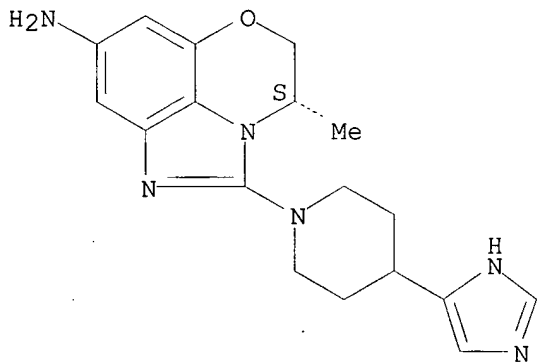
CN 4H-Imidazo[4,5,1-ij]quinoline, 8-fluoro-5,6-dihydro-4-methyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (S)- (9CI) (CA INDEX NAME)



RN 182264-61-5 CAPLUS

CN Imidazo[1,5,4-de][1,4]benzoxazin-8-amine, 4,5-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-4-methyl-, (S)- (9CI) (CA INDEX NAME)

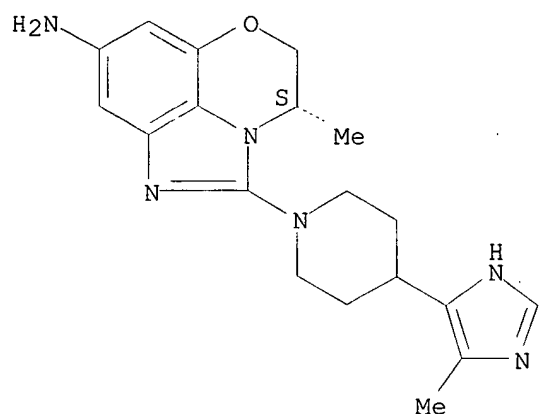
Absolute stereochemistry. Rotation (-).



RN 182264-63-7 CAPLUS

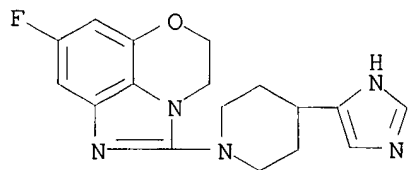
CN Imidazo[1,5,4-de][1,4]benzoxazin-8-amine, 4,5-dihydro-4-methyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



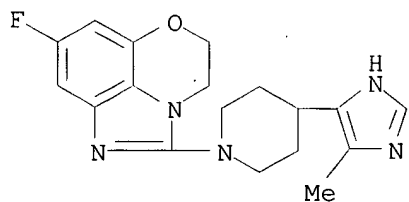
RN 182264-65-9 CAPLUS

CN Imidazo[1,5,4-de][1,4]benzoxazine, 8-fluoro-4,5-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



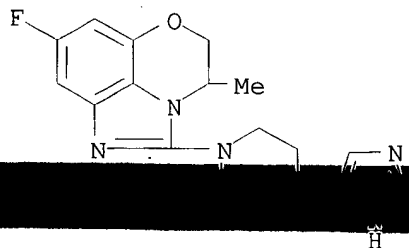
RN 182264-67-1 CAPLUS

CN Imidazo[1,5,4-de][1,4]benzoxazine, 8-fluoro-4,5-dihydro-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

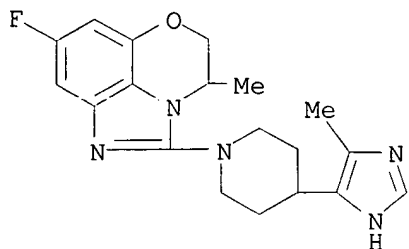


RN 182264-69-3 CAPLUS

CN Imidazo[1,5,4-de][1,4]benzoxazine, 8-fluoro-4,5-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-4-methyl- (9CI) (CA INDEX NAME)



RN 182264-70-6 CAPLUS
CN Imidazo[1,5,4-de][1,4]benzoxazine, 8-fluoro-4,5-dihydro-4-methyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

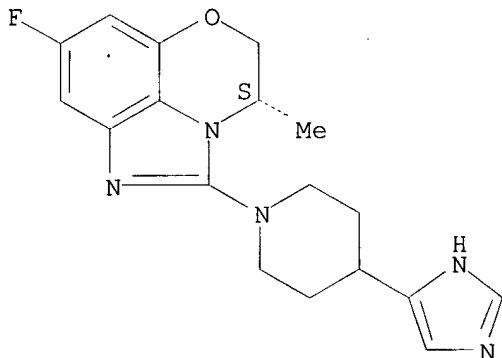


RN 182264-73-9 CAPLUS
CN Imidazo[1,5,4-de][1,4]benzoxazine, 8-fluoro-4,5-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-4-methyl-, (4S)-; (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 182264-72-8
CMF C18 H20 F N5 O
CDES 1:S

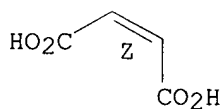
Absolute stereochemistry. Rotation (+).



CM 2

CRN 110-16-7
CMF C4 H4 O4
CDES 2:Z

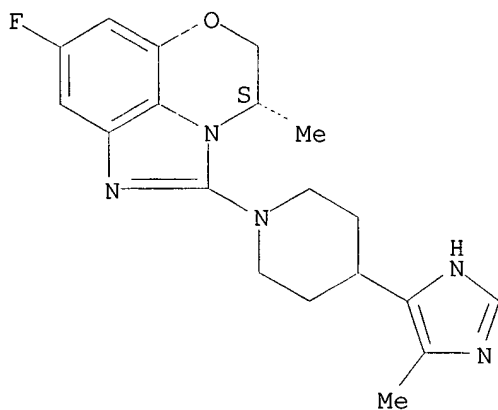
Double bond geometry as shown.



RN 182264-75-1 CAPLUS
CN Imidazo[1,5,4-de][1,4]benzoxazine, 8-fluoro-4,5-dihydro-4-methyl-2-[4-(5-

methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (S)- (9CI) (CA INDEX NAME)

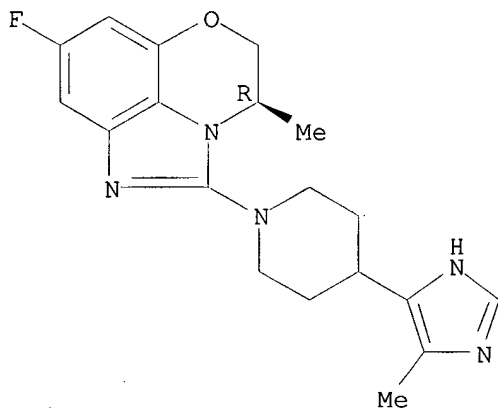
Absolute stereochemistry. Rotation (-).



RN 182264-77-3 CAPLUS

CN Imidazo[1,5,4-de][1,4]benzoxazine, 8-fluoro-4,5-dihydro-4-methyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 182264-80-8 CAPLUS

CN Imidazo[1,5,4-de][1,4]benzoxazine, 8-fluoro-4,5-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-4-methyl-, (4R)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

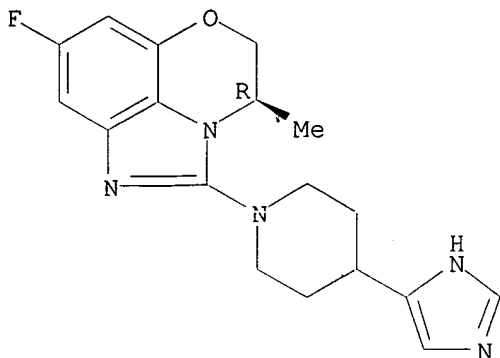
CM 1

CRN 182264-79-5

CMF C18 H20 F N5 O

CDES 1:R

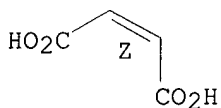
Absolute stereochemistry. Rotation (-).



CM 2

CRN 110-16-7
 CMF C4 H4 O4
 CDES 2:Z

Double bond geometry as shown.



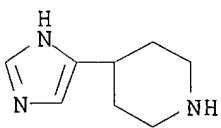
IT 106243-23-6 155511-82-3

RL: RCT (Reactant)

(prepn. of 1-(benzimidazolyl)piperidine 5-HT4 and/or 5-HT3 receptor
 antagonists)

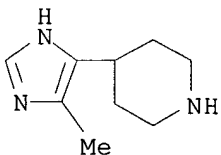
RN 106243-23-6 CAPLUS

CN Piperidine, 4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



RN 155511-82-3 CAPLUS

CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



IT 182265-01-6P

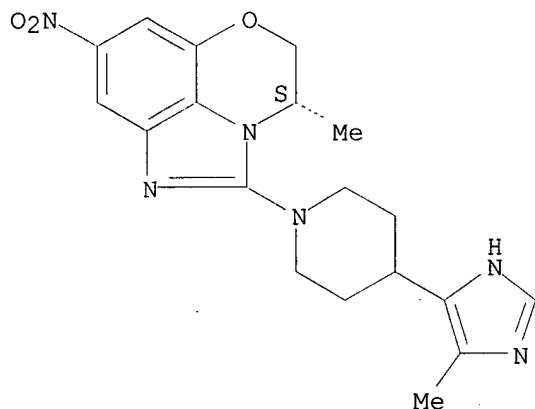
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of 1-(benzimidazolyl)piperidine 5-HT4 and/or 5-HT3 receptor

antagonists)

RN 182265-01-6 CAPLUS

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-4-methyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidiny]-8-nitro-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



~~129~~ ANSWER 39 OF 81 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1996:530170 CAPLUS

DOCUMENT NUMBER: 125:215850

TITLE: [3H]Thioperamide as a radioligand for the histamine H3 receptor in rat cerebral cortex

AUTHOR(S): Alves-Rodrigues, Alecandra; Leurs, Rob; Wu, Tin-Seng; Prell, George D.; Foged, Christian; Timmerman, Henk
CORPORATE SOURCE: Leiden/Amsterdam Cent. Drug Res., Vrije Universiteit, Boelelaan, 1083, Neth.SOURCE: Br. J. Pharmacol. (1996), 118(8), 2045-2052
CODEN: BJPCBM; ISSN: 0007-1188

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The purpose of the present study was to characterize the binding of the histamine H3 receptor antagonist, [3H]thioperamide, to rat cerebral cortical membranes. The binding of [3H]thioperamide to rat cerebral cortical membranes reduced equil. after incubation. Addn. of 1 .mu.M (R)-.alpha.-methylhistamine rapidly dissocd. [3H]thioperamide from its binding sites. From these kinetic expts. a dissocn. const. of 0.3 nM was obtained for [3H]thioperamide. Satn. expts. with [3H]-thioperamide using 1 .mu.M (R)-.alpha.-methylhistamine to define nonspecific binding were best analyzed according to a single site model. A dissocn. const. (KD) of 0.80 .+- 0.06 nM (n = 3) and a maximal no. of binding sites (Bmax) of 73 .+- 20 fmol mg-1 protein (n = 3) were obtained for the binding of [3H]thioperamide to rat cerebral cortical membranes. Satn. expts. with [3H]thioperamide using 0.3 .mu.M iodophenpropit to define nonspecific binding were best analyzed according to a two site model. For the high affinity [3H]thioperamide site a KD value of 1.1 .+- 0.3 nM (n = 3) and Bmax value of 162 .+- 108 fmol mg-1 protein (n = 3) were obtained whereas KD and Bmax values for the low affinity site were 96 .+- 10 nM and 4346 .+- 3092 fmol mg-1 protein (n = 3), resp. Using 5 nM [3H]thioperamide,

[3H]thioperamide binding was fully displaced by various H3-antagonists, yet most H3 antagonists showed Ki values different from those expected for the histamine H3 receptor. Using 0.3 nM [3H]thioperamide, 50-60% of the

total binding was potentially displaced by the H3 agonists histamine, (R)-.alpha.-methylhistamine, (S)-.alpha.-methylhistamine, imetit and imnepip. Displacement of the binding of 0.3 nM [3H]thioperamide binding exhibited clear stereoselectivity for the R and S isomers of .alpha.-methylhistamine. Binding of 0.3 nM [3H]thioperamide was completely displaced by several H3 antagonists (thioperamide, iodopenpropit, iodoproxyfan, and burimamide) and biphasic displacement curves were obtained; the Ki values for the high affinity site corresponded well with the expected values for the H3 receptor. Antagonists fully displaced the binding of 5 nM [3H]-thioperamide with affinities comparable to the low affinity site found with 0.3 nM [3H]thioperamide. Ondansetron and haloperidol did not displace binding of 5 nM [3H]thioperamide at concns. at which the former are known to bind to 5-HT3 or .sigma. receptors, resp. On the other hand, nonselective cytochrome P450 inhibitors displaced the binding of 5 nM [3H]thioperamide from both rat cerebral cortical membranes and rat liver microsomes. It is concluded that the histamine H3 antagonist, [3H]thioperamide, can be used as a radioligand to study the histamine H3 receptor in rat brain, provided that subnanomolar concns. are used in displacement studies. Moreover, the specific binding should be defined with an H3 agonist, since most H3 antagonists share with [3H]thioperamide a low affinity, high d., non-H3 receptor binding site(s) in rat brain. The latter is probably due to binding to cytochrome P450 isoenzymes.

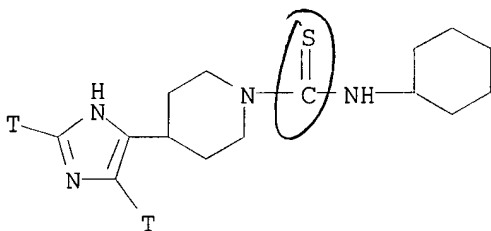
IT 181584-75-8

RL: BPR (Biological process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

([3H]Thioperamide as radioligand for histamine H3 receptor in rat cerebral cortex for potential PET)

RN 181584-75-8 CAPLUS

CN 1-Piperidinecarbothioamide, N-cyclohexyl-4-(1H-imidazol-4-yl-2,5-t2)-(9CI) (CA INDEX NAME)



~~L19~~ ANSWER 40 OF 81 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1996:566530 CAPLUS

DOCUMENT NUMBER: 125:266375

TITLE: Characterization of the specific binding of the histamine H3 receptor antagonist radioligand [3H]GR168320

AUTHOR(S): Brown, Jason D.; O'Shaughnessy, Celestine T.; Kilpatrick, Gavin J.; Scopes, David I. C.; Beswick, Paul; Clitherow, John W.; Barnes, Julie C.

CORPORATE SOURCE: Department of Pharmacology, Glaxo Research and Development Ltd., Stevenage, UK

SOURCE: Eur. J. Pharmacol. (1996), 311(2/3), 305-310
CODEN: EJPHAZ; ISSN: 0014-2999

DOCUMENT TYPE: Journal

LANGUAGE: English

AB We have examd. the specific binding of the tritiated deriv. of the potent histamine H3 receptor antagonist, [3,4-3H2]-cyclohexyl

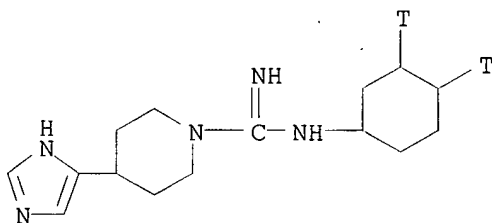
-{[4-(3H-imidazol-4-yl)-piperidin-1-yl]iminomethyl}-amine ([3H]GR168320), to homogenates of rat cerebral cortex. Specific binding of [3H]GR168320 at 37.degree. assocd. and dissocd. rapidly. Binding was saturable (Bmax 412.+-.89 fmol/mg protein) and of high affinity (K d 0.12.+-.0.11 nM). Satn. studies suggested the involvement of a single site. Histamine H3 receptor agonists and antagonists inhibited [3H]GR168320 binding with high affinity. Agonist and antagonist affinities correlated when compared with affinities obtained using the tritiated histamine H3 agonist radioligand N.alpha.-Me histamine.

IT 182225-24-7

RL: BPR (Biological process); BUU (Biological use, unclassified); BIOL (Biological study); PROC (Process); USES (Uses)
(characterization of specific binding of histamine H3 receptor antagonist radioligand [3H]GR168320 and histamine H3 agonist N.alpha.-methylhistamine)

RN 182225-24-7 CAPLUS

CN 1-Piperidinecarboximidamide, N-(cyclohexyl-3,4-t2)-4-(1H-imidazol-4-yl)-(9CI) (CA INDEX NAME)



~~119~~ ANSWER 41 OF 81 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1996:447737 CAPLUS

DOCUMENT NUMBER: 125:132528

TITLE: Sleep and waking during acute histamine H3 agonist BP 2.94 or H3 antagonist carboperamide (MR 16155) administration in rats

AUTHOR(S): Monti, Jaime M.; Jantos, Hector; Ponzoni, Ana; Monti, Daniel

CORPORATE SOURCE: School Medicine, Clinics Hospital, Montevideo, 11300, Urug.

SOURCE: Neuropsychopharmacology (1996), 15(1), 31-35
CODEN: NEROEW; ISSN: 0893-133X

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The present study evaluated the effects of histamine H3 receptor agonist BP 2.94 or H3 receptor antagonist carboperamide (MR 16155) given by oral route on sleep and waking in rats surgically prepd. for long-term recordings. BP 2.94 produced a significant increase of slow-wave sleep (SWS) that was related to slight decreases of waking, light sleep, and REM sleep. Carboperamide significantly increased waking and decreased SWS and REM sleep. Pretreatment with carboperamide prevented the effect of BP 2.94 on SWS. It is suggested that the effects of BP 2.94 or carboperamide on sleep and waking could depend on changes in the availability of histamine at the postsynaptic H1 receptor. Alternatively, activation or blockade of the H3 heteroreceptors found in the central catecholamine, indolamine, and acetylcholine nerve endings could inhibit or enhance

acetylcholine. This would secondarily result in changes of sleep variables.

IT 180031-68-9, Carboperamide

RL: BAC (Biological activity or effector, except adverse); BIOL
(Biological study)
(effects of histamine H3 agonist BP 2.94 or H3 antagonist carboperamide
on sleep and waking in rats)

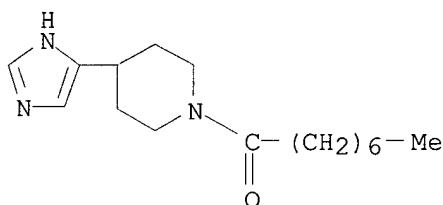
RN 180031-68-9 CAPLUS

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxooctyl)-, (2E)-2-butenedioate
(1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 143211-99-8

CMF C16 H27 N3 O



Same as ref. 36

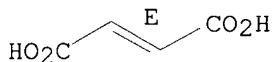
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.



L19 ANSWER 42 OF 81 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1995:856002 CAPLUS

DOCUMENT NUMBER: 123:256717

TITLE: Preparation of 4-(N-alkanoylpiperidyl)imidazoles and
analogs as histamine H3 receptor antagonists

INVENTOR(S): Durant, Graham J.; Khan, Amin M.; Tedford, Clark E.

PATENT ASSIGNEE(S): University of Toledo, USA; Gliatech, Inc.

SOURCE: PCT Int. Appl., 68 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

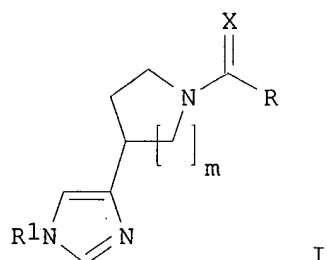
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|--|----------|-----------------|----------|
| WO 9511894 | A1 | 19950504 | WO 1994-US11790 | 19941018 |
| W: | AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KE, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, UZ, VN | | | |
| RW: | KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | |
| US 5486526 | A | 19960123 | US 1993-145903 | 19931029 |

| | | | | |
|------------------------|--------|------------|-----------------|----------|
| AU 9479815 | A1 | 19950522 | AU 1994-79815 | 19941018 |
| PRIORITY APPLN. INFO.: | | | US 1993-145903 | 19931029 |
| | | | US 1992-862657 | 19920401 |
| | | | WO 1994-US11790 | 19941018 |
| OTHER SOURCE(S): | MARPAT | 123:256717 | | |
| GI | | | | |



AB Title compds. [I; R = Ox(CH₂)_nR₂; R₁ = H, alkyl, aryl, etc.; R₂ = C₁-20 alkyl, -carbocyclic group, -aryl, etc.; X = O or S; m = 1 or 2; n = 0-6; x = 0 or 1] were prepd. Thus, 4-(4-piperidyl)imidazole (prepn. given) was condensed with cyclohexanevaleroyl chloride to give I (R = 4-cyclohexylbutyl, R₁ = H, X = O, m = 2) which gave food intake redn. from 7.083 (control) to 2.333mg/kg in rats 4h after receiving 30mg/kg i.p.

| | | | |
|----|--------------|--------------|--------------|
| IT | 143211-67-0P | 143211-72-7P | 143211-78-3P |
| | 143211-81-8P | 143211-83-0P | 143211-89-6P |
| | 143211-92-1P | 143211-95-4P | 143211-96-5P |
| | 152241-24-2P | 152241-31-1P | 152241-32-2P |
| | 152241-33-3P | 152241-34-4P | 152241-35-5P |
| | 152241-36-6P | 152241-37-7P | 152241-38-8P |
| | 152241-39-9P | 152241-41-3P | 152241-42-4P |
| | 152241-43-5P | 168968-38-5P | |

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

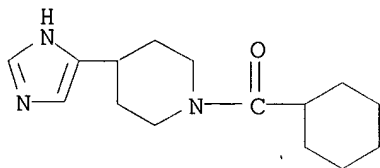
```



```

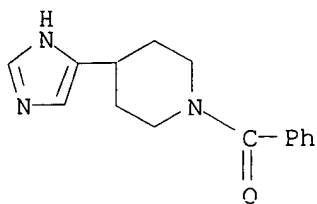
RN 143211-67-0 CAPLUS

CN Piperidine, 1-(cyclohexylcarbonyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

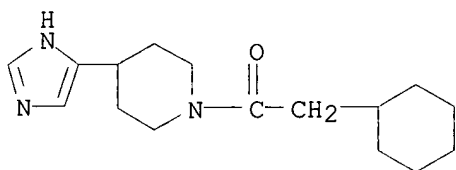


RN 143211-72-7 CAPLUS

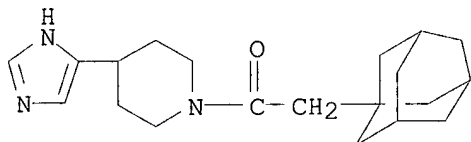
CN Piperidine, 1-benzoyl-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



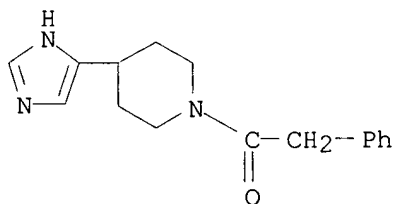
RN 143211-78-3 CAPLUS
CN Piperidine, 1-(cyclohexylacetyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



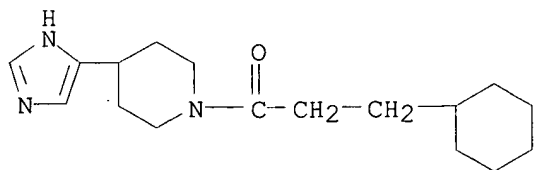
RN 143211-81-8 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(tricyclo[3.3.1.1^{3,7}]dec-1-ylacetyl)- (9CI) (CA INDEX NAME)



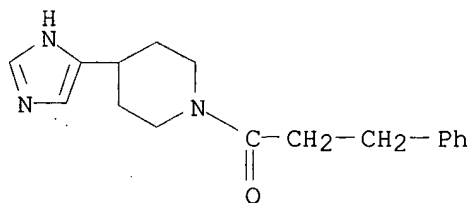
RN 143211-83-0 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(phenylacetyl)- (9CI) (CA INDEX NAME)



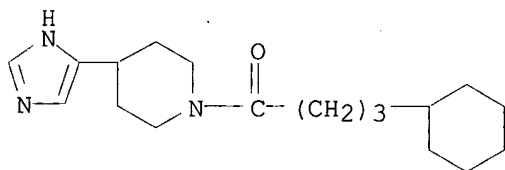
RN 143211-89-6 CAPLUS
CN Piperidine, 1-(3-cyclohexyl-1-oxopropyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



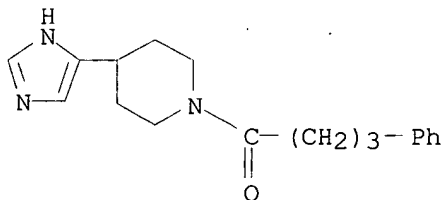
RN 143211-92-1 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-3-phenylpropyl)- (9CI) (CA INDEX NAME)



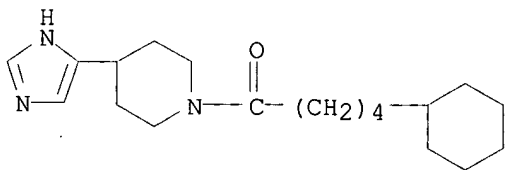
RN 143211-95-4 CAPLUS
CN Piperidine, 1-(4-cyclohexyl-1-oxobutyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



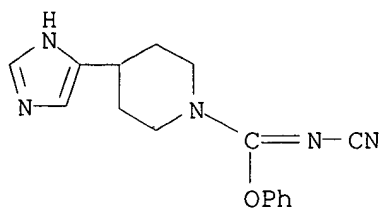
RN 143211-96-5 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-4-phenylbutyl)- (9CI) (CA INDEX NAME)



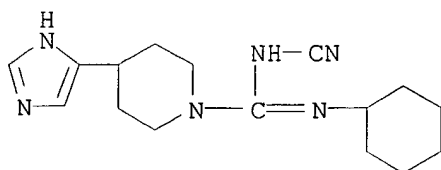
RN 152241-24-2 CAPLUS
CN Piperidine, 1-(5-cyclohexyl-1-oxopentyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



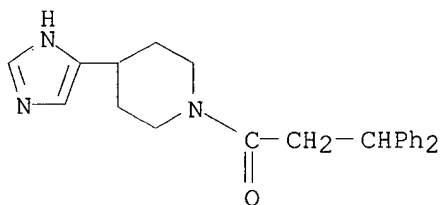
RN 152241-31-1 CAPLUS
CN 1-Piperidinecarboximidic acid, N-cyano-4-(1H-imidazol-4-yl)-, phenyl ester (9CI) (CA INDEX NAME)



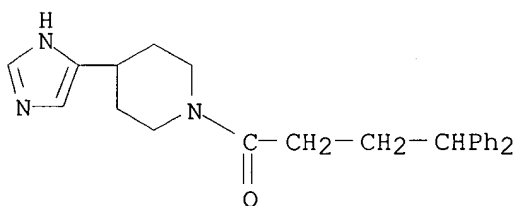
RN 152241-32-2 CAPLUS
CN 1-Piperidinecarboximidamide, N-cyano-N'-cyclohexyl-4-(1H-imidazol-4-yl)-
(9CI) (CA INDEX NAME)



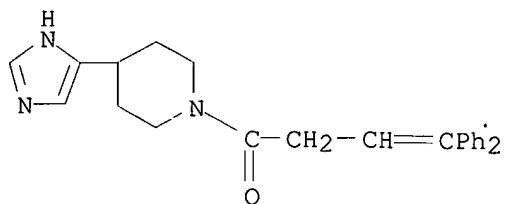
RN 152241-33-3 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-3,3-diphenylpropyl)- (9CI) (CA
INDEX NAME)



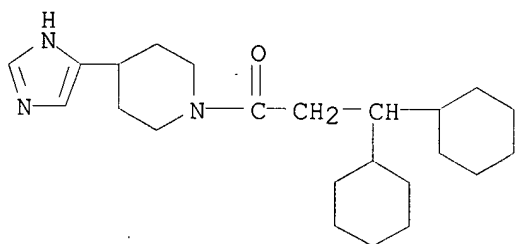
RN 152241-34-4 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-4,4-diphenylbutyl)- (9CI) (CA
INDEX NAME)



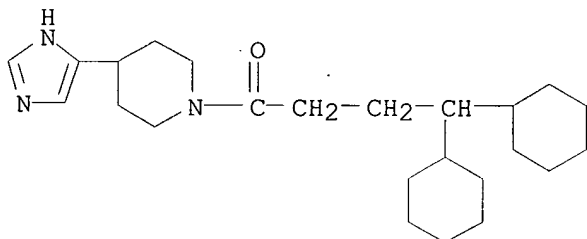
RN 152241-35-5 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-4,4-diphenyl-3-butenyl)- (9CI)
(CA INDEX NAME)



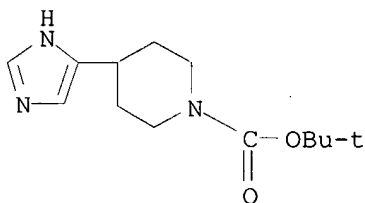
RN 152241-36-6 CAPLUS
CN Piperidine, 1-(3,3-dicyclohexyl-1-oxopropyl)-4-(1H-imidazol-4-yl)- (9CI)
(CA INDEX NAME)



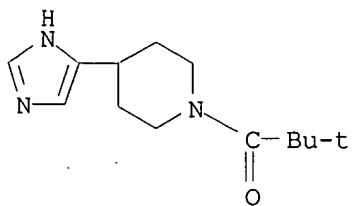
RN 152241-37-7 CAPLUS
CN Piperidine, 1-(4,4-dicyclohexyl-1-oxobutyl)-4-(1H-imidazol-4-yl)- (9CI)
(CA INDEX NAME)



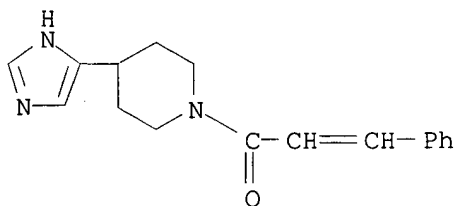
RN 152241-38-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(1H-imidazol-4-yl)-, 1,1-dimethylethyl
ester (9CI) (CA INDEX NAME)



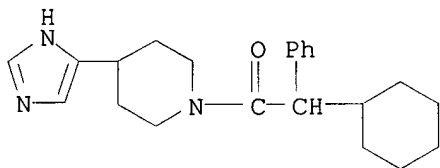
INDEX NAME)



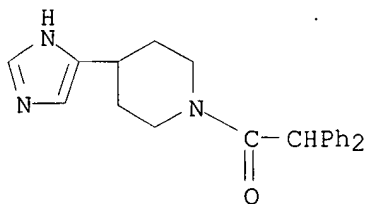
RN 152241-41-3 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)



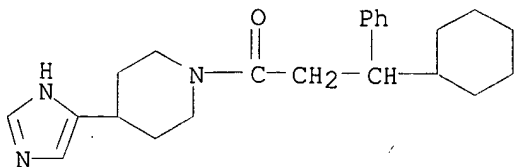
RN 152241-42-4 CAPLUS
CN Piperidine, 1-(cyclohexylphenylacetyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



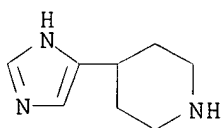
RN 152241-43-5 CAPLUS
CN Piperidine, 1-(diphenylacetyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



RN 168968-38-5 CAPLUS
CN Piperidine, 1-(3-cyclohexyl-1-oxo-3-phenylpropyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

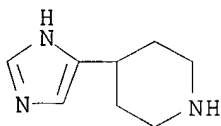


IT **51746-88-4**, 4-(4-Piperidyl)-1H-imidazole dihydrochloride
RL: RCT (Reactant)
(prepn. of 4-(N-alkanoylpiperidyl)imidazoles and analogs as histamine
H3 receptor antagonists)
RN 51746-88-4 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



2 HCl

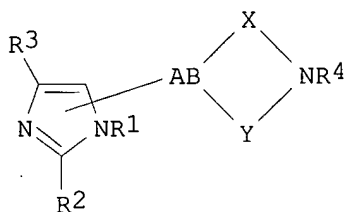
IT **106243-23-6P**, Piperidine, 4-(1H-imidazol-4-yl)-
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of 4-(N-alkanoylpiperidyl)imidazoles and analogs as histamine
H3 receptor antagonists)
RN 106243-23-6 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



~~119~~ ANSWER 43 OF 81 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1995:652345 CAPLUS
DOCUMENT NUMBER: 123:55877
TITLE: Preparation of imidazole derivatives as histamine H3
receptor agonists and antagonists
INVENTOR(S): Volling, Roelant Christiaan; Menge, Wiro Michael
Petrus Bernardus; Timmerman, Hendrik
PATENT ASSIGNEE(S): Vrije Universiteit, Neth.
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|-------|-------|-----------------|-------|
| ----- | ----- | ----- | ----- | ----- |

WO 9506037 A1 19950302 WO 1994-NL206 19940829
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KG, KP, KR,
KZ, LK, LT, LV, MD, MG, MN, NO, NZ, PL, RO, RU, SI, SK, TJ, TT,
UA, US, UZ, VN
RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC,
NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
NL 9302045 A 19950316 NL 1993-2045 19931125
AU 9478238 A1 19950321 AU 1994-78238 19940829
PRIORITY APPLN. INFO.: EP 1993-202528 19930827
 NL 1993-2045 19931125
 WO 1994-NL206 19940829
OTHER SOURCE(S): MARPAT 123:55877
GI



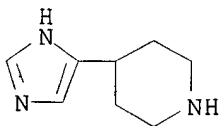
AB Title compds. I (A = (CH₂)_m wherein m = 0-9, CH₂CH₂, CHO, (substituted)CH₂, etc.; B = C:, CH:CH, etc.; X = (CH₂)_n wherein n = 2-4, (CH₂)_pCH: wherein p = 1-3, etc.; Y = (CH₂)_k wherein k = 0-2; R₁ = H, C₁-3 alkyl, (substituted)aryl, etc.; R₂ = H, C₁-10 alkylsilyl, C₁-10 alkyl, (substituted)aryl, etc.; R₃ = H, halo, H₂N, O₂N, HY, HS, etc.; R₄ = H, C₁-10 alkyl, C₁-10 alkylsulfonamido, etc.) or a salt thereof, are prepd. Imidazole, dimethylsulfamoyl chloride, and Et₃N were reacted to give 1-(dimethylsulfamoyl)imidazole which in THF was reacted with BuLi, Me₂CSiMe₂Cl and 1-chloro-5-iodopentane to give after workup 4(5)-(5-aminopentyl)imidazole dioxalate (II). In a test for antagonistic activity the pA₂ of II was 8.0. Pharmaceutical compns. are also claimed.

IT **164391-42-8P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of imidazole derivs. as histamine H₃ receptor agonists and antagonists)

RN 164391-42-8 CAPLUS

CN Piperidine, 4-(1H-imidazol-4-yl)-, dihydrobromide (9CI) (CA INDEX NAME)

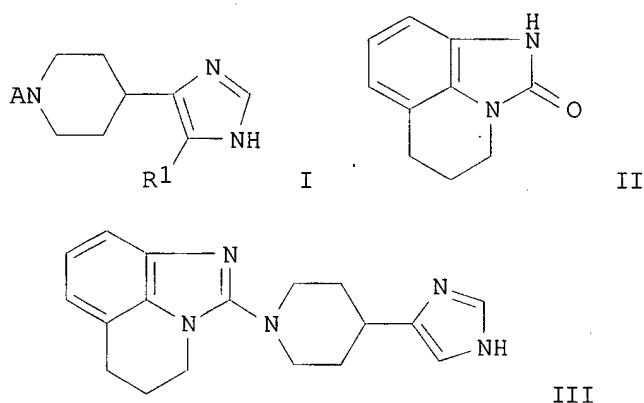


2 HBr

419 ANSWER 44 OF 81 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1995:557370 CAPLUS

DOCUMENT NUMBER: 122:290862
TITLE: Derivatives of imidazol-4-ylpiperidine with 5-HT3 and 5-HT4 activity, their preparation, and their use in therapy.
INVENTOR(S): Jegham, Samir; Defosse, Gerard; Purcell, Thomas Andrew; Even, Luc
PATENT ASSIGNEE(S): Synthelabo S. A., Fr.
SOURCE: Eur. Pat. Appl., 17 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|--|----------|
| EP 646583 | A1 | 19950405 | EP 1994-402114 | 19940923 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| FR 2710915 | A1 | 19950414 | FR 1993-11771 | 19931004 |
| FR 2710915 | B1 | 19951124 | | |
| CA 2133491 | AA | 19950405 | CA 1994-2133491 | 19941003 |
| NO 9403682 | A | 19950405 | NO 1994-3682 | 19941003 |
| FI 9404600 | A | 19950405 | FI 1994-4600 | 19941003 |
| AU 9474329 | A1 | 19950413 | AU 1994-74329 | 19941003 |
| JP 07179466 | A2 | 19950718 | JP 1994-238914 | 19941003 |
| ZA 9407710 | A | 19950810 | ZA 1994-7710 | 19941003 |
| CN 1109471 | A | 19951004 | CN 1994-117012 | 19941003 |
| HU 71120 | A2 | 19951128 | HU 1994-2832 | 19941003 |
| US 5589476 | A | 19961231 | US 1994-317661 | 19941003 |
| PRIORITY APPLN. INFO.: | | | FR 1993-11771 | 19931004 |
| OTHER SOURCE(S): | | | CASREACT 122:290862; MARPAT 122:290862 | |
| GI | | | | |

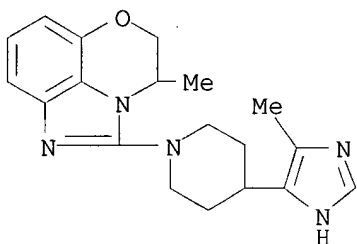


AB Title compds. I [R1 = H, straight or branched C1-6 alkyl; A = 9 specific tricyclic heterocyclic radicals with an optional phenylmethyl substituent] and their pharmaceutical salts are claimed. The compds. are ligands of 5-HT3 and 5-HT4 receptors.

with Na in EtOH gave the 1,2,3,4-tetrahydro deriv., which was cyclized with urea to give dihydroimidazoquinolinone II. Treatment of II with POCl3 converted the carbonyl to the corresponding unsatd. chloride, which

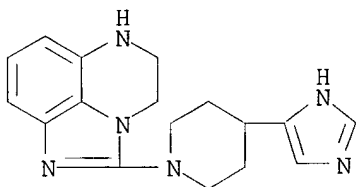
reacted with 4-(1H-imidazol-4-yl)piperidine in isoamyl alc. at 120.degree. to give title compd. III. The IC50 values of more active I for inhibition of [3H]-quipazine binding to rat cerebral 5-HT3 receptors were 0.01-10 nM. I also had IC50 of 0.02-2 .mu.M for inhibition of specific binding of [3H]-GR118808 to guinea pig 5-HT4 receptors.

IT 163120-16-9P 163120-26-1P 163120-32-9P
163120-34-1P 163120-36-3P 163120-38-5P
163120-40-9P 163120-42-1P 163120-44-3P
RL: BAC (Biological activity or effector, except adverse); RCT (Reactant);
SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological
study); PREP (Preparation); USES (Uses)
(prepn. of imidazolylpiperidine derivs. as 5-HT3 and 5-HT4 receptor
ligands)
RN 163120-16-9 CAPLUS
CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-4-methyl-2-[4-(5-methyl-1H-
imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



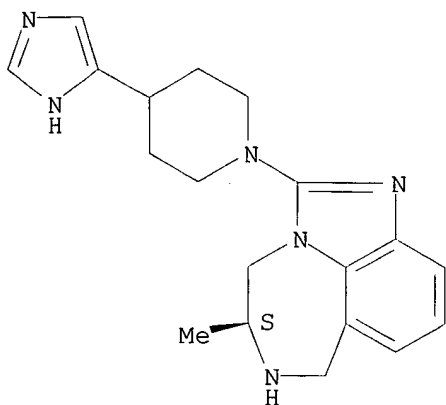
same as ref. wu 95-11894

RN 163120-26-1 CAPLUS
CN 4H-Imidazo[1,5,4-de]quinoxaline, 5,6-dihydro-2-[4-(1H-imidazol-4-yl)-1-
piperidinyl]- (9CI) (CA INDEX NAME)



RN 163120-32-9 CAPLUS
CN Imidazo[4,5,1-jk][1,4]benzodiazepine, 4,5,6,7-tetrahydro-2-[4-(1H-imidazol-
4-yl)-1-piperidinyl]-5-methyl-, (S)- (9CI) (CA INDEX NAME)

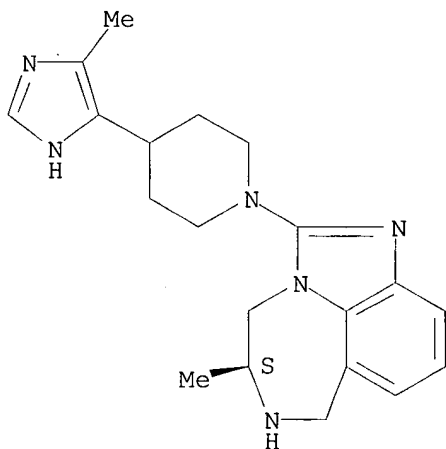
Absolute stereochemistry.



RN 163120-34-1 CAPLUS

CN Imidazo[4,5,1-jk][1,4]benzodiazepine, 4,5,6,7-tetrahydro-5-methyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (S)- (9CI) (CA INDEX NAME)

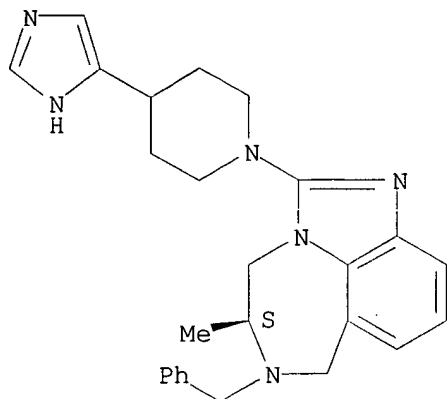
Absolute stereochemistry.



RN 163120-36-3 CAPLUS

CN Imidazo[4,5,1-jk][1,4]benzodiazepine, 4,5,6,7-tetrahydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-5-methyl-6-(phenylmethyl)-, (S)- (9CI) (CA INDEX NAME)

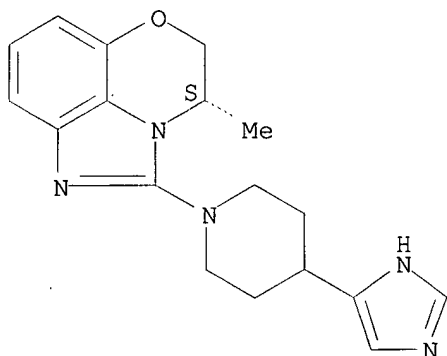
Absolute stereochemistry.



RN 163120-38-5 CAPLUS

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-4-methyl-, (S)- (9CI) (CA INDEX NAME)

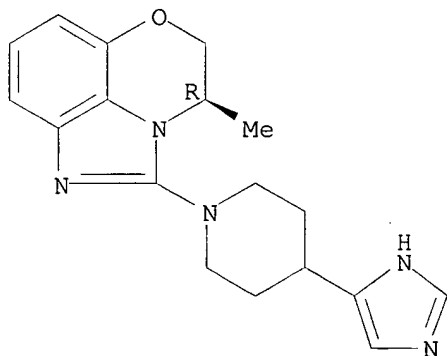
Absolute stereochemistry.



RN 163120-40-9 CAPLUS

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-4-methyl-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

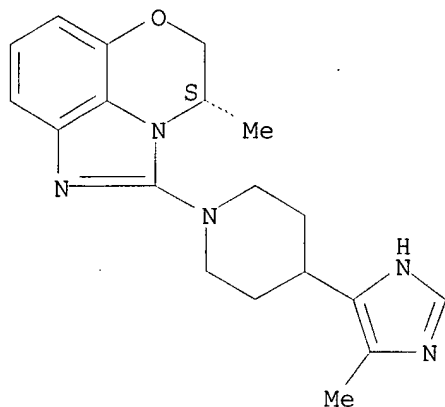


RN 163120-42-1 CAPLUS

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-4-methyl-2-[4-(5-methyl-1H-imidazol-5-yl)-1-piperidinyl]-, (S)- (9CI) (CA INDEX NAME)

imidazol-4-yl)-1-piperidinyl]-, (S)- (9CI) (CA INDEX NAME)

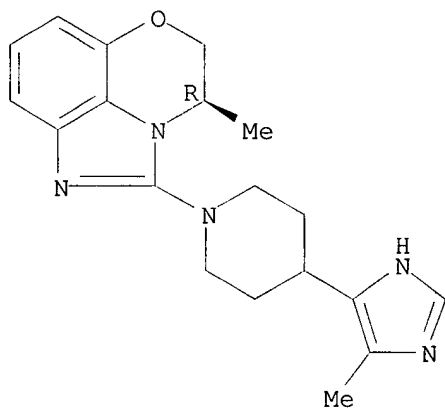
Absolute stereochemistry.



RN 163120-44-3 CAPLUS

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-4-methyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

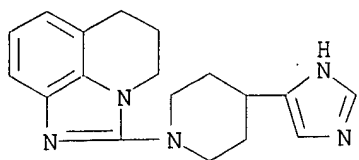


IT 163120-06-7P 163120-07-8P 163120-08-9P
163120-09-0P 163120-11-4P 163120-13-6P
163120-15-8P 163120-17-0P 163120-19-2P
163120-21-6P 163120-22-7P 163120-23-8P
163120-25-0P 163120-27-2P 163120-29-4P
163120-30-7P 163120-31-8P 163120-33-0P
163120-35-2P 163120-37-4P 163120-39-6P
163120-41-0P 163120-43-2P 163120-45-4P
163120-46-5P 163120-47-6P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

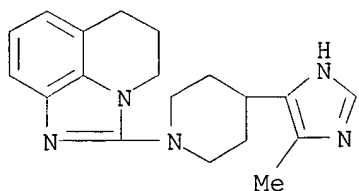
RN 163120-06-7 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinoline, 5,6-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



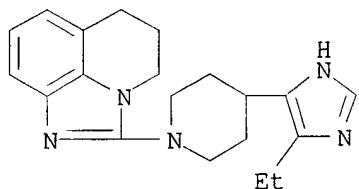
RN 163120-07-8 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinoline, 5,6-dihydro-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



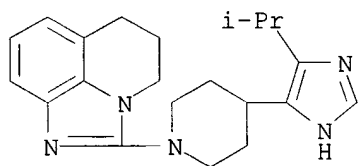
RN 163120-08-9 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinoline, 2-[4-(5-ethyl-1H-imidazol-4-yl)-1-piperidinyl]-5,6-dihydro- (9CI) (CA INDEX NAME)



RN 163120-09-0 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinoline, 5,6-dihydro-2-[4-[5-(1-methylethyl)-1H-imidazol-4-yl]-1-piperidinyl]- (9CI) (CA INDEX NAME)



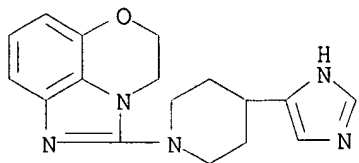
RN 163120-11-4 CAPLUS

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 163120-10-3

CMF C17 H19 N5 O



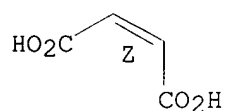
CM 2

CRN 110-16-7

CMF C4 H4 O4

CDES 2:Z

Double bond geometry as shown.



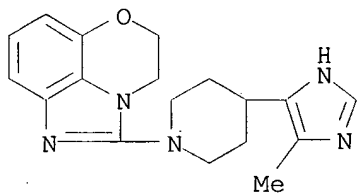
RN 163120-13-6 CAPLUS

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 163120-12-5

CMF C18 H21 N5 O



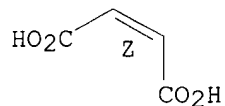
CM 2

CRN 110-16-7

CMF C4 H4 O4

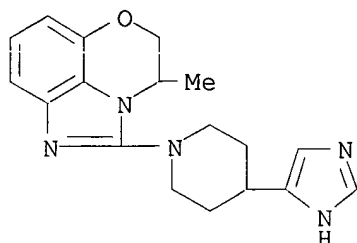
CDES 2:Z

Double bond geometry as shown.



Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-4-methyl-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

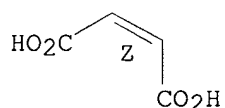
CM 1

CRN 163120-14-7
CMF C18 H21 N5 O

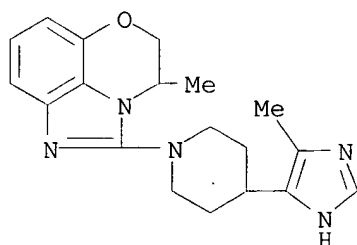
CM 2

CRN 110-16-7
CMF C4 H4 O4
CDES 2:Z

Double bond geometry as shown.

RN 163120-17-0 CAPLUS
CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-4-methyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

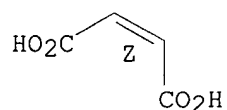
CM 1

CRN 163120-16-9
CMF C19 H23 N5 O

CM 2

CRN 110-16-7
CMF C4 H4 O4
CDES 2:Z

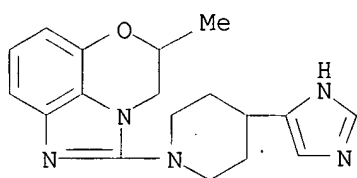
Double bond geometry as shown.



RN 163120-19-2 CAPLUS
CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-5-methyl-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

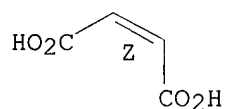
CRN 163120-18-1
CMF C18 H21 N5 O



CM 2

CRN 110-16-7
CMF C4 H4 O4
CDES 2:Z

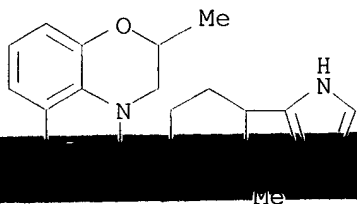
Double bond geometry as shown.



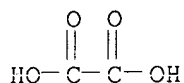
RN 163120-21-6 CAPLUS
CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-5-methyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

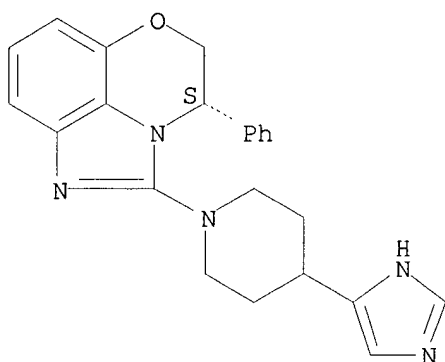
CRN 163120-20-5
CMF C19 H23 N5 O



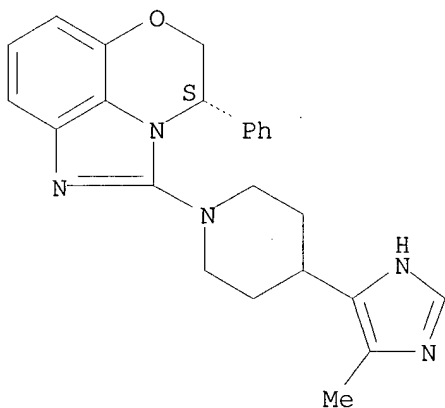
CM 2

CRN 144-62-7
CMF C2 H2 O4RN 163120-22-7 CAPLUS
CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-4-phenyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 163120-23-8 CAPLUS
CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-4-phenyl-, (S)- (9CI) (CA INDEX NAME)

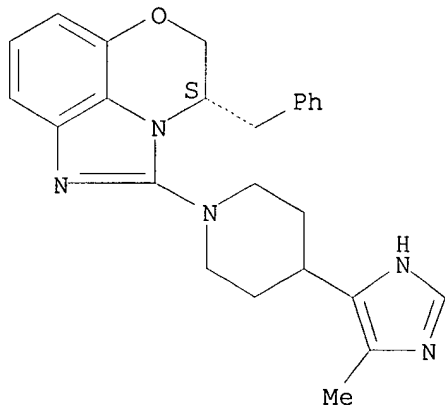
Absolute stereochemistry.

RN 163120-25-0 CAPLUS
CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-4-(phenylmethyl)-, (4S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 163120-24-9
CMF C25 H27 N5 O
CDES 1:S

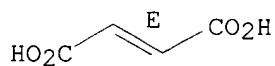
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

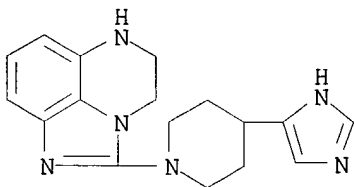
Double bond geometry as shown.



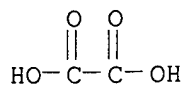
RN 163120-27-2 CAPLUS
CN 4H-Imidazo[1,5,4-de]quinoxaline, 5,6-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-, ethanedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 163120-26-1
CMF C17 H20 N6



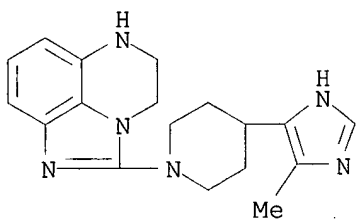
CRN 144-62-7
CMF C2 H2 O4



RN 163120-29-4 CAPLUS
CN 4H-Imidazo[1,5,4-de]quinoxaline, 5,6-dihydro-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

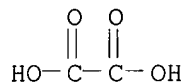
CM 1

CRN 163120-28-3
CMF C18 H22 N6

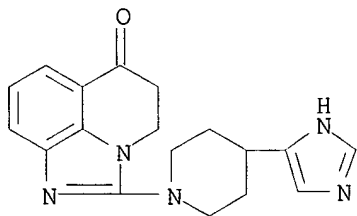


CM 2

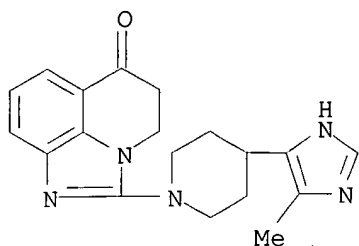
CRN 144-62-7
CMF C2 H2 O4



RN 163120-30-7 CAPLUS
CN 6H-Imidazo[4,5,1-ij]quinolin-6-one, 4,5-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

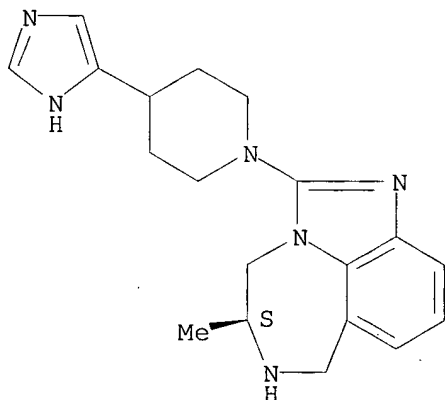


RN 163120-31-8 CAPLUS
CN 6H-Imidazo[4,5,1-ij]quinolin-6-one, 4,5-dihydro-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



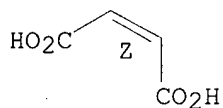
RN 163120-33-0 CAPLUS
 CN Imidazo[4,5,1-jk][1,4]benzodiazepine, 4,5,6,7-tetrahydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-5-methyl-, (5S)-, (2Z)-2-butenedioate (1:3) (9CI)
 (CA INDEX NAME)
 CM 1
 CRN 163120-32-9
 CMF C19 H24 N6
 CDES 1:S

Absolute stereochemistry.



CM 2
 CRN 110-16-7
 CMF C4 H4 O4
 CDES 2:Z

Double bond geometry as shown.



Imidazo[4,5,1-jk][1,4]benzodiazepine, 4,5,6,7-tetrahydro-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (5S)-, (2E)-2-butenedioate (1:2)
 (9CI) (CA INDEX NAME)

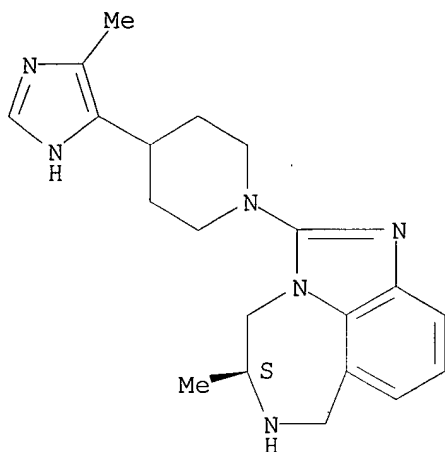
CM 1

CRN 163120-34-1

CMF C20 H26 N6

CDES 1:S

Absolute stereochemistry.



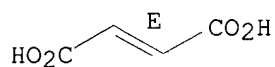
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.



RN 163120-37-4 CAPLUS

CN Imidazo[4,5,1-jk][1,4]benzodiazepine, 4,5,6,7-tetrahydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-5-methyl-6-(phenylmethyl)-, (5S)-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

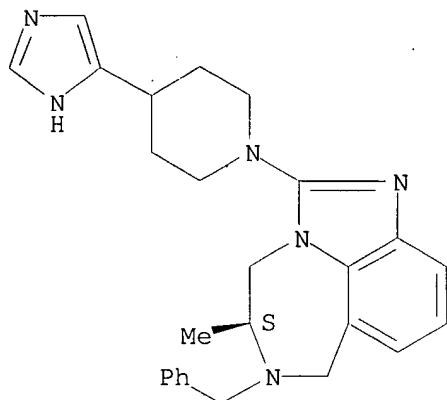
CM 1

CRN 163120-36-3

CMF C26 H30 N6

CDES 1:S

Absolute stereochemistry.



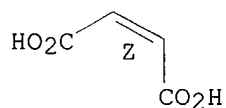
CM 2

CRN 110-16-7

CMF C4 H4 O4

CDES 2:Z

Double bond geometry as shown.



RN 163120-39-6 CAPLUS

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-4-methyl-, (4S)-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

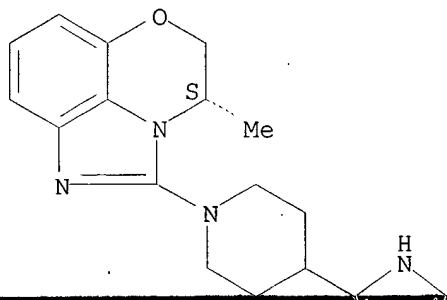
CM 1

CRN 163120-38-5

CMF C18 H21 N5 O

CDES 1:S

Absolute stereochemistry.



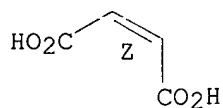
CM 2

CRN 110-16-7

CMF C4 H4 O4

CDES 2:Z

Double bond geometry as shown.



RN 163120-41-0 CAPLUS

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-4-methyl-, (4R)-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

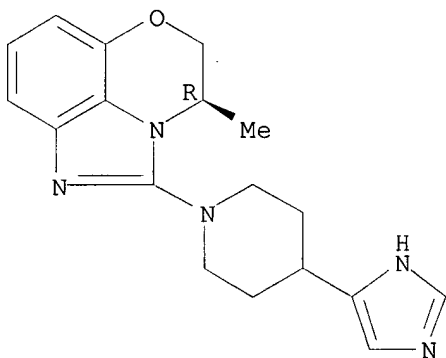
CM 1

CRN 163120-40-9

CMF C18 H21 N5 O

CDES 1:R

Absolute stereochemistry.



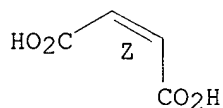
CM 2

CRN 110-16-7

CMF C4 H4 O4

CDES 2:Z

Double bond geometry as shown.



RN 163120-43-2 CAPLUS

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-4-methyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (4S)-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

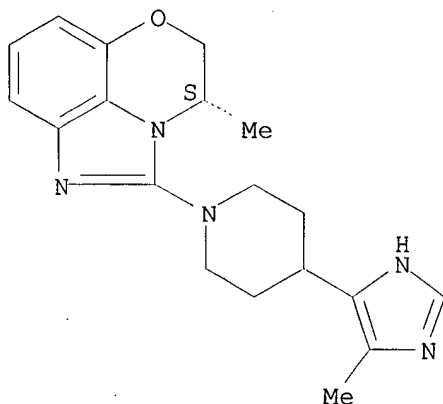
CM 1

CRN 163120-42-1

CMF C19 H23 N5 O

CDES 1:S

Absolute stereochemistry.



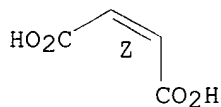
CM 2

CRN 110-16-7

CMF C4 H4 O4

CDES 2:Z

Double bond geometry as shown.



RN 163120-45-4 CAPLUS

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-4-methyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidiny]-, (4R)-, (2Z)-2-butenedioate (1:2) (9CI)
(CA INDEX NAME)

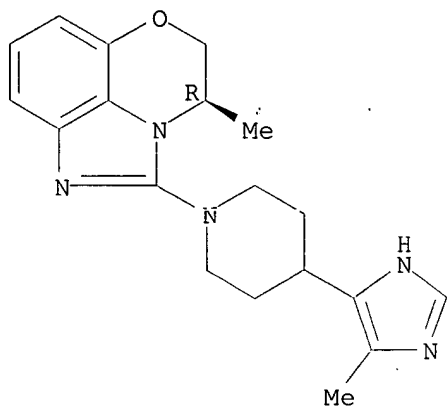
CM 1

CRN 163120-44-3

CMF C19 H23 N5 O

CDES 1:R

Absolute stereochemistry.



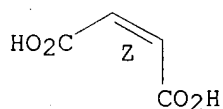
CM 2

CRN 110-16-7

CMF C4 H4 O4

CDES 2:2

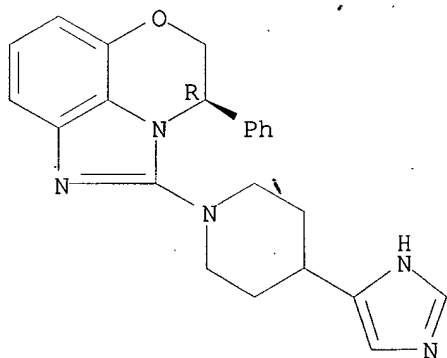
Double bond geometry as shown.



RN 163120-46-5 CAPLUS

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-5-phenyl-, (R)- (9CI) (CA INDEX NAME)

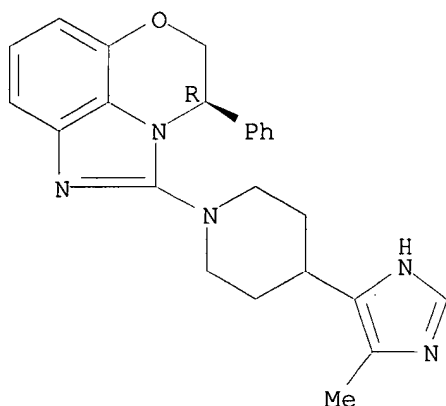
Absolute stereochemistry.



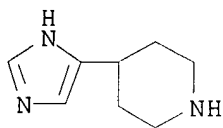
RN 163120-47-6 CAPLUS

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-4-phenyl-, (R)- (9CI) (CA INDEX NAME)

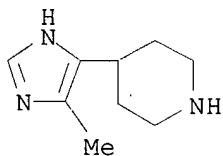
Absolute stereochemistry.



IT 106243-23-6, 4-(1H-Imidazol-4-yl)piperidine 155511-82-3,
4-(5-Methyl-1H-imidazol-4-yl)piperidine
RL: RCT (Reactant)
(starting material; prepn. of imidazolypiperidine derivs. as 5-HT3 and
5-HT4 receptor ligands)
RN 106243-23-6 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



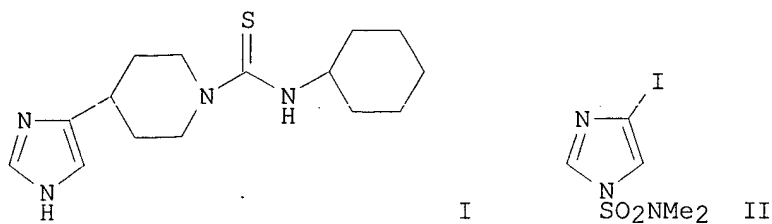
RN 155511-82-3 CAPLUS
CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



L19 ANSWER 45 OF 81 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1995:965601 CAPLUS
DOCUMENT NUMBER: 124:175942
TITLE: Two novel syntheses of the histamine H3 antagonist
thioperamide
AUTHOR(S): Lange, Jos H. M.; Wals, Henri C.; van den Hoogenband,
Adri; van de Kuilen, Aalt; den Hartog, Jack A. J.
CORPORATE SOURCE: Dep. Med. Chem., Solvay Duphar Res. Lab., Weesp, 1380
DA, Neth.

DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 124:175942

GI



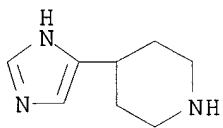
AB The previously described route for the synthesis of the histamine H₃ antagonist thioperamide I has been improved considerably. Furthermore, two straightforward novel synthetic routes towards I are described herein. The last synthetic route, using a Grignard reaction of imidazole sulfone II with N-tert-butoxycarbonyl-4-piperidone as the key step, is preferable as it is very suitable for the prodn. of multigram quantities of thioperamide I.

IT 51746-88-4P 173469-30-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of thioperamide)

RN 51746-88-4 CAPLUS

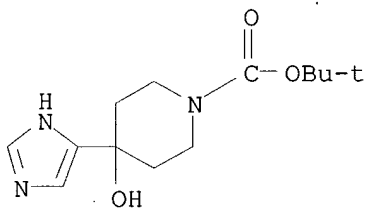
CN Piperidine, 4-(1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



2 HCl

RN 173469-30-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-hydroxy-4-(1H-imidazol-4-yl)-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 46 OF 81 CAPLUS COPYRIGHT 2001 ACS

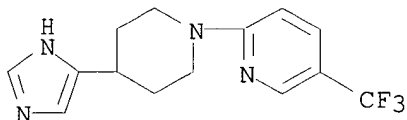
ACCESSION NUMBER: 1995:726668 CAPLUS

DOCUMENT NUMBER: 123:198692

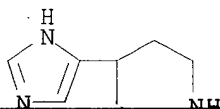
TITLE: Design of Potent Non-Thiourea H₃-Receptor Histamine

Searched by Barb O'Bryen, STIC 308-4291

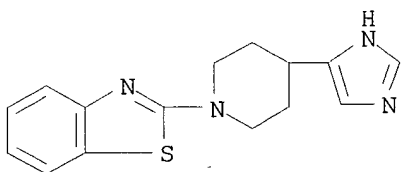
Antagonists
AUTHOR(S): Ganellin, C. Robin; Hosseini, S. Kiumars; Khalaf, Yasmin S.; Tertiuk, Wasyl; Arrang, Jean-Michel; Garbarg, Monique; Ligneau, Xavier; Schwartz, Jean-Charles
CORPORATE SOURCE: Department of Chemistry, University College London, London, WC1H 0AJ, UK
SOURCE: J. Med. Chem. (1995), 38(17), 3342-50
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Starting from thioperamide, the first potent and selective H3-receptor histamine antagonist, analogs have been synthesized and tested in vitro on rat cerebral cortex to explore structure-activity relationships. The aim was to design potent compds. which do not possess the thiourea group of thioperamide and which may have improved brain penetration. In a short series of open chain thiourea analogs, the optimum chain length for H3-antagonist potency was found to be (CH₂)₃. Compds. derived from histamine and possessing an arom. nitrogen-contg. heterocycle on the side chain amino group in place of thiourea show H3-antagonist activity. Furthermore, when the heterocycle is 2-pyridyl, electron-withdrawing substituents (e.g. NO₂, CF₃, CO₂Me) in the pyridine 5-position increased potency. The synthesis of 4-[4(5)-imidazolyl]piperidine and its conversion into the (trifluoromethyl)pyridyl analog of thioperamide is described; however, this compd. is not as potent as thioperamide. Replacing imidazole by pyridine or substituting imidazole on the remote N considerably reduced potency. Replacing the side-chain NH by S increased potency still further and the most potent compd. is 2-[[2-[4(5)-imidazolyl]ethyl]thio]-5-nitropyridine (UCL 1199) which has K_i = 4.8 nM.
IT **167897-18-9P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(design of thioperamide analogs and derivs. as H3-antihistaminics)
RN 167897-18-9 CAPLUS
CN Pyridine, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)



IT **106243-23-6P**, Piperidine, 4-(1H-imidazol-4-yl)
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(design of thioperamide analogs and derivs. as H3-antihistaminics)
RN 106243-23-6 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



ACCESSION NUMBER: 1995:989625 CAPLUS
DOCUMENT NUMBER: 124:175944
TITLE: Heteroarylaminoethyl and heteroarylthioethylimidazoles
. Synthesis and H3-receptor affinity
AUTHOR(S): Plazzi, P. V.; Bordi, F.; Mor, M.; Silva, C.; Morini,
G.; Caretta, A.; Barocelli, E.; Vitali, T.
CORPORATE SOURCE: Dip. Farmaceutico, Univ. Studi Parma, Parma, 43100,
Italy
SOURCE: Eur. J. Med. Chem. (1995), 30(11), 881-9
CODEN: EJMCA5; ISSN: 0223-5234
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The synthesis of new H3-receptor antagonists, 4-(2-heteroarylaminoethyl)-
and 4-(2-heteroarylthioethyl)imidazoles and their H3-receptor affinity
obtained from competitive binding curves vs [3H]-N.alpha.-methylhistamine
([3H]NAMHA) on rat brain cortex membranes are described. These compds.
are derived from structural modulations of thioperamide and were
synthesized in order to study binding interactions with H3-receptors and
find alternative lead compds. with H3-receptor antagonist activity. The
new compds. differ from thioperamide by replacing the N-
cyclohexylcarbothioamide moiety of thioperamide by a benzothiazole and the
piperidine ring by more flexible aminoethyl and thioethyl chains in order
to lower the excessive rigidity and to test the importance of the tertiary
piperidine nitrogen, and replacing the benzothiazole moiety by other
heterocyclic nuclei endowed with different lipophilic, steric and
hydrogen-bonding features. Some of the compds. tested showed good
affinity for central H3-receptors (pKi range: 5.89-7.96) and can be
considered as lead compds. for further optimization studies. The most
lipophilic compds. showed higher affinities among benzo-condensed compds.,
while imidazolylthioethylimidazoles were more potent in displacing
[3H]NAMHA than thiazolylthioethyl- and thiazolylaminoethylimidazoles which
suggests an interaction between the annular NH of the imidazolylthioethyl
moiety and the binding site.
IT 146365-89-1P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic
preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and H3-receptor affinity of heteroarylamino- and
heteroarylthioethylimidazoles)
RN 146365-89-1 CAPLUS
CN Benzothiazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX
NAME)



~~119~~ ANSWER 48 OF 81 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1995:959278 CAPLUS
DOCUMENT NUMBER: 124:45540
TITLE: Pharmacological characterization of GT-2016, a
non-thiourea-containing histamine H3 receptor
antagonist: in vitro and in vivo studies
AUTHOR(S): Tedford, Clark E.; Yates, Stephen L.; Pawlowski, Gary
P.; Nalwalk, Julia W.; Hough, Lindsay B.; Amin Khan,
M.; Phillips, James G.; Durant, Graham J.;

CORPORATE SOURCE: Frederickson, Robert C. A.
Department of Pharmacology and Toxicology, Albany
Medical College, Albany, NY, USA

SOURCE: J. Pharmacol. Exp. Ther. (1995), 275(2), 598-604
CODEN: JPETAB; ISSN: 0022-3565

DOCUMENT TYPE: Journal

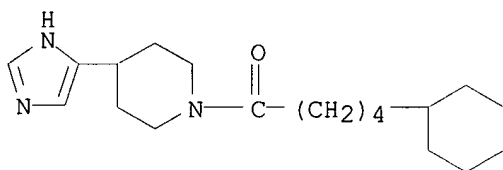
LANGUAGE: English

AB GT-2016 (4-(1-cyclohexylpentanoyl-4-piperidyl-1H-imidazole)) has been developed as a histamine H3 antagonist. In vitro and in vivo studies in rats were conducted to characterize receptor selectivity and autoreceptor functionality for GT-2016. GT-2016 demonstrated high affinity (43.8 +/- 3.0 nM) and selectivity for the histamine H3 receptor in vitro. In vivo, GT-2016 (3, 10 and 30 mg/kg i.p. and p.o.) was shown to cross the blood-brain barrier and dose-dependently bind to cortical histamine H3 receptors. GT-2016 induced dose-dependent increases in histamine turnover at concns. that exhibited significant histamine H3 receptor occupancy. Also, in vivo microdialysis expts. were conducted in awake, freely moving rats treated with GT-2016. GT-2016 (10 and 30 mg/kg i.p.) increased histamine release by .apprx.75% above baseline within 1 h, and elevated histamine release was obsd. for up to 2.5 h after the higher dose. In contrast, GT-2016 was devoid of activity on histamine methyl-transferase in vitro at concns. up to 3 .mu.M. Taken together, the results show that GT-2016 crosses the blood-brain barrier, binds to H3 receptors and increases the release of histamine in the cerebral cortex, consistent with blockade of presynaptic H3 autoreceptors. In summary, these findings allowed us to identify and characterize the in vitro and in vivo biochem. properties of a novel H3 receptor antagonist, GT-2016.

IT 152241-24-2, GT 2016
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BIOL (Biological study); PROC (Process)
(pharmacol. characterization of non-thiourea-contg. histamine H3 receptor antagonist GT-2016)

RN 152241-24-2 CAPLUS

CN Piperidine, 1-(5-cyclohexyl-1-oxopentyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



L19 ANSWER 49 OF 81 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1995:809574 CAPLUS

DOCUMENT NUMBER: 124:193264

TITLE: Computer-assisted analysis of histamine H2- and H3-receptor agonists. [Erratum to document cited in CA123:187680]

AUTHOR(S): Sippl, Wolfgang; Stark, Holger; Hoeltje, Hans-Dieter

CORPORATE SOURCE: Inst. Pharmacy, Free Univ. Berlin, Berlin, D-14195, Germany

SOURCE: Quant. Struct.-Act. Relat. (1995), 14(3), 270
CODEN: QSARDI; ISSN: 0931-8771

LANGUAGE: English

AB The errors were not reflected in the abstr. or the index entries.

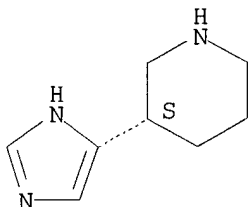
IT 166820-56-0

RL: BAC (Biological activity or effector, except adverse); PRP
(Properties); BIOL (Biological study)
(computer-assisted anal. of histamine H2- and H3-receptor agonists
interaction in relation to pharmacophore (Erratum))

RN 166820-56-0 CAPLUS

CN Piperidine, 3-(1H-imidazol-4-yl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L19 ANSWER 50 OF 81 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1996:495636 CAPLUS

DOCUMENT NUMBER: 125:211804

TITLE: Structural analogs of thioperamide: pharmacological
evaluation of new benzothiazole derivatives at
peripheral histamine receptor subtypes in guinea pigs
AUTHOR(S): Barocelli, E.; Ballabeni, V.; Chiavarini, M.; Caretta,
A.; More, M.; Silva, C.; Impicciatore, M.

CORPORATE SOURCE: Inst. Pharmacology, Pharmacognosy, Dep. Pharmaceutical
Chem., Coll. Pharm., Univ. Parma, Parma, Italy

SOURCE: Pharm. Sci. (1995), 1(4), 177-180

CODEN: PHSCFB; ISSN: 1356-6881

DOCUMENT TYPE: Journal

LANGUAGE: English

AB New thioperamide analogs, derived by the replacement of the
cyclohexylcarbothioamide portion with the benzothiazole nucleus, were
tested in guinea-pig isolated preps. to assess their H1-, H2- and
H3-blocking actions. Various substituents were inserted in position 6 of
the benzothiazole ring to investigate whether changes of physicochem.
properties of the heteroarom. structure could affect drug-receptor
interaction. A selective H3 antagonism was exhibited by the unsubstituted
benzothiazole deriv. which showed a substantial fall in potency (pA2=7.07)
with respect to thioperamide (pA2=9.04). The insertion of small
substituents (-NO2, -Br, -CH3) caused only marginal variations in the
H3-antagonistic activity, while the introduction of larger groups (-C4H9,
-OC4H9, -COC6H5, -COOC2H5) markedly hampered drug-receptor interaction.
The authors conclude that the steric hindrance could account for the low
H3-antagonistic activity of the new thioperamide benzothiazole derivs.

IT 146365-89-1 156246-07-0 156246-08-1

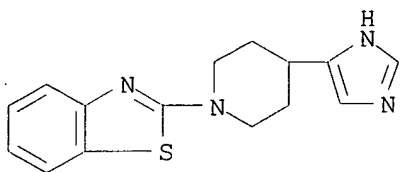
156246-09-2 156246-10-5 156246-11-6

156246-12-7 156246-13-8

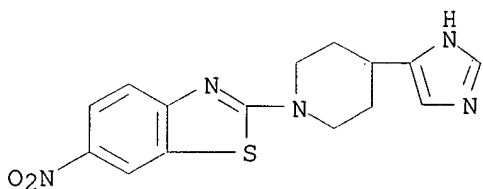
RL: BAC (Biological activity or effector, except adverse); PRP
(Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmacol. evaluation of new benzothiazole thioperamide analogs as
antagonists at peripheral histamine receptor subtypes in guinea pigs)

RN 146365-89-1 CAPLUS

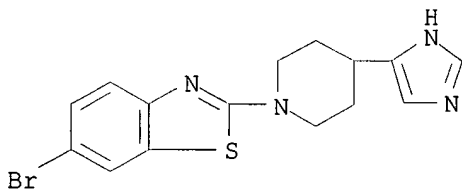
CN Benzothiazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX
NAME)



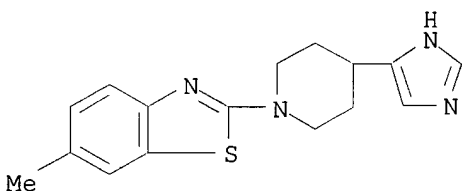
RN 156246-07-0 CAPLUS
CN Benzothiazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-6-nitro- (9CI) (CA
INDEX NAME)



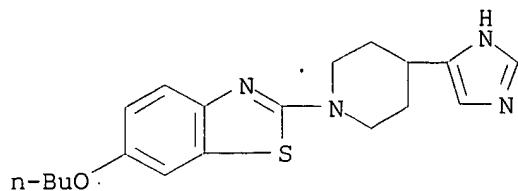
RN 156246-08-1 CAPLUS
CN Benzothiazole, 6-bromo-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA
INDEX NAME)



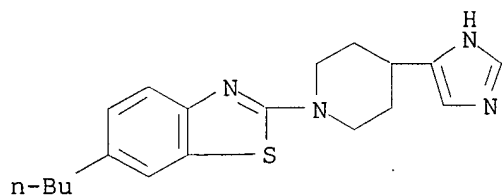
RN 156246-09-2 CAPLUS
CN Benzothiazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-6-methyl- (9CI) (CA
INDEX NAME)



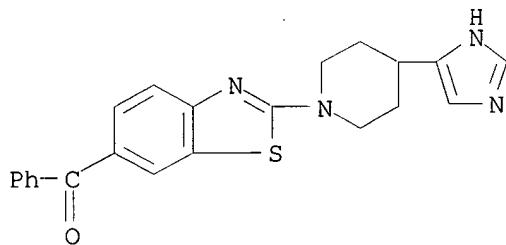
RN 156246-10-5 CAPLUS
CN Benzothiazole, 6-butoxy-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA
INDEX NAME)



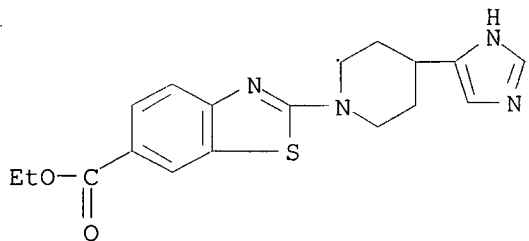
RN 156246-11-6 CAPLUS
CN Benzothiazole, 6-butyl-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 156246-12-7 CAPLUS
CN Methanone, [2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-6-benzothiazolyl]phenyl- (9CI) (CA INDEX NAME)



RN 156246-13-8 CAPLUS
CN 6-Benzothiazolecarboxylic acid, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-, ethyl ester (9CI) (CA INDEX NAME)

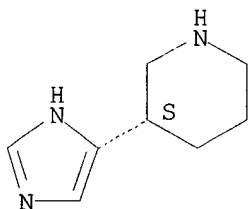


L19 ANSWER 51 OF 81 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1995:689061 CAPLUS
DOCUMENT NUMBER: 123:187680
TITLE: Computer-assisted analysis of histamine H2- and

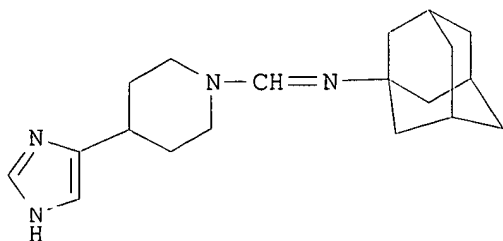
Searched by Barb O'Bryen, STIC 308-4291

AUTHOR(S): H3-receptor agonists
CORPORATE SOURCE: Sippl, Wolfgang; Stark, Holger; Hoeltje, Hans-Dieter
Inst, Pharmacy, Free Univ. Berlin, Berlin, D-14195,
Germany
SOURCE: Quant. Struct.-Act. Relat. (1995), 14(2), 121-5
CODEN: QSARDI; ISSN: 0931-8771
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Using mol. modeling methods, the structural and conformational
requirements for receptor affinity and activity of histamine H2- and
H3-receptor agonists have been investigated. Two pharmacophore models
were derived which indicate the different steric requirements for the two
histamine receptor subtypes. On the basis of these results, the authors
suggest that histamine may interact in different bioactive conformations
with the corresponding receptor subtypes. Subsequent investigations of
the mol. interaction potentials support the described orientations and
conformations of H2- and H3-agonists. The derived pharmacophore models
together with the mol. interaction patterns of the agonists may serve as
basis for amino acid models of the binding regions of H2- and H3-receptor
sites.
IT 166820-56-0
RL: BAC (Biological activity or effector, except adverse); PRP
(Properties); BIOL (Biological study)
(computer-assisted anal. of histamine H2- and H3-receptor agonists
interaction in relation to pharmacophore)
RN 166820-56-0 CAPLUS
CN Piperidine, 3-(1H-imidazol-4-yl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



119 ANSWER 52 OF 81 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1996:118486 CAPLUS
DOCUMENT NUMBER: 124:250526
TITLE: AQ-0145, a newly developed histamine H3 antagonist,
decreased seizure susceptibility of electrically
induced convulsions in mice
AUTHOR(S): Murakami, K.; Yokoyama, H.; Onodera, K.; Iinuma, K.;
Watanabe, T.
CORPORATE SOURCE: The Green Cross Corporation, Hirakata, Japan
SOURCE: Methods Find. Exp. Clin. Pharmacol. (1995), Volume
Date 1995, 17(Suppl. C), 70-3
CODEN: MFEPDX; ISSN: 0379-0355
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB We studied the effect of AQ-0145 (1), a newly developed histamine H3-receptor antagonist, on elec. induced convulsions in mice. AQ-0145 significantly decreased the durations of each convulsive phase. The anticonvulsant effect of AQ-0145 was antagonized by mepyramine (pyrilamine) and ketotifen, centrally acting histamine H1-receptor antagonists. Thus, the blockade by histamine H1 antagonists of the AQ-0145-induced decrease in seizure susceptibility indicated that histamine released by AQ-0145 from the histaminergic nerve terminals interacts with the histamine H1 receptors of postsynaptic neurons. These findings fully support the hypothesis that the central histaminergic neuronal system is involved in the inhibition of seizures. It is suggested that the neuropharmacol. data on histamine H3 ligands may provide clin. candidates for the CNS disorders in which histamine plays important roles in mental and behavioral functions. In this study, it is suggested that AQ-0145 is a new clin. candidate of H3 ligands.

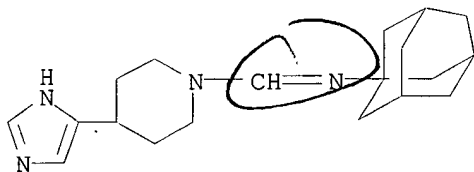
IT 175033-29-1, AQ 0145

RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(AQ-0145, a newly developed histamine H3 antagonist, decreased seizure susceptibility of elec. induced convulsions in mice)

RN 175033-29-1 CAPLUS

Piperidine, 4-(1H-imidazol-4-yl)-1-[(tricyclo[3.3.1.1.3,7]dec-1-ylimino)methyl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

~~E19~~ ANSWER 53 OF 81 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1994:700891 CAPLUS

DOCUMENT NUMBER: 121:300891

TITLE: Preparation of imidazole derivatives as histamine H3 antagonists

INVENTOR(S): Yanai, Kazuhiko; Watanabe, Takehiko; Gotoh, Tomokazu;
Sakashita, Hiroshi; Murakami, Kazuki; Sugiura,
Masanori; Fukaya, Chikara

PATENT ASSIGNEE(S) : Japan

Searched by Barb O'Bryen, STIC 308-4291

SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| WO 9417058 | A1 | 19940804 | WO 1993-JP1822 | 19931215 |
| W: CA, KR, US | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| JP 06271567 | A2 | 19940927 | JP 1993-308553 | 19931116 |
| JP 06271566 | A2 | 19940927 | JP 1993-308552 | 19931116 |
| EP 680960 | A1 | 19951108 | EP 1994-903008 | 19931215 |
| R: BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE | | | | |
| PRIORITY APPLN. INFO.: | | | JP 1993-27145 | 19930125 |
| | | | JP 1993-27146 | 19930125 |
| | | | WO 1993-JP1822 | 19931215 |

OTHER SOURCE(S): MARPAT 121:300891

GI For diagram(s), see printed CA Issue.

AB The invention aims at providing novel compds. having histamine H3 receptor antagonism and relates to compds. represented by general formula (I; m = 4-6; R1 = H, lower alkyl or aralkyl; R2, R3 = H, lower alkyl; R4 H, linear or branched alkyl, cycloalkyl, cycloalkylalkyl, optionally substituted aryl or aralkyl; Z = R5 or AR6; A = S or O; R5 = H, lower alkyl, optionally substituted aryl or aralkyl; R6 = lower alkyl, alkenyl, or alkynyl, or optionally substituted aralkyl), useful as neuroleptics, anticonvulsants, analgesics, for regulation of sleep, eating, body temp., and internal endocritic secretion, as therapeutics for reactivation of brain metab. in the treatment of Alzheimer's diseases, and also as labels for imaging histamine H3 receptor by using positron emission tomog. Thus, .apprx.1 g Raney Ni was added to a soln. of 200 mg thioperamide in EtOH, and stirred for 1 h under ice-cooling. The supernatant liq. was decanted and evapd. under reduced pressure to give a white powder which was dissolved in EtOH followed by adding 5.6 N HCl in EtOH under ice-cooling, stirring the resulting mixt. for 30 min under ice-cooling, and evapg. the solvent in vacuo to give title compd. (II.2HCl). In binding assay using rat cerebral cortex membrane and [3H](R)-.alpha.-methylhistamine, I showed Ki (dissochn. const. for histamine H3 receptor) of 5-200 nM.

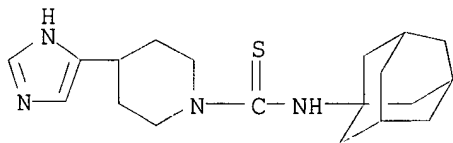
IT 106243-20-3 106243-82-7 143412-19-5
159147-60-1 159147-61-2 159147-62-3
159147-63-4

RL: RCT (Reactant)

(Raney nickel redn. in prepn. of imidazole derivs. as histamine H3 receptor antagonists)

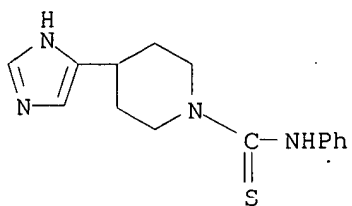
RN 106243-20-3 CAPLUS

CN 1-Piperidinecarbothioamide, 4-(1H-imidazol-4-yl)-N-tricyclo[3.3.1.1^{3,7}]dec-1-yl- (9CI) (CA INDEX NAME)



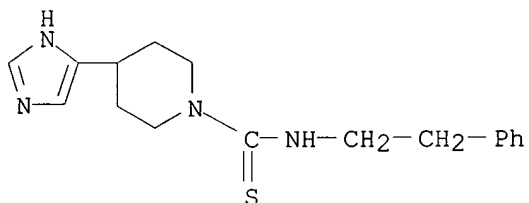
RN 106243-82-7 CAPLUS

CN 1-Piperidinecarbothioamide, 4-(1H-imidazol-4-yl)-N-phenyl- (9CI) (CA INDEX NAME)



RN 143412-19-5 CAPLUS

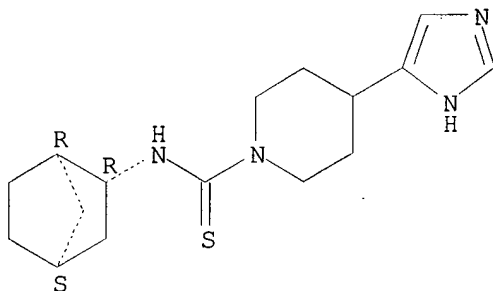
CN 1-Piperidinecarbothioamide, 4-(1H-imidazol-4-yl)-N-(2-phenylethyl)- (9CI)
(CA INDEX NAME)



RN 159147-60-1 CAPLUS

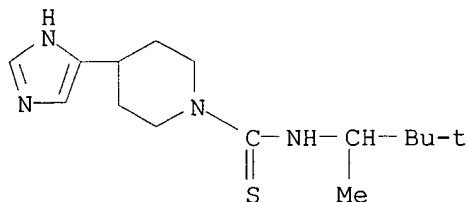
CN 1-Piperidinecarbothioamide, N-bicyclo[2.2.1]hept-2-yl-4-(1H-imidazol-4-yl)-
, exo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



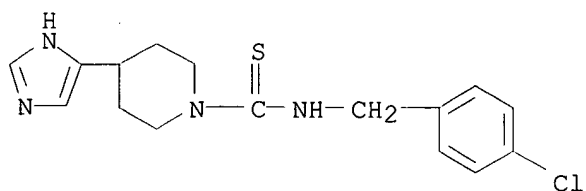
RN 159147-61-2 CAPLUS

CN 1-Piperidinecarbothioamide, 4-(1H-imidazol-4-yl)-N-(1,2,2-trimethylpropyl)-
(9CI) (CA INDEX NAME)

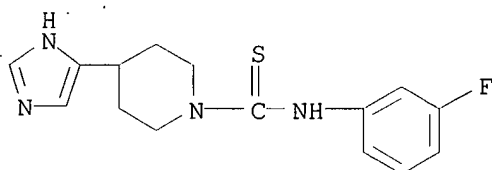


RN 159147-62-3 CAPLUS

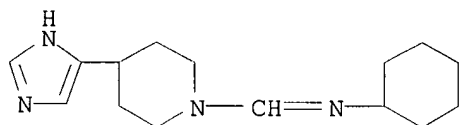
CN 1-Piperidinecarbothioamide, N-[(4-chlorophenyl)methyl]-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



RN 159147-63-4 CAPLUS
CN 1-Piperidinecarbothioamide, N-(3-fluorophenyl)-4-(1H-imidazol-4-yl)- (9CI)
(CA INDEX NAME)



IT 159147-41-8P 159147-42-9P 159147-43-0P
159147-44-1P 159147-45-2P 159147-46-3P
159147-48-5P 159147-49-6P 159147-50-9P
159147-52-1P 159147-53-2P 159147-54-3P
159147-55-4P 159147-56-5P 159147-57-6P
159147-58-7P 159147-59-8P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of imidazole derivs. as histamine H3 receptor antagonists)
RN 159147-41-8 CAPLUS
CN Piperidine, 1-[(cyclohexylimino)methyl]-4-(1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 159147-42-9 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-[(tricyclo[3.3.1.1^{3,7}]dec-1-ylimino)methyl]- (9CI) (CA INDEX NAME)

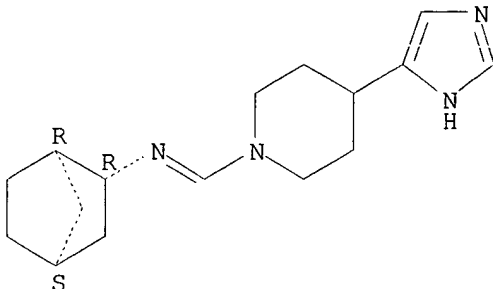


RN 159147-43-0 CAPLUS

CN Piperidine, 1-[(bicyclo[2.2.1]hept-2-ylimino)methyl]-4-(1H-imidazol-4-yl)-, exo- (9CI) (CA INDEX NAME)

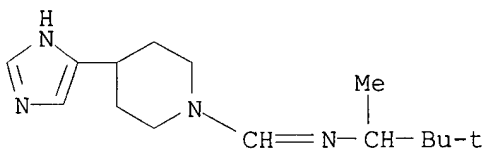
Relative stereochemistry.

Double bond geometry unknown.



RN 159147-44-1 CAPLUS

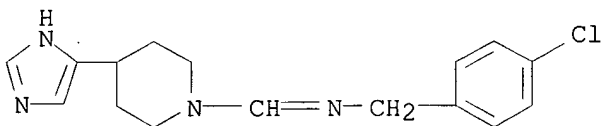
CN Piperidine, 4-(1H-imidazol-4-yl)-1-[[[(1,2,2-trimethylpropyl)imino]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 159147-45-2 CAPLUS

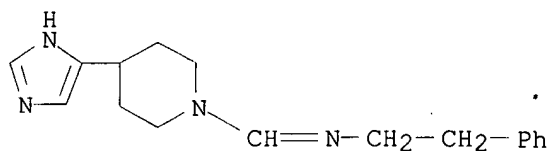
CN Piperidine, 1-[[[(4-chlorophenyl)methyl]imino]methyl]-4-(1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 159147-46-3 CAPLUS

CN Piperidine, 4-(1H-imidazol-4-yl)-1-[[[(2-phenylethyl)imino]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

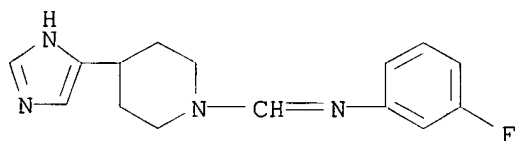


● 2 HCl

RN 159147-48-5 CAPLUS
 CN Piperidine, 1-[[{(3-fluorophenyl)imino]methyl]-4-(1H-imidazol-4-yl)-,
 (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

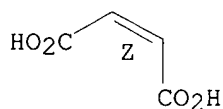
CRN 159147-47-4
 CMF C15 H17 F N4



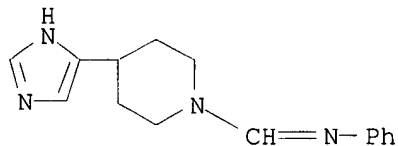
CM 2

CRN 110-16-7
 CMF C4 H4 O4
 CDES 2:Z

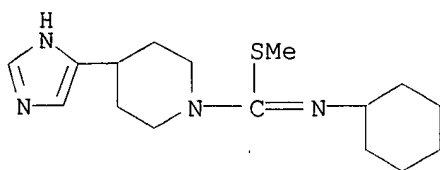
Double bond geometry as shown.



RN 159147-49-6 CAPLUS
 CN Piperidine, 4-(1H-imidazol-4-yl)-1-[(phenylimino)methyl]- (9CI) (CA INDEX NAME)



ON 1-[(phenylimino)methyl]-4-(1H-imidazol-4-yl)piperidine, N-cyclohexyl-4-(1H-imidazol-4-yl)-,
 methyl ester, dihydrochloride (9CI) (CA INDEX NAME)

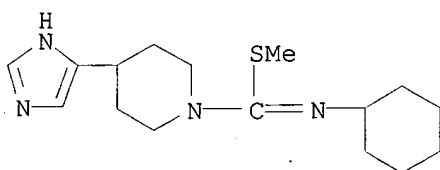


● 2 HCl

RN 159147-52-1 CAPLUS
CN 1-Piperidinecarboximidothioic acid, N-cyclohexyl-4-(1H-imidazol-4-yl)-, methyl ester, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

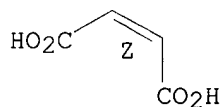
CRN 159147-51-0
CMF C16 H26 N4 S



CM 2

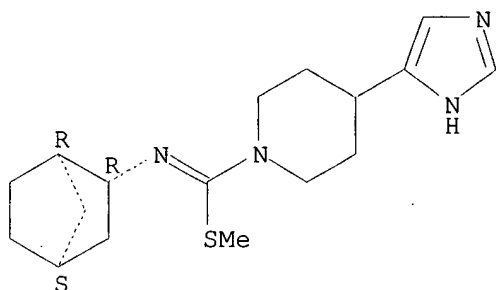
CRN 110-16-7
CMF C4 H4 O4
CDES 2:Z

Double bond geometry as shown.



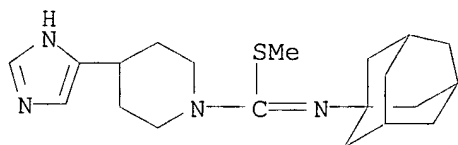
RN 159147-53-2 CAPLUS
CN 1-Piperidinecarboximidothioic acid, N-bicyclo[2.2.1]hept-2-yl-4-(1H-imidazol-4-yl)-, methyl ester, dihydrochloride, exo- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



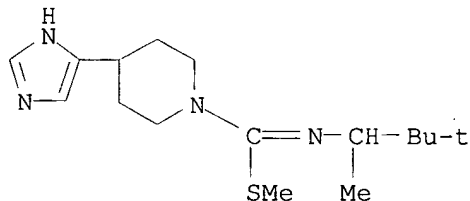
● 2 HCl

RN 159147-54-3 CAPLUS
 CN 1-Piperidinecarboximidothioic acid, 4-(1H-imidazol-4-yl)-N-tricyclo[3.3.1.1.3]dec-1-yl-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)



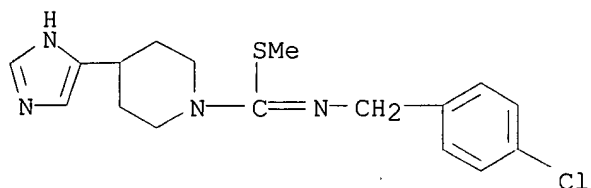
● 2 HCl

RN 159147-55-4 CAPLUS
 CN 1-Piperidinecarboximidothioic acid, 4-(1H-imidazol-4-yl)-N-(1,2,2-trimethylpropyl)-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)



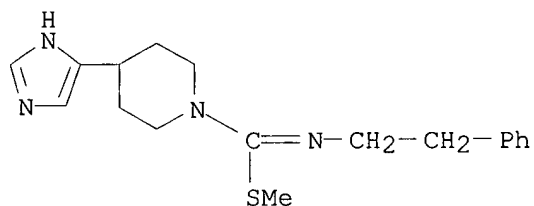
● 2 HCl

RN 159147-56-5 CAPLUS
 CN 1-Piperidinecarboximidothioic acid, 4-(1H-imidazol-4-yl)-N-(1,2,2-trimethylpropyl)-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)



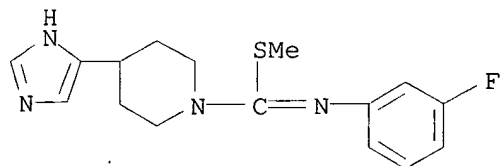
● 2 HCl

RN 159147-57-6 CAPLUS
CN 1-Piperidinecarboximidothioic acid, 4-(1H-imidazol-4-yl)-N-(2-phenylethyl)-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)

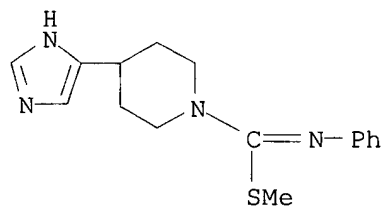


● 2 HCl

RN 159147-58-7 CAPLUS
CN 1-Piperidinecarboximidothioic acid, N-(3-fluorophenyl)-4-(1H-imidazol-4-yl)-, methyl ester (9CI) (CA INDEX NAME)



RN 159147-59-8 CAPLUS
CN 1-Piperidinecarboximidothioic acid, 4-(1H-imidazol-4-yl)-N-phenyl-, methyl ester (9CI) (CA INDEX NAME)



L19 ANSWER 54 OF 81 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1994:409402 CAPLUS

DOCUMENT NUMBER: 121:9402

TITLE: Preparation of 1-[(hetero)aroyl]-4-(4-imidazolyl)piperidines as serotoninergic receptor antagonists

INVENTOR(S): Jegham, Samir; Angel, Itzchak; Purcell, Thomas; Schoemaker, Johannes

PATENT ASSIGNEE(S): Synthelabo S. A., Fr.

SOURCE: Eur. Pat. Appl., 12 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: French

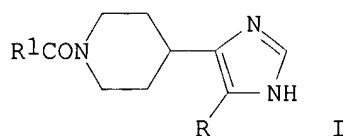
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| EP 591027 | A1 | 19940406 | EP 1993-402281 | 19930920 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| FR 2696177 | A1 | 19940401 | FR 1992-11551 | 19920928 |
| FR 2696177 | B1 | 19950512 | | |
| CA 2107061 | AA | 19940329 | CA 1993-2107061 | 19930927 |
| FI 9304221 | A | 19940329 | FI 1993-4221 | 19930927 |
| NO 9303435 | A | 19940329 | NO 1993-3435 | 19930927 |
| AU 9348606 | A1 | 19940414 | AU 1993-48606 | 19930927 |
| AU 658533 | B2 | 19950413 | | |
| HU 65303 | A2 | 19940502 | HU 1993-2727 | 19930927 |
| ZA 9307156 | A | 19940523 | ZA 1993-7156 | 19930927 |
| CN 1087339 | A | 19940601 | CN 1993-118082 | 19930927 |
| JP 06211838 | A2 | 19940802 | JP 1993-239571 | 19930927 |
| US 5434169 | A | 19950718 | US 1993-127078 | 19930927 |
| CZ 282080 | B6 | 19970514 | CZ 1993-2015 | 19930927 |
| PL 172860 | B1 | 19971231 | PL 1993-300515 | 19930927 |
| IL 107133 | A1 | 19980310 | IL 1993-107133 | 19930927 |
| PRIORITY APPLN. INFO.: | | | FR 1992-11551 | 19920928 |

OTHER SOURCE(S): MARPAT 121:9402

GI



AB Title compds. [I; R = H, alkyl; R₁ = (un)substituted (hetero)aryl] were prepd. Thus, 4-(1H-imidazol-4-yl)piperidine was condensed with 3,5-Cl₂C₆H₃COCl to give I (R = H, R₁ = 3,5-Cl₂C₆H₃). I inhibited 5-HT-induced diarrhea in mice at 0.002mg/kg i.p. and 0.1mg/kg orally.

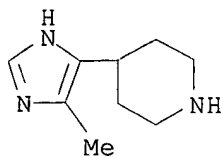
IT 155511-82-3

RL: RCT (Reactant)

(3reaction of, in prepn. of serotoninergic receptor antagonist)

RN 155511-82-3 CAPLUS

CN 155511-82-3 CAPLUS

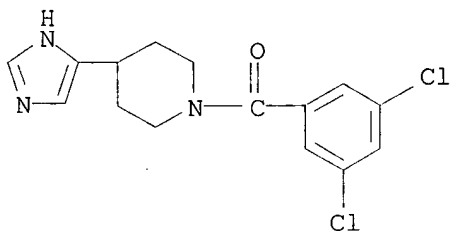


IT 155511-38-9P 155511-39-0P 155511-40-3P
155511-41-4P 155511-42-5P 155511-44-7P
155511-45-8P 155511-46-9P 155511-48-1P
155511-50-5P 155511-51-6P 155511-52-7P
155511-53-8P 155511-55-0P 155511-57-2P
155511-59-4P 155511-61-8P 155511-63-0P
155511-65-2P 155511-67-4P 155511-68-5P
155511-69-6P 155511-70-9P 155511-72-1P
155511-73-2P 155511-75-4P 155511-77-6P
155511-78-7P 155511-80-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as serotonergic receptor antagonist)

RN 155511-38-9 CAPLUS

CN Piperidine, 1-(3,5-dichlorobenzoyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



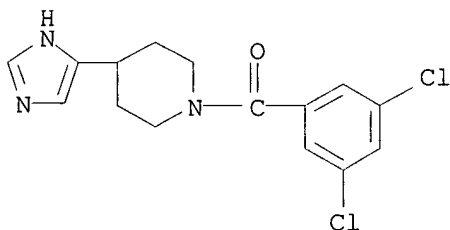
RN 155511-39-0 CAPLUS

CN Piperidine, 1-(3,5-dichlorobenzoyl)-4-(1H-imidazol-4-yl)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 155511-38-9

CMF C15 H15 Cl2 N3 O



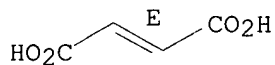
CM 2

CRN 110-17-8

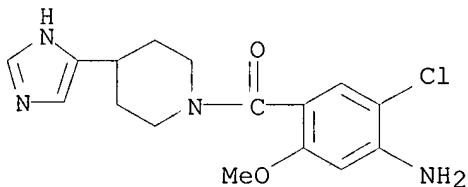
CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.

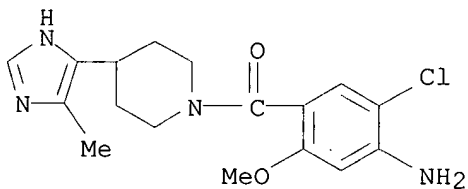


RN 155511-40-3 CAPLUS

CN Piperidine, 1-(4-amino-5-chloro-2-methoxybenzoyl)-4-(1H-imidazol-4-yl)-
(9CI) (CA INDEX NAME)

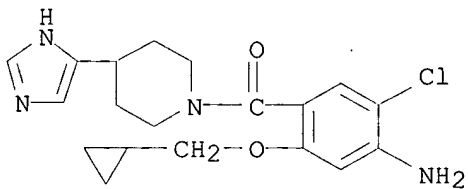
RN 155511-41-4 CAPLUS

CN Piperidine, 1-(4-amino-5-chloro-2-methoxybenzoyl)-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



RN 155511-42-5 CAPLUS

CN Piperidine, 1-[4-amino-5-chloro-2-(cyclopropylmethoxy)benzoyl]-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

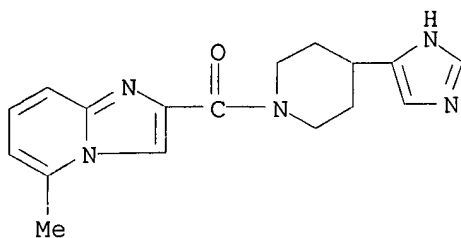


RN 155511-44-7 CAPLUS

CN Piperidine, 4-(1H-imidazol-4-yl)-1-[(5-methylimidazo[1,2-a]pyridin-2-yl)carbonyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CBN 155511-43-6



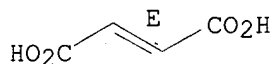
CM 2

CRN 110-17-8

CMF C4 H4 O4

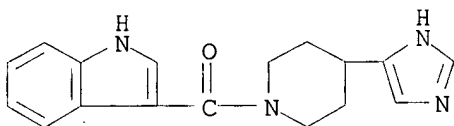
CDES 2:E

Double bond geometry as shown.



RN 155511-45-8 CAPLUS

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1H-indol-3-ylcarbonyl)- (9CI) (CA INDEX NAME)



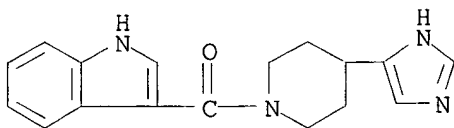
RN 155511-46-9 CAPLUS

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1H-indol-3-ylcarbonyl)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 155511-45-8

CMF C17 H18 N4 O



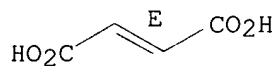
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

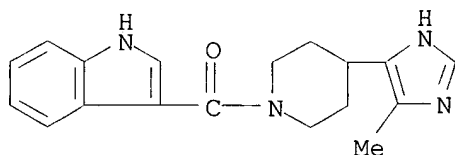
Double bond geometry as shown.



RN 155511-48-1 CAPLUS
CN Piperidine, 1-(1H-indol-3-ylcarbonyl)-4-(5-methyl-1H-imidazol-4-yl)-,
(2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

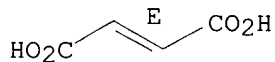
CRN 155511-47-0
CMF C18 H20 N4 O



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

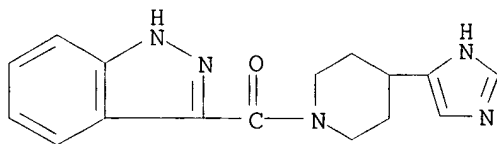
Double bond geometry as shown.



RN 155511-50-5 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1H-indazol-3-ylcarbonyl)-,
(2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 155511-49-2
CMF C16 H17 N5 O

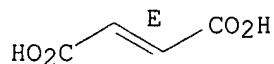


CM 2

CRN 110-17-8

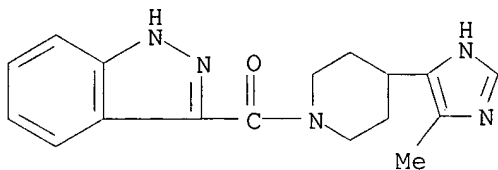
CDES 2:E

Double bond geometry as shown.



RN 155511-51-6 CAPLUS

CN Piperidine, 1-(1H-indazol-3-ylcarbonyl)-4-(5-methyl-1H-imidazol-4-yl)-
(9CI) (CA INDEX NAME)



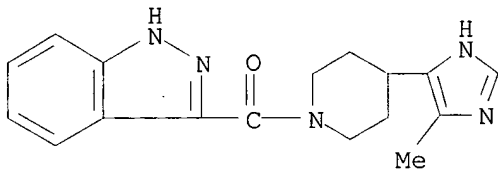
RN 155511-52-7 CAPLUS

CN Piperidine, 1-(1H-indazol-3-ylcarbonyl)-4-(5-methyl-1H-imidazol-4-yl)-,
(2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 155511-51-6

CMF C17 H19 N5 O



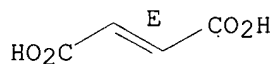
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.



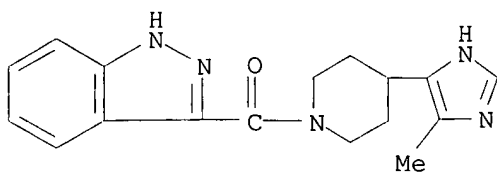
RN 155511-53-8 CAPLUS

CN Piperidine, 1-(1H-indazol-3-ylcarbonyl)-4-(5-methyl-1H-imidazol-4-yl)-,
monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 155511-51-6

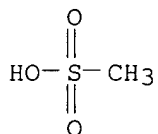
CMF C17 H19 N5 O



CM 2

CRN 75-75-2

CMF C H4 O3 S



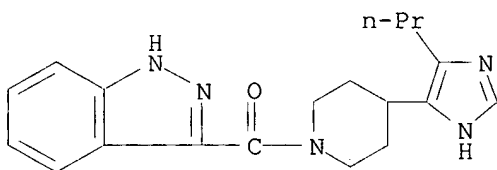
RN 155511-55-0 CAPLUS

CN Piperidine, 1-(1H-indazol-3-ylcarbonyl)-4-(5-propyl-1H-imidazol-4-yl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 155511-54-9

CMF C19 H23 N5 O



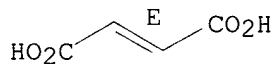
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.

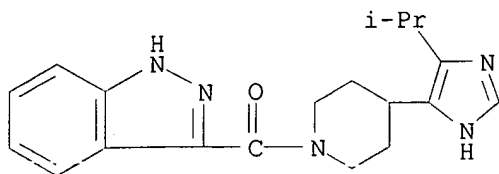


RN 155511-57-2 CAPLUS

CN Piperidine, 1-(1H-indazol-3-ylcarbonyl)-4-[5-(1-methylethyl)-1H-imidazol-4-yl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CRN 155511-56-1

CMF C19 H23 N5 O



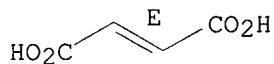
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.



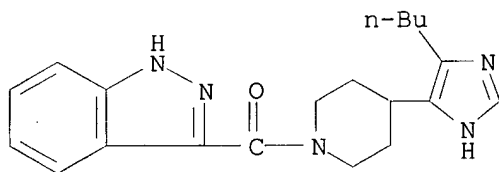
RN 155511-59-4 CAPLUS

CN Piperidine, 4-(5-butyl-1H-imidazol-4-yl)-1-(1H-indazol-3-ylcarbonyl)-,
(2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 155511-58-3

CMF C20 H25 N5 O



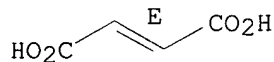
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.

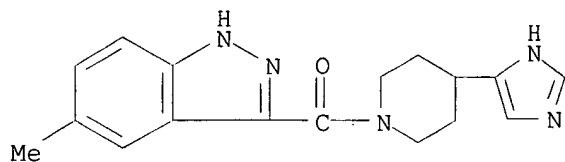


RN 155511-61-8 CAPLUS

CN Piperidine, 4-(1H-imidazol-4-yl)-1-[(5-methyl-1H-indazol-3-yl)carbonyl]-,
(2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

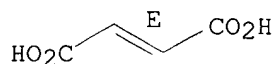
CRN 155511-60-7
CMF C17 H19 N5 O



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

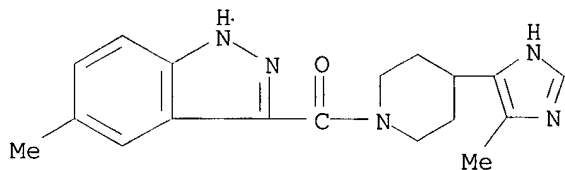
Double bond geometry as shown.



RN 155511-63-0 CAPLUS
CN Piperidine, 4-((5-methyl-1H-imidazol-4-yl)-1-[(5-methyl-1H-indazol-3-yl)carbonyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

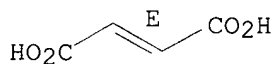
CRN 155511-62-9
CMF C18 H21 N5 O



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

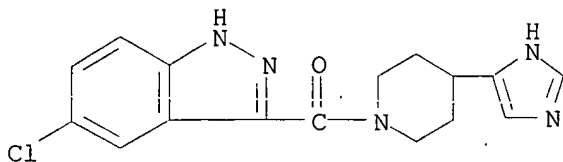
Double bond geometry as shown.



RN 155511-65-2 CAPLUS
(2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

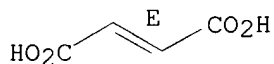
CRN 155511-64-1
CMF C16 H16 Cl N5 O



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

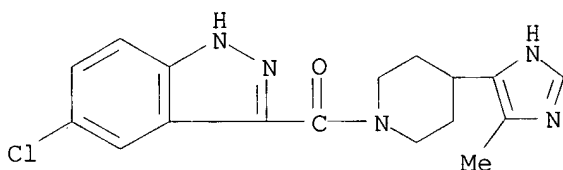
Double bond geometry as shown.



RN 155511-67-4 CAPLUS
CN Piperidine, 1-[(5-chloro-1H-indazol-3-yl)carbonyl]-4-(5-methyl-1H-imidazol-4-yl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

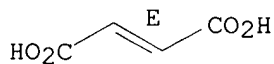
CRN 155511-66-3
CMF C17 H18 Cl N5 O



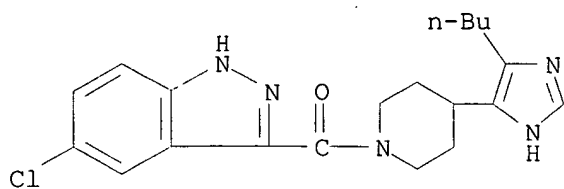
CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



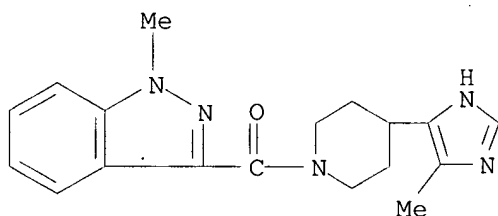
RN 155511-68-5 CAPLUS
CN Piperidine, 4-(5-butyl-1H-imidazol-4-yl)-1-[(5-chloro-1H-indazol-3-yl)carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

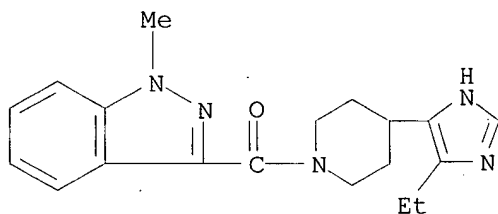
RN 155511-69-6 CAPLUS

CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-[(1-methyl-1H-indazol-3-yl)carbonyl]- (9CI) (CA INDEX NAME)



RN 155511-70-9 CAPLUS

CN Piperidine, 4-(5-ethyl-1H-imidazol-4-yl)-1-[(1-methyl-1H-indazol-3-yl)carbonyl]- (9CI) (CA INDEX NAME)



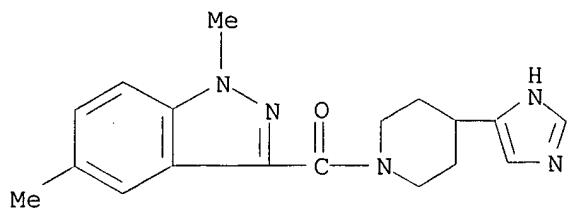
RN 155511-72-1 CAPLUS

CN Piperidine, 1-[(1,5-dimethyl-1H-indazol-3-yl)carbonyl]-4-(1H-imidazol-4-yl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 155511-71-0

CMF C18 H21 N5 O



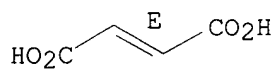
CM 2

CRN 110-17-8

CMF C4 H4 O4

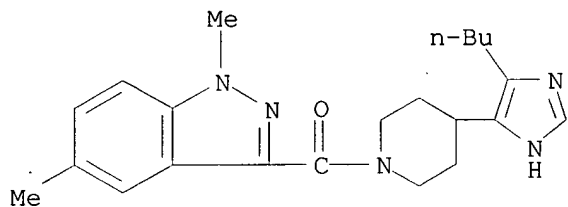
CDES 2:E

Double bond geometry as shown.



RN 155511-73-2 CAPLUS

CN Piperidine, 4-(5-butyl-1H-imidazol-4-yl)-1-[(1,5-dimethyl-1H-indazol-3-yl)carbonyl]- (9CI) (CA INDEX NAME)



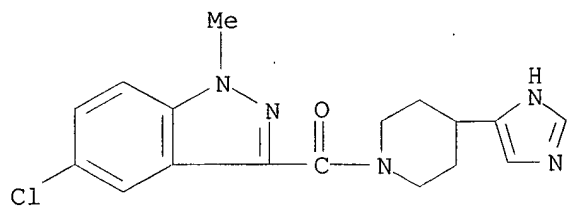
RN 155511-75-4 CAPLUS

CN Piperidine, 1-[(5-chloro-1-methyl-1H-indazol-3-yl)carbonyl]-4-(1H-imidazol-4-yl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 155511-74-3

CMF C17 H18 Cl N5 O



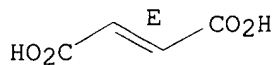
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.



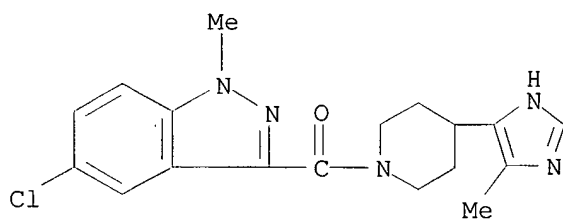
RN 155511-77-6 CAPLUS

CN Piperidine, 1-[(5-chloro-1-methyl-1H-indazol-3-yl)carbonyl]-4-(5-methyl-1H-imidazol-4-yl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 155511-76-5

CMF C18 H20 Cl N5 O



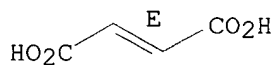
CM 2

CRN 110-17-8

CMF C4 H4 O4

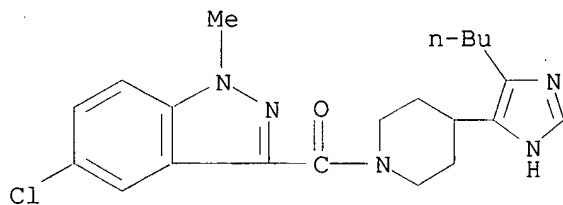
CDES 2:E

Double bond geometry as shown.



RN 155511-78-7 CAPLUS

CN Piperidine, 4-(5-butyl-1H-imidazol-4-yl)-1-[(5-chloro-1-methyl-1H-indazol-3-yl)carbonyl]- (9CI) (CA INDEX NAME)

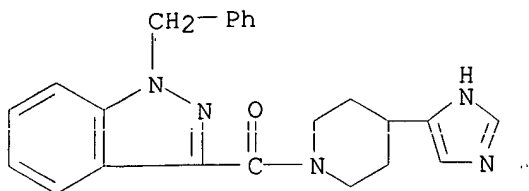


RN 155511-80-1 CAPLUS

CN Piperidine, 4-(1H-imidazol-4-yl)-1-[(5-chloro-1-methyl-1H-indazol-3-yl)carbonyl]- (9CI) (CA INDEX NAME)

CM 1

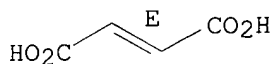
CRN 155511-79-8
CMF C23 H23 N5 O



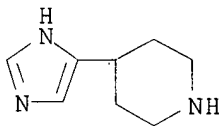
CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

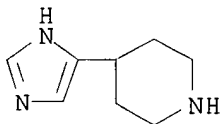
Double bond geometry as shown.



IT 106243-23-6 155511-81-2
RL: RCT (Reactant)
(reaction of, in prepn. of serotonergic receptor antagonist)
RN 106243-23-6 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



RN 155511-81-2 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-, monohydrochloride (9CI) (CA INDEX NAME)



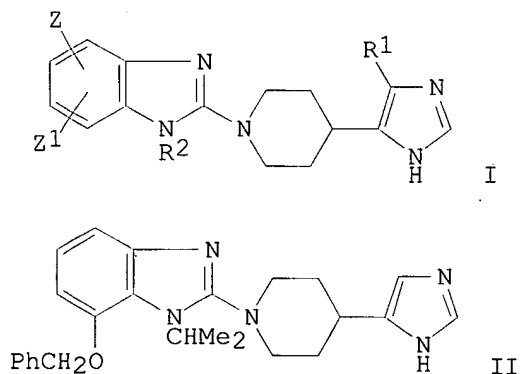
HCl

179 ANSWER 55 OF 81 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1994:435609 CAPLUS
DOCUMENT NUMBER: 121:35609
TITLE: Preparation of 2-[4-(4-imidazolyl)piperidino]benzimidazole

Searched by Barb O'Bryen, STIC 308-4291

INVENTOR(S): zoles as serotoninergetic receptor antagonists
 PATENT ASSIGNEE(S): Jegham, Samir; Defosse, Gerard; Purcell, Thomas
 SOURCE: Synthelabo S. A., Fr.
 Eur. Pat. Appl., 13 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------------------|----------|-----------------|----------|
| EP 591026 | A1 | 19940406 | EP 1993-402280 | 19930920 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| FR 2696176 | A1 | 19940401 | FR 1992-11550 | 19920928 |
| FR 2696176 | B1 | 19941110 | | |
| CA 2107060 | AA | 19940329 | CA 1993-2107060 | 19930927 |
| FI 9304220 | A | 19940329 | FI 1993-4220 | 19930927 |
| NO 9303434 | A | 19940329 | NO 1993-3434 | 19930927 |
| AU 9348605 | A1 | 19940414 | AU 1993-48605 | 19930927 |
| AU 659033 | B2 | 19950504 | | |
| ZA 9307155 | A | 19940523 | ZA 1993-7155 | 19930927 |
| CN 1087340 | A | 19940601 | CN 1993-118081 | 19930927 |
| HU 65396 | A2 | 19940628 | HU 1993-2726 | 19930927 |
| JP 06192254 | A2 | 19940712 | JP 1993-239568 | 19930927 |
| US 5418241 | A | 19950523 | US 1993-127058 | 19930927 |
| PL 172852 | B1 | 19971231 | PL 1993-300514 | 19930927 |
| PRIORITY APPLN. INFO.: | | | FR 1992-11550 | 19920928 |
| OTHER SOURCE(S): | MARPAT 121:35609 | | | |
| GI | | | | |



AB Title compds. (I; R1, R2 = H, alkyl; Z, Z1 = H, Cl, OH, NH2, alkyl, alkoxy, etc.) were prepd. Thus, 2-chloro-1-(1-methylethyl)-7-phenylmethoxy-1H-benzimidazole (prepn. given) was condensed with 4-(1H-imidazol-4-yl)piperidine to give title compd. II. I gave >50% inhibition of serotonin-induced bradycardia at 10 µg/kg i.v. in rats.

IT 155596-41-1P 155596-42-2P 155596-43-3P
 155596-45-5P 155596-47-7P 155596-49-9P
 155596-51-1P 155596-53-3P 155596-55-5P
 155596-57-7P 155596-59-9P 155596-61-1P
 155596-63-3P 155596-65-5P 155596-67-7P
 155596-69-9P 155596-71-1P 155596-73-3P
 155596-75-5P 155596-77-7P 155596-79-9P
 155596-81-1P 155596-83-3P 155596-85-5P
 155596-87-7P 155596-89-9P 155596-91-1P
 155596-93-3P 155596-95-5P 155596-97-7P
 155596-99-9P 155596-101-1P 155596-103-3P
 155596-105-5P 155596-107-7P 155596-109-9P
 155596-111-1P 155596-113-3P 155596-115-5P
 155596-117-7P 155596-119-9P 155596-121-1P
 155596-123-3P 155596-125-5P 155596-127-7P
 155596-129-9P 155596-131-1P 155596-133-3P
 155596-135-5P 155596-137-7P 155596-139-9P
 155596-141-1P 155596-143-3P 155596-145-5P
 155596-147-7P 155596-149-9P 155596-151-1P
 155596-153-3P 155596-155-5P 155596-157-7P
 155596-159-9P 155596-161-1P 155596-163-3P
 155596-165-5P 155596-167-7P 155596-169-9P
 155596-171-1P 155596-173-3P 155596-175-5P
 155596-177-7P 155596-179-9P 155596-181-1P
 155596-183-3P 155596-185-5P 155596-187-7P
 155596-189-9P 155596-191-1P 155596-193-3P
 155596-195-5P 155596-197-7P 155596-199-9P
 155596-201-1P 155596-203-3P 155596-205-5P
 155596-207-7P 155596-209-9P 155596-211-1P
 155596-213-3P 155596-215-5P 155596-217-7P
 155596-219-9P 155596-221-1P 155596-223-3P
 155596-225-5P 155596-227-7P 155596-229-9P
 155596-231-1P 155596-233-3P 155596-235-5P
 155596-237-7P 155596-239-9P 155596-241-1P
 155596-243-3P 155596-245-5P 155596-247-7P
 155596-249-9P 155596-251-1P 155596-253-3P
 155596-255-5P 155596-257-7P 155596-259-9P
 155596-261-1P 155596-263-3P 155596-265-5P
 155596-267-7P 155596-269-9P 155596-271-1P
 155596-273-3P 155596-275-5P 155596-277-7P
 155596-279-9P 155596-281-1P 155596-283-3P
 155596-285-5P 155596-287-7P 155596-289-9P
 155596-291-1P 155596-293-3P 155596-295-5P
 155596-297-7P 155596-299-9P 155596-301-1P
 155596-303-3P 155596-305-5P 155596-307-7P
 155596-309-9P 155596-311-1P 155596-313-3P
 155596-315-5P 155596-317-7P 155596-319-9P
 155596-321-1P 155596-323-3P 155596-325-5P
 155596-327-7P 155596-329-9P 155596-331-1P
 155596-333-3P 155596-335-5P 155596-337-7P
 155596-339-9P 155596-341-1P 155596-343-3P
 155596-345-5P 155596-347-7P 155596-349-9P
 155596-351-1P 155596-353-3P 155596-355-5P
 155596-357-7P 155596-359-9P 155596-361-1P
 155596-363-3P 155596-365-5P 155596-367-7P
 155596-369-9P 155596-371-1P 155596-373-3P
 155596-375-5P 155596-377-7P 155596-379-9P
 155596-381-1P 155596-383-3P 155596-385-5P
 155596-387-7P 155596-389-9P 155596-391-1P
 155596-393-3P 155596-395-5P 155596-397-7P
 155596-399-9P 155596-401-1P 155596-403-3P
 155596-405-5P 155596-407-7P 155596-409-9P
 155596-411-1P 155596-413-3P 155596-415-5P
 155596-417-7P 155596-419-9P 155596-421-1P
 155596-423-3P 155596-425-5P 155596-427-7P
 155596-429-9P 155596-431-1P 155596-433-3P
 155596-435-5P 155596-437-7P 155596-439-9P
 155596-441-1P 155596-443-3P 155596-445-5P
 155596-447-7P 155596-449-9P 155596-451-1P
 155596-453-3P 155596-455-5P 155596-457-7P
 155596-459-9P 155596-461-1P 155596-463-3P
 155596-465-5P 155596-467-7P 155596-469-9P
 155596-471-1P 155596-473-3P 155596-475-5P
 155596-477-7P 155596-479-9P 155596-481-1P
 155596-483-3P 155596-485-5P 155596-487-7P
 155596-489-9P 155596-491-1P 155596-493-3P
 155596-495-5P 155596-497-7P 155596-499-9P
 155596-501-1P 155596-503-3P 155596-505-5P
 155596-507-7P 155596-509-9P 155596-511-1P
 155596-513-3P 155596-515-5P 155596-517-7P
 155596-519-9P 155596-521-1P 155596-523-3P
 155596-525-5P 155596-527-7P 155596-529-9P
 155596-531-1P 155596-533-3P 155596-535-5P
 155596-537-7P 155596-539-9P 155596-541-1P
 155596-543-3P 155596-545-5P 155596-547-7P
 155596-549-9P 155596-551-1P 155596-553-3P
 155596-555-5P 155596-557-7P 155596-559-9P
 155596-561-1P 155596-563-3P 155596-565-5P
 155596-567-7P 155596-569-9P 155596-571-1P
 155596-573-3P 155596-575-5P 155596-577-7P
 155596-579-9P 155596-581-1P 155596-583-3P
 155596-585-5P 155596-587-7P 155596-589-9P
 155596-591-1P 155596-593-3P 155596-595-5P
 155596-597-7P 155596-599-9P 155596-601-1P
 155596-603-3P 155596-605-5P 155596-607-7P
 155596-609-9P 155596-611-1P 155596-613-3P
 155596-615-5P 155596-617-7P 155596-619-9P
 155596-621-1P 155596-623-3P 155596-625-5P
 155596-627-7P 155596-629-9P 155596-631-1P
 155596-633-3P 155596-635-5P 155596-637-7P
 155596-639-9P 155596-641-1P 155596-643-3P
 155596-645-5P 155596-647-7P 155596-649-9P
 155596-651-1P 155596-653-3P 155596-655-5P
 155596-657-7P 155596-659-9P 155596-661-1P
 155596-663-3P 155596-665-5P 155596-667-7P
 155596-669-9P 155596-671-1P 155596-673-3P
 155596-675-5P 155596-677-7P 155596-679-9P
 155596-681-1P 155596-683-3P 155596-685-5P
 155596-687-7P 155596-689-9P 155596-691-1P
 155596-693-3P 155596-695-5P 155596-697-7P
 155596-699-9P 155596-701-1P 155596-703-3P
 155596-705-5P 155596-707-7P 155596-709-9P
 155596-711-1P 155596-713-3P 155596-715-5P
 155596-717-7P 155596-719-9P 155596-721-1P
 155596-723-3P 155596-725-5P 155596-727-7P
 155596-729-9P 155596-731-1P 155596-733-3P
 155596-735-5P 155596-737-7P 155596-739-9P
 155596-741-1P 155596-743-3P 155596-745-5P
 155596-747-7P 155596-749-9P 155596-751-1P
 155596-753-3P 155596-755-5P 155596-757-7P
 155596-759-9P 155596-761-1P 155596-763-3P
 155596-765-5P 155596-767-7P 155596-769-9P
 155596-771-1P 155596-773-3P 155596-775-5P
 155596-777-7P 155596-779-9P 155596-781-1P
 155596-783-3P 155596-785-5P 155596-787-7P
 155596-789-9P 155596-791-1P 155596-793-3P
 155596-795-5P 155596-797-7P 155596-799-9P
 155596-801-1P 155596-803-3P 155596-805-5P
 155596-807-7P 155596-809-9P 155596-811-1P
 155596-813-3P 155596-815-5P 155596-817-7P
 155596-819-9P 155596-821-1P 155596-823-3P
 155596-825-5P 155596-827-7P 155596-829-9P
 155596-831-1P 155596-833-3P 155596-835-5P
 155596-837-7P 155596-839-9P 155596-841-1P
 155596-843-3P 155596-845-5P 155596-847-7P
 155596-849-9P 155596-851-1P 155596-853-3P
 155596-855-5P 155596-857-7P 155596-859-9P
 155596-861-1P 155596-863-3P 155596-865-5P
 155596-867-7P 155596-869-9P 155596-871-1P
 155596-873-3P 155596-875-5P 155596-877-7P
 155596-879-9P 155596-881-1P 155596-883-3P
 155596-885-5P 155596-887-7P 155596-889-9P
 155596-891-1P 155596-893-3P 155596-895-5P
 155596-897-7P 155596-899-9P 155596-901-1P
 155596-903-3P 155596-905-5P 155596-907-7P
 155596-909-9P 155596-911-1P 155596-913-3P
 155596-915-5P 155596-917-7P 155596-919-9P
 155596-921-1P 155596-923-3P 155596-925-5P
 155596-927-7P 155596-929-9P 155596-931-1P
 155596-933-3P 155596-935-5P 155596-937-7P
 155596-939-9P 155596-941-1P 155596-943-3P
 155596-945-5P 155596-947-7P 155596-949-9P
 155596-951-1P 155596-953-3P 155596-955-5P
 155596-957-7P 155596-959-9P 155596-961-1P
 155596-963-3P 155596-965-5P 155596-967-7P
 155596-969-9P 155596-971-1P 155596-973-3P
 155596-975-5P 155596-977-7P 155596-979-9P
 155596-981-1P 155596-983-3P 155596-985-5P
 155596-987-7P 155596-989-9P 155596-991-1P
 155596-993-3P 155596-995-5P 155596-997-7P
 155596-999-9P 155596-1001-1P 155596-1003-3P
 155596-1005-5P 155596-1007-7P 155596-1009-9P
 155596-1011-1P 155596-1013-3P 155596-1015-5P
 155596-1017-7P 155596-1019-9P 155596-1021-1P
 155596-1023-3P 155596-1025-5P 155596-1027-7P
 155596-1029-9P 155596-1031-1P 155596-1033-3P
 155596-1035-5P 155596-1037-7P 155596-1039-9P
 155596-1041-1P 155596-1043-3P 155596-1045-5P
 155596-1047-7P 155596-1049-9P 155596-1051-1P
 155596-1053-3P 155596-1055-5P 155596-1057-7P
 155596-1059-9P 155596-1061-1P 155596-1063-3P
 155596-1065-5P 155596-1067-7P 155596-1069-9P
 155596-1071-1P 155596-1073-3P 155596-1075-5P
 155596-1077-7P 155596-1079-9P 155596-1081-1P
 155596-1083-3P 155596-1085-5P 155596-1087-7P
 155596-1089-9P 155596-1091-1P 155596-1093-3P
 155596-1095-5P 155596-1097-7P 155596-1099-9P
 155596-1101-1P 155596-1103-3P 155596-1105-5P
 155596-1107-7P 155596-1109-9P 155596-1111-1P
 155596-1113-3P 155596-1115-5P 155596-1117-7P
 155596-1119-9P 155596-1121-1P 155596-1123-3P
 155596-1125-5P 155596-1127-7P 155596-1129-9P
 155596-1131-1P 155596-1133-3P 155596-1135-5P
 155596-1137-7P 155596-1139-9P 155596-1141-1P
 155596-1143-3P 155596-1145-5P 155596-1147-7P
 155596-1149-9P 155596-1151-1P 155596-1153-3P
 155596-1155-5P 155596-1157-7P 155596-1159-9P
 155596-1161-1P 155596-1163-3P 155596-1165-5P
 155596-1167-7P 155596-1169-9P 155596-1171-1P
 155596-1173-3P 155596-1175-5P 155596-1177-7P
 155596-1179-9P 155596-1181-1P 155596-1183-3P
 155596-1185-5P 155596-1187-7P 155596-1189-9P
 155596-1191-1P 155596-1193-3P 155596-1195-5P
 155596-1197-7P 155596-1199-9P 155596-1201-1P
 155596-1203-3P 155596-1205-5P 155596-1207-7P
 155596-1209-9P 155596-1211-1P 155596-1213-3P
 155596-1215-5P 155596-1217-7P 155596-1219-9P
 155596-1221-1P 155596-1223-3P 155596-1225-5P
 155596-1227-7P 155596-1229-9P 155596-1231-1P
 155596-1233-3P 155596-1235-5P 155596-1237-7P
 155596-1239-9P 155596-1241-1P 155596-1243-3P
 155596-1245-5P 155596-1247-7P 155596-1249-9P
 155596-1251-1P 155596-1253-3P 155596-1255-5P
 155596-1257-7P 155596-1259-9P 155596-1261-1P
 155596-1263-3P 155596-1265-5P 155596-1267-7P
 155596-1269-9P 155596-1271-1P 155596-1273-3P
 155596-1275-5P 155596-1277-7P 155596-1279-9P
 155596-1281-1P 155596-1283-3P 155596-1285-5P
 155596-1287-7P 155596-1289-9P 155596-1291-1P
 155596-1293-3P 155596-1295-5P 155596-1297-7P
 155596-1299-9P 155596-1301-1P 155596-1303-3P
 155596-1305-5P 155596-1307-7P 155596-1309-9P
 155596-1311-1P 155596-1313-3P 155596-1315-5P
 155596-1317-7P 155596-1319-9P 155596-1321-1P
 155596-1323-3P 155596-1325-5P 155596-1327-7P
 155596-1329-9P 155596-1331-1P 155596-1333-3P
 155596-1335-5P 155596-1337-7P 155596-1339-9P
 155596-1341-1P 155596-1343-3P 155596-1345-5P
 155596-1347-7P 155596-1349-9P 155596-1351-1P
 155596-1353-3P 155596-1355-5P 155596-1357-7P
 155596-1359-9P 155596-1361-1P 155596-1363-3P
 155596-1365-5P 155596-1367-7P 155596-1369-9P
 155596-1371-1P 155596-1373-3P 155596-1375-5P
 155596-1377-7P 155596-1379-9P 155596-1381-1P
 155596-1383-3P 155596-1385-5P 155596-1387-7P
 155596-138

155596-67-1P 155596-68-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as serotoninerbic receptor antagonist)

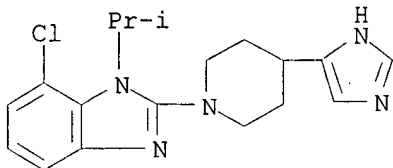
RN 155596-41-1 CAPLUS

CN 1H-Benzimidazole, 7-chloro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 155596-40-0

CMF C18 H22 Cl N5



Same as previous

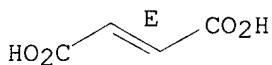
CM 2

CRN 110-17-8

CMF C4 H4 O4

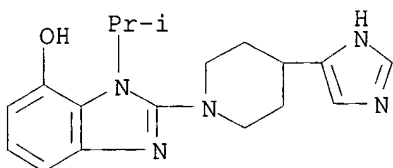
CDES 2:E

Double bond geometry as shown.



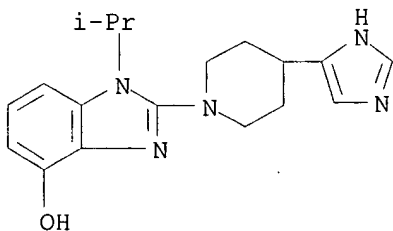
RN 155596-42-2 CAPLUS

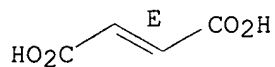
CN 1H-Benzimidazol-7-ol, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



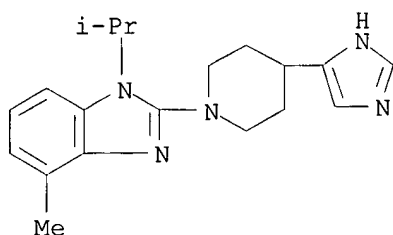
RN 155596-43-3 CAPLUS

CN 1H-Benzimidazol-4-ol, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



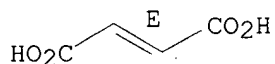


RN 155596-49-9 CAPLUS
CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-4-methyl-1-(1-methylethyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)
CM 1
CRN 155596-48-8
CMF C19 H25 N5

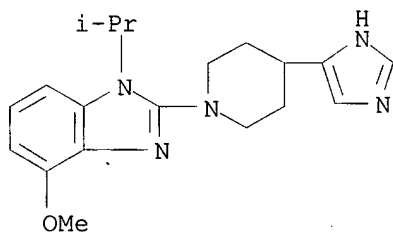


CM 2
CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

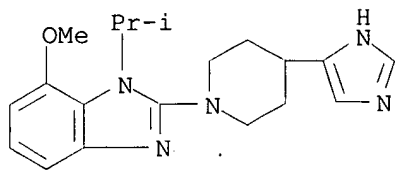
Double bond geometry as shown.



RN 155596-50-2 CAPLUS
CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-4-methoxy-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



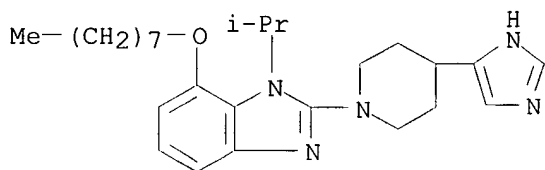
RN 155596-51-3 CAPLUS
CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-7-methoxy-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 155596-53-5 CAPLUS
 CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)-7-(octyloxy)-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

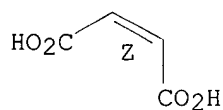
CRN 155596-52-4
 CMF C26 H39 N5 O



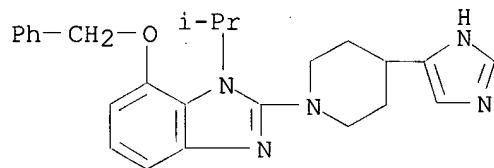
CM 2

CRN 110-16-7
 CMF C4 H4 O4
 CDES 2:Z

Double bond geometry as shown.



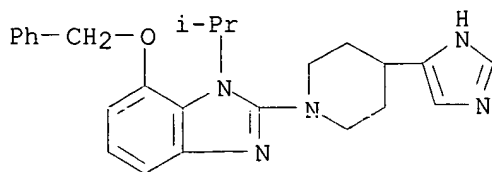
RN 155596-54-6 CAPLUS
 CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)-7-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 155596-55-7 CAPLUS
 CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)-7-(phenylmethoxy)-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

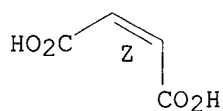
CRN 155596-54-6
CMF C25 H29 N5 O



CM 2

CRN 110-16-7
CMF C4 H4 O4
CDES 2:Z

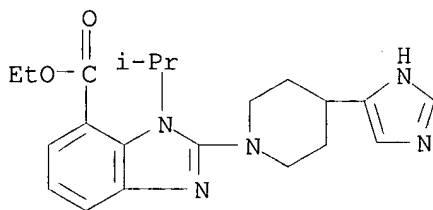
Double bond geometry as shown.



RN 155596-57-9 CAPLUS
CN 1H-Benzimidazole-7-carboxylic acid, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)-, ethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

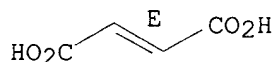
CRN 155596-56-8
CMF C21 H27 N5 O2



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

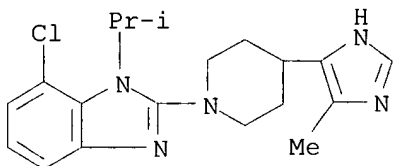
Double bond geometry as shown.



RN 155596-59-1 CAPLUS
CN 1H-Benzimidazole, 7-chloro-1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

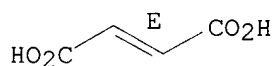
CRN 155596-58-0
CMF C19 H24 Cl N5



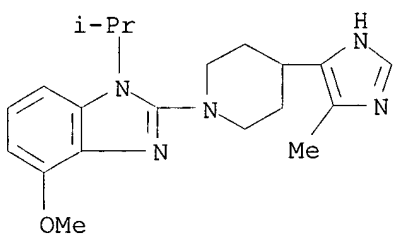
CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

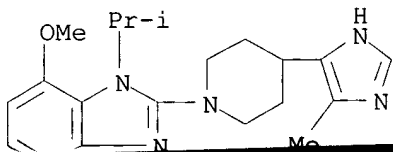
Double bond geometry as shown.



RN 155596-60-4 CAPLUS
CN 1H-Benzimidazole, 4-methoxy-1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

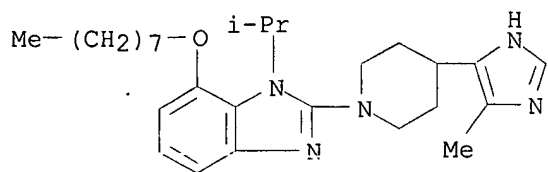


RN 155596-61-5 CAPLUS
CN 1H-Benzimidazole, 7-methoxy-1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 155596-62-6 CAPLUS
CN 1H-Benzimidazole, 1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-

piperidinyl]-7-(octyloxy)- (9CI) (CA INDEX NAME)



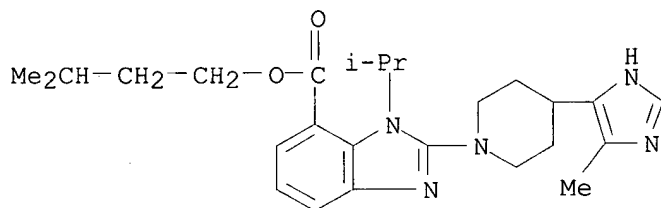
RN 155596-64-8 CAPLUS

CN 1H-Benzimidazole-7-carboxylic acid, 1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, 3-methylbutyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 155596-63-7

CMF C25 H35 N5 O2



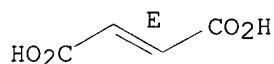
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.



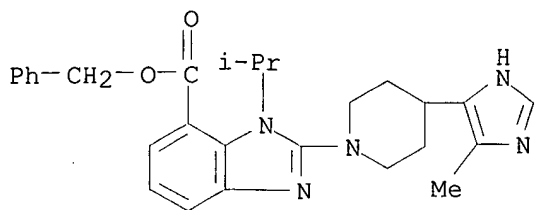
RN 155596-66-0 CAPLUS

CN 1H-Benzimidazole-7-carboxylic acid, 1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, phenylmethyl ester, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 155596-65-9

CMF C27 H31 N5 O2



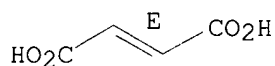
CM 2

CRN 110-17-8

CMF C4 H4 O4

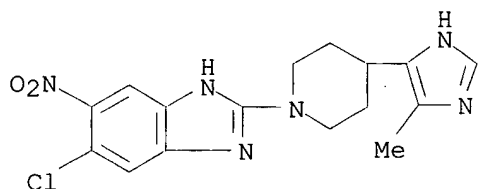
CDES 2:E

Double bond geometry as shown.



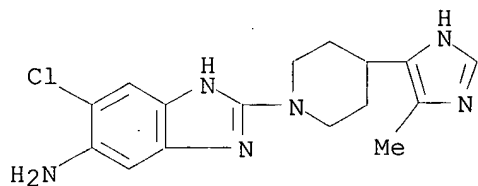
RN 155596-67-1 CAPLUS

CN 1H-Benzimidazole, 5-chloro-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-6-nitro- (9CI) (CA INDEX NAME)



RN 155596-68-2 CAPLUS

CN 1H-Benzimidazol-5-amine, 6-chloro-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, dihydrochloride (9CI) (CA INDEX NAME)



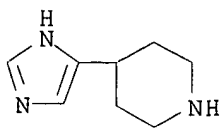
● 2 HCl

106243-23-6 4-(1H-imidazol-4-yl)-1-piperidine

(Reaction or, in prepn. of serotonergic receptor antagonist)

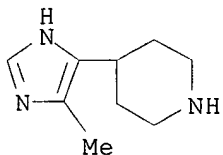
RN 106243-23-6 CAPLUS

CN Piperidine, 4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



RN 155511-82-3 CAPLUS

CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



19 ANSWER 56 OF 81 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1994:483181 CAPLUS

DOCUMENT NUMBER: 121:83181

TITLE: QSAR study on H3-receptor affinity of benzothiazole derivatives of thioperamide

AUTHOR(S): Bordi, Fabrizio; Mor, Marco; Morini, Giovanni; Plazzi, Pier Vincenzo; Silva, Claudia; Vitali, Tullo; Caretta, Antonio

CORPORATE SOURCE: Fac. Farm., Univ. Parma, Parma, 43100, Italy

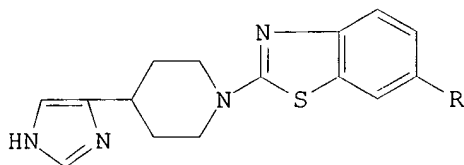
SOURCE: Farmaco (1994), 49(3), 153-66

CODEN: FRMCE8

DOCUMENT TYPE: Journal

LANGUAGE: English

GI

Same as previous

I

AB Starting from the structure of thioperamide, a known H3-antagonist, a new series of compds. I (R = H, NO₂, Br, etc.) with a benzothiazole nucleus instead of the cyclohexylcarbothioamide moiety was synthesized. Various substituents, selected by exptl. design, were introduced in position 6 of the benzothiazole nucleus, in order to change its physico-chem. characteristics. The lipophilicity of the synthesized compds. was measured by means of RP-HPLC, and their H3-receptor affinity was evaluated by competitive binding assays on rat cortex synaptosomes, with the labeled ligand N.alpha.-[3H]methylhistamine. A QSAR anal. was performed on the exptl. data, using also substituent consts. taken from the literature. The newly synthesized compds. showed lower H3-affinities than thioperamide; quant. structure-activity relationships, described by models

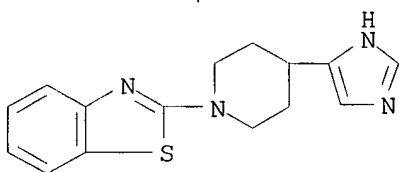
obtained with PLS and MRS techniques, were obsd. among benzothiazole derivs. According to these relationships, any attempt to improve the potency of these compds. should involve the substitution of the benzothiazole moiety with less bulky and/or more flexible structures, which should also be less lipophilic and allow better electronic interactions with the binding site. 1-(Benzothiazol-2-yl)-4-[(1H)-imidazol-4-yl]piperidine represents a limit structure for H3-activity, since it seems impossible to improve its affinity by means of substitution in the studied position of the benzothiazole nucleus, as shown by predictions performed by a PLS model.

IT 146365-89-1P 156246-07-0P 156246-08-1P
156246-09-2P 156246-10-5P 156246-11-6P
156246-12-7P 156246-13-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and H3-receptor affinity of)

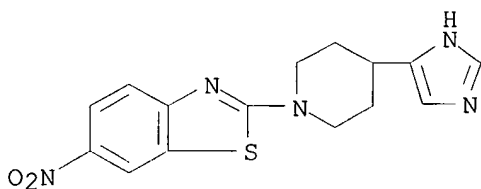
RN 146365-89-1 CAPLUS

CN Benzothiazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



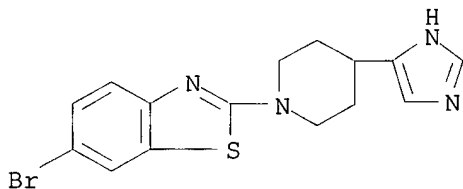
RN 156246-07-0 CAPLUS

CN Benzothiazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-6-nitro- (9CI) (CA INDEX NAME)



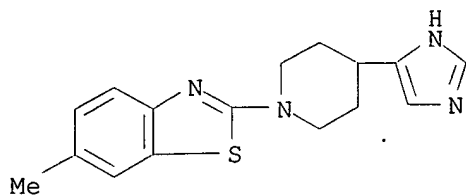
RN 156246-08-1 CAPLUS

CN Benzothiazole, 6-bromo-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

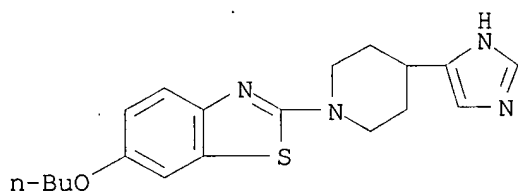


RN 156246-09-2 CAPLUS

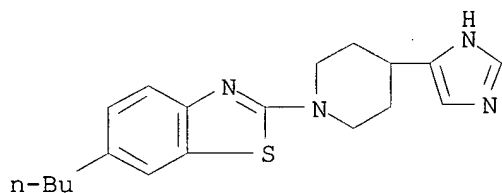
CN Benzothiazole, 6-bromo-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



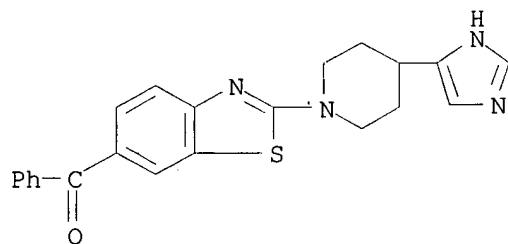
RN 156246-10-5 CAPLUS
CN Benzothiazole, 6-butoxy-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



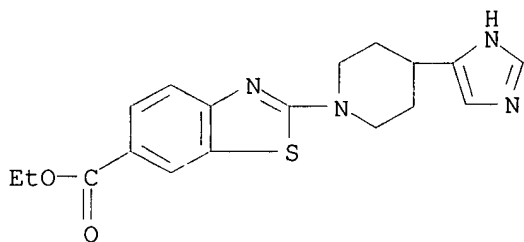
RN 156246-11-6 CAPLUS
CN Benzothiazole, 6-butyl-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 156246-12-7 CAPLUS
CN Methanone, [2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-6-benzothiazolyl]phenyl- (9CI) (CA INDEX NAME)



RN 156246-13-8 CAPLUS
CN 6-Benzothiazolecarboxylic acid, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-, ethyl ester (9CI) (CA INDEX NAME)



119 ANSWER 57 OF 81 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1994:449551 CAPLUS

DOCUMENT NUMBER: 121:49551

TITLE: Binding characteristics of a histamine H3-receptor antagonist, [3H]S-methylthiooperamide: comparison with [3H](R).alpha.-methylhistamine binding to rat tissues

AUTHOR(S): Yanai, Kazuhiko; Ryu, Jong Hoon; Sakai, Narunhiko; Takahashi, Toshihiro; Iwata, Ren; Ido, Tatsuo; Murakami, Kazuki; Watanabe, Takehiko

CORPORATE SOURCE: School Medicine, Tohoku Univ., Sendai, 980, Japan

SOURCE: Jpn. J. Pharmacol. (1994), 65(2), 107-12

CODEN: JJPAAZ; ISSN: 0021-5198

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The release and synthesis of neuronal histamine are regulated by histaminergic autoreceptors named as histamine H3 receptors. The development of radiolabeled histamine H3 antagonists is needed to characterize the binding of antagonists to these receptors. Here the authors described the binding characteristics of a new histamine H3-receptor antagonist, [3H]S-methylthiooperamide (SMT), to rat tissues, and compare its binding with that of [3H](R).alpha.-methylhistamine [(R).alpha.MH], a selective histamine H3-receptor agonist. The binding of [3H]SMT to the membranes of rat forebrain was found to be stereoselective, saturable, reversible, and temp.-dependent. Satn. binding expts. indicated a single class of high-affinity sites for [3H]SMT in forebrain membranes (KD = 2.1 nM, Bmax = 24.3 pmol/g of tissue at 4.degree.C). The Bmax was approx. 3 times that of [3H](R).alpha.MH binding to rat forebrain membranes (KD = 2.5 nM, Bmax = 7.3 pmol/g of tissue at 25.degree.C). Autoradiog. images of [3H]SMT binding in the brain were essentially the same as those of [3H](R).alpha.MH. [3H]SMT also bound appreciably to peripheral tissues (the liver, adrenal, stomach, ileum, kidney, lung and bladder), whereas the [3H](R).alpha.MH binding to these peripheral tissues was negligible. These results indicate that [3H]SMT binds to H3 receptors primarily in the central nervous system, and that it also has high affinity toward non-H3 receptors, probably hemoproteins, in peripheral tissues.

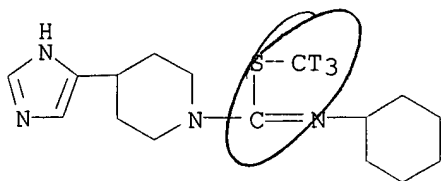
IT 156367-45-2

RL: ANST (Analytical study)

(histamine H3 receptor antagonist; binding characteristics of)

RN 156367-45-2 CAPLUS

CN 1-Piperidinecarboximidothioic acid, N-cyclohexyl-4-(1H-imidazol-4-yl)-, methyl-t3 ester (9CI) (CA INDEX NAME)



L19 ANSWER 58 OF 81 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1994:107019 CAPLUS

DOCUMENT NUMBER: 120:107019

TITLE: Simplified process for the preparation of 4-pyridyl- and 4-piperidinylimidazole intermediates for the synthesis of H3 histamine receptor antagonists

INVENTOR(S): Durant, Graham J.; Khan, Amin M.

PATENT ASSIGNEE(S): Univeristy of Toledo, USA

SOURCE: PCT Int. Appl., 53 pp.

CODEN: PIXXD2

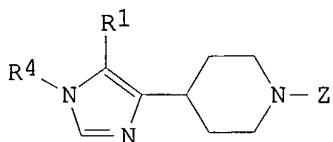
DOCUMENT TYPE: Patent

LANGUAGE: English

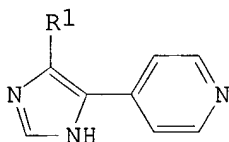
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

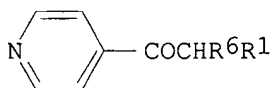
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|--|----------|
| WO 9320062 | A1 | 19931014 | WO 1993-US3105 | 19930331 |
| W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| US 5380858 | A | 19950110 | US 1992-862658 | 19920401 |
| AU 9339446 | A1 | 19931108 | AU 1993-39446 | 19930331 |
| EP 633883 | A1 | 19950118 | EP 1993-908725 | 19930331 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| JP 07509220 | T2 | 19951012 | JP 1993-517716 | 19930331 |
| BR 9306191 | A | 19980630 | BR 1993-6191 | 19930331 |
| US 5663350 | A | 19970902 | US 1994-252810 | 19940602 |
| NO 9403688 | A | 19941125 | NO 1994-3688 | 19941003 |
| FI 9404606 | A | 19941130 | FI 1994-4606 | 19941003 |
| PRIORITY APPLN. INFO.: | | | US 1992-862658 | 19920401 |
| | | | WO 1993-US3105 | 19930331 |
| OTHER SOURCE(S): | | | CASREACT 120:107019; MARPAT 120:107019 | |
| GI | | | | |



I



II



III

AB The title compds. I [R1 = H, C1-4 alkyl; R4 = C1-4 alkyl, C(:W)NHR7; R7 =

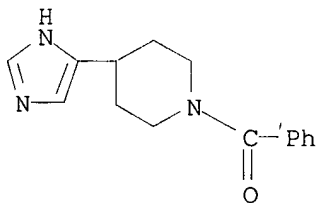
C1-20 (un)branched alkyl, C1-20 cycloalkylphenylmethylene, (un)substituted Ph; W = O, S, NH, NMe, NCN; Z = C(:X)R1, R2; R2 = C1-6 alkyl, piperonyl, etc.; X = S, O] and II, useful as histamine H3 receptor antagonists (no data), are prepd. in high yield using a simplified process comprising reacting ketone III (R6 = H) with an activating agent to produce III (R6 = halogen, OH, NH2) and cyclizing this intermediate with HCONH2 or HC(:NH)NH2 to produce II. II is then hydrogenated to the piperidinyll deriv. and reacted with an appropriate acid chloride, isocyanate, or isothiocyanate, producing I. Thus, 4-(bromoacetyl)pyridine was cyclized with formamide, producing II (R1 = H), m.p. 152.degree. (decompn.), in 58% yield.

IT 143211-72-7P 143211-78-3P 143211-81-8P
 143211-83-0P 143211-89-6P 143211-92-1P
 143211-95-4P 143211-96-5P 152241-24-2P
 152241-38-8P 152241-39-9P 152241-40-2P
 152241-41-3P 152241-42-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and histamine H3 receptor antagonist activity of)

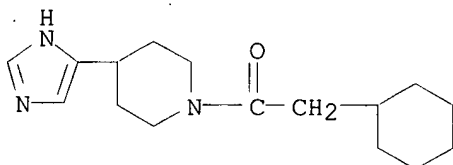
RN 143211-72-7 CAPLUS

CN Piperidine, 1-benzoyl-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



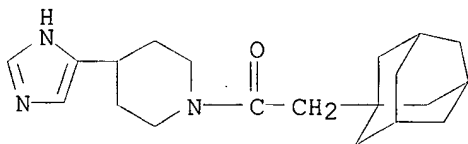
RN 143211-78-3 CAPLUS

CN Piperidine, 1-(cyclohexylacetyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

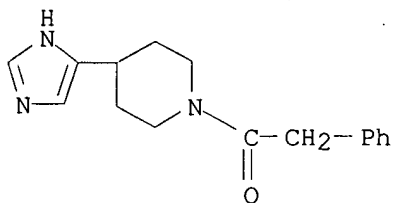


RN 143211-81-8 CAPLUS

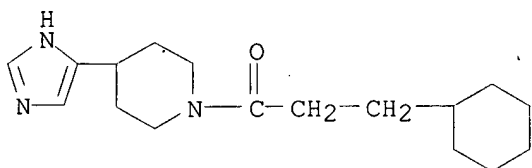
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(tricyclo[3.3.1.1.3,7]dec-1-ylacetyl)- (9CI) (CA INDEX NAME)



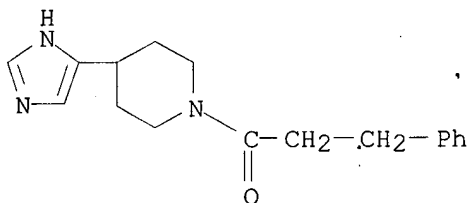
RN 143211-83-0 CAPLUS



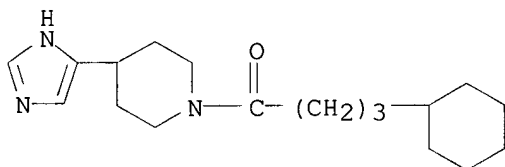
RN 143211-89-6 CAPLUS
CN Piperidine, 1-(3-cyclohexyl-1-oxopropyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



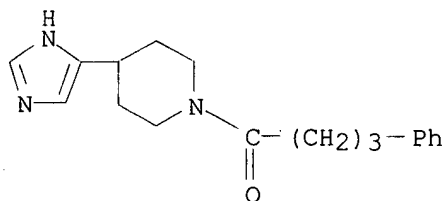
RN 143211-92-1 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-3-phenylpropyl)- (9CI) (CA INDEX NAME)



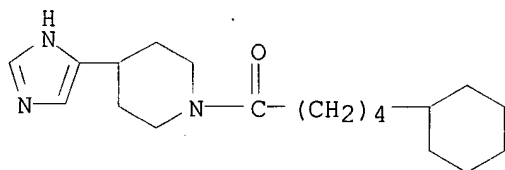
RN 143211-95-4 CAPLUS
CN Piperidine, 1-(4-cyclohexyl-1-oxobutyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



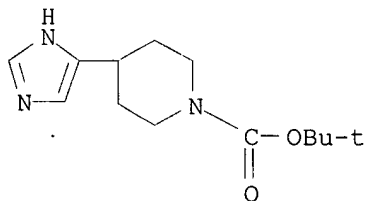
RN 143211-96-5 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-4-phenylbutyl)- (9CI) (CA INDEX NAME)



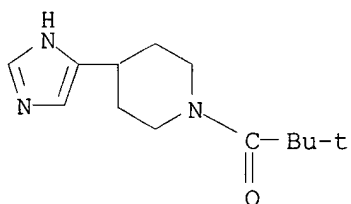
RN 152241-24-2 CAPLUS
CN Piperidine, 1-(5-cyclohexyl-1-oxopentyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



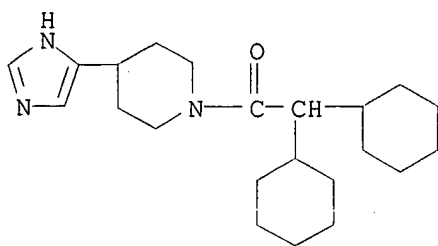
RN 152241-38-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(1H-imidazol-4-yl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



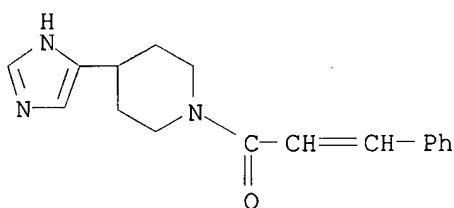
RN 152241-39-9 CAPLUS
CN Piperidine, 1-(2,2-dimethyl-1-oxopropyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



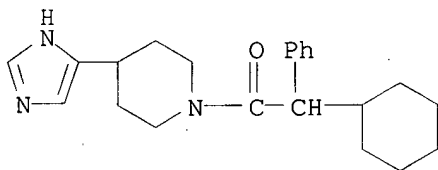
RN 152241-40-2 CAPLUS
CN Piperidine, 1-(dicyclohexylacetyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



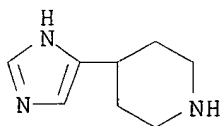
RN 152241-41-3 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)



RN 152241-42-4 CAPLUS
CN Piperidine, 1-(cyclohexylphenylacetyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



IT **51746-88-4**, 4-(4-Piperidyl)-1H-imidazole dihydrochloride
RL: RCT (Reactant)
(reaction of, in prepn. of piperidinylimidazole histamine H3 receptor antagonists)
RN 51746-88-4 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



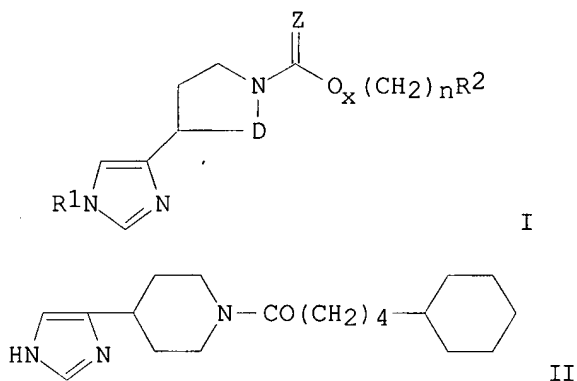
2 HCl

~~159~~ ANSWER 59 OF 81 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1994:107018 CAPLUS

Searched by Barb O'Bryen, STIC 308-4291

DOCUMENT NUMBER: 120:107018
TITLE: Preparation of acylpiperidinylimidazoles and related compounds as histamine H3 antagonists.
INVENTOR(S): Durant, Graham J.; Khan, Amin M.
PATENT ASSIGNEE(S): University of Toledo, USA
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|-------------------|-----------------|----------|
| WO 9320061 | A1 | 19931014 | WO 1993-US3104 | 19930331 |
| W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| AU 9339445 | A1 | 19931108 | AU 1993-39445 | 19930331 |
| EP 633882 | A1 | 19950118 | EP 1993-908724 | 19930331 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| JP 07509219 | T2 | 19951012 | JP 1993-517715 | 19930331 |
| HU 71353 | A2 | 19951128 | HU 1994-2827 | 19930331 |
| BR 9306190 | A | 19980623 | BR 1993-6190 | 19930331 |
| US 5633382 | A | 19970527 | US 1994-259926 | 19940615 |
| US 5639775 | A | 19970617 | US 1994-313282 | 19940930 |
| NO 9403687 | A | 19941121 | NO 1994-3687 | 19941003 |
| FI 9404605 | A | 19941130 | FI 1994-4605 | 19941003 |
| PRIORITY APPLN. INFO.: | | | US 1992-862657 | 19920401 |
| | | | WO 1993-US3104 | 19930331 |
| OTHER SOURCE(S): | | MARPAT 120:107018 | | |
| GI | | | | |



AB Title compds. [I; R1 = H, in vivo hydrolyzeable group, alkyl, cycloalkyl, aryl; D = CH₂, CH₂CH₂; Z = S, O; x = 0, 1; n = 0-6; R₂ = (substituted) alkyl, carbocyclic, aryl; with prodrugs] were made. These were tested for activity in inhibiting the binding of [³H]mefenorexamine to histamine H₃ receptors in rat brain membrane preps. with IC₅₀ = 4.0 nM. I are claimed for treating narcolepsy, coma, Alzheimer's disease,

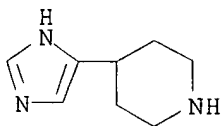
arousal deficit, and attention deficit.

IT 106243-23-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of histamine H3 antagonist)

RN 106243-23-6 CAPLUS

CN Piperidine, 4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



IT 143211-67-0P 143211-72-7P 143211-78-3P

143211-81-8P 143211-83-0P 143211-89-6P

143211-92-1P 143211-95-4P 143211-96-5P

152241-24-2P 152241-31-1P 152241-32-2P

152241-33-3P 152241-34-4P 152241-35-5P

152241-36-6P 152241-37-7P 152241-38-8P

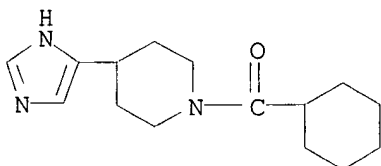
152241-39-9P 152241-40-2P 152241-41-3P

152241-42-4P 152241-43-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as histamine H3 antagonist)

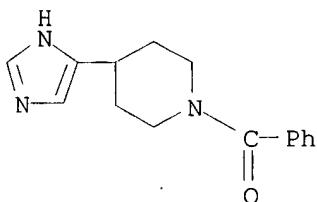
RN 143211-67-0 CAPLUS

CN Piperidine, 1-(cyclohexylcarbonyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



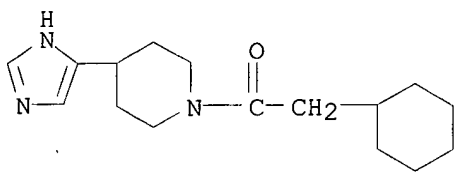
RN 143211-72-7 CAPLUS

CN Piperidine, 1-benzoyl-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

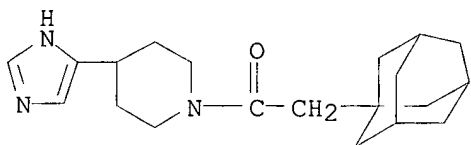


RN 143211-78-3 CAPLUS

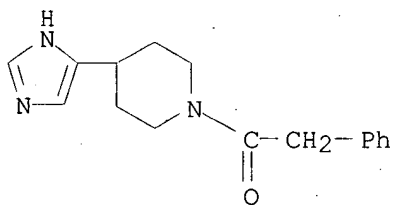
CN Piperidine, 1-(cyclohexylacetyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



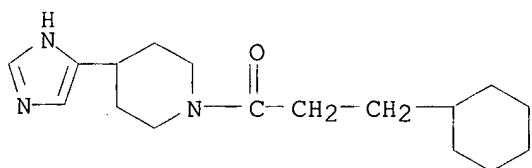
RN 143211-81-8 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(tricyclo[3.3.1.1^{3,7}]dec-1-ylacetyl)-
(9CI) (CA INDEX NAME)



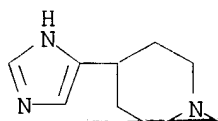
RN 143211-83-0 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(phenylacetyl)- (9CI) (CA INDEX NAME)



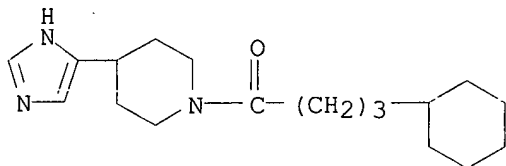
RN 143211-89-6 CAPLUS
CN Piperidine, 1-(3-cyclohexyl-1-oxopropyl)-4-(1H-imidazol-4-yl)- (9CI) (CA
INDEX NAME)



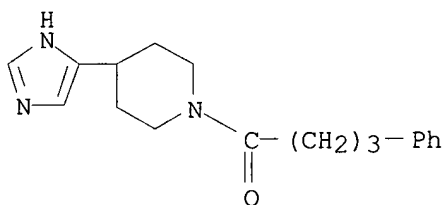
RN 143211-92-1 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-3-phenylpropyl)- (9CI) (CA
INDEX NAME)



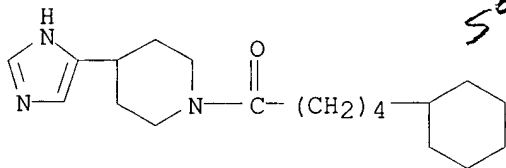
RN 143211-95-4 CAPLUS
CN Piperidine, 1-(4-cyclohexyl-1-oxobutyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



RN 143211-96-5 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-4-phenylbutyl)- (9CI) (CA INDEX NAME)

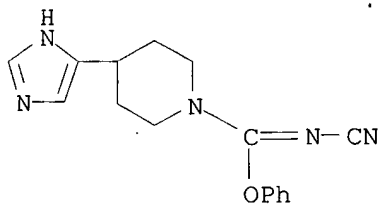


RN 152241-24-2 CAPLUS
CN Piperidine, 1-(5-cyclohexyl-1-oxopentyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

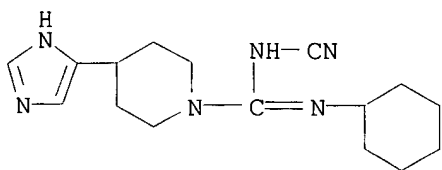


Same as previous

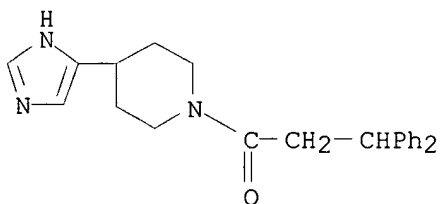
RN 152241-31-1 CAPLUS
CN 1-Piperidinecarboximidic acid, N-cyano-4-(1H-imidazol-4-yl)-, phenyl ester (9CI) (CA INDEX NAME)



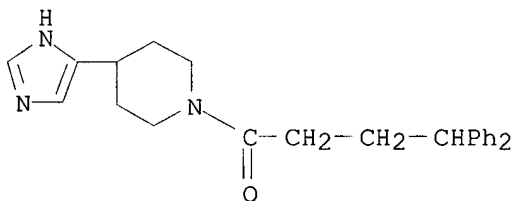
RN 152241-32-2 CAPLUS
CN 1-Piperidinecarboximidamide, N-cyano-N'-cyclohexyl-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



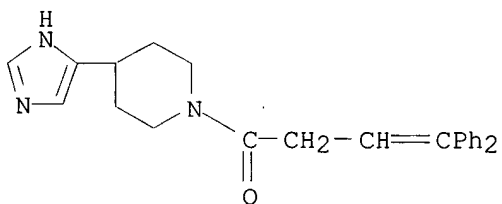
RN 152241-33-3 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-3,3-diphenylpropyl)- (9CI) (CA INDEX NAME)



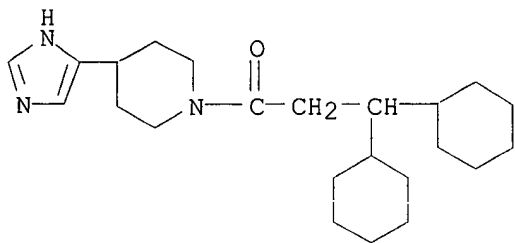
RN 152241-34-4 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-4,4-diphenylbutyl)- (9CI) (CA INDEX NAME)



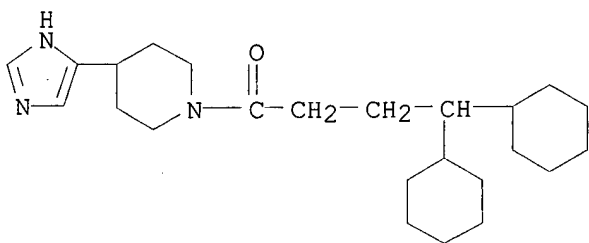
RN 152241-35-5 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-4,4-diphenyl-3-butenyl)- (9CI) (CA INDEX NAME)



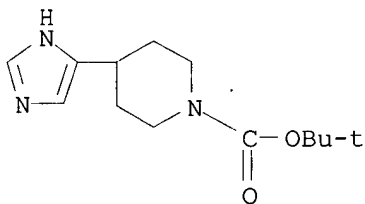
RN 152241-36-6 CAPLUS
CN Piperidine, 1-(3,3-dicyclohexyl-1-oxopropyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



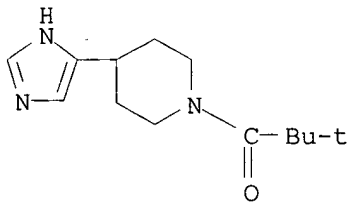
RN 152241-37-7 CAPLUS
CN Piperidine, 1-(4,4-dicyclohexyl-1-oxobutyl)-4-(1H-imidazol-4-yl)- (9CI)
(CA INDEX NAME)



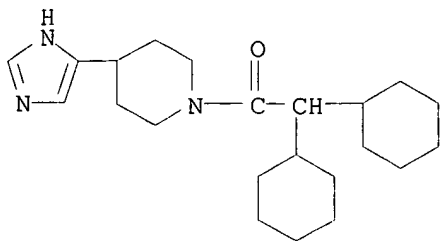
RN 152241-38-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(1H-imidazol-4-yl)-, 1,1-dimethylethyl
ester (9CI) (CA INDEX NAME)



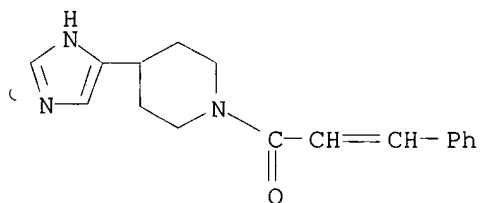
RN 152241-39-9 CAPLUS
CN Piperidine, 1-(2,2-dimethyl-1-oxopropyl)-4-(1H-imidazol-4-yl)- (9CI) (CA
INDEX NAME)



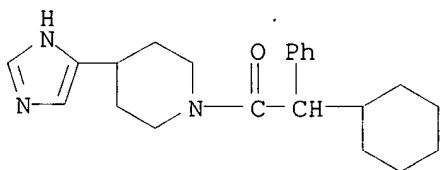
RN 152241-40-2 CAPLUS
CN Piperidine, 1-(dicyclohexylacetyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX
NAME)



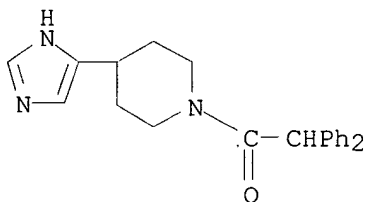
RN 152241-41-3 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)



RN 152241-42-4 CAPLUS
CN Piperidine, 1-(cyclohexylphenylacetyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



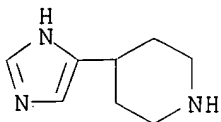
RN 152241-43-5 CAPLUS
CN Piperidine, 1-(diphenylacetyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



IT 51746-88-4
RL: RCT (Reactant)
(reaction of, in prepn. of histamine H3 antagonist)

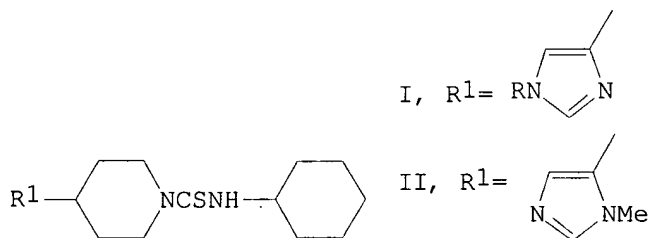
RN 51746-88-4 CAPLUS

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)



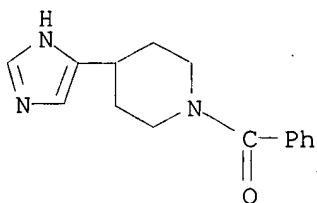
2 HCl

~~LI9~~ ANSWER 60 OF 81 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1994:400213 CAPLUS
DOCUMENT NUMBER: 121:213
TITLE: Synthesis and H3-receptor affinities of isomeric
N-methyl- and N-benzyl-imidazole derivatives of
thiooperamide
AUTHOR(S): Khan, M. Amin; Durant, Graham J.; Ghodsi-Hovsepian,
S.; El-Assadi, A. A.; Hoss, Wayne; Messer, W. S., Jr.;
Frederickson, R. C. A.
CORPORATE SOURCE: Coll. Pharm., Univ. Toledo, Toledo, OH, 43606, USA
SOURCE: Med. Chem. Res. (1993), 3(7), 428-37
CODEN: MCREEB; ISSN: 1054-2523
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

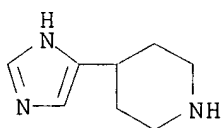


AB Analogs of the histamine H3-receptor antagonist, thiooperamide (I R = H), substituted on the imidazole ring N atoms, were synthesized and assayed for their binding affinities to H3 receptors in rat brain membranes, using 3H-N.alpha.-methylhistamine as the radioligand. Intact tautomeric imidazole ring of thiooperamide is not essential for binding at H3-receptors and a Me substituent is more readily accommodated on the N atom distal to the antagonist side chain (I, R = Me) compared with the proximal N atom of thiooperamide (II has no activity at 1 .mu.M) in its binding to the H3-receptor. The results also suggest that the imidazole tautomer (I, R = H) of thiooperamide may be assocd. with binding to the histamine H3 receptor.

IT **143211-72-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and alkylation of)
RN 143211-72-7 CAPLUS
CN Piperidine, 1-benzoyl-4-(1H-imidazol-4-yl)- (9CI). (CA INDEX NAME)

*Same as previous*

IT 51746-88-4, 4-(4-Piperidyl)-1H-imidazole dihydrochloride
RL: RCT (Reactant)
(protection reactions of)
RN 51746-88-4 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

119 ANSWER 61 OF 81 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1993:485729 CAPLUS

DOCUMENT NUMBER: 119:85729

TITLE: Pharmacological profile of new thioperamide derivatives at histamine peripheral H1-, H2-, H3-receptors in guinea pig

AUTHOR(S): Barocelli, E.; Ballabeni, V.; Caretta, A.; Bordi, F.; Silva, C.; Morini, G.; Impicciatore, M.

CORPORATE SOURCE: Inst. Pharmacol. Pharmacogn., Univ. Parma, Parma, Italy

SOURCE: Agents Actions (1993), 38(3-4), 158-64

CODEN: AGACBH; ISSN: 0065-4299

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The recent availability of potent and selective ligands, namely R-(.alpha.)-methylhistamine and thioperamide, led to conclusive progresses as regards histamine H3-receptor knowledge. The pharmacol. properties of new amino and Me derivs. of the H3-antagonist thioperamide were investigated by in vitro tests. Such original compds., developed by the modulation of the thioperamide imidazolyl moiety, were assayed at guinea-pig ileal contractile H1-, atrial chronotropic H2- and enteric neuronal H3-receptors. None of the drugs exhibited interaction with H1 or H2 sites. On elec. stimulated ileum, two of the thioperamide Me derivs. competitively antagonized the inhibitory effect of the H3-agonist R-(.alpha.)-methylhistamine. On the basis of the Schild anal., the more active isomer (compd. IV) displayed an affinity at H3-receptors only five times lower than thioperamide. These results could contribute to elucidate further the structural features of the H3-receptor.

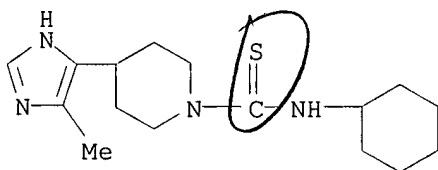
apparent heterogeneity between peripheral and central H3-sites, as emerged by pharmacol. and binding studies, autoradiog. investigations are in progress.

IT 147960-34-7

RL: BIOL (Biological study)

(histamine receptor antagonism by, specificity of)

RN 147960-34-7 CAPLUS

CN 1-Piperidinecarbothioamide, N-cyclohexyl-4-(5-methyl-1H-imidazol-4-yl)-
(9CI) (CA INDEX NAME)~~119~~ ANSWER 62 OF 81 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1993:440748 CAPLUS

DOCUMENT NUMBER: 119:40748

TITLE: Effect of thioperamide, a histamine H3 receptor antagonist, on electrically induced convulsions in mice

AUTHOR(S): Yokoyama, Hiroyuki; Onodera, Kenji; Iinuma, Kazuie; Watanabe, Takehiko

CORPORATE SOURCE: Sch. Med., Tohoku Univ., Sendai, 980, Japan

SOURCE: Eur. J. Pharmacol. (1993), 234(1), 129-33

CODEN: EJPHAZ; ISSN: 0014-2999

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Thioperamide dose-dependently decreased the duration of each electroconvulsion phase and raised the convulsive threshold. The anticonvulsant effects were prevented by pretreatment with (R)-.alpha.-methylhistamine, a histamine H3 receptor agonist. The effect of thioperamide may be due to an increase in endogenous histamine release in the brain, an effect mediated by histamine H3 receptors. The anticonvulsant effect of thioperamide was antagonized strongly by mepyramine (or pyrilamine), a centrally acting histamine H1 receptor antagonist, but not by zolantidine, a centrally acting histamine H2 receptor antagonist. Thus, the blockade by mepyramine of the thioperamide-induced decrease in seizure susceptibility indicates that histamine released by thioperamide from the histaminergic nerve terminals interacts with the histamine H1 receptors of postsynaptic neurons. The central histaminergic system may be involved in the inhibition of seizures.

IT 148440-81-7

RL: PRP (Properties)

(anticonvulsant effects of, brain histaminergic system role in)

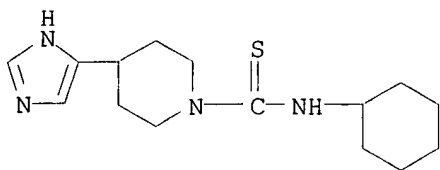
RN 148440-81-7 CAPLUS

CN 1-Piperidinecarbothioamide, N-cyclohexyl-4-(1H-imidazol-4-yl)-,
(2Z)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 106243-16-7

CMF C15 H24 N4 S



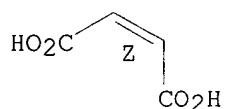
CM 2

CRN 110-16-7

CMF C4 H4 O4

CDES 2:Z

Double bond geometry as shown.



L19 ANSWER 63 OF 81 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1993:124534 CAPLUS

DOCUMENT NUMBER: 118:124534

TITLE: Preparation of 2-(imidazolylpiperidino)benzimidazoles and analogs as 5-HT receptor ligands

INVENTOR(S): Jegham, Samir; Defosse, Gerard; Purcell, Thomas; Schoemaker, Johannes

PATENT ASSIGNEE(S): Synthelabo S. A., Fr.

SOURCE: Eur. Pat. Appl., 17 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

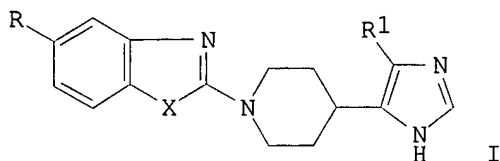
LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| EP 507650 | A1 | 19921007 | EP 1992-400780 | 19920323 |
| EP 507650 | B1 | 19960522 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE | | | | |
| FR 2674855 | A1 | 19921009 | FR 1991-4009 | 19910403 |
| FR 2674855 | B1 | 19940114 | | |
| AT 138375 | E | 19960615 | AT 1992-400780 | 19920323 |
| CA 2064924 | AA | 19921004 | CA 1992-2064924 | 19920402 |
| NO 9201281 | A | 19921005 | NO 1992-1281 | 19920402 |
| AU 9213989 | A1 | 19921008 | AU 1992-13989 | 19920402 |
| AU 646332 | B2 | 19940217 | | |
| CN 1065459 | A | 19921021 | CN 1992-102327 | 19920402 |
| JP 05112563 | A2 | 19930507 | JP 1992-80690 | 19920402 |
| JP 07088378 | B4 | 19950927 | | |
| HU 62573 | A2 | 19930528 | HU 1992-1116 | 19920402 |
| US 5280030 | A | 19940118 | | |

SOURCE(S): MARPAT 118:124534
GI

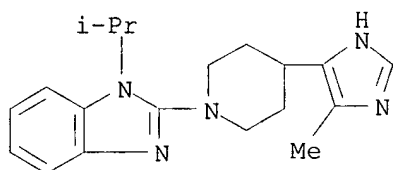


AB Title compds. [I; R = H, F; R1 = H, (cyclo)alkyl; X = O, S, NR3; R3 = H, (cyclo)alkyl, Ph, pyridyl, etc.] were prepd. Thus, 1-(4-pyridyl)-1-propanone was converted in 2 steps to 2-amino-1-(4-pyridyl)-1-propanone which was cyclocondensed with KSCN and the product converted in 2 steps to 4-(5-methyl-1H-imidazol-4-yl)piperidine. The latter was condensed with 2-chloro-1-(1-methylethyl)-1H-benzimidazole (prepn. given) to give I (R = H, R1 = Me, X = NCHMe2). I gave .gtoreq. 50% inhibition of serotonin-induced bradycardia in rats at 10 .mu.g/kg i.v.

IT 146365-53-9P 146365-54-0P 146365-56-2P
 146365-58-4P 146365-60-8P 146365-61-9P
 146365-62-0P 146365-64-2P 146365-65-3P
 146365-66-4P 146365-67-5P 146365-69-7P
 146365-71-1P 146365-72-2P 146365-74-4P
 146365-75-5P 146365-77-7P 146365-79-9P
 146365-80-2P 146365-82-4P 146365-83-5P
 146365-85-7P 146365-86-8P 146365-88-0P
 146365-90-4P 146365-91-5P 146365-92-6P
 146365-93-7P 146365-95-9P 146365-96-0P
 146365-97-1P 146365-98-2P 146395-69-9P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as 5-HT receptor ligand)

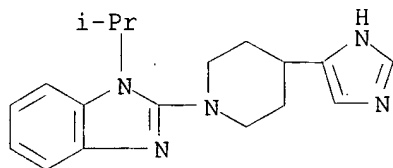
RN 146365-53-9 CAPLUS

CN 1H-Benzimidazole, 1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 146365-54-0 CAPLUS

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



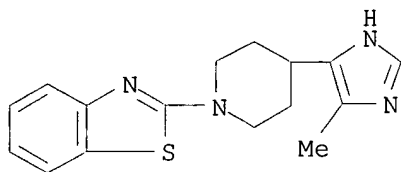
RN 146365-56-2 CAPLUS

CN Benzothiazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-55-1

CMF C16 H18 N4 S



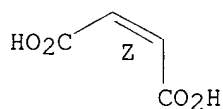
CM 2

CRN 110-16-7

CMF C4 H4 O4

CDES 2:Z

Double bond geometry as shown.



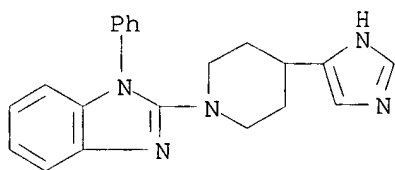
RN 146365-58-4 CAPLUS

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-phenyl-,
(2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-57-3

CMF C21 H21 N5



CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.

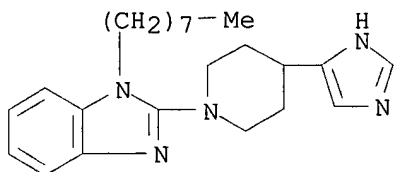
RN 146365-60-8 CAPLUS

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-octyl-,
(2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-59-5

CMF C23 H33 N5



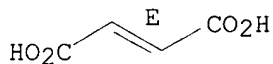
CM 2

CRN 110-17-8

CMF C4 H4 O4

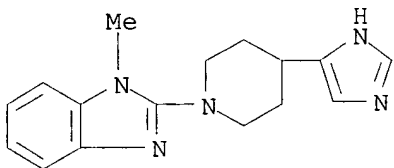
CDES 2:E

Double bond geometry as shown.



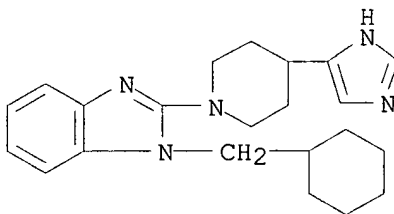
RN 146365-61-9 CAPLUS

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-methyl- (9CI)
(CA INDEX NAME)



RN 146365-62-0 CAPLUS

CN 1H-Benzimidazole, 1-(cyclohexylmethyl)-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



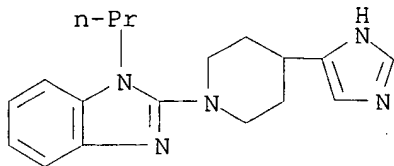
RN 146365-64-2 CAPLUS

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-propyl-,
(2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-63-1

CMF C18 H23 N5



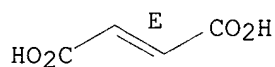
CM 2

CRN 110-17-8

CMF C4 H4 O4

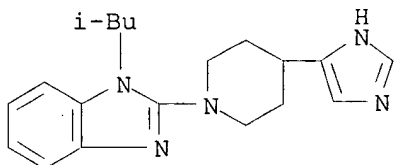
CDES 2:E

Double bond geometry as shown.



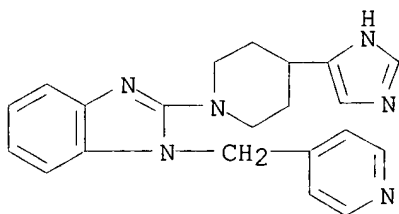
RN 146365-65-3 CAPLUS

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(2-methylpropyl)- (9CI) (CA INDEX NAME)



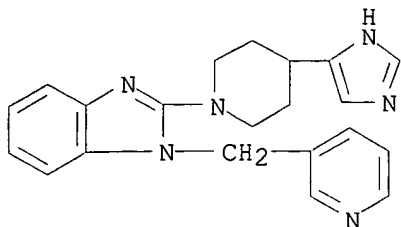
RN 146365-66-4 CAPLUS

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 146365-67-5 CAPLUS

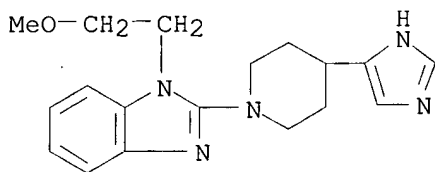
1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 146365-69-7 CAPLUS
 CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(2-methoxyethyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

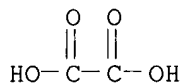
CM 1

CRN 146365-68-6
 CMF C18 H23 N5 O



CM 2

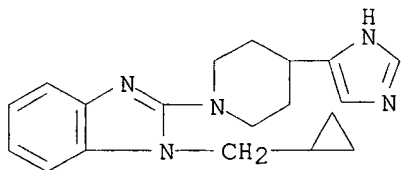
CRN 144-62-7
 CMF C2 H2 O4



RN 146365-71-1 CAPLUS
 CN 1H-Benzimidazole, 1-(cyclopropylmethyl)-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

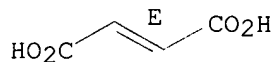
CRN 146365-70-0
 CMF C19 H23 N5



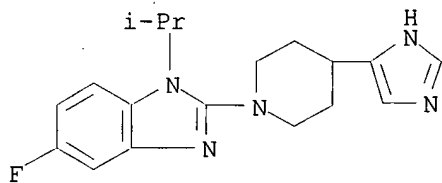
CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



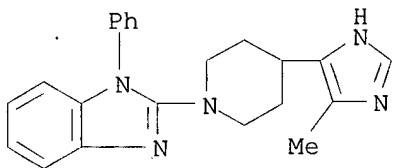
RN 146365-72-2 CAPLUS
CN 1H-Benzimidazole, 5-fluoro-2-[4-(1H-imidazol-4-yl)-1-piperidiny]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 146365-74-4 CAPLUS
CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidiny]-1-phenyl-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

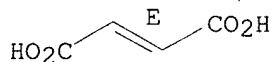
CRN 146365-73-3
CMF C22 H23 N5



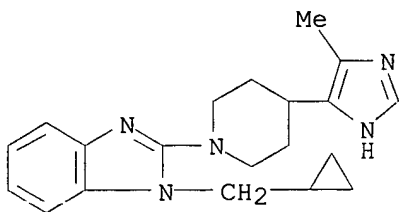
CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



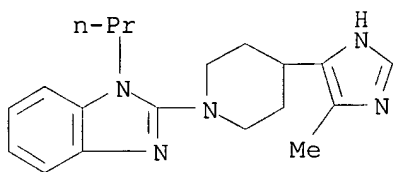
RN 146365-75-5 CAPLUS
CN 1H-Benzimidazole, 1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidiny]-1-phenyl-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)



RN 146365-77-7 CAPLUS
CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1-propyl-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

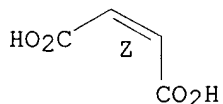
CRN 146365-76-6
CMF C19 H25 N5



CM 2

CRN 110-16-7
CMF C4 H4 O4
CDES 2:Z

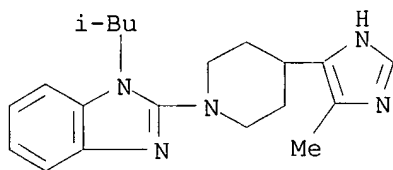
Double bond geometry as shown.



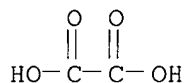
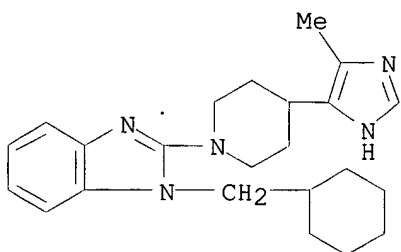
RN 146365-79-9 CAPLUS
CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1-(2-methylpropyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

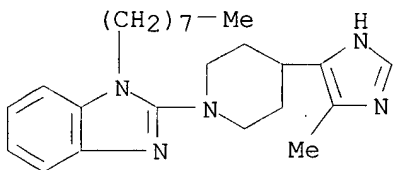
CRN 146365-78-8
CMF C20 H27 N5



CM 2

CRN 144-62-7
CMF C2 H2 O4RN 146365-80-2 CAPLUS
CN 1H-Benzimidazole, 1-(cyclohexylmethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidiny]- (9CI) (CA INDEX NAME)RN 146365-82-4 CAPLUS
CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidiny]-1-octyl-, (2E)-2-butenedioate (2:5) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-81-3
CMF C24 H35 N5

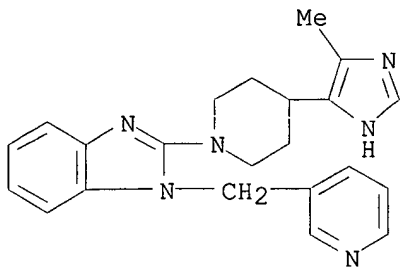
CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.

RN 146365-83-5 CAPLUS

CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



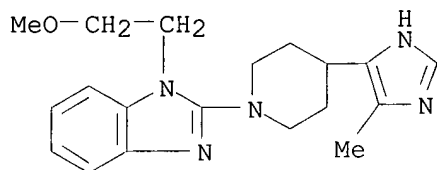
RN 146365-85-7 CAPLUS

CN 1H-Benzimidazole, 1-(2-methoxyethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-84-6

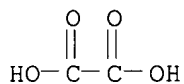
CMF C19 H25 N5 O



CM 2

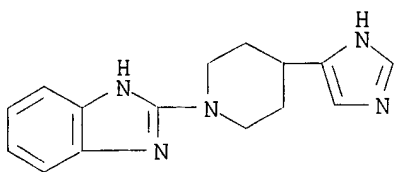
CRN 144-62-7

CMF C2 H2 O4



RN 146365-86-8 CAPLUS

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-, dihydrochloride (9CI) (CA INDEX NAME)

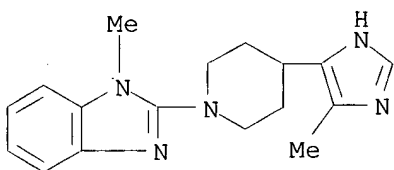


● 2 HCl

RN 146365-88-0 CAPLUS
CN 1H-Benzimidazole, 1-methyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, ethanedioate (2:1) (9CI) (CA INDEX NAME)

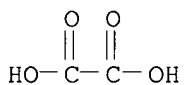
CM 1

CRN 146365-87-9
CMF C17 H21 N5



CM 2

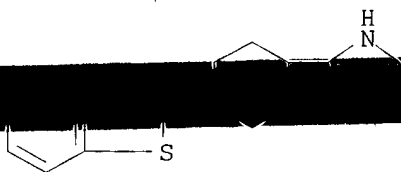
CRN 144-62-7
CMF C2 H2 O4



RN 146365-90-4 CAPLUS
CN Benzothiazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-89-1
CMF C15 H16 N4 S



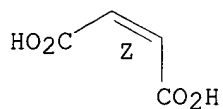
CM 2

CRN 110-16-7

CMF C4 H4 O4

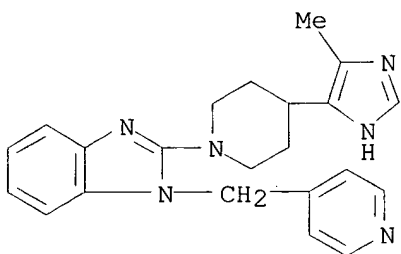
CDES 2:Z

Double bond geometry as shown.



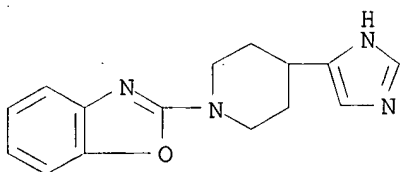
RN 146365-91-5 CAPLUS

CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



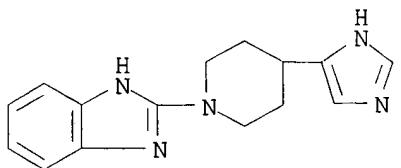
RN 146365-92-6 CAPLUS

CN Benzoxazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 146365-93-7 CAPLUS

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



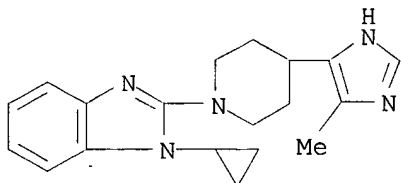
RN 146365-95-9 CAPLUS

CN 1H-Benzimidazole, 1-cyclopropyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-94-8

CMF C19 H23 N5



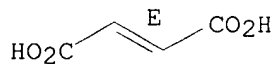
CM 2

CRN 110-17-8

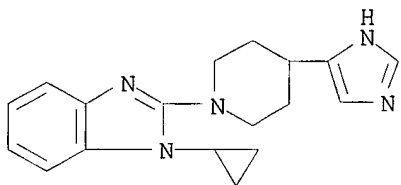
CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.

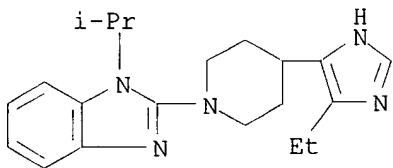


RN 146365-96-0 CAPLUS

CN 1H-Benzimidazole, 1-cyclopropyl-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-
(9CI) (CA INDEX NAME)

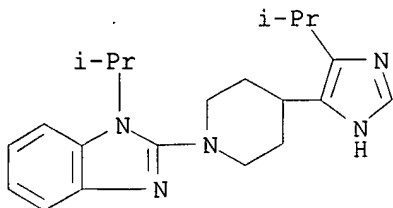
RN 146365-97-1 CAPLUS

CN 1H-Benzimidazole, 2-[4-(5-ethyl-1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)

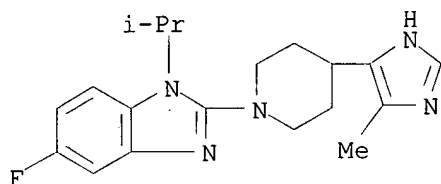


RN 146365-98-2 CAPLUS

1H-Benzimidazole, 2-[4-(5-ethyl-1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)

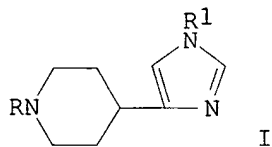


RN 146395-69-9 CAPLUS
CN 1H-Benzimidazole, 5-fluoro-1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



~~LI9~~ ANSWER 64 OF 81 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1992:550990 CAPLUS
DOCUMENT NUMBER: 117:150990
TITLE: 1-substituted 4-(4-imidazolyl)piperidines, process for
their preparation and their therapeutic applications
INVENTOR(S): Arrang, Jean Michel; Garbarg, Monique; Lancelot, Jean
Charles Maurice; Lecomte, Jeanne Marie; Robba, Max
Fernand; Schwartz, Jean Charles
PATENT ASSIGNEE(S): Institut National de la Sante et de la Recherche
Medicale (INSERM), Fr.; Societe Civile Bioprojet;
Universite de Caen
SOURCE: Eur. Pat. Appl., 25 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|-------------------|----------|-----------------|----------|
| EP 494010 | A1 | 19920708 | EP 1991-403498 | 19911220 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| FR 2671083 | A1 | 19920703 | FR 1990-16540 | 19901231 |
| FR 2671083 | B1 | 19941223 | | |
| JP 05247028 | A2 | 19930924 | JP 1991-359356 | 19911227 |
| CA 2058563 | AA | 19920701 | CA 1991-2058563 | 19911230 |
| US 5290790 | A | 19940301 | US 1991-814450 | 19911230 |
| PRIORITY APPLN. INFO.: | | | FR 1990-16540 | 19901231 |
| OTHER SOURCE(S): | MARPAT 117:150990 | | | |
| GI | | | | |



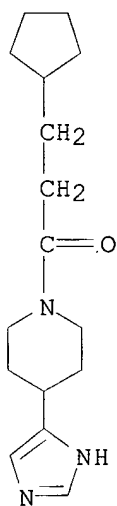
AB The title compds. I [R1 = H, COR2 (R2 = Ph, cyclopentylmethyl, cyclohexylmethyl, cyclopentylethyl, cyclohexylethyl, cyclopentylamino, cyclohexylamino, phenylamino, chlorophenylamino, dichlorophenylamino); R = H, COR3 {R3 = aliph. group, cyclic group, benzenic group optionally substituted, (CH2)nR4 (n = 1-10; R4 = cyclic group, benzenic group, 2- or 3-thienyl, CO2R5 (R5 = cyclic group), CONHR6 (R6 = cyclic group), CON (N = pyrrolidino, piperidino, 2,6-dimethylmorpholino), OR7 (R7 = benzenic group), CH:CHR8 (R8 = cyclic group), NH(CH2)nR9 (n = 1-5; R9 = cyclic group)}, C(OH):CH(CH2)nR10 (n = 2-9; R10 = benzenic group, OPh), CSNH(CH2)nR9 (n = 1-5, same R9)] were prepd. as H3 antihistaminics. E.g., 2 g 2-norbornaneacetic acid in 60 mL MeCN was treated with 2.03 g Et3N and 1.43 g Et chloroformate at 0-5.degree.. The soln. was poured into 60 mL MeCN and 15 mL H2O contg. 1.99 g 4-(4-imidazolyl)piperidine and the mixt. heated to 80.degree. for 1 h to give 58% 1-(norbornylmethylcarbonyl)-4-(1H-4-imidazolyl)piperidine (II). II showed an inhibition const. of 23 nM as a histamine antagonist on H3 receptors.

IT **143211-88-5P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and acylation of, by cyclopentylpropionyl chloride)

RN 143211-88-5 CAPLUS

CN Piperidine, 1-(3-cyclopentyl-1-oxopropyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

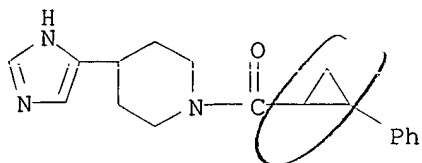


IT 143211-71-6P 143211-76-1P 143211-79-4P
143211-92-1P 143211-97-6P 143212-02-6P
143212-19-5P 143212-25-3P 143212-37-7P
143212-38-8P 143212-39-9P 143212-40-2P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

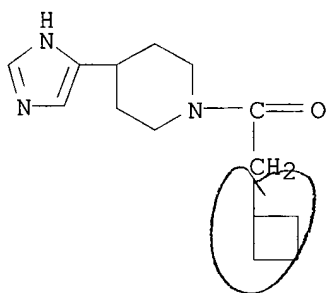
(prepn. and antihistaminic activity of)

RN 143211-71-6 CAPLUS

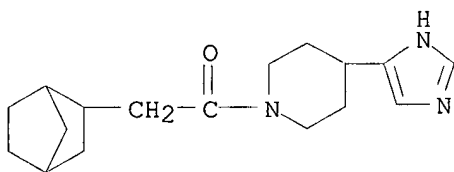
CN Piperidine, 4-(1H-imidazol-4-yl)-1-[(2-phenylcyclopropyl)carbonyl]- (9CI)
(CA INDEX NAME)

RN 143211-76-1 CAPLUS

CN Piperidine, 1-(cyclobutylacetyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

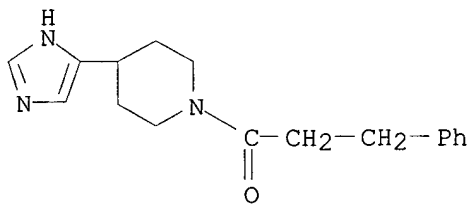


RN 143211-79-4 CAPLUS

CN Piperidine, 1-(bicyclo[2.2.1]hept-2-ylacetyl)-4-(1H-imidazol-4-yl)- (9CI)
(CA INDEX NAME)

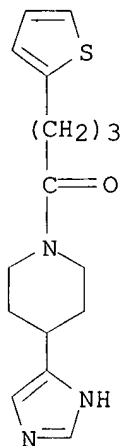
RN 143211-92-1 CAPLUS

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-3-phenylpropyl)- (9CI) (CA INDEX NAME)



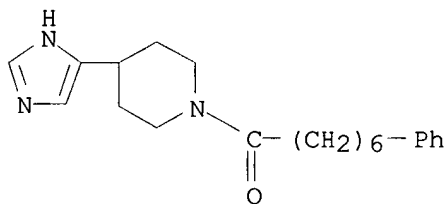
RN 143211-97-6 CAPLUS

CN Piperidine, 4-(1H-imidazol-4-yl)-1-[1-oxo-4-(2-thienyl)butyl]- (9CI) (CA INDEX NAME)



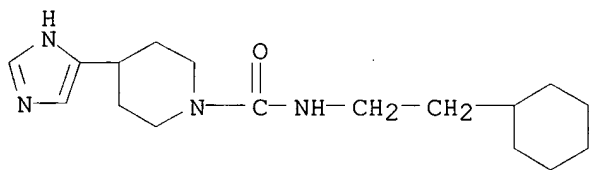
RN 143212-02-6 CAPLUS

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-7-phenylheptyl)- (9CI) (CA INDEX NAME)



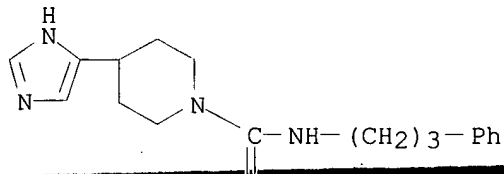
RN 143212-19-5 CAPLUS

CN 1-Piperidinecarboxamide, N-(2-cyclohexylethyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



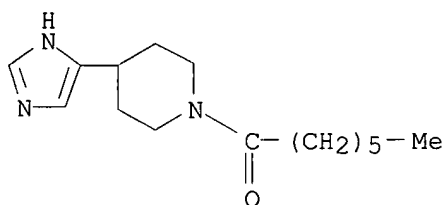
RN 143212-25-3 CAPLUS

CN 1-Piperidinecarboxamide, 4-(1H-imidazol-4-yl)-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

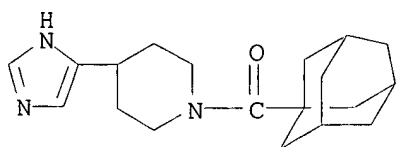


RN 143212-37-7 CAPLUS

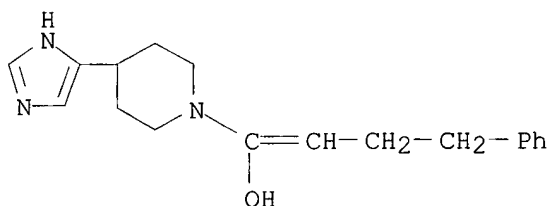
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxoheptyl)- (9CI) (CA INDEX NAME)



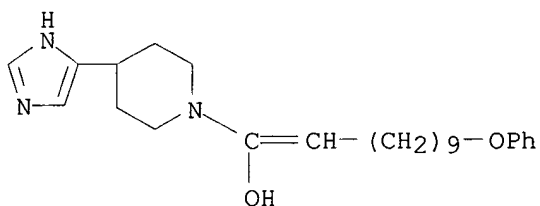
RN 143212-38-8 CAPLUS
 CN Piperidine, 4-(1H-imidazol-4-yl)-1-(tricyclo[3.3.1.1^{3,7}]dec-1-ylcarbonyl)-
 (9CI) (CA INDEX NAME)



RN 143212-39-9 CAPLUS
 CN 1-Piperidinemethanol, 4-(1H-imidazol-4-yl)-.alpha.-(3-phenylpropylidene)-
 (9CI) (CA INDEX NAME)



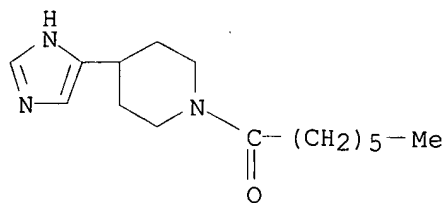
RN 143212-40-2 CAPLUS
 CN 1-Piperidinemethanol, 4-(1H-imidazol-4-yl)-.alpha.-(10-phenoxydecylidene)-
 (9CI) (CA INDEX NAME)



RN 143412-03-7 CAPLUS
 CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxoheptyl)-, ethanedioate (1:1)
 (9CI) (CA INDEX NAME)

CM 1

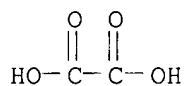
CRN 143212-37-7
 CMF C15 H25 N3 O



CM 2

CRN 144-62-7

CMF C2 H2 O4



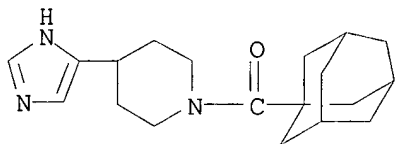
RN 143412-06-0 CAPLUS

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(tricyclo[3.3.1.1^{3,7}]dec-1-ylcarbonyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 143212-38-8

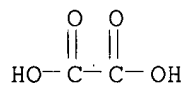
CMF C19 H27 N3 O



CM 2

CRN 144-62-7

CMF C2 H2 O4

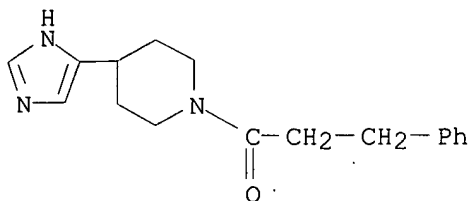


RN 143412-13-9 CAPLUS

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-3-phenylpropyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

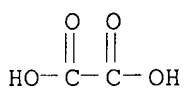
CRN 143211-92-1



CM 2

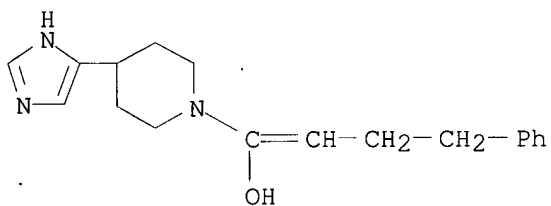
CRN 144-62-7

CMF C2 H2 O4



RN 143412-16-2 CAPLUS

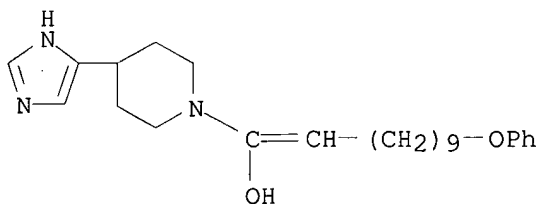
CN 1-Piperidinemethanol, 4-(1H-imidazol-4-yl)-.alpha.-(3-phenylpropylidene)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 143412-17-3 CAPLUS

CN 1-Piperidinemethanol, 4-(1H-imidazol-4-yl)-.alpha.-(10-phenoxydecylidene)-, monohydrochloride (9CI) (CA INDEX NAME)

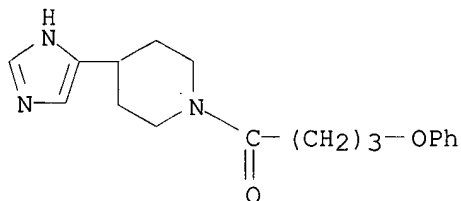


HCl

IT 143212-09-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, with dichlorophenyl isocyanate)

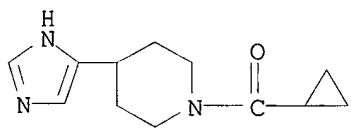
RN 143212-09-3 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-4-phenoxybutyl)- (9CI) (CA
INDEX NAME)



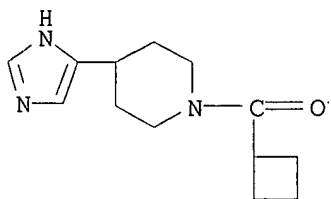
IT 143211-64-7P 143211-65-8P 143211-66-9P
143211-67-0P 143211-68-1P 143211-69-2P
143211-70-5P 143211-72-7P 143211-73-8P
143211-74-9P 143211-75-0P 143211-77-2P
143211-78-3P 143211-80-7P 143211-81-8P
143211-82-9P 143211-83-0P 143211-84-1P
143211-85-2P 143211-86-3P 143211-87-4P
143211-89-6P 143211-90-9P 143211-91-0P
143211-93-2P 143211-94-3P 143211-95-4P
143211-96-5P 143211-98-7P 143211-99-8P
143212-00-4P 143212-01-5P 143212-03-7P
143212-04-8P 143212-05-9P 143212-06-0P
143212-07-1P 143212-08-2P 143212-10-6P
143212-11-7P 143212-12-8P 143212-13-9P
143212-14-0P 143212-15-1P 143212-16-2P
143212-18-4P 143212-20-8P 143212-21-9P
143212-22-0P 143212-23-1P 143212-24-2P
143212-26-4P 143412-05-9P 143412-08-2P
143412-10-6P 143412-12-8P 143412-15-1P
143412-18-4P 143412-20-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

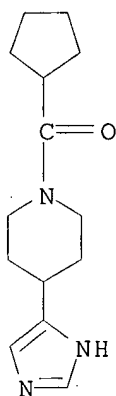
RN 143211-64-7 CAPLUS
CN Piperidine, 1-(cyclopropylcarbonyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX
NAME)



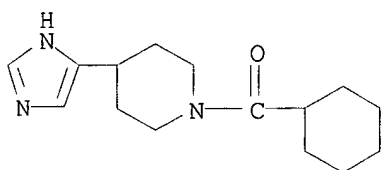
RN 143211-65-8 CAPLUS
CN Piperidine, 1-(cyclobutylcarbonyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX
NAME)



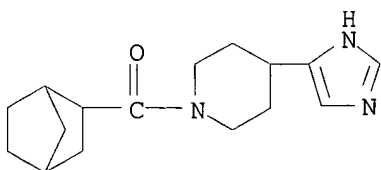
RN 143211-66-9 CAPLUS
CN Piperidine, 1-(cyclopentylcarbonyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



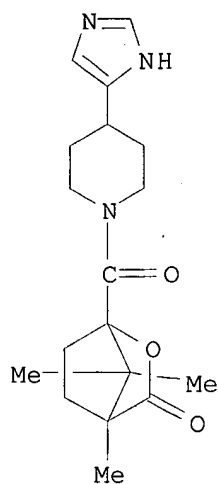
RN 143211-67-0 CAPLUS
CN Piperidine, 1-(cyclohexylcarbonyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



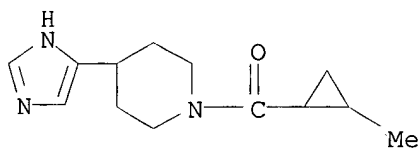
RN 143211-68-1 CAPLUS
CN Piperidine, 1-(bicyclo[2.2.1]hept-2-ylcarbonyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



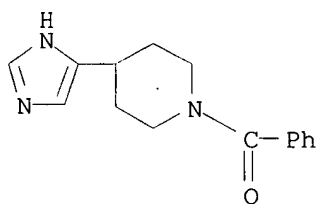
RN 143211-69-2 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-[(4,7,7-trimethyl-3-oxo-2-oxabicyclo[2.2.1]hept-1-yl)carbonyl]- (9CI) (CA INDEX NAME)



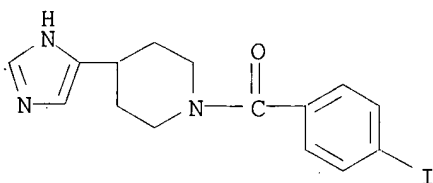
RN 143211-70-5 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-[(2-methylcyclopropyl)carbonyl]- (9CI)
(CA INDEX NAME)



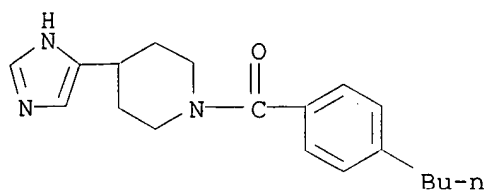
RN 143211-72-7 CAPLUS
CN Piperidine, 1-benzoyl-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



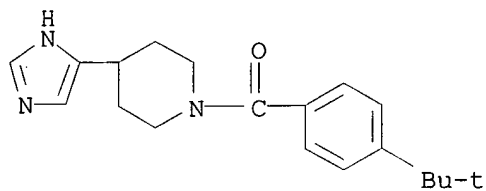
RN 143211-73-8 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(4-iodobenzoyl)- (9CI) (CA INDEX NAME)



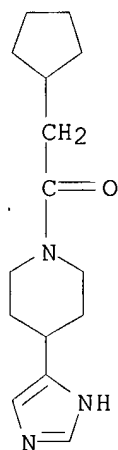
RN 143211-74-9 CAPLUS
CN Piperidine, 1-(4-butylbenzoyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



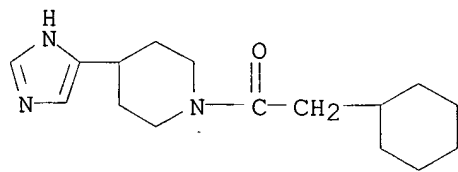
RN 143211-75-0 CAPLUS
CN Piperidine, 1-[4-(1,1-dimethylethyl)benzoyl]-4-(1H-imidazol-4-yl)- (9CI)
(CA INDEX NAME)



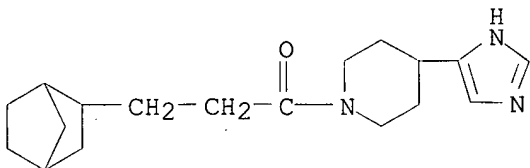
RN 143211-77-2 CAPLUS
CN Piperidine, 1-(cyclopentylacetyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX
NAME)



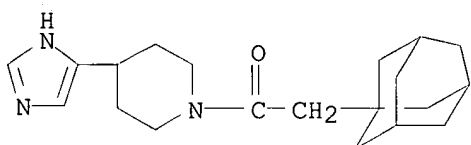
RN 143211-78-3 CAPLUS
CN Piperidine, 1-(cyclohexylacetyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX
NAME)



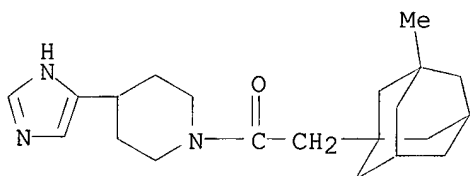
RN 143211-80-7 CAPLUS

CN Piperidine, 1-(3-bicyclo[2.2.1]hept-2-yl-1-oxopropyl)-4-(1H-imidazol-4-yl)-
(9CI) (CA INDEX NAME)

RN 143211-81-8 CAPLUS

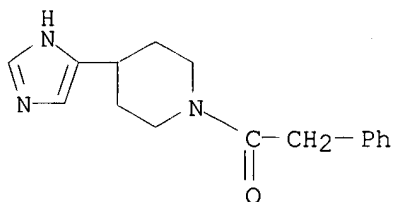
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(tricyclo[3.3.1.1^{3,7}]dec-1-ylacetyl)-
(9CI) (CA INDEX NAME)

RN 143211-82-9 CAPLUS

CN Piperidine, 4-(1H-imidazol-4-yl)-1-[(3-methyltricyclo[3.3.1.1^{3,7}]dec-1-yl)acetyl]- (9CI) (CA INDEX NAME)

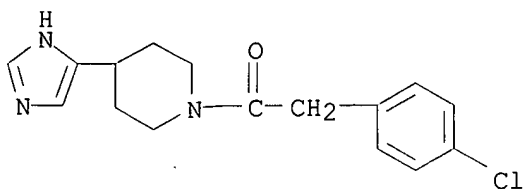
RN 143211-83-0 CAPLUS

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(phenylacetyl)- (9CI) (CA INDEX NAME)

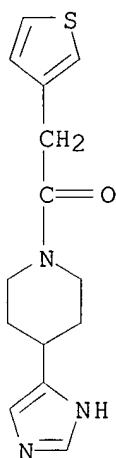


RN 143211-84-1 CAPLUS

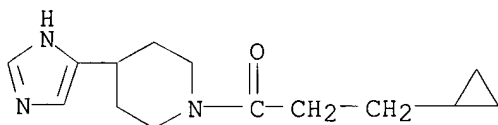
CN Piperidine, 1-[(4-chlorophenyl)acetyl]-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



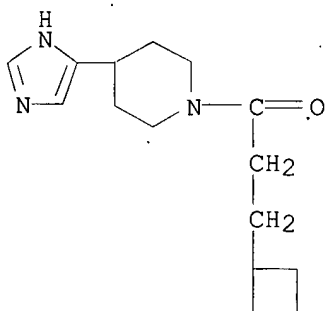
RN 143211-85-2 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(3-thienylacetyl)- (9CI) (CA INDEX NAME)



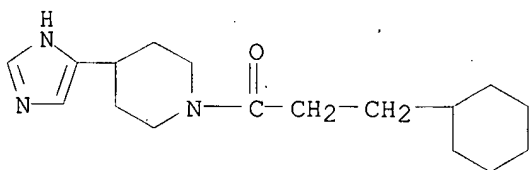
RN 143211-86-3 CAPLUS
CN Piperidine, 1-(3-cyclopropyl-1-oxopropyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



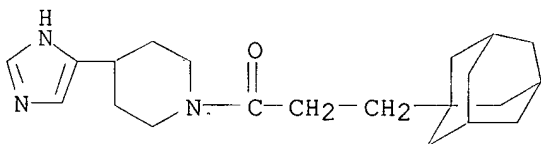
RN 143211-87-4 CAPLUS
CN Piperidine, 1-(3-cyclobutyl-1-oxopropyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



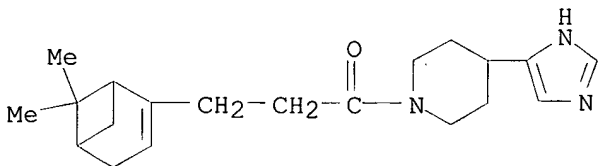
RN 143211-89-6 CAPLUS
 CN Piperidine, 1-(3-cyclohexyl-1-oxopropyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



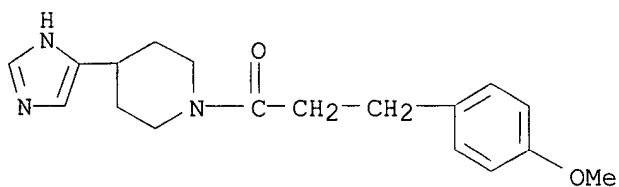
RN 143211-90-9 CAPLUS
 CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-3-tricyclo[3.3.1.1^{3,7}]dec-1-ylpropyl)- (9CI) (CA INDEX NAME)



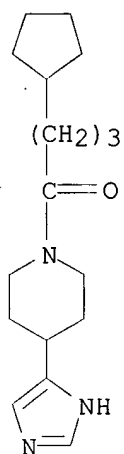
RN 143211-91-0 CAPLUS
 CN Piperidine, 1-[3-(6,6-dimethylbicyclo[3.1.1]hept-2-en-2-yl)-1-oxopropyl]-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



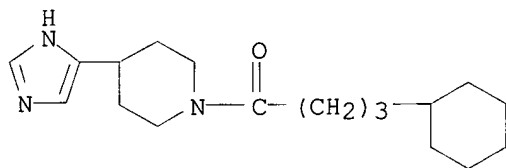
RN 143211-93-2 CAPLUS
 CN Piperidine, 4-(1H-imidazol-4-yl)-1-[3-(4-methoxyphenyl)-1-oxopropyl]- (9CI) (CA INDEX NAME)



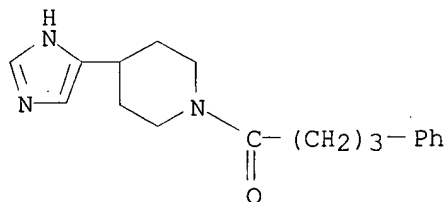
RN 143211-94-3 CAPLUS
CN Piperidine, 1-(4-cyclopentyl-1-oxobutyl)-4-(1H-imidazol-4-yl)- (9CI) (CA
INDEX NAME)



RN 143211-95-4 CAPLUS
CN Piperidine, 1-(4-cyclohexyl-1-oxobutyl)-4-(1H-imidazol-4-yl)- (9CI) (CA
INDEX NAME)

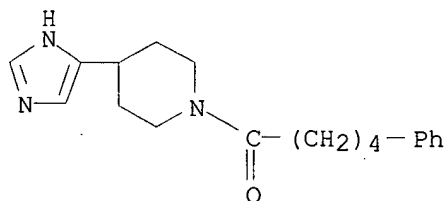


RN 143211-96-5 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-4-phenylbutyl)- (9CI) (CA INDEX
NAME)



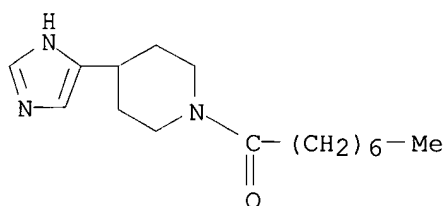
RN 143211-98-7 CAPLUS

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-5-phenylpentyl)- (9CI) (CA INDEX NAME)



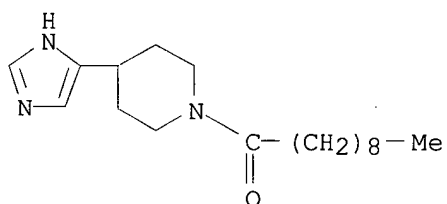
RN 143211-99-8 CAPLUS

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxooctyl)- (9CI) (CA INDEX NAME)



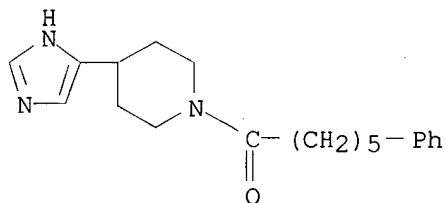
RN 143212-00-4 CAPLUS

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxodecyl)- (9CI) (CA INDEX NAME)



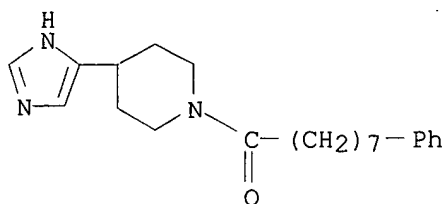
RN 143212-01-5 CAPLUS

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-6-phenylhexyl)- (9CI) (CA INDEX NAME)



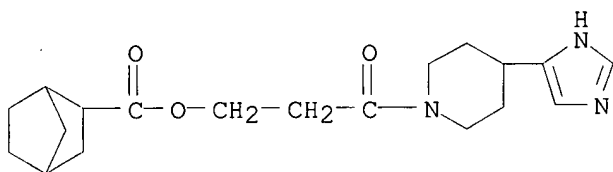
RN 143212-03-7 CAPLUS

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-8-phenyloctyl)- (9CI) (CA INDEX NAME)



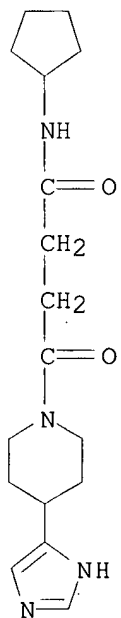
RN 143212-04-8 CAPLUS

CN Bicyclo[2.2.1]heptane-2-carboxylic acid, 3-[4-(1H-imidazol-4-yl)-1-piperidinyl]-3-oxopropyl ester (9CI) (CA INDEX NAME)



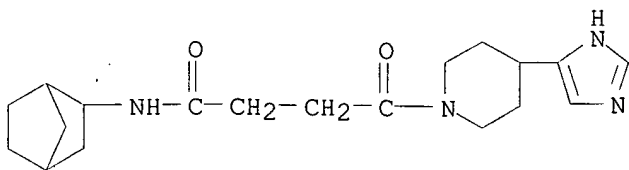
RN 143212-05-9 CAPLUS

CN 1-Piperidinebutanamide, N-cyclopentyl-4-(1H-imidazol-4-yl)-.gamma.-oxo- (9CI) (CA INDEX NAME)



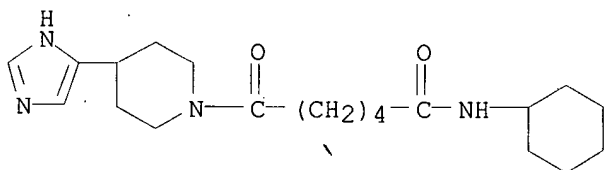
RN 143212-06-0 CAPLUS

CN 1-Piperidinebutanamide, N-bicyclo[2.2.1]hept-2-yl-4-(1H-imidazol-4-yl)-.gamma.-oxo- (9CI) (CA INDEX NAME)



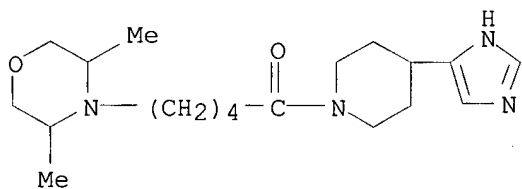
RN 143212-07-1 CAPLUS

CN 1-Piperidinehexanamide, N-cyclohexyl-4-(1H-imidazol-4-yl)-.epsilon.-oxo-
(9CI) (CA INDEX NAME)



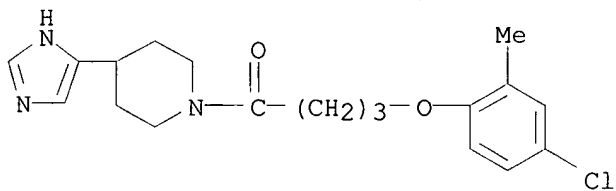
RN 143212-08-2 CAPLUS

CN Piperidine, 1-[5-(3,5-dimethyl-4-morpholinyl)-1-oxopentyl]-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



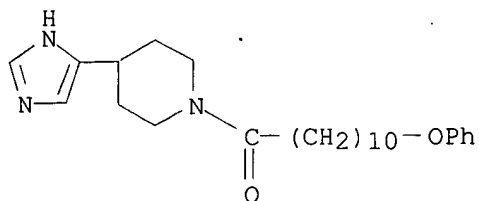
RN 143212-10-6 CAPLUS

CN Piperidine, 1-[4-(4-chloro-2-methylphenoxy)-1-oxobutyl]-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

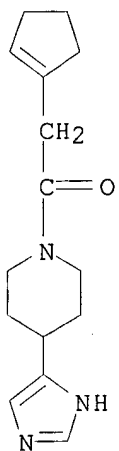


RN 143212-11-7 CAPLUS

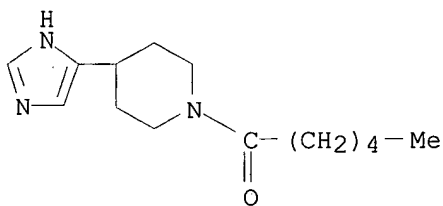
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-11-phenoxyundecyl)- (9CI) (CA INDEX NAME)



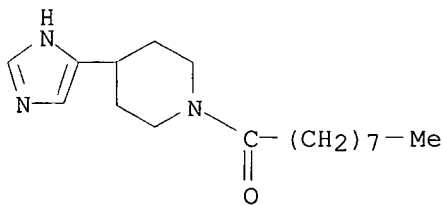
RN 143212-12-8 CAPLUS
CN Piperidine, 1-(1-cyclopenten-1-ylacetyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



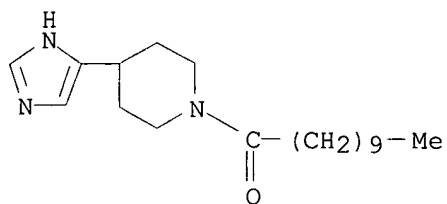
RN 143212-13-9 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxohexyl)- (9CI) (CA INDEX NAME)



RN 143212-14-0 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxononyl)- (9CI) (CA INDEX NAME)

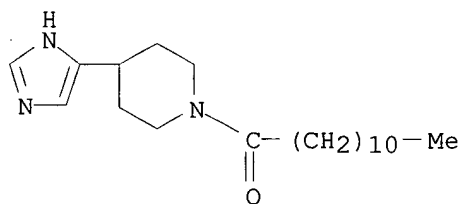


RN 143212-15-1 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxoundecyl)- (9CI) (CA INDEX NAME)



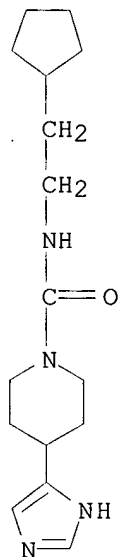
RN 143212-16-2 CAPLUS

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxododecyl)- (9CI) (CA INDEX NAME)



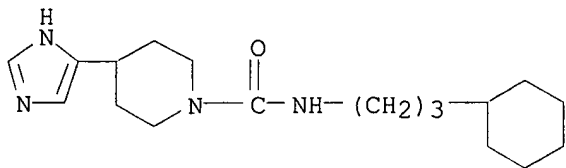
RN 143212-18-4 CAPLUS

CN 1-Piperidinecarboxamide, N-(2-cyclopentylethyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



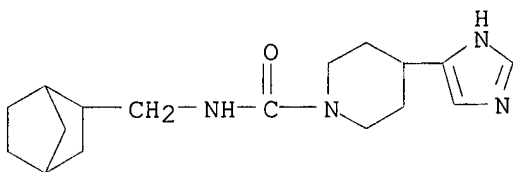
RN 143212-20-8 CAPLUS

CN 1-Piperidinecarboxamide, N-(3-cyclohexylpropyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



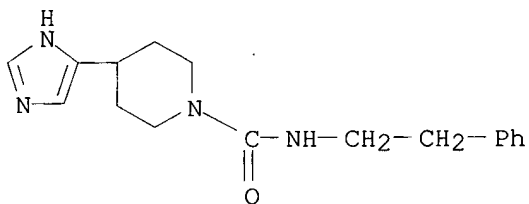
RN 143212-21-9 CAPLUS

CN 1-Piperidinecarboxamide, N-(bicyclo[2.2.1]hept-2-ylmethyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



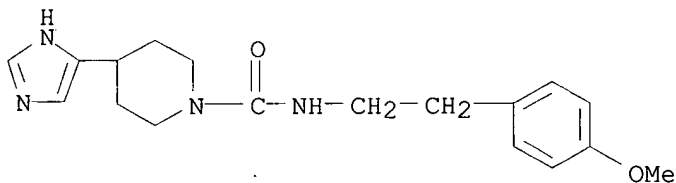
RN 143212-22-0 CAPLUS

CN 1-Piperidinecarboxamide, 4-(1H-imidazol-4-yl)-N-(2-phenylethyl)- (9CI) (CA INDEX NAME)



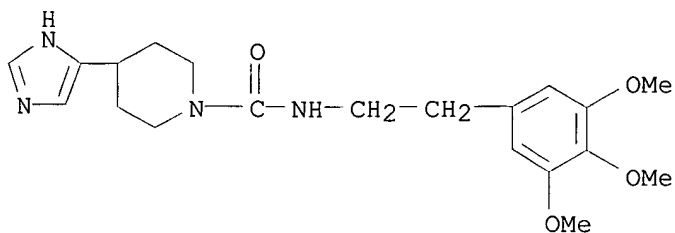
RN 143212-23-1 CAPLUS

CN 1-Piperidinecarboxamide, 4-(1H-imidazol-4-yl)-N-[2-(4-methoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)



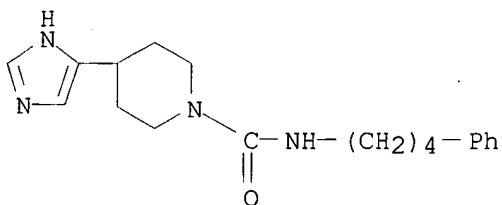
RN 143212-24-2 CAPLUS

CN 1-Piperidinecarboxamide, 4-(1H-imidazol-4-yl)-N-[2-(3,4,5-trimethoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)



RN 143212-26-4 CAPLUS

CN 1-Piperidinecarboxamide, 4-(1H-imidazol-4-yl)-N-(4-phenylbutyl)- (9CI)
(CA INDEX NAME)



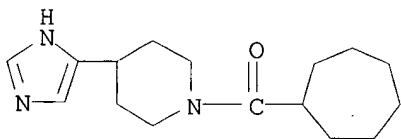
RN 143412-05-9 CAPLUS

CN Piperidine, 1-(cycloheptylcarbonyl)-4-(1H-imidazol-4-yl)-, ethanedioate
(1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 143412-04-8

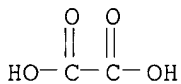
CMF C16 H25 N3 O



CM 2

CRN 144-62-7

CMF C2 H2 O4



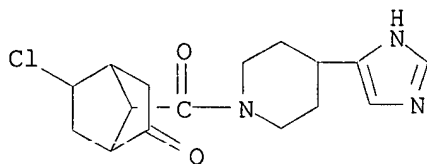
RN 143412-08-2 CAPLUS

CN Piperidine, 1-[(2-chloro-5-oxobicyclo[2.2.1]hept-7-yl)carbonyl]-4-(1H-imidazol-4-yl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 143412-07-1

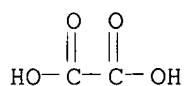
CMF C16 H20 Cl N3 O2



CM 2

CRN 144-62-7

CMF C2 H2 O4



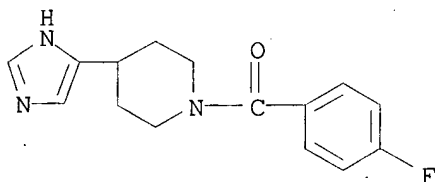
RN 143412-10-6 CAPLUS

CN Piperidine, 1-(4-fluorobenzoyl)-4-(1H-imidazol-4-yl)-, ethanedioate (1:1)
(9CI) (CA INDEX NAME)

CM 1

CRN 143412-09-3

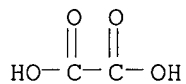
CMF C15 H16 F N3 O



CM 2

CRN 144-62-7

CMF C2 H2 O4



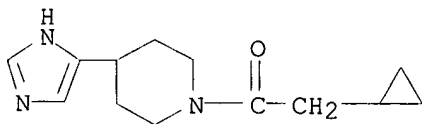
RN 143412-12-8 CAPLUS

CN Piperidine, 1-(cyclopropylacetyl)-4-(1H-imidazol-4-yl)-, ethanedioate
(1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 143412-11-7

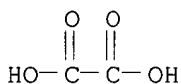
CMF C13 H19 N3 O



CM 2

CRN 144-62-7

CMF C2 H2 O4



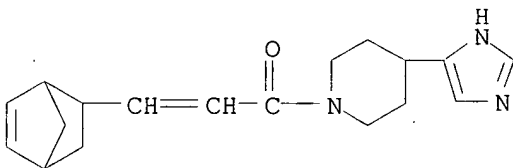
RN 143412-15-1 CAPLUS

CN Piperidine, 1-(3-bicyclo[2.2.1]hept-5-en-2-yl-1-oxo-2-propenyl)-4-(1H-imidazol-4-yl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 143412-14-0

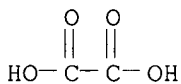
CMF C18 H23 N3 O



CM 2

CRN 144-62-7

CMF C2 H2 O4

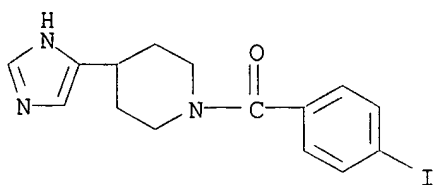


RN 143412-18-4 CAPLUS

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(4-iodobenzoyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

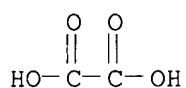
CMF C15 H16 I N3 O



CM 2

CRN 144-62-7

CMF C2 H2 O4



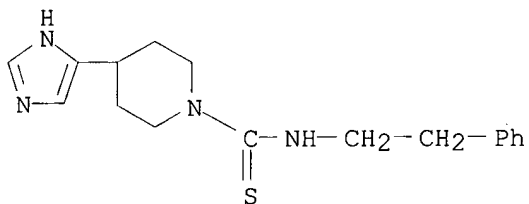
RN 143412-20-8 CAPLUS

CN 1-Piperidinecarbothioamide, 4-(1H-imidazol-4-yl)-N-(2-phenylethyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 143412-19-5

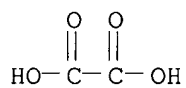
CMF C17 H22 N4 S



CM 2

CRN 144-62-7

CMF C2 H2 O4

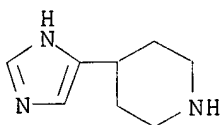


IT 106243-23-6

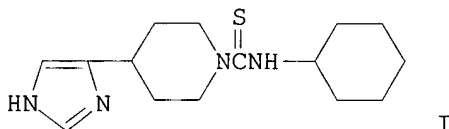
RL: RCT (Reactant)
(reactions of)

RN 106243-23-6 CAPLUS

CN Piperidine, 4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



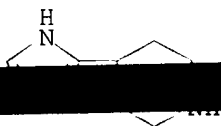
~~119~~ ANSWER 65 OF 81 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1993:508376 CAPLUS
DOCUMENT NUMBER: 119:108376
TITLE: Synthesis and binding assays of H3-receptor ligands
AUTHOR(S): Bordi, Fabrizio; Mor, Marco; Plazzi, Pier Vincenzo;
Silva, Claudia; Morini, Giovanni; Caretta, Antonio;
Barocelli, Elisabetta; Impicciatore, Mariannina
CORPORATE SOURCE: Fac. Farm., Univ. Parma, Parma, 43100, Italy
SOURCE: Farmaco (1992), 47(11), 1343-65
CODEN: FRMCE8
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB The prepn. of a representative group of derivs. of the known antagonist thioperamide (I) is described. Binding affinity for histamine H3-receptors of thioperamide and its derivs., which were obtained by substitution on the imidazole ring, was measured on rat brain cortex synaptosomes. Competitive binding assays were performed with 2 different labeled ligands, the physiol. agonist [^3H]histamine ([^3H]HA) and the potent H3-agonist N.alpha.-[^3H]methylhistamine ([^3H]NAMHA). The authors obsd. a remarkable difference in K_i values obtained vs. the 2 labeled ligands, both for thioperamide and its derivs. In particular, 5-methylthioperamide showed a considerable selectivity for the system recognized by [^3H]NAMHA, being about 100 times more potent vs. this system than vs. the system recognized by [^3H]HA. On the basis of these observations, the authors suggest that it is necessary to consider this difference in evaluating the affinity of new compds. for the H3-receptors.

IT **106243-23-6**
RL: RCT (Reactant)
(acetylation of)

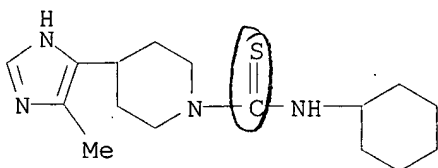
RN 106243-23-6 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



IT 147960-34-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and histaminic H3 receptor-binding activity of, structure in relation to)

RN 147960-34-7 CAPLUS

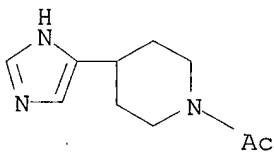
CN 1-Piperidinecarbothioamide, N-cyclohexyl-4-(5-methyl-1H-imidazol-4-yl)-
(9CI) (CA INDEX NAME)

IT 149337-98-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and protection or reaction with diazonium compd. or nitration of)

RN 149337-98-4 CAPLUS

CN Piperidine, 1-acetyl-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

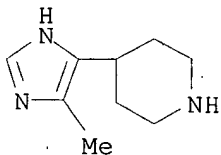


IT 147960-33-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction with cyclohexyl isothiocyanate)

RN 147960-33-6 CAPLUS

CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

119 ANSWER 66 OF 81 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1992:83686 CAPLUS

DOCUMENT NUMBER: 116:83686

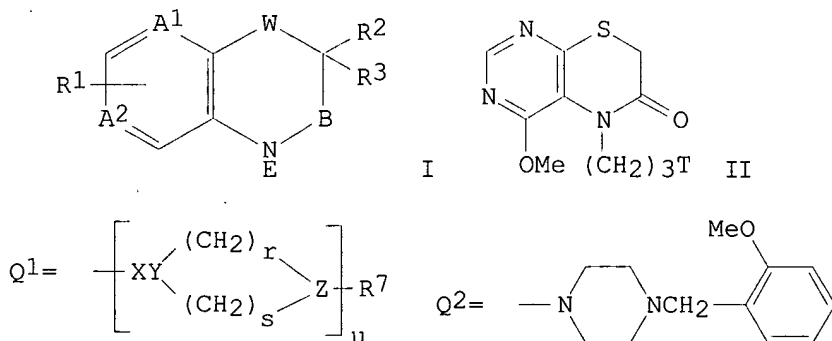
TITLE: Preparation of pyrimidothiazines as muscle relaxants
INVENTOR(S): Senaga, Masahiro; Sugimoto, Hachiro; Suzuki, Takeshi;
Kajiwarra, Shoji; Ueno, Koji; Higure, Kunizo; Nagato,
Satoru; Yoshida, Ichiro; Tanaka, Kazuo; Et, Al.

Searched by Barb O'Bryen, STIC 308-4291

PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 43 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-------------|------|----------|-----------------|----------|
| JP 03118380 | A2 | 19910520 | JP 1989-254348 | 19890929 |
| JP 2886570 | B2 | 19990426 | | |

OTHER SOURCE(S): MARPAT 116:83686
 GI



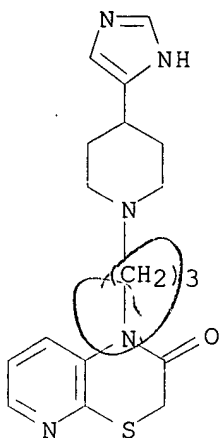
AB The title compds. I [A1, A2 = CH, N; at least one of A1 and A2 is N; R1 = H, OH, alkoxy, NR4R5, etc.; R4, R5 = H, alkyl; R2, R3 = H, alkyl, aryl, etc.; W = SOpNR6, etc.; R6 = H, alkyl; p = 0-2; B = CH2, CO; E = H, Q1; u = 0, 1; X = (CH2)n, (CH2)mCO; m, n = 2-8; Y, Z = N, CR8; R8 = H, OH; r, s = 1-3; R7 = H, alkyl, etc.] were prepd. Reaction of thiazine II (T = Br) with N-(2-methoxybenzyl)piperazine in DMF contg. Et3N, followed by workup and treatment with HCl, gave II.2HCl (T = Q2), which exhibited a min. ED of 0.1 mg/kg i.v. against contracture in rats.

IT 136742-17-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as muscle relaxant)

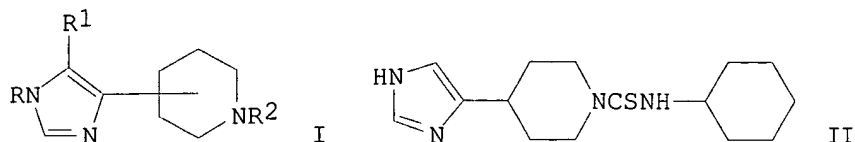
RN 136742-17-1 CAPLUS

CN 1H-Pyrido[2,3-b][1,4]thiazin-2(3H)-one, 1-[3-[4-(1H-imidazol-4-yl)-1-piperidinyl]propyl]-, dihydrochloride (9CI) (CA INDEX NAME)


$$2 \text{ HCl}$$

L19 ANSWER 67 OF 81 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1987:84602 CAPLUS
DOCUMENT NUMBER: 106:84602
TITLE: 4-Imidazolylpiperidines and their H3 histamine
receptor antagonist activity
INVENTOR(S): Arrang, Jean Michel; Garbarg, Monique; Lancelot, Jean
Charles Maurice; Lecomte, Jeanne Marie; Robba, Max
Fernand; Schwartz, Jean Charles
PATENT ASSIGNEE(S): Institut National de la Sante et de la Recherche
Medicale (INSERM), Fr.; Universite de Caen; Societe
Civile Bioprojet
SOURCE: Eur. Pat. Appl., 27 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------------------------------|------|----------|-----------------|----------|
| EP 197840 | A1 | 19861015 | EP 1986-400639 | 19860325 |
| EP 197840 | B1 | 19900801 | | |
| R: BE, CH, DE, FR, GB, IT, LI, LU, NL | | | | |
| FR 2579596 | A1 | 19861003 | FR 1985-4496 | 19850326 |
| FR 2579596 | B1 | 19871120 | | |
| US 4707487 | A | 19871117 | US 1986-840956 | 19860317 |
| JP 61267574 | A2 | 19861127 | JP 1986-64994 | 19860325 |
| JP 07068239 | B4 | 19950726 | | |
| ES 553351 | A1 | 19870316 | ES 1986-553351 | 19860325 |
| PRIORITY APPLN. INFO.: | | | FR 1985-4496 | 19850326 |
| GI | | | | |



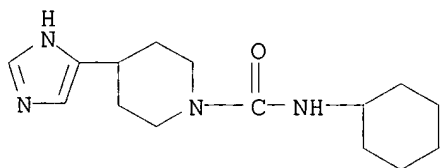
AB Title compds. I [R = H, R2; R1 = H, Me, Et; R2 = alkyl, piperonyl, benzimidazolonylpropyl, (CH₂)_nXR₃; R₃ = (substituted) Ph; n = 1-3; X = bond, O, S, NH, CO, CH:CH, CHR₃] are prepd. and shown to block histamine H₃ receptors. 4-(4-Piperidiny1)-1H-imidazole reacted with cyclohexyl isothiocyanate to give 74% (aminothiocarbonyl)piperidiny1imidazole II. II blocked H₃ histamine receptors in vitro, and increased the renewal of depleted histamine in rat cerebral cortex in vivo.

IT 106243-18-9P 106243-20-3P 106243-21-4P
 106243-25-8P 106243-26-9P 106243-27-0P
 106243-28-1P 106243-29-2P 106243-44-1P
 106243-45-2P 106243-46-3P 106243-47-4P
 106243-48-5P 106243-49-6P 106243-50-9P
 106243-51-0P 106243-52-1P 106243-53-2P
 106243-54-3P 106243-55-4P 106243-56-5P
 106243-57-6P 106243-58-7P 106243-59-8P
 106243-60-1P 106243-61-2P 106243-62-3P
 106243-63-4P 106243-64-5P 106243-65-6P
 106243-66-7P 106243-67-8P 106243-68-9P
 106243-69-0P 106243-70-3P 106243-71-4P
 106243-72-5P 106243-73-6P 106243-74-7P
 106243-75-8P 106243-76-9P 106243-77-0P
 106243-78-1P 106243-79-2P 106243-80-5P
 106243-81-6P 106243-82-7P 106243-83-8P
 106243-84-9P 106243-85-0P 106243-86-1P
 106243-88-3P 106243-89-4P 106243-90-7P
 106243-91-8P 106243-92-9P 106243-93-0P
 106243-94-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as histamine receptor antagonist)

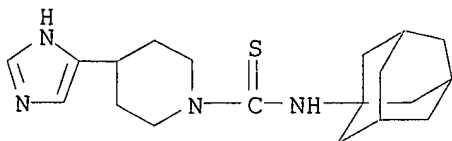
RN 106243-18-9 CAPLUS

CN 1-Piperidinecarboxamide, N-cyclohexyl-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



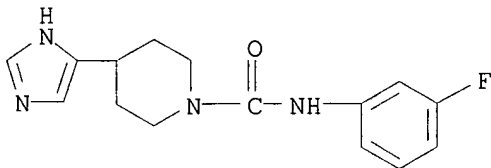
RN 106243-20-3 CAPLUS

CN 1-Piperidinecarbothioamide, 4-(1H-imidazol-4-yl)-N-tricyclo[3.3.1.1^{3,7}]dec-1-yl- (9CI) (CA INDEX NAME)



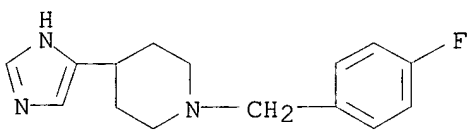
RN 106243-21-4 CAPLUS

CN 1-Piperidinecarboxamide, N-(3-fluorophenyl)-4-(1H-imidazol-4-yl)- (9CI)
(CA INDEX NAME)



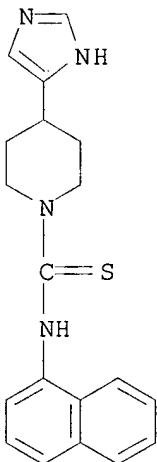
RN 106243-25-8 CAPLUS

CN Piperidine, 1-[(4-fluorophenyl)methyl]-4-(1H-imidazol-4-yl)- (9CI) (CA
INDEX NAME)



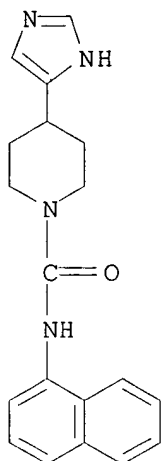
RN 106243-26-9 CAPLUS

CN 1-Piperidinecarbothioamide, 4-(1H-imidazol-4-yl)-N-1-naphthalenyl- (9CI)
(CA INDEX NAME)

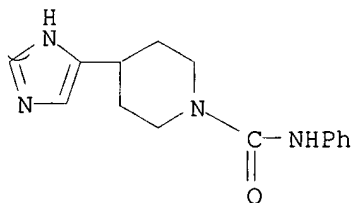


RN 106243-27-0 CAPLUS

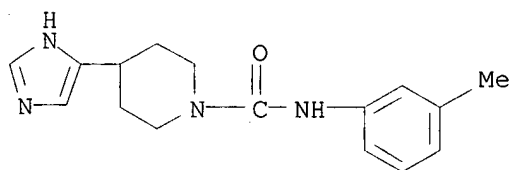
CN 1-Piperidinecarboxamide, 4-(1H-imidazol-4-yl)-N-1-naphthalenyl- (9CI) (CA
INDEX NAME)



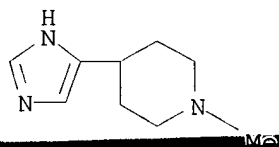
RN 106243-28-1 CAPLUS
CN 1-Piperidinecarboxamide, 4-(1H-imidazol-4-yl)-N-phenyl- (9CI) (CA INDEX NAME)



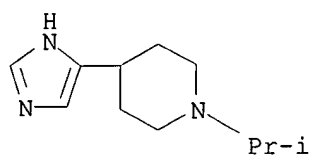
RN 106243-29-2 CAPLUS
CN 1-Piperidinecarboxamide, 4-(1H-imidazol-4-yl)-N-(3-methylphenyl)- (9CI) (CA INDEX NAME)



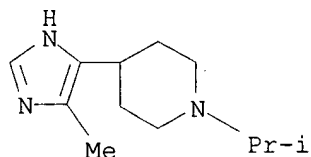
RN 106243-44-1 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-methyl- (9CI) (CA INDEX NAME)



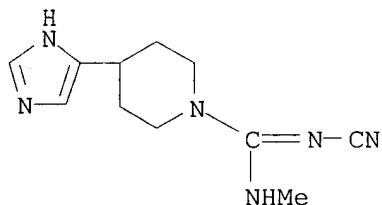
RN 106243-45-2 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



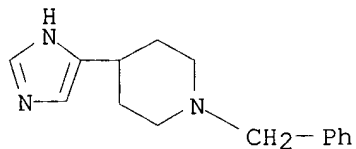
RN 106243-46-3 CAPLUS
CN Piperidine, 1-(1-methylethyl)-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



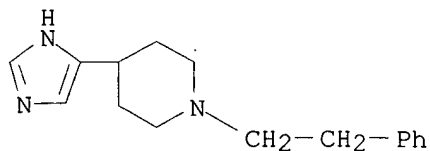
RN 106243-47-4 CAPLUS
CN 1-Piperidinecarboximidamide, N-cyano-4-(1H-imidazol-4-yl)-N'-methyl- (9CI)
(CA INDEX NAME)



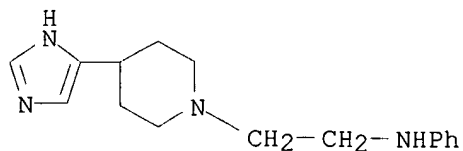
RN 106243-48-5 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



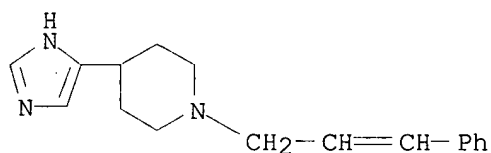
RN 106243-49-6 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(2-phenylethyl)- (9CI) (CA INDEX NAME)



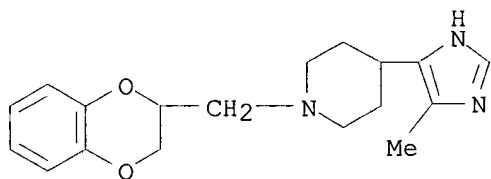
RN 106243-50-9 CAPLUS
CN 1-Piperidineethanamine, 4-(1H-imidazol-4-yl)-N-phenyl- (9CI) (CA INDEX NAME)



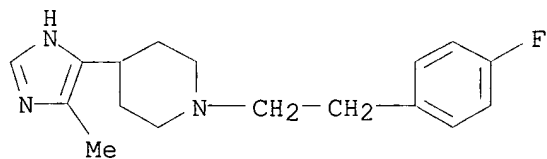
RN 106243-51-0 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)



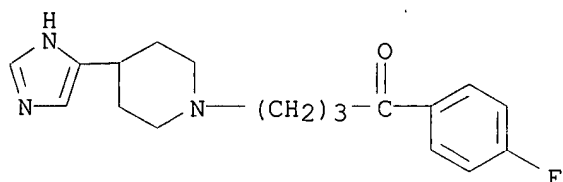
RN 106243-52-1 CAPLUS
CN Piperidine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



RN 106243-53-2 CAPLUS
CN Piperidine, 1-[2-(4-fluorophenyl)ethyl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

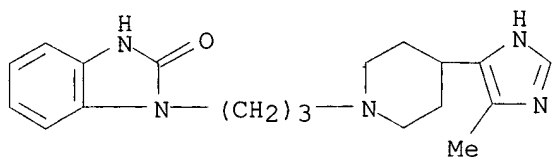


RN 106243-54-3 CAPLUS
CN 1-Butanone, 1-(4-fluorophenyl)-4-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



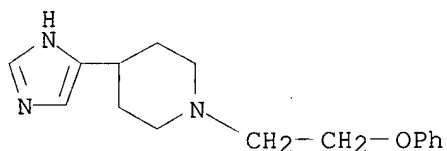
RN 106243-55-4 CAPLUS

CN 2H-Benzimidazol-2-one, 1,3-dihydro-1-[3-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]propyl]- (9CI) (CA INDEX NAME)



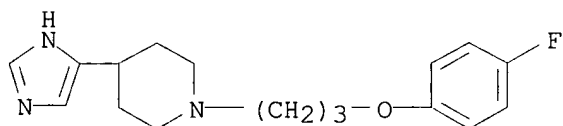
RN 106243-56-5 CAPLUS

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(2-phenoxyethyl)- (9CI) (CA INDEX NAME)



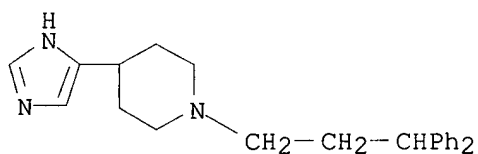
RN 106243-57-6 CAPLUS

CN Piperidine, 1-[3-(4-fluorophenoxy)propyl]-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

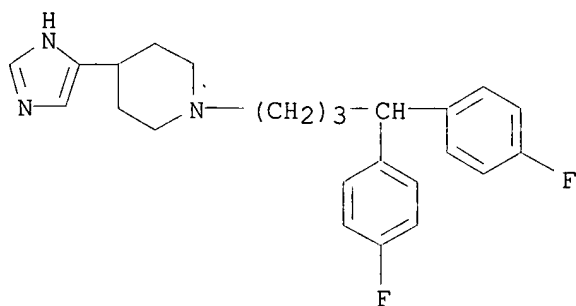


RN 106243-58-7 CAPLUS

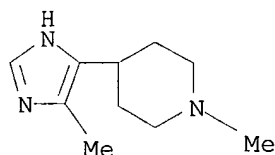
CN Piperidine, 1-(3,3-diphenylpropyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



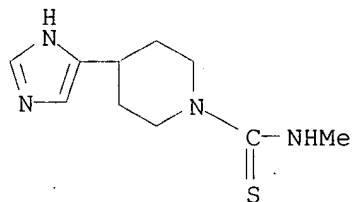
RN 106243-59-8 CAPLUS
CN Piperidine, 1-[4,4-bis(4-fluorophenyl)butyl]-4-(1H-imidazol-4-yl)- (9CI)
(CA INDEX NAME)



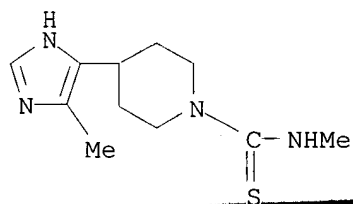
RN 106243-60-1 CAPLUS
CN Piperidine, 1-methyl-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



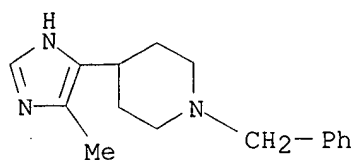
RN 106243-61-2 CAPLUS
CN 1-Piperidinecarbothioamide, 4-(1H-imidazol-4-yl)-N-methyl- (9CI) (CA INDEX NAME)



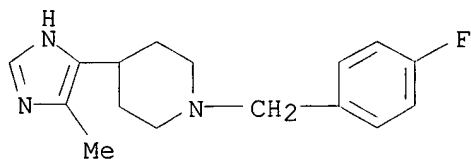
RN 106243-62-3 CAPLUS
CN 1-Piperidinecarbothioamide, N-methyl-4-(5-methyl-1H-imidazol-4-yl)- (9CI)
(CA INDEX NAME)



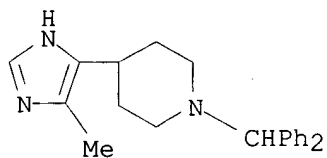
RN 106243-63-4 CAPLUS
CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



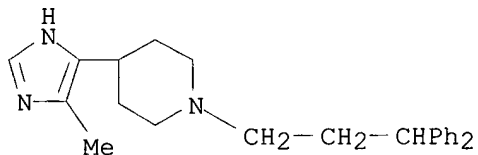
RN 106243-64-5 CAPLUS

CN Piperidine, 1-[(4-fluorophenyl)methyl]-4-(5-methyl-1H-imidazol-4-yl)-
(9CI) (CA INDEX NAME)

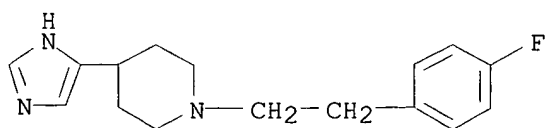
RN 106243-65-6 CAPLUS

CN Piperidine, 1-(diphenylmethyl)-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA
INDEX NAME)

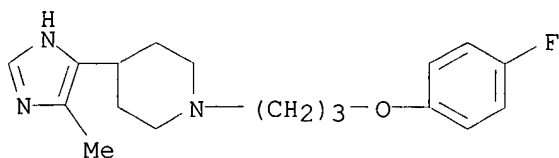
RN 106243-66-7 CAPLUS

CN Piperidine, 1-(3,3-diphenylpropyl)-4-(5-methyl-1H-imidazol-4-yl)- (9CI)
(CA INDEX NAME)

RN 106243-67-8 CAPLUS

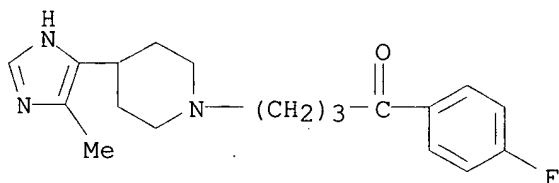
CN Piperidine, 1-[2-(4-fluorophenyl)ethyl]-4-(1H-imidazol-4-yl)- (9CI) (CA
INDEX NAME)

RN 106243-68-9 CAPLUS

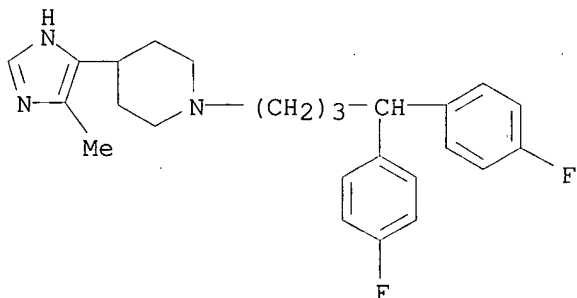
CN Piperidine, 1-[3-(4-fluorophenoxy)propyl]-4-(5-methyl-1H-imidazol-4-yl)-
(9CI) (CA INDEX NAME)

RN 106243-69-0 CAPLUS

CN 1-Butanone, 1-(4-fluorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

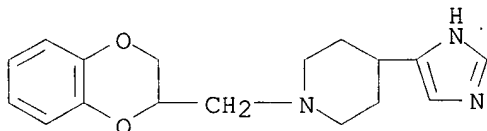


RN 106243-70-3 CAPLUS

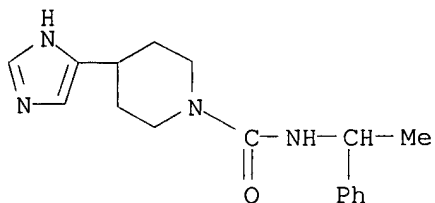
CN Piperidine, 1-[4,4-bis(4-fluorophenyl)butyl]-4-(5-methyl-1H-imidazol-4-yl)-
(9CI) (CA INDEX NAME)

RN 106243-71-4 CAPLUS

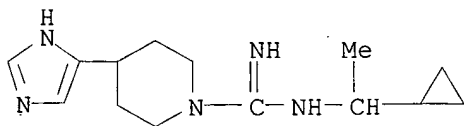
CN Piperidine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



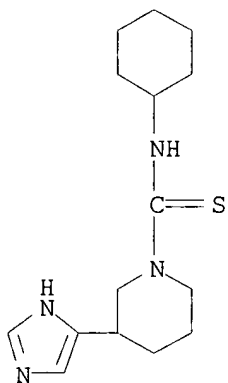
[REDACTED]
- 1-phenylethyl)-N-(1-phenylethyl)- (9CI)
(CA INDEX NAME)



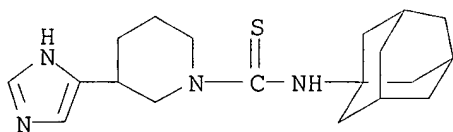
RN 106243-73-6 CAPLUS
CN 1-Piperidinecarboximidamide, N-(1-cyclopropylethyl)-4-(1H-imidazol-4-yl)-
(9CI) (CA INDEX NAME)



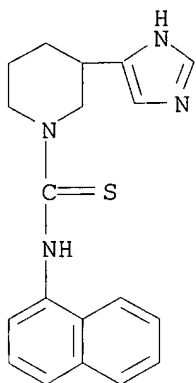
RN 106243-74-7 CAPLUS
CN 1-Piperidinecarbothioamide, N-cyclohexyl-3-(1H-imidazol-4-yl)- (9CI) (CA
INDEX NAME)



RN 106243-75-8 CAPLUS
CN 1-Piperidinecarbothioamide, 3-(1H-imidazol-4-yl)-N-tricyclo[3.3.1.1.3,7]dec-
1-yl- (9CI) (CA INDEX NAME)

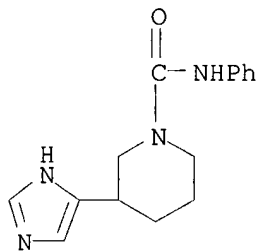


RN 106243-76-9 CAPLUS
CN 1-Piperidinecarbothioamide, 3-(1H-imidazol-4-yl)-N-1-naphthalenyl- (9CI)
(CA INDEX NAME)



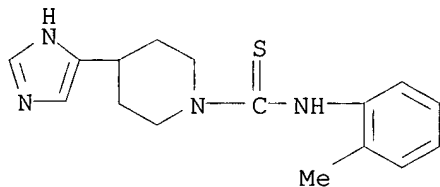
RN 106243-77-0 CAPLUS

CN 1-Piperidinecarboxamide, 3-(1H-imidazol-4-yl)-N-phenyl- (9CI) (CA INDEX NAME)



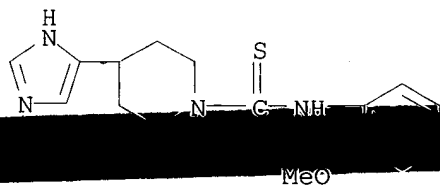
RN 106243-78-1 CAPLUS

CN 1-Piperidinecarbothioamide, 4-(1H-imidazol-4-yl)-N-(2-methylphenyl)- (9CI) (CA INDEX NAME)

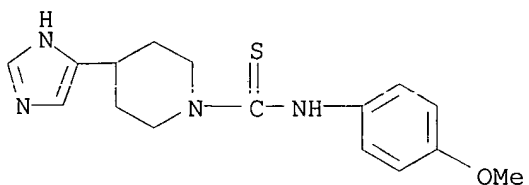


RN 106243-79-2 CAPLUS

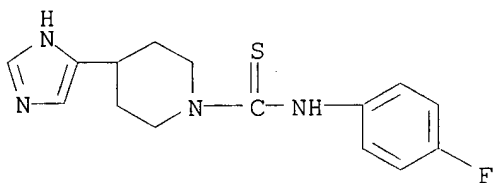
CN 1-Piperidinecarbothioamide, 4-(1H-imidazol-4-yl)-N-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)



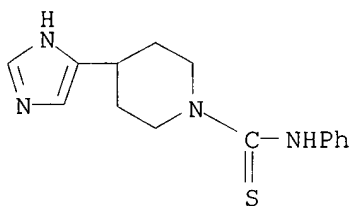
RN 106243-80-5 CAPLUS

CN 1-Piperidinecarbothioamide, 4-(1H-imidazol-4-yl)-N-(4-methoxyphenyl)-
(9CI) (CA INDEX NAME)

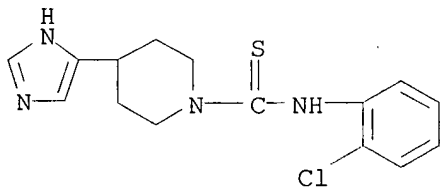
RN 106243-81-6 CAPLUS

CN 1-Piperidinecarbothioamide, N-(4-fluorophenyl)-4-(1H-imidazol-4-yl)- (9CI)
(CA INDEX NAME)

RN 106243-82-7 CAPLUS

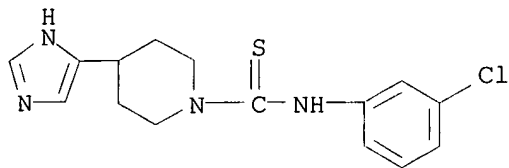
CN 1-Piperidinecarbothioamide, 4-(1H-imidazol-4-yl)-N-phenyl- (9CI) (CA
INDEX NAME)

RN 106243-83-8 CAPLUS

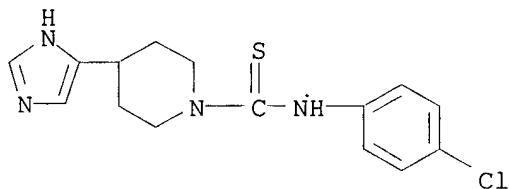
CN 1-Piperidinecarbothioamide, N-(2-chlorophenyl)-4-(1H-imidazol-4-yl)- (9CI)
(CA INDEX NAME)

RN 106243-84-9 CAPLUS

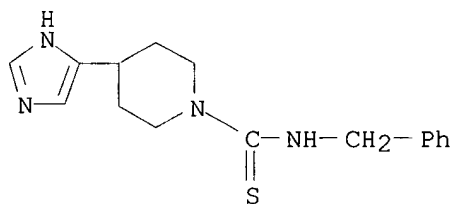
CN 1-Piperidinecarbothioamide, N-(3-chlorophenyl)-4-(1H-imidazol-4-yl)- (9CI)
(CA INDEX NAME)



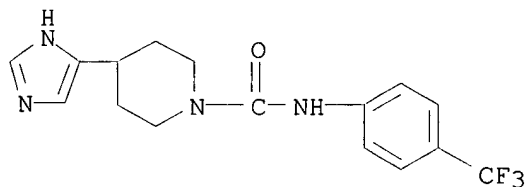
RN 106243-85-0 CAPLUS
CN 1-Piperidinecarbothioamide, N-(4-chlorophenyl)-4-(1H-imidazol-4-yl)- (9CI)
(CA INDEX NAME)



RN 106243-86-1 CAPLUS
CN 1-Piperidinecarbothioamide, 4-(1H-imidazol-4-yl)-N-(phenylmethyl)- (9CI)
(CA INDEX NAME)

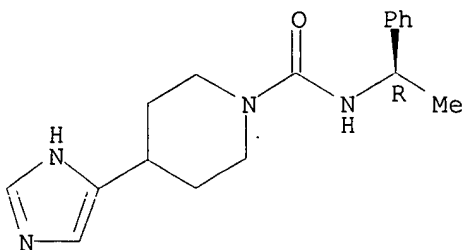


RN 106243-88-3 CAPLUS
CN 1-Piperidinecarboxamide, 4-(1H-imidazol-4-yl)-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 106243-89-4 CAPLUS
CN 1-Piperidinecarboxamide, 4-(1H-imidazol-4-yl)-N-(1-phenylethyl)-, (R)- (9CI) (CA INDEX NAME)

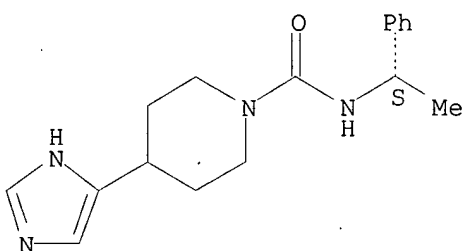
Absolute stereochemistry.



RN 106243-90-7 CAPLUS

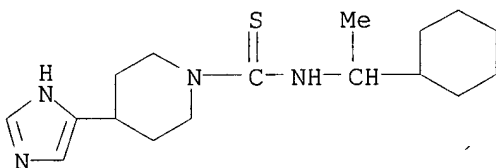
CN 1-Piperidinecarboxamide, 4-(1H-imidazol-4-yl)-N-(1-phenylethyl)-, (S)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



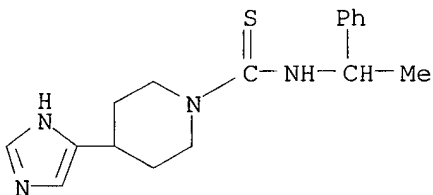
RN 106243-91-8 CAPLUS

CN 1-Piperidinecarbothioamide, N-(1-cyclohexylethyl)-4-(1H-imidazol-4-yl)-
(9CI) (CA INDEX NAME)



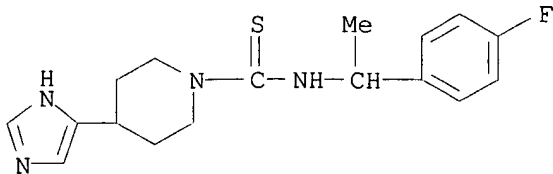
RN 106243-92-9 CAPLUS

CN 1-Piperidinecarbothioamide, 4-(1H-imidazol-4-yl)-N-(1-phenylethyl)- (9CI)
(CA INDEX NAME)



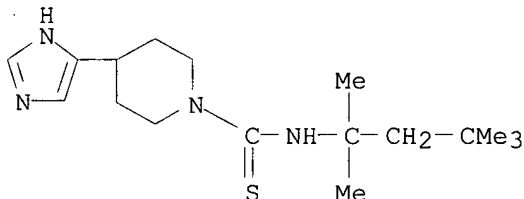
RN 106243-93-0 CAPLUS

CN 1-Piperidinecarbothioamide, N-[1-(4-fluorophenyl)ethyl]-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



RN 106243-94-1 CAPLUS

CN 1-Piperidinecarbothioamide, 4-(1H-imidazol-4-yl)-N-(1,1,3,3-tetramethylbutyl)- (9CI) (CA INDEX NAME)



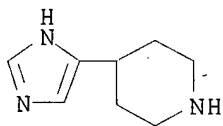
IT 106243-23-6

RL: RCT (Reactant)

(reactions of, with isocyanate, thiocyanates, and ketone derivs.)

RN 106243-23-6 CAPLUS

CN Piperidine, 4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



149 ANSWER 68 OF 81 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1978:509229 CAPLUS

DOCUMENT NUMBER: 89:109229

TITLE: Potential histidine decarboxylase inhibitors. II.
3-(4-Imidazolyl)-2-pyridine and piperidinecarboxylates
DeGraw, J. I.; Engstrom, J. S.; Ellis, M.; Johnson, H.
L.

CORPORATE SOURCE: Dep. Pharm. Chem., SRI, Menlo Park, Calif., USA

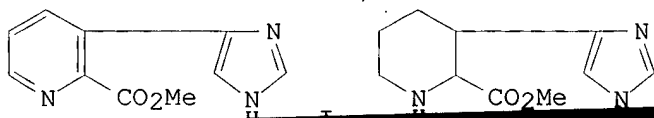
SOURCE: J. Heterocycl. Chem. (1978), 15(2), 217-19

CODEN: JHTCAD; ISSN: 0022-152X

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB The prepn. of I and II is described. Hydrolyzates of these esters were

Searched by Barb O'Bryen, STIC 308-4291

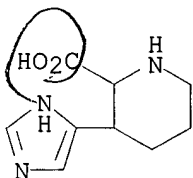
devoid of inhibitory activity against histidine decarboxylase. 3-Bromoacetyl-2-picoline was converted to 3-(4-imidazolyl)-2-picoline (III) by treating with formamide. Treatment of III with peroxide and Ac₂O followed by transesterification yielded the 2-hydroxymethyl-3-(4-imidazolyl)pyridine (IV). Oxidn. of IV followed by esterification gave I which after hydrogenation afforded II.

IT 67279-37-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and histidine decarboxylase inhibiting activity of)

RN 67279-37-2 CAPLUS

CN 2-Piperidinecarboxylic acid, 3-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

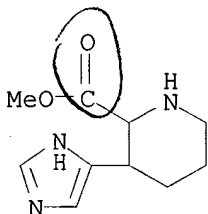


IT 67319-35-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and hydrolysis of)

RN 67319-35-1 CAPLUS

CN 2-Piperidinecarboxylic acid, 3-(1H-imidazol-4-yl)-, methyl ester, hydrochloride (9CI) (CA INDEX NAME)



x HCl

L19 ANSWER 69 OF 81 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1974:82801 CAPLUS

DOCUMENT NUMBER: 80:82801

TITLE: Structure-action relationship of histamine analogs.
1. Histamine-like compounds with cyclized side chain

AUTHOR(S): Schunack, W.

CORPORATE SOURCE: Pharm. Inst., Johannes Gutenberg-Univ., Mainz, Ger.

SOURCE: Arch. Pharm. (Weinheim, Ger.) (1973), 306(12), 934-42
CODEN: ARPMAS

DOCUMENT TYPE: Journal

LANGUAGE: German

GI For diagram(s), see printed CA Issue.

AB Reaction of 2-, 3-, and 4-(2-aminoacetyl)pyridine with KSCN and HNO₃ oxidn. of the resulting 2-mercapto-4-imidazolyl derivs. gave the imidazolyl derivs. I (Py = 2-, 3-, or 4-pyridyl), which were hydrogenated over 5% Rh/C to give 88-90% of the corresponding piperidines II (X = 2-, 3-, or 4-piperidyl). Hydrogenation of 4-(2-, 3-, and 4-aminophenyl)imidazole, prepd. by Raney Ni hydrogenation of the nitro

analogs, over 5% Rh/C gave 82-92% (aminocyclohexyl)imidazoles II (X = 2-, 3-, or 4-aminocyclohexyl). Similarly, 2-(3-piperidyl)pyridine (III) and 3-(3-piperidyl)pyrazole (IV) were prepd. II (X = 3-piperidyl and 2-aminocyclohexyl) and III and IV had histamine-like activity. Structure-activity relationships of histamine analogs with cyclized side chain are reported.

IT 51746-32-8P 51746-84-0P 51746-86-2P

51746-88-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

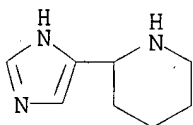
RN 51746-32-8 CAPLUS

CN Piperidine, 2-(1H-imidazol-4-yl)-, compd. with 2,4,6-trinitrophenol (1:2)
 (9CI) (CA INDEX NAME)

CM 1

CRN 51746-31-7

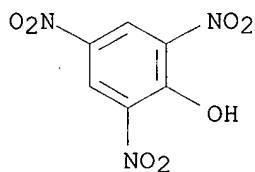
CMF C8 H13 N3



CM 2

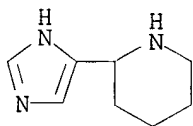
CRN 88-89-1

CMF C6 H3 N3 07

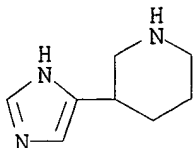


RN 51746-84-0 CAPLUS

CN Piperidine, 2-(1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA INDEX NAME)

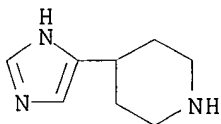

$$2 \text{ HCl}$$

~~(S) - 1-(4-chlorophenyl)-4-phenyl-1H-tetrazole~~, dihydrochloride ('9CI') (CA INDEX NAME)



2 HCl

RN 51746-88-4 CAPLUS
CN Piperidine, 4-(1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



2 HCl

~~129~~ ANSWER 70 OF 81 USPATFULL

ACCESSION NUMBER: 2000:84299 USPATFULL
TITLE: Constrained somatostatin agonists and antagonists
INVENTOR(S): Ankersen, Michael, Frederiksberg, Denmark
Dorwald, Florenzio Zaragoza, Herlev, Denmark
Stidsen, Carsten Enggaard, Soborg, Denmark
Crider, Albert Michael, Monroe, LA, United States
PATENT ASSIGNEE(S): Novo Nordisk A/S, Bagsvaerd, Denmark (non-U.S. corporation)

| | NUMBER | KIND | DATE |
|-----------------------|--|------|--------------|
| PATENT INFORMATION: | US 6083960 | | 20000704 |
| APPLICATION INFO.: | US 1999-397355 | | 19990916 (9) |
| RELATED APPLN. INFO.: | Division of Ser. No. US 1997-962098, filed on 31 Oct 1997, now patented, Pat. No. US 6020349 | | |

| | NUMBER | DATE |
|-----------------------|--|----------|
| PRIORITY INFORMATION: | DK 1996-1216 | 19961031 |
| DOCUMENT TYPE: | Utility | |
| FILE SEGMENT: | Granted | |
| PRIMARY EXAMINER: | Kumar, Shailendra | |
| LEGAL REPRESENTATIVE: | Zelson, Esq., Steve T., Lambiris, Esq., Elias J. | |
| NUMBER OF CLAIMS: | 11 | |
| EXEMPLARY CLAIM: | 1 | |
| LINE COUNT: | 937 | |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to a compound of general formula I
##STR1## for treating medical disorders related to binding to human
somatostatin receptor subtypes.

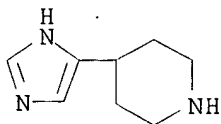
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 51746-88-4

(addn. reaction with (pyridyl)aminoethyl isothiocyanate deriv.; prepn. of thiourea derivs. and related compds. as constrained somatostatin agonists and antagonists)

RN 51746-88-4 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



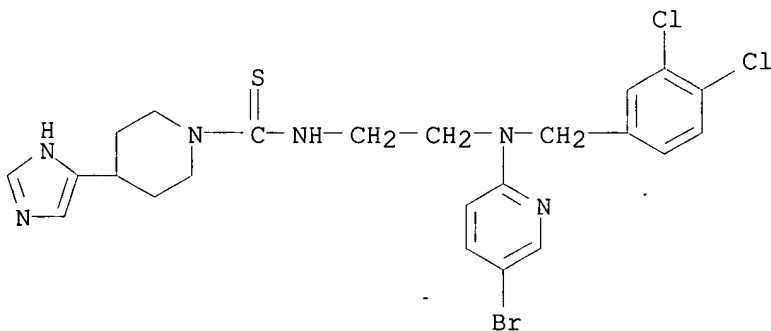
2 HCl

IT 207276-71-9P

(prepn. of thiourea derivs. and related compds. as constrained somatostatin agonists and antagonists)

RN 207276-71-9 USPATFULL

CN 1-Piperidinecarbothioamide, N-[2-[(5-bromo-2-pyridinyl)[(3,4-dichlorophenyl)methyl]amino]ethyl]-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



~~129~~ ANSWER 71 OF 81 USPATFULL
ACCESSION NUMBER: 2000:12812 USPATFULL
TITLE: Constrained somatostatin agonists and antagonists
INVENTOR(S): Ankersen, Michael, Frederiksberg, Denmark
Dorwald, Florenzio Zaragoza, Herlev, Denmark
Stidsen, Carsten Enggaard, Soborg, Denmark
Crider, Albert Michael, Monroe, LA, United States
PATENT ASSIGNEE(S): Novo Nordisk A/S, Bagsvaerd, Denmark (non-U.S. corporation)

| | NUMBER | KIND | DATE |
|---------------------|--------------|------|----------|
| PATENT INFORMATION: | US 6020349 | | 20000201 |
| APPLICATION INFO: | US 1996-1216 | | 19961031 |

| | NUMBER | DATE |
|-----------------------|--------------|----------|
| PRIORITY INFORMATION: | DK 1996-1216 | 19961031 |

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Kumar, Shailendra
LEGAL REPRESENTATIVE: Zelson, Steve T., Lambiris, Elias J.
NUMBER OF CLAIMS: 20
EXEMPLARY CLAIM: 1
LINE COUNT: 959

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to a compound of general formula I
##STR1## for treating medical disorders related to binding to human
somatostatin receptor subtypes.

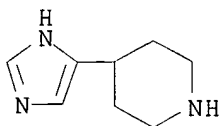
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 51746-88-4

(addn. reaction with (pyridyl)aminoethyl isothiocyanate deriv.; prepn.
of thiourea derivs. and related compds. as constrained somatostatin
agonists and antagonists)

RN 51746-88-4 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



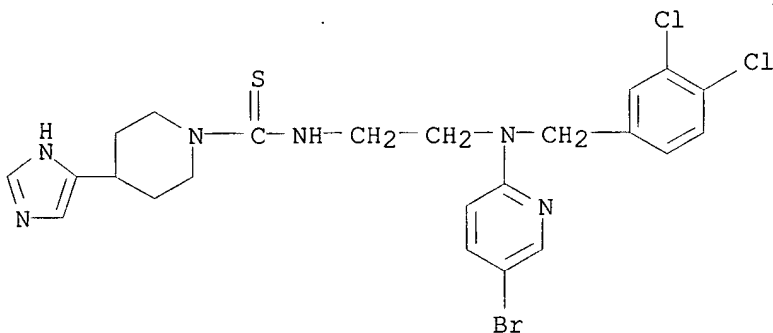
2 HCl

IT 207276-71-9P

(prepn. of thiourea derivs. and related compds. as constrained
somatostatin agonists and antagonists)

RN 207276-71-9 USPATFULL

CN 1-Piperidinecarbothioamide, N-[2-[(5-bromo-2-pyridinyl)[(3,4-
dichlorophenyl)methyl]amino]ethyl]-4-(1H-imidazol-4-yl)- (9CI) (CA
INDEX NAME)



~~LI~~ ANSWER 72 OF 81 USPATFULL

ACCESSION NUMBER: 1998:147440 USPATFULL

TITLE: Substituted oximes, hydrazones and olefins as
neurokinin antagonists

INVENTOR(S): Reichard, Gregory A., Morris Plains, NJ, United States

Aslanian, Robert G., Rockaway, NJ, United States
Alaimo, Cheryl A., Somerset, NJ, United States
Kirkup, Michael P., Lawrenceville, NJ, United States
Lupo, Jr., Andrew, Emerson, NJ, United States
Mangiaracina, Pietro, Monsey, NY, United States
McCormick, Kevin D., Edison, NJ, United States
Piwinski, John J., Clinton Township, NJ, United States
Shankar, Bandarpalle B., Branchburg, NJ, United States
Shih, Neng-Yang, North Caldwell, NJ, United States
Spitler, James M., Westfield, NJ, United States
Ting, Pauline C., New Providence, NJ, United States
Ganguly, Ashit, Upper Montclair, NJ, United States
Carruthers, Nicholas I., North Plainfield, NJ, United States

PATENT ASSIGNEE(S): Schering Corporation, Kenilworth, NJ, United States
(U.S. corporation)

| | NUMBER | KIND | DATE |
|-----------------------|---|------|--------------|
| PATENT INFORMATION: | US 5840725 | | 19981124 |
| APPLICATION INFO.: | US 1997-901028 | | 19970725 (8) |
| RELATED APPLN. INFO.: | Division of Ser. No. US 1996-641384, filed on 30 Apr 1996, now patented, Pat. No. US 5696267 Continuation-in-part of Ser. No. US 1995-460819, filed on 1 Jun 1995, now abandoned which is a continuation-in-part of Ser. No. US 1995-432740, filed on 2 May 1995, now abandoned | | |
| DOCUMENT TYPE: | Utility | | |
| FILE SEGMENT: | Granted | | |
| PRIMARY EXAMINER: | Rotman, Alan L. | | |
| ASSISTANT EXAMINER: | Aulakh, Charanjit S. | | |
| LEGAL REPRESENTATIVE: | Magatti, Anita W. | | |
| NUMBER OF CLAIMS: | 20 | | |
| EXEMPLARY CLAIM: | 1 | | |
| LINE COUNT: | 3561 | | |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compound represented by the structural formula ##STR1## or a pharmaceutically acceptable salt thereof, wherein: a is 0, 1, 2 or 3;

b, d and e are independently 0, 1 or 2;

R is H, C.sub.1-6 alkyl, --OH or C.sub.2 -C.sub.6 hydroxyalkyl;

A is an optionally substituted oxime, hydrazone or olefin;

X is a bond, --C(O)--, --O--, --NR.sup.6 --, --S(O)e--, --N(R.sup.6)C(O)--, --C(O)N(R.sup.6)-- --OC(O)NR.sup.6 --, --OC(.dbd.S)NR.sup.6 --, --N(R.sup.6)C(.dbd.S)O--, --C(.dbd.NOR.sup.1)--, --S(O).sub.2 N(R.sup.6)--, --N(R.sup.6)S(O).sub.2 --, --N(R.sup.6)C(O)O-- or --OC(O)--;

T is H, phthalimidyl, aryl, heterocycloalkyl, heteroaryl, cycloalkyl or bridged cycloalkyl;

Q is --SR.sup.6, --N(R.sup.6)(R.sup.7), --OR.sup.6, phenyl, naphthyl or heteroaryl;

C.sub.1-6 alkyl, C.sub.2 -C.sub.6 hydroxyalkyl, C.sub.1 -C.sub.6 alkoxy-C.sub.1 -C.sub.6 alkyl, phenyl or benzyl; or R.sup.6 and R.sup.7, together with the nitrogen to which they are attached, form a ring;

R.sup.9a is R.sup.6 or --OR.sup.6 ;

Z is morpholinyl, optionally N-substituted piperazinyl, optionally substituted ##STR2## or substituted ##STR3## g is 0-3 and h is 1-4, provided the sum of h and g is 1-7; wherein aryl, heterocycloalkyl, heteroaryl, cycloalkyl and bridged cycloalkyl groups are optionally substituted; methods of treating asthma, cough, bronchospasm, inflammatory diseases, and gastrointestinal disorders with said compounds, and pharmaceutical compositions comprising said compounds are disclosed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

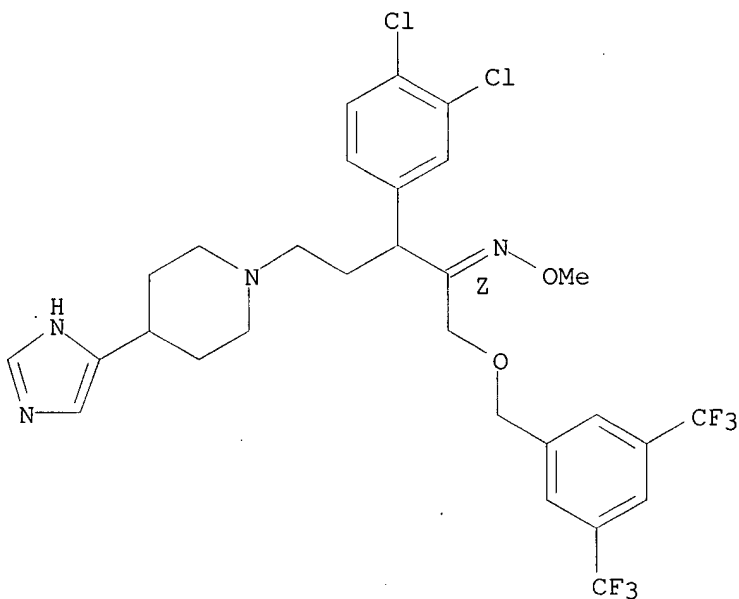
IT 184968-27-2P 184968-56-7P

(prepn. of oxime, hydrazone, and olefin derivs. of cyclic amines as neurokinin antagonists)

RN 184968-27-2 USPATFULL

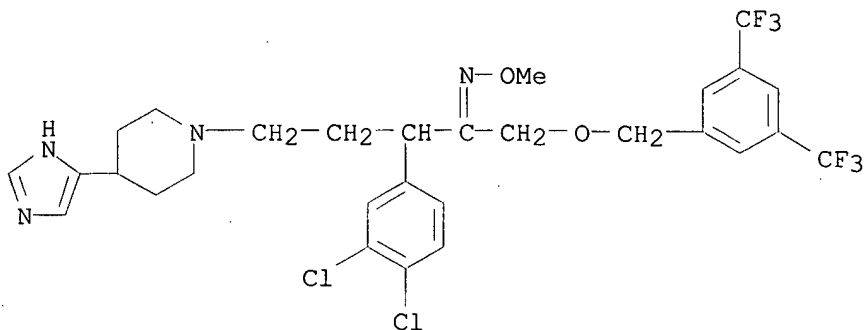
CN 2-Pentanone, 1-[[3,5-bis(trifluoromethyl)phenyl]methoxy]-3-(3,4-dichlorophenyl)-5-[4-(1H-imidazol-4-yl)-1-piperidinyl]-, O-methyloxime, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 184968-56-7 USPATFULL

CN 2-Pentanone, 1-[[3,5-bis(trifluoromethyl)phenyl]methoxy]-3-(3,4-dichlorophenyl)-5-[4-(1H-imidazol-4-yl)-1-piperidinyl]-, O-methyloxime (9CI) (CA INDEX NAME)

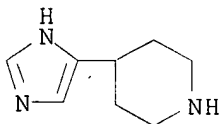


IT 106243-23-6

(starting material; prepn. of oxime, hydrazone, and olefin derivs. of cyclic amines as neurokinin antagonists)

RN 106243-23-6 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



X ANSWER 73 OF 81 USPATFULL

ACCESSION NUMBER: 97:78617 USPATFULL

TITLE: Process for the preparation of intermediates useful for the synthesis of histamine receptor antagonists

INVENTOR(S): Durant, Graham J., Toledo, OH, United States

Khan, Amin M., Toledo, OH, United States

PATENT ASSIGNEE(S): The University of Toledo, Toledo, OH, United States (U.S. corporation)

| | NUMBER | KIND | DATE |
|-----------------------|---|------|--------------|
| PATENT INFORMATION: | US 5663350 | | 19970902 |
| APPLICATION INFO.: | US 1994-252810 | | 19940602 (8) |
| RELATED APPLN. INFO.: | Continuation of Ser. No. US 1992-862658, filed on 1 Apr 1992, now patented, Pat. No. US 5380858 | | |
| DOCUMENT TYPE: | Utility | | |
| FILE SEGMENT: | Granted | | |
| PRIMARY EXAMINER: | Raymond, Richard L. | | |
| LEGAL REPRESENTATIVE: | Pennie & Edmonds LLP | | |
| NUMBER OF CLAIMS: | 55 | | |
| EXEMPLARY CLAIM: | 1 | | |
| LINE COUNT: | 960 | | |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to a novel process for the preparation of highly potent histamine receptor antagonists, in particular histamine H₃-receptor antagonists. Also disclosed is a novel process for the preparation of intermediates useful in the preparation of histamine

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

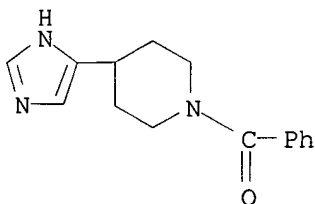
IT 143211-72-7P 143211-78-3P 143211-81-8P

143211-83-0P 143211-89-6P 143211-92-1P
143211-95-4P 143211-96-5P 152241-24-2P
152241-38-8P 152241-39-9P 152241-40-2P
152241-41-3P 152241-42-4P

(prepn. and histamine H3 receptor antagonist activity of)

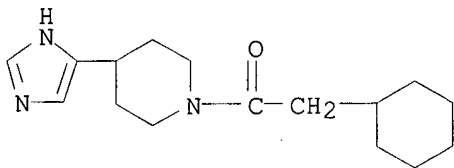
RN 143211-72-7 USPATFULL

CN Piperidine, 1-benzoyl-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



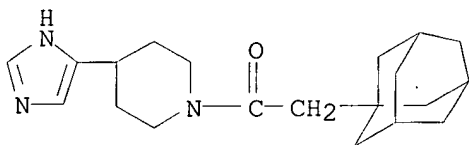
RN 143211-78-3 USPATFULL

CN Piperidine, 1-(cyclohexylacetyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



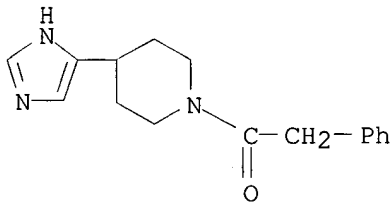
RN 143211-81-8 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(tricyclo[3.3.1.1.3,7]dec-1-ylacetyl)- (9CI) (CA INDEX NAME)



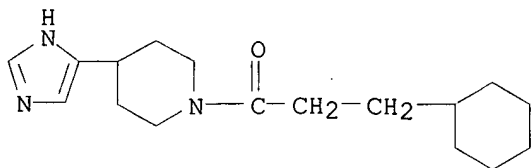
RN 143211-83-0 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(phenylacetyl)- (9CI) (CA INDEX NAME)



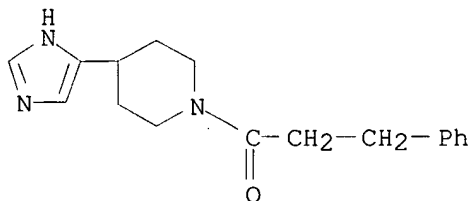
RN 143211-89-6 USPATFULL

CN Piperidine, 1-(3-cyclohexyl-1-oxopropyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



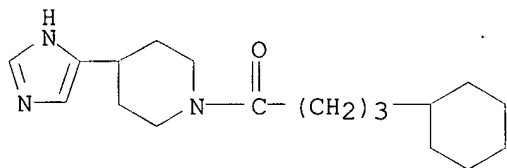
RN 143211-92-1 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-3-phenylpropyl)- (9CI) (CA INDEX NAME)



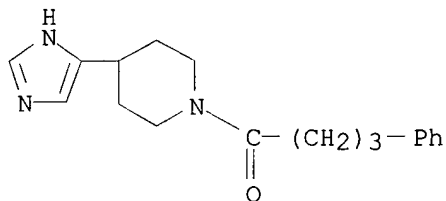
RN 143211-95-4 USPATFULL

CN Piperidine, 1-(4-cyclohexyl-1-oxobutyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



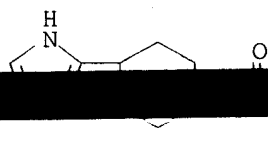
RN 143211-96-5 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-4-phenylbutyl)- (9CI) (CA INDEX NAME)



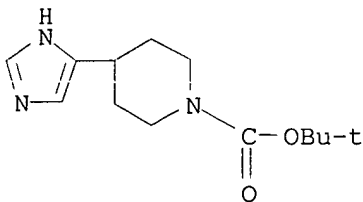
RN 152241-24-2 USPATFULL

CN Piperidine, 1-(5-cyclohexyl-1-oxopentyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



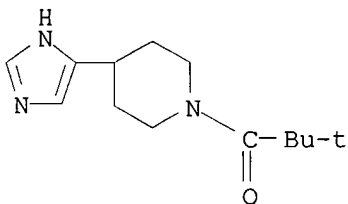
RN 152241-38-8 USPATFULL

CN 1-Piperidinecarboxylic acid, 4-(1H-imidazol-4-yl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



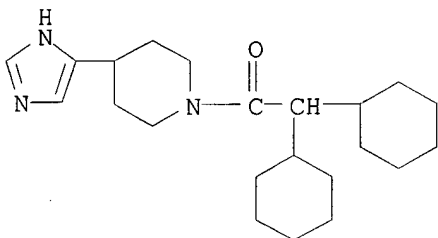
RN 152241-39-9 USPATFULL

CN Piperidine, 1-(2,2-dimethyl-1-oxopropyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



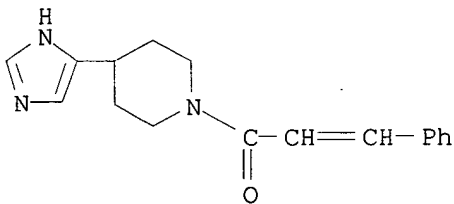
RN 152241-40-2 USPATFULL

CN Piperidine, 1-(dicyclohexylacetyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



RN 152241-41-3 USPATFULL

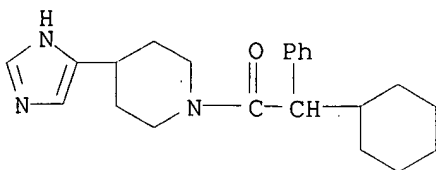
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)



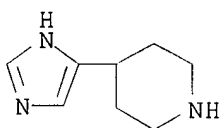
RN 152241-42-4 USPATFULL

CN Piperidine, 1-(cyclohexylphenylacetyl)-4-(1H-imidazol-4-yl)- (9CI) (CA

INDEX NAME)



IT 51746-88-4, 4-(4-Piperidyl)-1H-imidazole dihydrochloride
(reaction of, in prepn. of piperidinyimidazole histamine H3 receptor
antagonists)
RN 51746-88-4 USPATFULL
CN Piperidine, 4-(1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



2 HCl

L19 ANSWER 74 OF 81 USPATFULL
ACCESSION NUMBER: 97:45142 USPATFULL
TITLE: Histamine H.sub.3 -receptor antagonists and therapeutic
uses thereof
INVENTOR(S): Durant, Graham J., Toledo, OH, United States
Khan, Amin M., Toledo, OH, United States
PATENT ASSIGNEE(S): The University of Toledo, Toledo, OH, United States
(U.S. corporation)

| | NUMBER | KIND | DATE |
|-----------------------|---|------|--------------|
| PATENT INFORMATION: | US 5633382 | | 19970527 |
| APPLICATION INFO.: | US 1994-259926 | | 19940615 (8) |
| RELATED APPLN. INFO.: | Continuation of Ser. No. US 1992-862657, filed on 1 Apr 1992, now abandoned | | |
| DOCUMENT TYPE: | Utility | | |
| FILE SEGMENT: | Granted | | |
| PRIMARY EXAMINER: | Reamer, James H. | | |
| LEGAL REPRESENTATIVE: | Pennie & Edmonds | | |
| NUMBER OF CLAIMS: | 26 | | |
| EXEMPLARY CLAIM: | 1 | | |
| NUMBER OF DRAWINGS: | 6 Drawing Figure(s); 6 Drawing Page(s) | | |
| LINE COUNT: | 1023 | | |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides novel compounds having activity as histamine H.sub.3 -receptor antagonists. The novel compounds include

4-imidazolyl-N-substituted piperidines, piperidines, and

4-(1-cyclohexylvaleryl-4-piperidyl)-1H-imidazole.

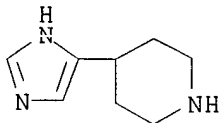
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 106243-23-6P

(prepn. and reaction of, in prepn. of histamine H3 antagonist)

RN 106243-23-6 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



IT 143211-67-0P 143211-72-7P 143211-78-3P

143211-81-8P 143211-83-0P 143211-89-6P

143211-92-1P 143211-95-4P 143211-96-5P

152241-24-2P 152241-31-1P 152241-32-2P

152241-33-3P 152241-34-4P 152241-35-5P

152241-36-6P 152241-37-7P 152241-38-8P

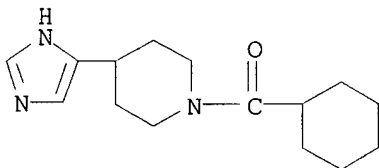
152241-39-9P 152241-40-2P 152241-41-3P

152241-42-4P 152241-43-5P

(prepn. of, as histamine H3 antagonist)

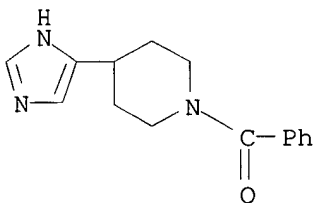
RN 143211-67-0 USPATFULL

CN Piperidine, 1-(cyclohexylcarbonyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



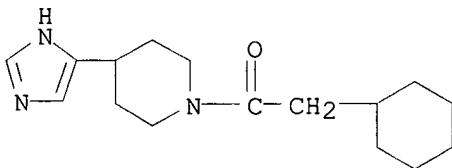
RN 143211-72-7 USPATFULL

CN Piperidine, 1-benzoyl-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

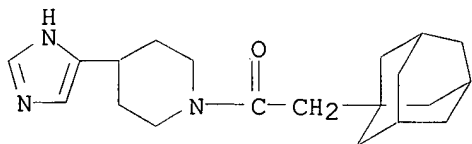


RN 143211-78-3 USPATFULL

CN Piperidine, 1-(cyclohexylacetyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

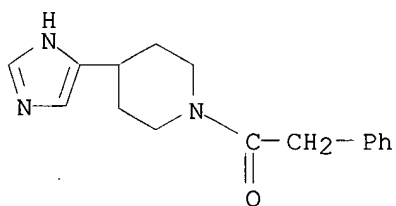


RN 143211-81-8 USPATFULL

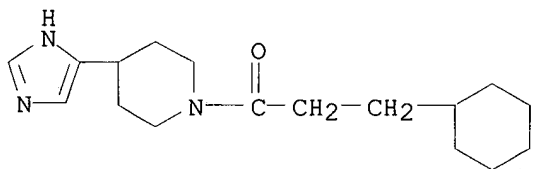
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(tricyclo[3.3.1.1^{3,7}]dec-1-ylacetyl)-
(9CI) (CA INDEX NAME)

RN 143211-83-0 USPATFULL

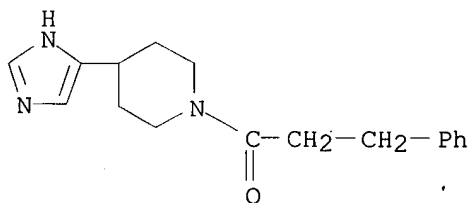
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(phenylacetyl)- (9CI) (CA INDEX NAME)



RN 143211-89-6 USPATFULL

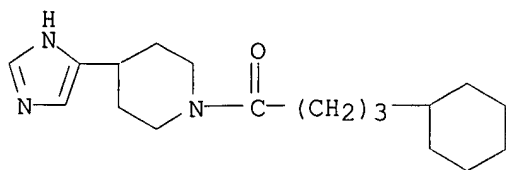
CN Piperidine, 1-(3-cyclohexyl-1-oxopropyl)-4-(1H-imidazol-4-yl)- (9CI) (CA
INDEX NAME)

RN 143211-92-1 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-3-phenylpropyl)- (9CI) (CA
INDEX NAME)

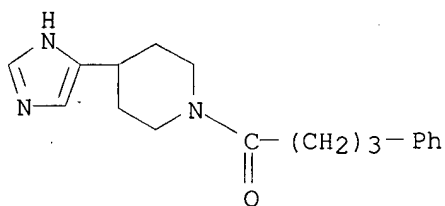
RN 143211-95-4 USPATFULL

CN Piperidine, 1-(4-cyclohexyl-1-oxobutyl)-4-(1H-imidazol-4-yl)- (9CI) (CA
INDEX NAME)

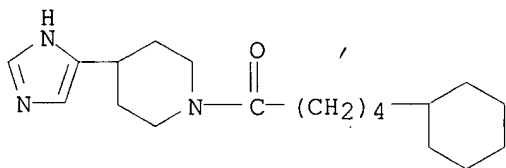


RN 143211-96-5 USPATFULL
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-4-phenylbutyl)- (9CI) (CA INDEX NAME)

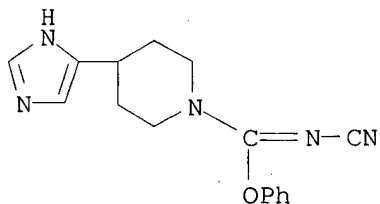
Same as previous



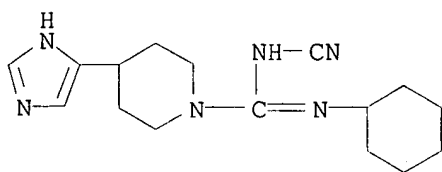
RN 152241-24-2 USPATFULL
CN Piperidine, 1-(5-cyclohexyl-1-oxopentyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



RN 152241-31-1 USPATFULL
CN 1-Piperidinecarboximidic acid, N-cyano-4-(1H-imidazol-4-yl)-, phenyl ester (9CI) (CA INDEX NAME)

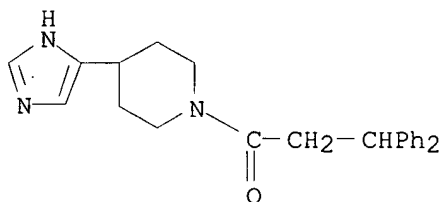


RN 152241-32-2 USPATFULL
CN 1-Piperidinecarboximidamide, N-cyano-N'-cyclohexyl-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



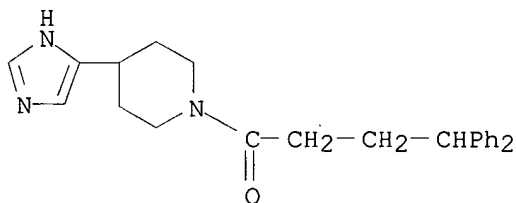
RN 152241-33-3 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-3,3-diphenylpropyl)- (9CI) (CA INDEX NAME)



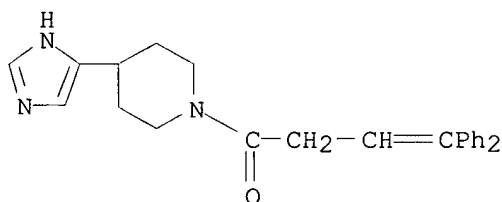
RN 152241-34-4 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-4,4-diphenylbutyl)- (9CI) (CA INDEX NAME)



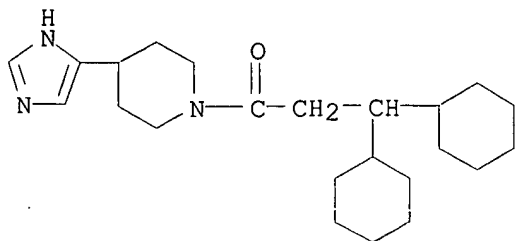
RN 152241-35-5 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-4,4-diphenyl-3-butenyl)- (9CI) (CA INDEX NAME)



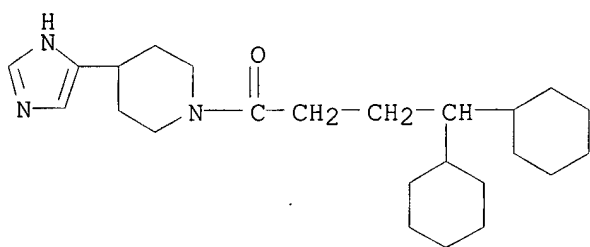
RN 152241-36-6 USPATFULL

CN Piperidine, 1-(3,3-dicyclohexyl-1-oxopropyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



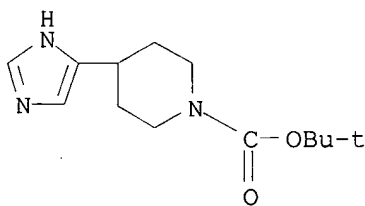
RN 152241-37-7 USPATFULL

CN Piperidine, 1-(4,4-dicyclohexyl-1-oxobutyl)-4-(1H-imidazol-4-yl)- (9CI)
(CA INDEX NAME)



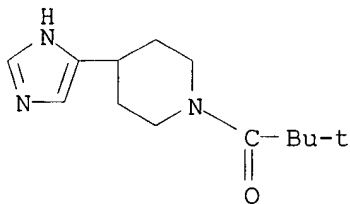
RN 152241-38-8 USPATFULL

CN 1-Piperidinecarboxylic acid, 4-(1H-imidazol-4-yl)-, 1,1-dimethylethyl
ester (9CI) (CA INDEX NAME)



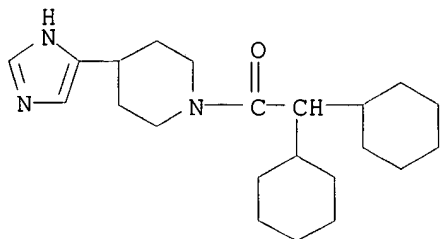
RN 152241-39-9 USPATFULL

CN Piperidine, 1-(2,2-dimethyl-1-oxopropyl)-4-(1H-imidazol-4-yl)- (9CI) (CA
INDEX NAME)



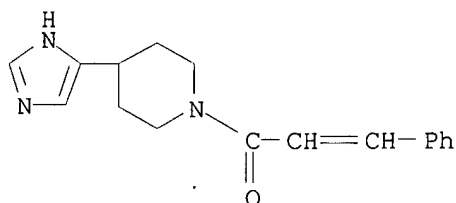
RN 152241-40-2 USPATFULL

CN Piperidine, 1-(dicyclohexylacetyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX
NAME)



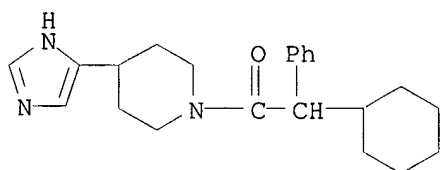
RN 152241-41-3 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)



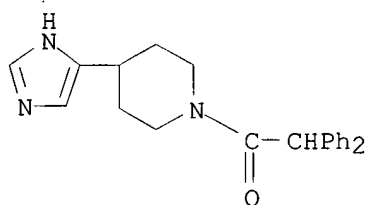
RN 152241-42-4 USPATFULL

CN Piperidine, 1-(cyclohexylphenylacetyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



RN 152241-43-5 USPATFULL

CN Piperidine, 1-(diphenylacetyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

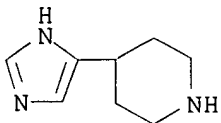


IT 51746-88-4

(reaction of, in prepn. of histamine H3 antagonist)

RN 51746-88-4 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



2 HCl

~~119~~ ANSWER 75 OF 81 USPATFULL
ACCESSION NUMBER: 96:120886 USPATFULL
TITLE: Imidazol-4-ylpiperidine derivatives, their preparation
and their application in therapeutics
INVENTOR(S): Jegham, Samir, Argenteuil, France
Defosse, Gerard, Paris, France
Purcell, Thomas A., Montfort L'Amaury, France
Even, Luc, Paris, France
PATENT ASSIGNEE(S): Synthelabo, Le Plessis Robinson, France (non-U.S.
corporation)

| | NUMBER | KIND | DATE |
|---------------------|----------------|------|--------------|
| PATENT INFORMATION: | US 5589476 | | 19961231 |
| APPLICATION INFO.: | US 1994-317661 | | 19941003 (8) |

| | NUMBER | DATE |
|-----------------------|---------------------------------------|----------|
| PRIORITY INFORMATION: | FR 1993-11771 | 19931004 |
| DOCUMENT TYPE: | Utility | |
| FILE SEGMENT: | Granted | |
| PRIMARY EXAMINER: | Grumblin, Matthew V. | |
| LEGAL REPRESENTATIVE: | Jacobson, Price, Holman & Stern, PLLC | |
| NUMBER OF CLAIMS: | 3 | |
| EXEMPLARY CLAIM: | 1 | |
| LINE COUNT: | 718 | |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A compound of formula (I): ##STR1## in which R.sub.1 represents a hydrogen atom or a straight or branched (C.sub.1 -C.sub.4)alkyl group; and

A represents a 5,6-dihydro-4H-imidazo[4,5,1-ij]quinol-2-yl group, a 4,5-dihydroimidazo[1,5,4-de][1,4]benzoxazin-2-yl group, a 4-methyl-4,5-dihydroimidazo[1,5,4-de][1,4]benzoxazin-2-yl group, a 4-phenyl-4,5-dihydroimidazo[1,5,4-de][1,4]benzoxazin-2-yl group, a 4-phenylmethyl-4,5-dihydroimidazo[1,5,4-de][1,4]benzoxazin-2-yl group, a 5-methyl-4,5-dihydroimidazo[1,5,4-de][1,4]benzoxazin-2-yl group, a 5,6-dihydro-4H-imidazo[1,5,4-de]quinoxalin-2-yl group, a 6-oxo-5,6-dihydro-4H-imidazo[4,5,1-ij]quinol-2-yl group, or a 5-methyl-4,5,6,7-tetrahydroimidazo[4,5,1-jk][1,4]benzodiazepin-2-yl group which may be unsubstituted or substituted in the 6-position by a phenylmethyl group;

or an addition salt thereof with a pharmaceutically acceptable acid.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

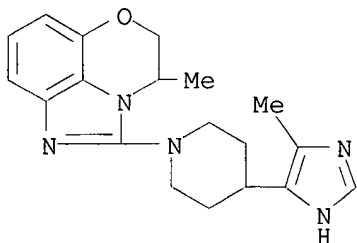
IT 163120-16-9P 163120-26-1P 163120-32-9P
163120-34-1P 163120-36-3P 163120-38-5P

163120-40-9P 163120-42-1P 163120-44-3P

(prepn. of imidazolylpiperidine derivs. as 5-HT3 and 5-HT4 receptor ligands)

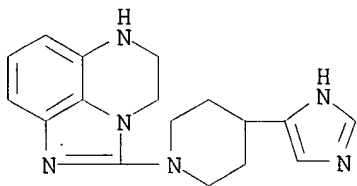
RN 163120-16-9 USPATFULL

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-4-methyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 163120-26-1 USPATFULL

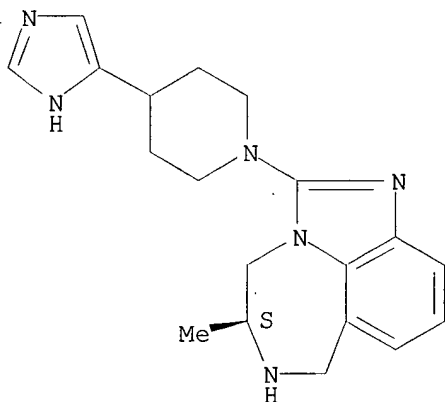
CN 4H-Imidazo[1,5,4-de]quinoxaline, 5,6-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

*same as previous*

RN 163120-32-9 USPATFULL

CN Imidazo[4,5,1-jk][1,4]benzodiazepine, 4,5,6,7-tetrahydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-5-methyl-, (S)- (9CI) (CA INDEX NAME)

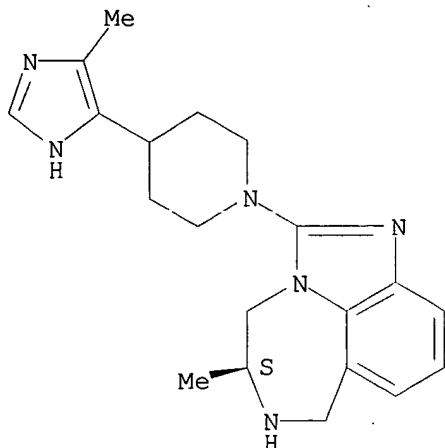
Absolute stereochemistry.



RN 163120-34-1 USPATFULL

~~Imidazo[4,5,1-jk][1,4]benzodiazepine, 4,5,6,7-tetrahydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-, (S)- (9CI) (CA INDEX NAME)~~

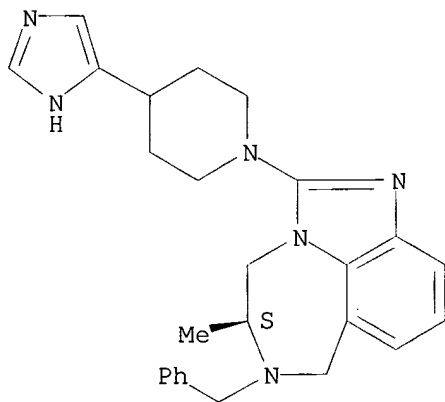
Absolute stereochemistry.



RN 163120-36-3 USPATFULL

CN Imidazo[4,5,1-jk][1,4]benzodiazepine, 4,5,6,7-tetrahydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-5-methyl-6-(phenylmethyl)-, (S)- (9CI) (CA INDEX NAME)

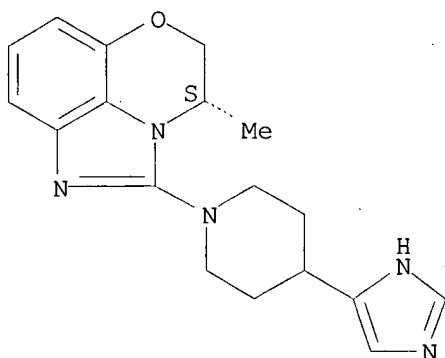
Absolute stereochemistry.



RN 163120-38-5 USPATFULL

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-4-methyl-, (S)- (9CI) (CA INDEX NAME)

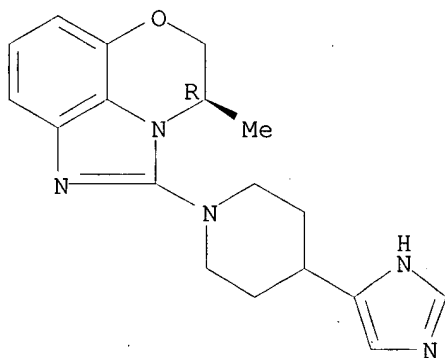
Absolute stereochemistry.



RN 163120-40-9 USPATFULL

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-4-methyl-, (R)- (9CI) (CA INDEX NAME)

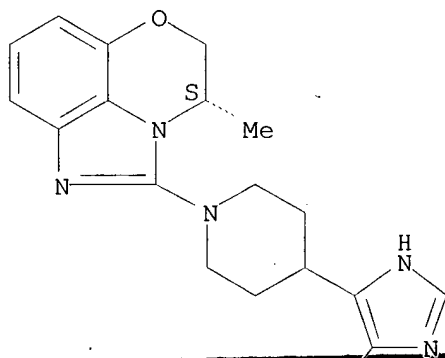
Absolute stereochemistry.



RN 163120-42-1 USPATFULL

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-4-methyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (S)- (9CI) (CA INDEX NAME)

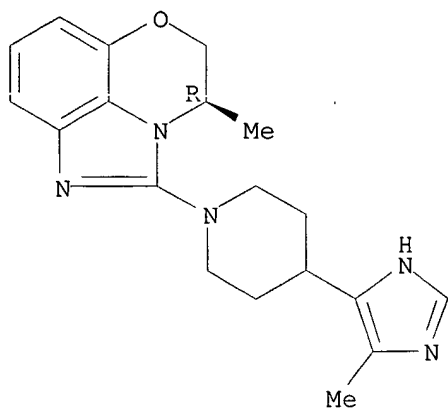
Absolute stereochemistry.



RN 163120-44-3 USPATFULL

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-4-methyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 163120-06-7P 163120-07-8P 163120-08-9P

163120-09-0P 163120-11-4P 163120-13-6P

163120-15-8P 163120-17-0P 163120-19-2P

163120-21-6P 163120-22-7P 163120-23-8P

163120-25-0P 163120-27-2P 163120-29-4P

163120-30-7P 163120-31-8P 163120-33-0P

163120-35-2P 163120-37-4P 163120-39-6P

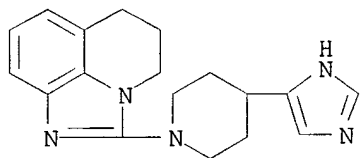
163120-41-0P 163120-43-2P 163120-45-4P

163120-46-5P 163120-47-6P

(prepn. of imidazolylpiperidine derivs. as 5-HT3 and 5-HT4 receptor ligands)

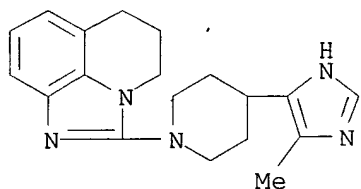
RN 163120-06-7 USPATFULL

CN 4H-Imidazo[4,5,1-ij]quinoline, 5,6-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



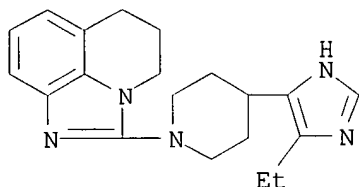
RN 163120-07-8 USPATFULL

CN 4H-Imidazo[4,5,1-ij]quinoline, 5,6-dihydro-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



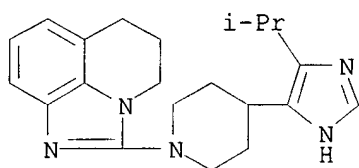
RN 163120-08-9 USPATFULL

CN 4H-Imidazo[4,5,1-ij]quinoline, 2-[4-(5-ethyl-1H-imidazol-4-yl)-1-piperidinyl]-5,6-dihydro- (9CI) (CA INDEX NAME)



RN 163120-09-0 USPATFULL

CN 4H-Imidazo[4,5,1-ij]quinoline, 5,6-dihydro-2-[4-[5-(1-methylethyl)-1H-imidazol-4-yl]-1-piperidinyl]- (9CI) (CA INDEX NAME)



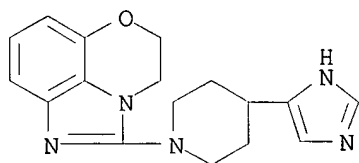
RN 163120-11-4 USPATFULL

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 163120-10-3

CMF C17 H19 N5 O



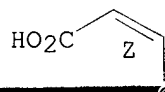
CM 2

CRN 110-16-7

CMF C4 H4 O4

CDES 2:Z

Double bond geometry as shown.



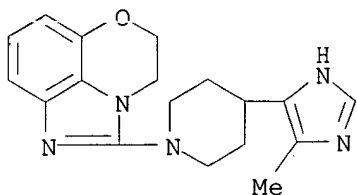
RN 163120-13-6 USPATFULL

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-2-[4-(5-methyl-1H-imidazol-

4-yl)-1-piperidinyl]-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

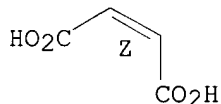
CRN 163120-12-5
CMF C18 H21 N5 O



CM 2

CRN 110-16-7
CMF C4 H4 O4
CDES 2:Z

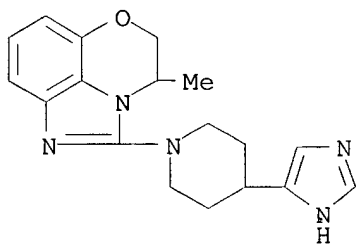
Double bond geometry as shown.



RN 163120-15-8 USPATFULL
CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-4-methyl-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

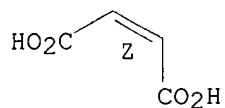
CRN 163120-14-7
CMF C18 H21 N5 O



CM 2

CRN 110-16-7
CMF C4 H4 O4
CDES 2:Z

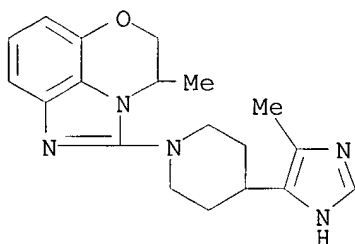
Double bond geometry as shown.



RN 163120-17-0 USPATFULL
CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-4-methyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidiny]-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

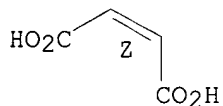
CRN 163120-16-9
CMF C19 H23 N5 O



CM 2

CRN 110-16-7
CMF C4 H4 O4
CDES 2:Z

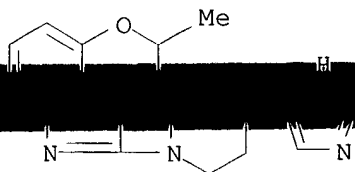
Double bond geometry as shown.



RN 163120-19-2 USPATFULL
CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidiny]-5-methyl-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 163120-18-1
CMF C18 H21 N5 O



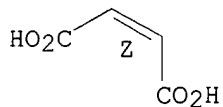
CM 2

CRN 110-16-7

CMF C4 H4 O4

CDES 2:Z

Double bond geometry as shown.



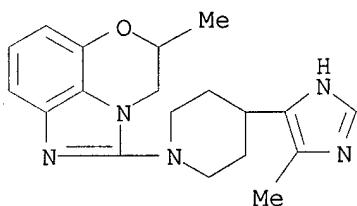
RN 163120-21-6 USPATFULL

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-5-methyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 163120-20-5

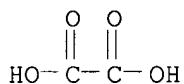
CMF C19 H23 N5 O



CM 2

CRN 144-62-7

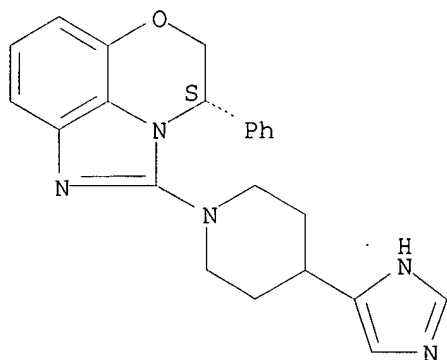
CMF C2 H2 O4



RN 163120-22-7 USPATFULL

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-4-phenyl-, (S)- (9CI) (CA INDEX NAME)

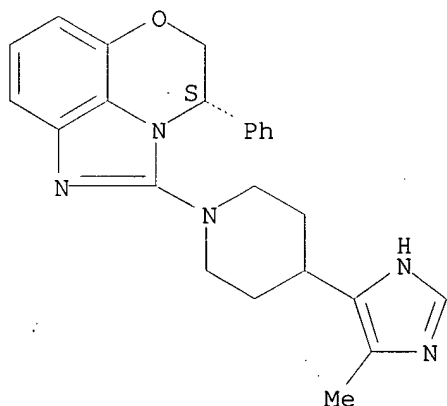
Absolute stereochemistry.



RN 163120-23-8 USPATFULL

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-4-phenyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 163120-25-0 USPATFULL

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-4-(phenylmethyl)-, (4S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

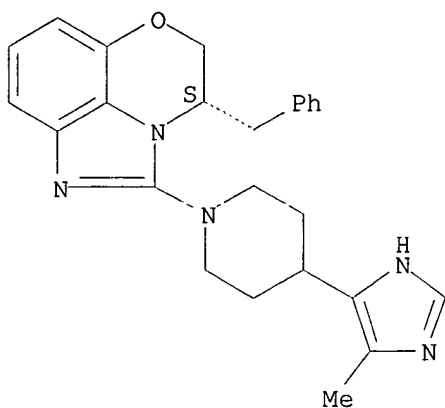
CM 1

CRN 163120-24-9

CMF C25 H27 N5 O

CDES 1:S

Absolute stereochemistry.



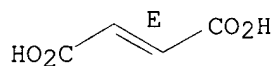
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.



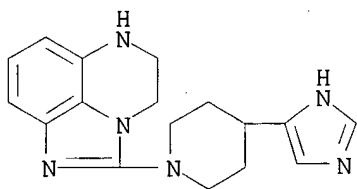
RN 163120-27-2 USPATFULL

CN 4H-Imidazo[1,5,4-de]quinoxaline, 5,6-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-, ethanedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 163120-26-1

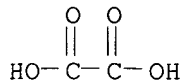
CMF C17 H20 N6



CM 2

CRN 144-62-7

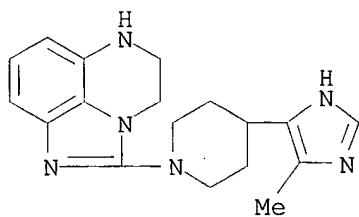
CMF C2 H2 O4



RN 163120-29-4 USPATFULL
CN 4H-Imidazo[1,5,4-de]quinoxaline, 5,6-dihydro-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

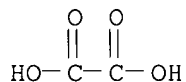
CM 1

CRN 163120-28-3
CMF C18 H22 N6

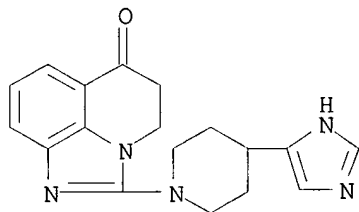


CM 2

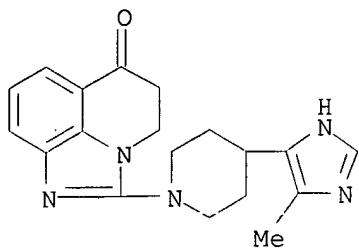
CRN 144-62-7
CMF C2 H2 O4



RN 163120-30-7 USPATFULL
CN 6H-Imidazo[4,5,1-ij]quinolin-6-one, 4,5-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 163120-31-8 USPATFULL
CN 6H-Imidazo[4,5,1-ij]quinolin-6-one, 4,5-dihydro-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

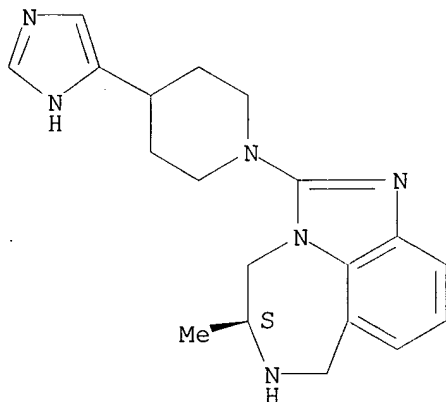


RN 163120-33-0 USPATFULL
 CN Imidazo[4,5,1-jk][1,4]benzodiazepine, 4,5,6,7-tetrahydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-5-methyl-, (5S)-, (2Z)-2-butenedioate (1:3) (9CI)
 (CA INDEX NAME)

CM 1

CRN 163120-32-9
 CMF C19 H24 N6
 CDES 1:S

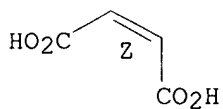
Absolute stereochemistry.



CM 2

CRN 110-16-7
 CMF C4 H4 O4
 CDES 2:Z

Double bond geometry as shown.



RN 163120-35-2 USPATFULL
 CN Imidazo[4,5,1-jk][1,4]benzodiazepine, 4,5,6,7-tetrahydro-5-methyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (5S)-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

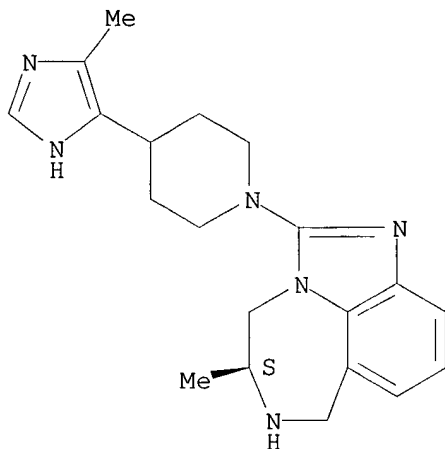
CM 1

CRN 163120-34-1

CMF C20 H26 N6

CDES 1:S

Absolute stereochemistry.



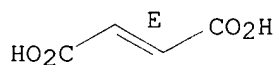
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.



RN 163120-37-4 USPATFULL

CN Imidazo[4,5,1-jk][1,4]benzodiazepine, 4,5,6,7-tetrahydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-5-methyl-6-(phenylmethyl)-, (5S)-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

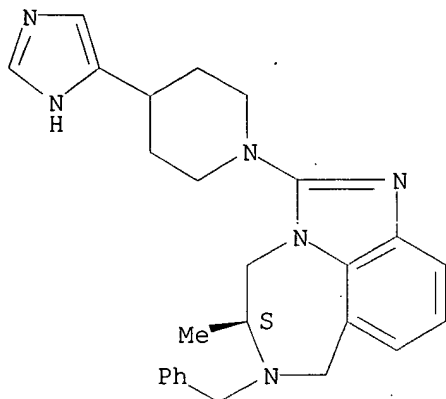
CM 1

CRN 163120-36-3

CMF C26 H30 N6

CDES 1:S

Absolute stereochemistry.



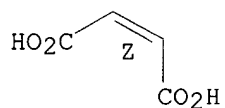
CM 2

CRN 110-16-7

CMF C4 H4 O4

CDES 2:Z

Double bond geometry as shown.



RN 163120-39-6 USPATFULL

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-4-methyl-, (4S)-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

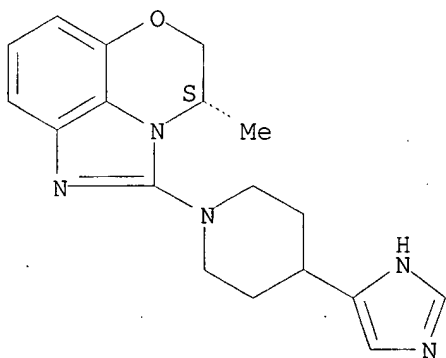
CM 1

CRN 163120-38-5

CMF C18 H21 N5 O

CDES 1:S

Absolute stereochemistry.



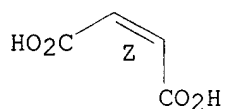
CM 2

CRN 110-16-7

CMF C4 H4 O4

CDES 2:Z

Double bond geometry as shown.



RN 163120-41-0 USPATFULL

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-4-methyl-, (4R)-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

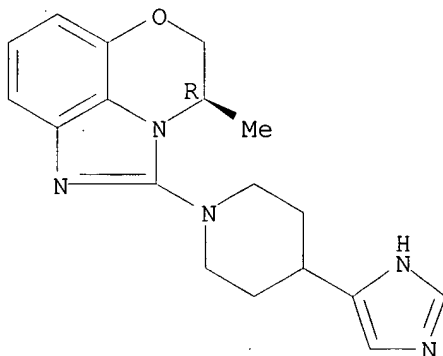
CM 1

CRN 163120-40-9

CMF C18 H21 N5 O

CDES 1:R

Absolute stereochemistry.



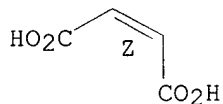
CM 2

CRN 110-16-7

CMF C4 H4 O4

CDES 2:Z

Double bond geometry as shown.

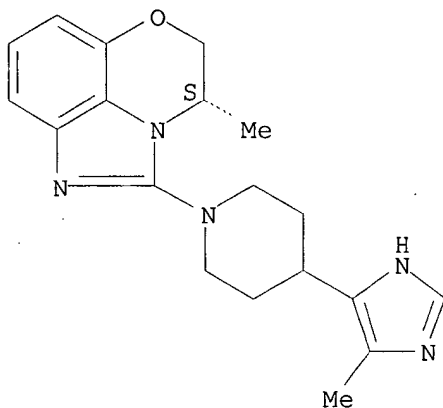


Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-, (4S)-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 163120-42-1
CMF C19 H23 N5 O
CDES 1:S

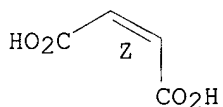
Absolute stereochemistry.



CM 2

CRN 110-16-7
CMF C4 H4 O4
CDES 2:Z

Double bond geometry as shown.

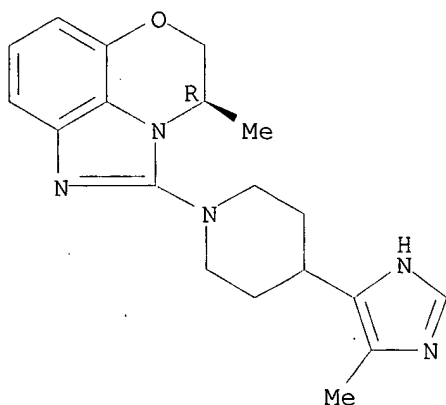


RN 163120-45-4 USPATFULL
CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-4-methyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (4R)-, (2Z)-2-butenedioate (1:2) (9CI)
(CA INDEX NAME)

CM 1

CRN 163120-44-3
CMF C19 H23 N5 O
CDES 1:R

Absolute stereochemistry.



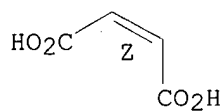
CM 2

CRN 110-16-7

CMF C4 H4 O4

CDES 2:Z

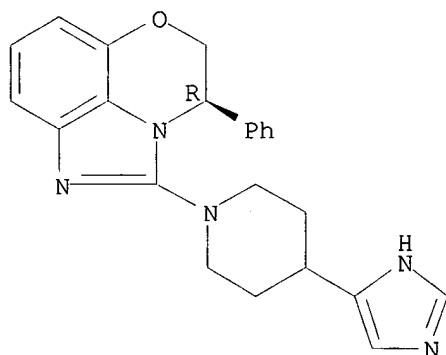
Double bond geometry as shown.



RN 163120-46-5 USPATFULL

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-5-phenyl-, (R)- (9CI) (CA INDEX NAME)

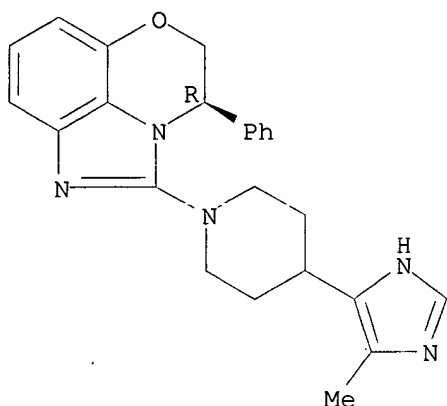
Absolute stereochemistry.



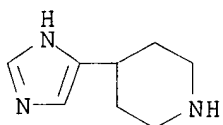
RN 163120-47-6 USPATFULL

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-2-[4-(5-methyl-1H-imidazol-4-yl)-1-phenylpiperidinyl]-1-phenyl-, (R)- (9CI) (CA INDEX NAME)

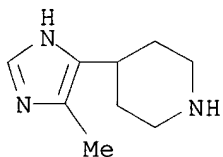
Absolute stereochemistry.



IT 106243-23-6, 4-(1H-Imidazol-4-yl)piperidine 15511-82-3
 , 4-(5-Methyl-1H-imidazol-4-yl)piperidine
 (starting material; prepn. of imidazolylpiperidine derivs. as 5-HT3 and
 5-HT4 receptor ligands)
 RN 106243-23-6 USPATFULL
 CN Piperidine, 4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



RN 15511-82-3 USPATFULL
 CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



~~119~~ ANSWER 76 OF 81 USPATFULL
 ACCESSION NUMBER: 95:64939 USPATFULL
 TITLE: Piperidine derivatives, their preparation and their
 application in therapy
 INVENTOR(S): Jegham, Samir, Argenteuil, France
 Angel, Itzhak, Rungis, France
 Purcell, Thomas, Montford L'Amaury, France
 Schoemaker, Johannes, Gif S/Yvette, France
 PATENT ASSIGNEE(S): Synthelabo, Le Plessis Robinson, France (non-U.S.
 corporation)

| | NUMBER | KIND | DATE |
|---------------------|------------------------|------|--------------|
| PATENT INFORMATION: | US 5434169 <i>copy</i> | | 19950718 |
| APPLICATION INFO.: | US 1993-127078 | | 19930927 (8) |

| | NUMBER | DATE |
|-----------------------|---------------------------------|----------|
| PRIORITY INFORMATION: | FR 1992-11551 | 19920928 |
| DOCUMENT TYPE: | Utility | |
| FILE SEGMENT: | Granted | |
| PRIMARY EXAMINER: | Chang, Ceila | |
| LEGAL REPRESENTATIVE: | Jacobson, Price, Holman & Stern | |
| NUMBER OF CLAIMS: | 5 | |
| EXEMPLARY CLAIM: | 1 | |
| LINE COUNT: | 335 | |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A compound which is a piperidine derivative of formula (I) ##STR1## in which R represents hydrogen, or unbranched or branched C.sub.1 -C.sub.6 alkyl group; and

Ar represents phenyl optionally substituted with one or more radicals selected from the halogens, amino, C.sub.1 -C.sub.2 alkoxy and (C.sub.3 -C.sub.6)cycloalkyl(C.sub.1 -C.sub.2)alkoxy, or a heteroaryl group;

or a pharmaceutically acceptable acid addition salt thereof;

provided that when R is hydrogen Ar is not phenyl or 4 -chlorophenyl.

The compounds are useful in therapy as ligands for 5-HT.sub.3 and 5-HT.sub.4 receptors.

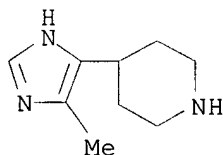
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 155511-82-3

(3reaction of, in prepn. of serotoninerbic receptor antagonist)

RN 155511-82-3 USPATFULL

CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



IT 155511-38-9P 155511-39-0P 155511-40-3P

155511-41-4P 155511-42-5P 155511-44-7P

155511-45-8P 155511-46-9P 155511-48-1P

155511-50-5P 155511-51-6P 155511-52-7P

155511-53-8P 155511-55-0P 155511-57-2P

155511-59-4P 155511-61-8P 155511-63-0P

155511-65-2P 155511-67-4P 155511-68-5P

155511-69-6P 155511-70-9P 155511-72-1P

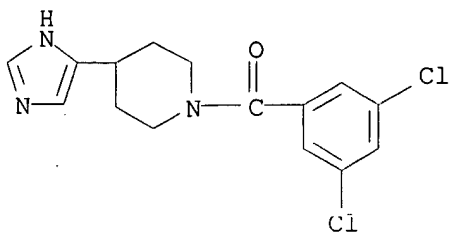
155511-73-2P 155511-75-4P 155511-77-6P

155511-78-7P 155511-80-1P

(prepn. of, as serotoninerbic receptor antagonist)

RN 155511-38-9 USPATFULL

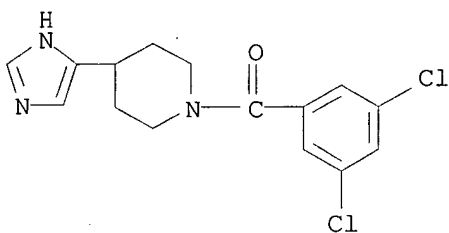
CN Piperidine, 1-(3,5-dichlorobenzoyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



RN 155511-39-0 USPATFULL
CN Piperidine, 1-(3,5-dichlorobenzoyl)-4-(1H-imidazol-4-yl)-,
(2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

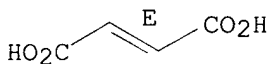
CRN 155511-38-9
CMF C15 H15 Cl2 N3 O



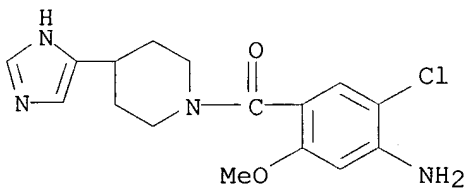
CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

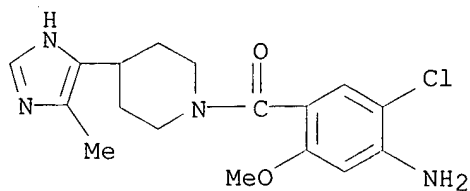
Double bond geometry as shown.



RN 155511-40-3 USPATFULL
CN Piperidine, 1-(4-amino-5-chloro-2-methoxybenzoyl)-4-(1H-imidazol-4-yl)-
(9CI) (CA INDEX NAME)

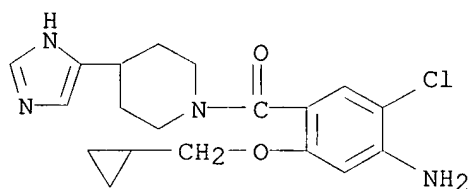


RN 155511-41-4 USPATFULL
CN Piperidine, 1-(4-amino-5-chloro-2-methoxybenzoyl)-4-(5-methyl-1H-imidazol-
4-yl)- (9CI) (CA INDEX NAME)



RN 155511-42-5 USPATFULL

CN Piperidine, 1-[4-amino-5-chloro-2-(cyclopropylmethoxy)benzoyl]-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



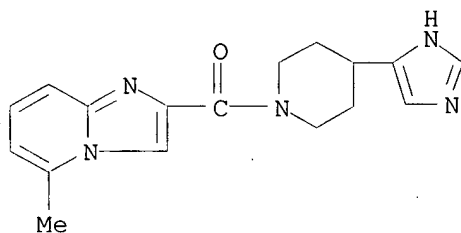
RN 155511-44-7 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)-1-[(5-methylimidazo[1,2-a]pyridin-2-yl)carbonyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 155511-43-6

CMF C17 H19 N5 O



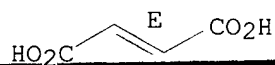
CM 2

CRN 110-17-8

CMF C4 H4 O4

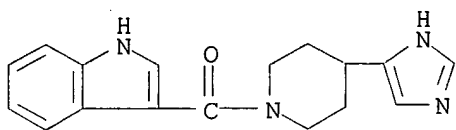
CDES 2:E

Double bond geometry as shown.



RN 155511-45-8 USPATFULL

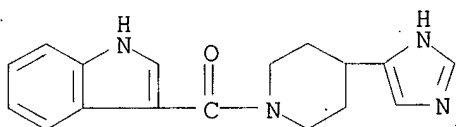
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1H-indol-3-ylcarbonyl)- (9CI) (CA INDEX NAME)



RN 155511-46-9 USPATFULL
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1H-indol-3-ylcarbonyl)-,
(2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

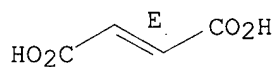
CRN 155511-45-8
CMF C17 H18 N4 O



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

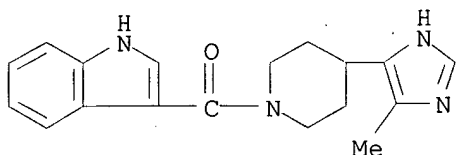
Double bond geometry as shown.



RN 155511-48-1 USPATFULL
CN Piperidine, 1-(1H-indol-3-ylcarbonyl)-4-(5-methyl-1H-imidazol-4-yl)-,
(2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 155511-47-0
CMF C18 H20 N4 O

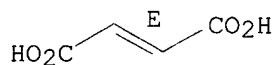


CM 2

CRN 110-17-8

CMF C4 H4 O4
CDES 2:E

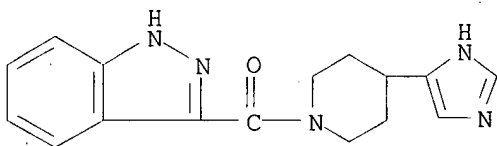
Double bond geometry as shown.



RN 155511-50-5 USPATFULL
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1H-indazol-3-ylcarbonyl)-,
(2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

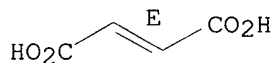
CRN 155511-49-2
CMF C16 H17 N5 O



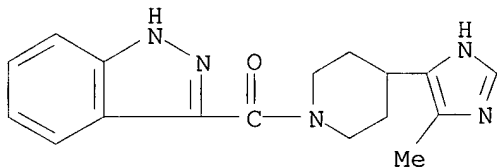
CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



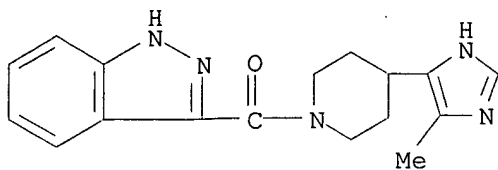
RN 155511-51-6 USPATFULL
CN Piperidine, 1-(1H-indazol-3-ylcarbonyl)-4-(5-methyl-1H-imidazol-4-yl)-
(9CI) (CA INDEX NAME)



RN 155511-52-7 USPATFULL
CN Piperidine, 1-(1H-indazol-3-ylcarbonyl)-4-(5-methyl-1H-imidazol-4-yl)-,
(2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 155511-51-6
CMF C17 H19 N5 O



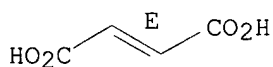
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.



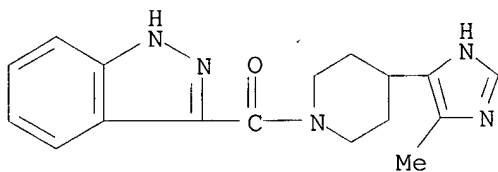
RN 155511-53-8 USPATFULL

CN Piperidine, 1-(1H-indazol-3-ylcarbonyl)-4-(5-methyl-1H-imidazol-4-yl)-,
monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 155511-51-6

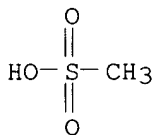
CMF C17 H19 N5 O



CM 2

CRN 75-75-2

CMF C H4 O3 S



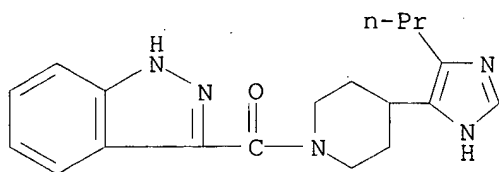
RN 155511-55-0 USPATFULL

CN Piperidine, 1-(1H-indazol-3-ylcarbonyl)-4-(5-propyl-1H-imidazol-4-yl)-,
(2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 155511-54-9

CMF C19 H23 N5 O



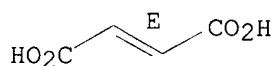
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.



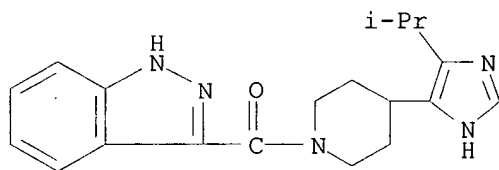
RN 155511-57-2 USPATFULL

CN Piperidine, 1-(1H-indazol-3-ylcarbonyl)-4-[5-(1-methylethyl)-1H-imidazol-4-yl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 155511-56-1

CMF C19 H23 N5 O



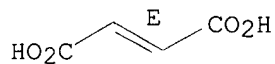
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.

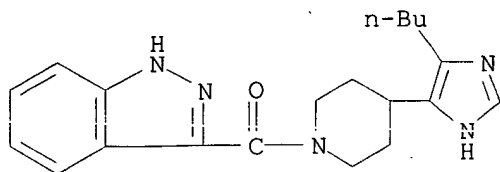


RN 155511-59-4 USPATFULL

CN Pirmawati dkk 11-115-10015001-100 4-3 00-00 0 00 0 000 0 0

CM 1

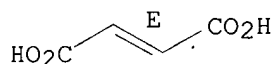
CRN 155511-58-3
CMF C20 H25 N5 O



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

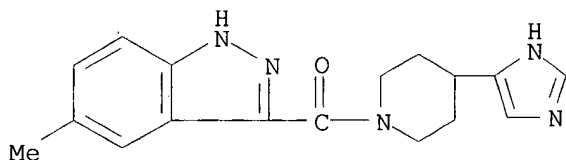
Double bond geometry as shown.



RN 155511-61-8 USPATFULL
CN Piperidine, 4-(1H-imidazol-4-yl)-1-[(5-methyl-1H-indazol-3-yl)carbonyl]-,
(2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

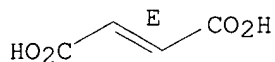
CRN 155511-60-7
CMF C17 H19 N5 O



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

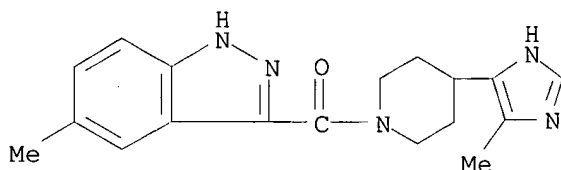
Double bond geometry as shown.



RN 155511-63-0 USPATFULL
CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-[(5-methyl-1H-indazol-3-yl)carbonyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

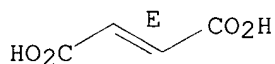
CRN 155511-62-9
CMF C18 H21 N5 O



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

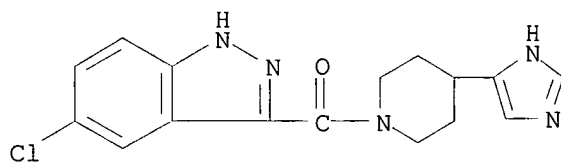
Double bond geometry as shown.



RN 155511-65-2 USPATFULL
CN Piperidine, 1-[(5-chloro-1H-indazol-3-yl)carbonyl]-4-(1H-imidazol-4-yl)-,
(2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

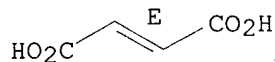
CRN 155511-64-1
CMF C16 H16 Cl N5 O



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.

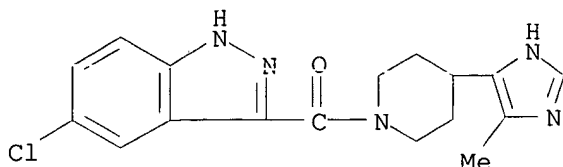


ON Piperidine, 1-[(5-chloro-1H-indazol-3-yl)carbonyl]-4-(5-methyl-1H-imidazol-4-yl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 155511-66-3

CMF C17 H18 Cl N5 O



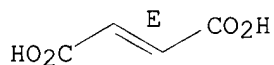
CM 2

CRN 110-17-8

CMF C4 H4 O4

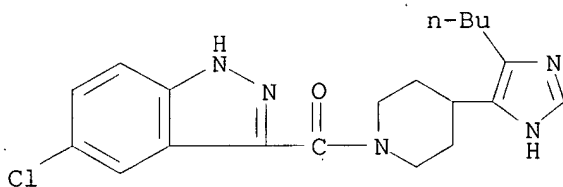
CDES 2:E

Double bond geometry as shown.



RN 155511-68-5 USPATFULL

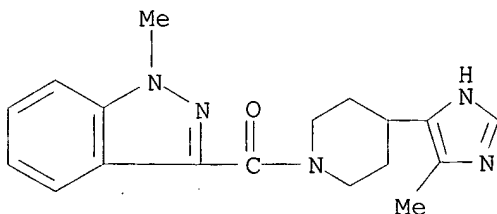
CN Piperidine, 4-(5-butyl-1H-imidazol-4-yl)-1-[(5-chloro-1H-indazol-3-yl)carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

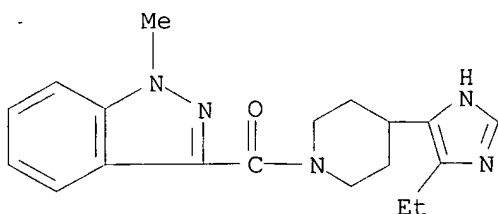
RN 155511-69-6 USPATFULL

CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-[(1-methyl-1H-indazol-3-yl)carbonyl]- (9CI) (CA INDEX NAME)



RN 155511-70-9 USPATFULL

CN Piperidine, 4-(5-ethyl-1H-imidazol-4-yl)-1-[(1-methyl-1H-indazol-3-yl)carbonyl]- (9CI) (CA INDEX NAME)



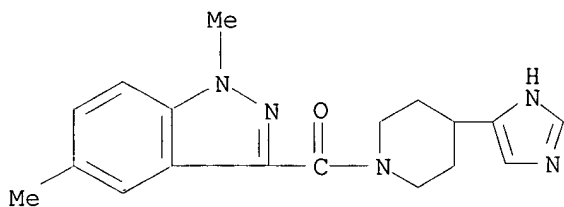
RN 155511-72-1 USPATFULL

CN Piperidine, 1-[(1,5-dimethyl-1H-indazol-3-yl)carbonyl]-4-(1H-imidazol-4-yl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 155511-71-0

CMF C18 H21 N5 O



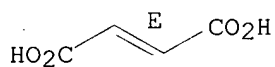
CM 2

CRN 110-17-8

CMF C4 H4 O4

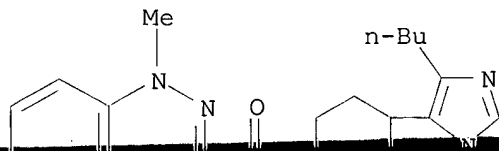
CDES 2:E

Double bond geometry as shown.



RN 155511-73-2 USPATFULL

CN Piperidine, 4-(5-butyl-1H-imidazol-4-yl)-1-[(1,5-dimethyl-1H-indazol-3-yl)carbonyl]- (9CI) (CA INDEX NAME)



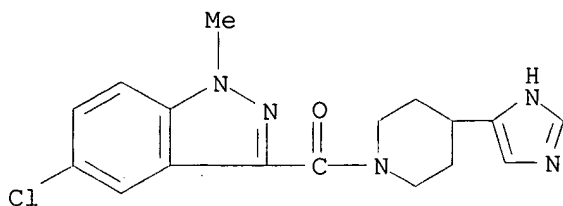
RN 155511-75-4 USPATFULL

CN Piperidine, 1-[(5-chloro-1-methyl-1H-indazol-3-yl)carbonyl]-4-(1H-imidazol-4-yl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 155511-74-3

CMF C17 H18 Cl N5 O



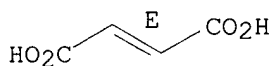
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.



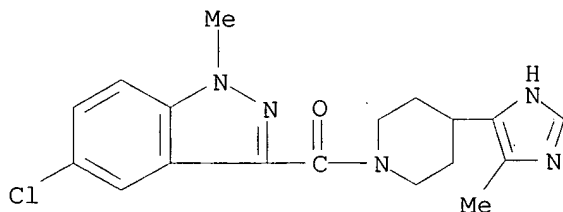
RN 155511-77-6 USPATFULL

CN Piperidine, 1-[(5-chloro-1-methyl-1H-indazol-3-yl)carbonyl]-4-(5-methyl-1H-imidazol-4-yl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 155511-76-5

CMF C18 H20 Cl N5 O



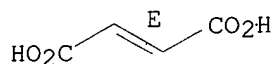
CM 2

CRN 110-17-8

CMF C4 H4 O4

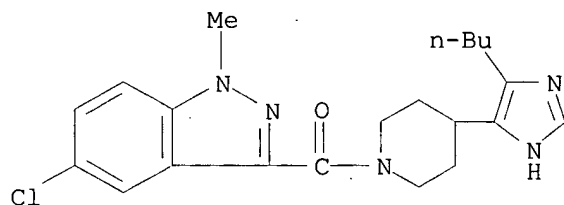
CDES 2:E

Double bond geometry as shown.



RN 155511-78-7 USPATFULL

CN Piperidine, 4-(5-butyl-1H-imidazol-4-yl)-1-[(5-chloro-1-methyl-1H-indazol-3-yl)carbonyl]- (9CI) (CA INDEX NAME)



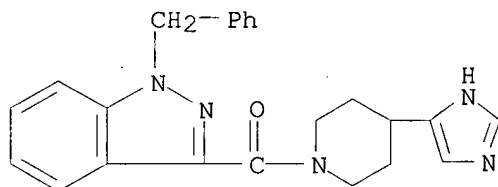
RN 155511-80-1 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)-1-[[1-(phenylmethyl)-1H-indazol-3-yl]carbonyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 155511-79-8

CMF C23 H23 N5 O



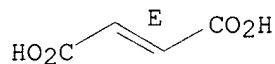
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.

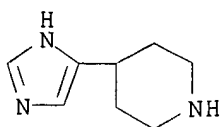


IT 106243-23-6 155511-81-2

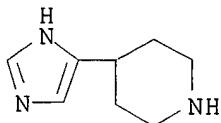
(reaction of, in prepn. of serotonergic receptor antagonist)

RN 106243-23-6 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



RN 155511-81-2 USPATFULL
CN Piperidine, 4-(1H-imidazol-4-yl)-, monohydrochloride (9CI) (CA INDEX
NAME)



HCl

L19 ANSWER 77 OF 81 USPATFULL
ACCESSION NUMBER: 95:45610 USPATFULL
TITLE: Piperidine derivatives, their preparation and their
application in therapeutics
INVENTOR(S): Jegham, Samir, Argenteuil, France
Defosse, Gerard, Paris, France
Purcell, Thomas, Montfort L'Amaury, France
PATENT ASSIGNEE(S): Synthelabo, Le Plessis Robinson, France (non-U.S.
corporation)

| | NUMBER | KIND | DATE |
|---------------------|----------------|------|--------------|
| PATENT INFORMATION: | US 5418241 | | 19950523 |
| APPLICATION INFO.: | US 1993-127058 | | 19930927 (8) |

| | NUMBER | DATE |
|-----------------------|---------------------------------|----------|
| PRIORITY INFORMATION: | FR 1992-11550 | 19920928 |
| DOCUMENT TYPE: | Utility | |
| FILE SEGMENT: | Granted | |
| PRIMARY EXAMINER: | Chang, Celia | |
| LEGAL REPRESENTATIVE: | Jacobson, Price, Holman & Stern | |
| NUMBER OF CLAIMS: | 5 | |
| EXEMPLARY CLAIM: | 1 | |
| LINE COUNT: | 516 | |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention provides a compound which is a piperidine derivative of formula (I) ##STR1## in which R.sub.1 is hydrogen or straight or branched (C.sub.1 -C.sub.6) alkyl, R.sub.2 is hydrogen or straight or branched (C.sub.1 -C.sub.8) alkyl, Z and Z.sub.1 which may be the same or different, each is hydrogen, chlorine, hydroxyl, amino, nitro, hydroxymethyl, (C.sub.1 -C.sub.2) alkyl, (C.sub.1 -C.sub.8) alkoxy straight or branched (C.sub.1 -C.sub.5) alkoxycarbonyl or aryl (C.sub.1 -C.sub.2) alkoxy, Z is in position 4, 6 or 7 and Z and Z.sub.1 cannot both be hydrogen, or its addition salt with a pharmaceutically acceptable acid and its therapeutic application.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 155596-41-1P 155596-42-2P 155596-43-3P

155596-45-5P 155596-47-7P 155596-49-9P

155596-50-2P 155596-51-3P 155596-53-5P

155596-54-6P 155596-55-7P 155596-57-9P

155596-59-1P 155596-60-4P 155596-61-5P

155596-62-6P 155596-64-8P 155596-66-0P

155596-67-1P 155596-68-2P

(prepn. of, as serotoninergetic receptor antagonist)

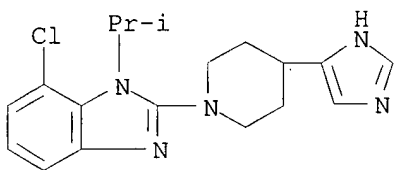
RN 155596-41-1 USPATFULL

CN 1H-Benzimidazole, 7-chloro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 155596-40-0

CMF C18 H22 Cl N5



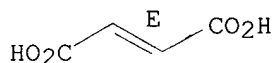
CM 2

CRN 110-17-8

CMF C4 H4 O4

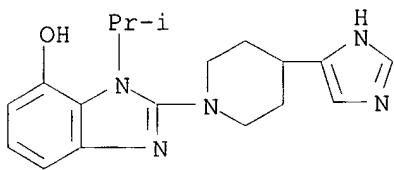
CDES 2:E

Double bond geometry as shown.



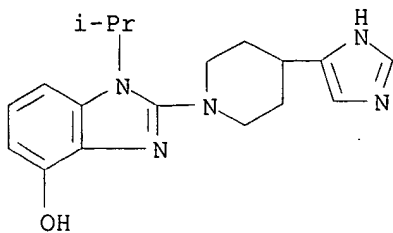
RN 155596-42-2 USPATFULL

CN 1H-Benzimidazol-7-ol, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 155596-43-3 USPATFULL

CN 1H-Benzimidazol-4-ol, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 155596-45-5 USPATFULL

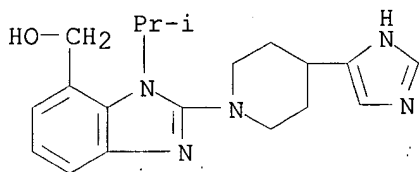
CN 1H-Benzimidazole-7-methanol, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 155596-44-4

CMF C19 H25 N5 O

Same as previous



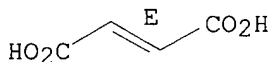
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.



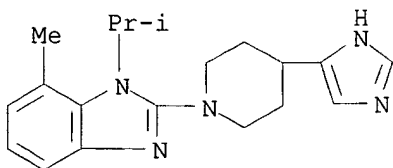
RN 155596-47-7 USPATFULL

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-7-methyl-1-(1-methylethyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 155596-46-6

CMF C19 H25 N5



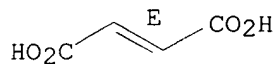
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.



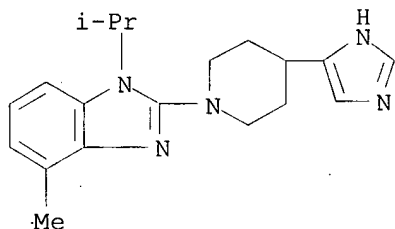
RN 155596-49-9 USPATFULL

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidiny]-4-methyl-1-(1-methylethyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 155596-48-8

CMF C19 H25 N5



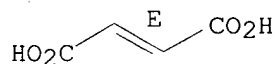
CM 2

CRN 110-17-8

CMF C4 H4 O4

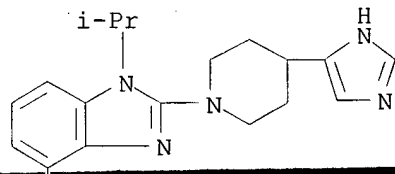
CDES 2:E

Double bond geometry as shown.



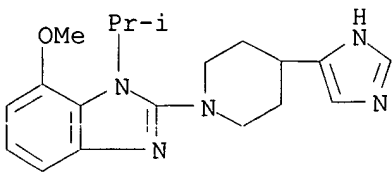
RN 155596-50-2 USPATFULL

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidiny]-4-methoxy-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 155596-51-3 USPATFULL

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-7-methoxy-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



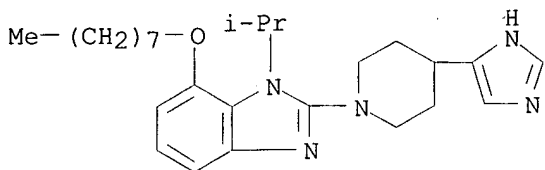
RN 155596-53-5 USPATFULL

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)-7-(octyloxy)-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 155596-52-4

CMF C26 H39 N5 O



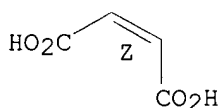
CM 2

CRN 110-16-7

CMF C4 H4 O4

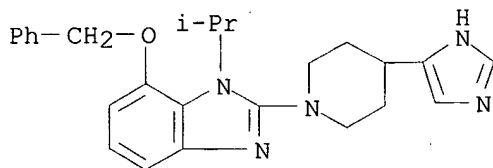
CDES 2:Z

Double bond geometry as shown.



RN 155596-54-6 USPATFULL

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)-7-(phenylmethoxy)- (9CI) (CA INDEX NAME)



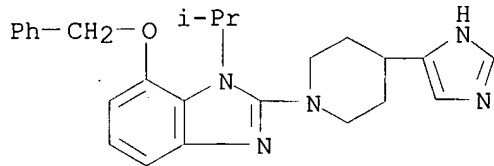
RN 155596-55-7 USPATFULL

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)-7-(phenylmethoxy)-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 155596-54-6

CMF C25 H29 N5 O



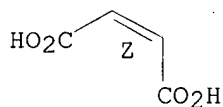
CM 2

CRN 110-16-7

CMF C4 H4 O4

CDES 2:Z

Double bond geometry as shown.



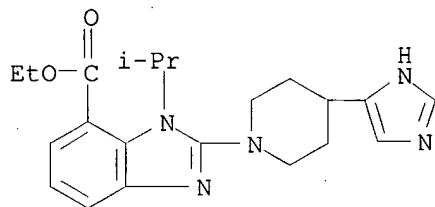
RN 155596-57-9 USPATFULL

CN 1H-Benzimidazole-7-carboxylic acid, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-
1-(1-methylethyl)-, ethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA
INDEX NAME)

CM 1

CRN 155596-56-8

CMF C21 H27 N5 O2



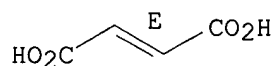
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:Z

Double bond geometry as shown.



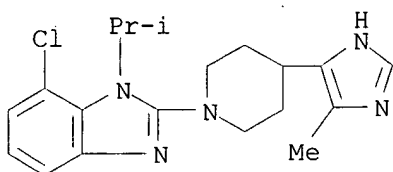
RN 155596-59-1 USPATFULL

CN 1H-Benzimidazole, 7-chloro-1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 155596-58-0

CMF C19 H24 Cl N5



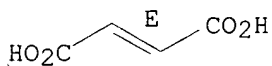
CM 2

CRN 110-17-8

CMF C4 H4 O4

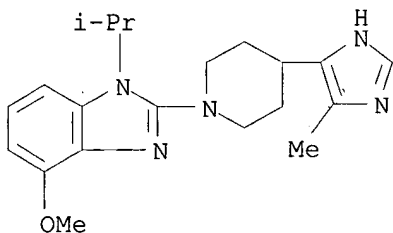
CDES 2:E

Double bond geometry as shown.



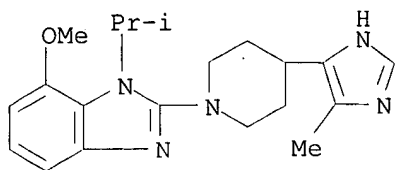
RN 155596-60-4 USPATFULL

CN 1H-Benzimidazole, 4-methoxy-1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



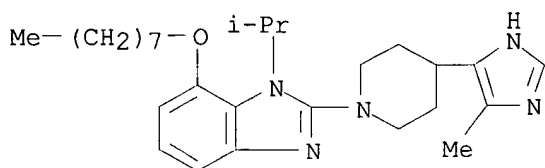
RN 155596-61-5 USPATFULL

CN 1H-Benzimidazole, 7-methoxy-1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 155596-62-6 USPATFULL

CN 1H-Benzimidazole, 1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-7-(octyloxy)- (9CI) (CA INDEX NAME)



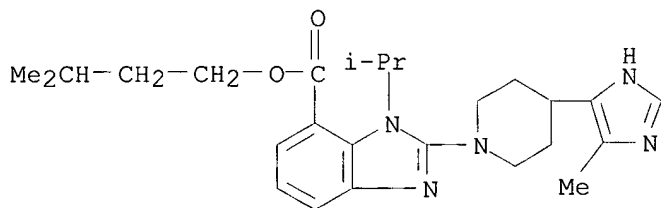
RN 155596-64-8 USPATFULL

CN 1H-Benzimidazole-7-carboxylic acid, 1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, 3-methylbutyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 155596-63-7

CMF C25 H35 N5 O2



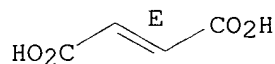
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

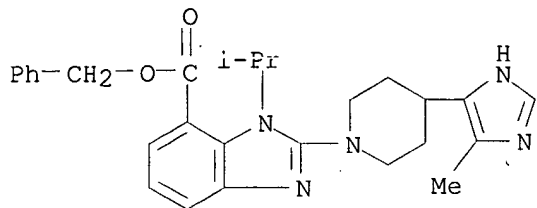
Double bond geometry as shown.



RN 155596-66-0 USPATFULL

1H-Benzimidazole-7-carboxylic acid, 1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, phenylmethyl ester, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

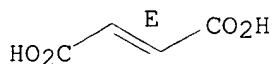
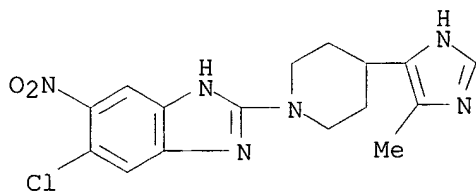
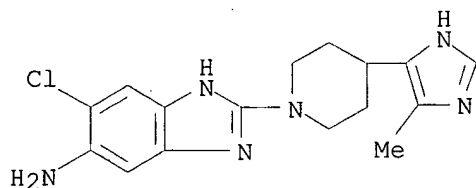
CM 1

CRN 155596-65-9
CMF C27 H31 N5 O2

CM 2

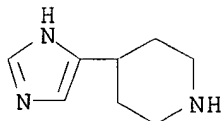
CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.

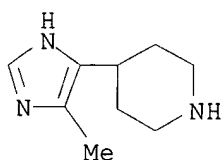
RN 155596-67-1 USPATFULL
CN 1H-Benzimidazole, 5-chloro-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-
6-nitro- (9CI) (CA INDEX NAME)RN 155596-68-2 USPATFULL
CN 1H-Benzimidazol-5-amine, 6-chloro-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, dihydrochloride (9CI) (CA INDEX NAME)

● 2 HCl

IT 106243-23-6, 4-(1H-Imidazol-4-yl)piperidine 155511-82-3
(reaction of, in prepn. of serotoninergic receptor antagonist)
RN 106243-23-6 USPATFULL
CN Piperidine, 4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



RN 155511-82-3 USPATFULL
CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



1-9 ANSWER 78 OF 81 USPATFULL
ACCESSION NUMBER: 95:3973 USPATFULL
TITLE: Process for the preparation of intermediates useful for
the synthesis of histamine receptor antagonists
INVENTOR(S): Durant, Graham J., Toledo, OH, United States
Khan, Amin M., Toledo, OH, United States
PATENT ASSIGNEE(S): The University of Toledo, Toledo, OH, United States
(U.S. corporation)

| | NUMBER | KIND | DATE |
|-----------------------|----------------------|------|--------------|
| PATENT INFORMATION: | US 5380858 | | 19950110 |
| APPLICATION INFO.: | US 1992-862658 | | 19920401 (7) |
| DOCUMENT TYPE: | Utility | | |
| FILE SEGMENT: | Granted | | |
| PRIMARY EXAMINER: | Cintins, Marianne M. | | |
| ASSISTANT EXAMINER: | Spivack, Phyllis G. | | |
| LEGAL REPRESENTATIVE: | Pennie & Edmonds | | |
| NUMBER OF CLAIMS: | 9 | | |
| EXEMPLARY CLAIM: | 1 | | |
| LINE COUNT: | 776 | | |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to a novel process for the preparation of highly potent histamine receptor antagonists, in particular histamine H.sub.3 receptor antagonists. Also disclosed is a novel process for the preparation of intermediates useful in the preparation of histamine receptor antagonists, in particular H.sub.3 -receptor antagonists.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 143211-72-7P 143211-78-3P 143211-81-8P

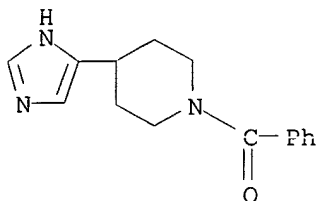
~~143211-83-0P 143211-84-0P 143211-85-0P 143211-86-0P~~

~~152241-38-0P 152241-39-0P 152241-40-2P~~

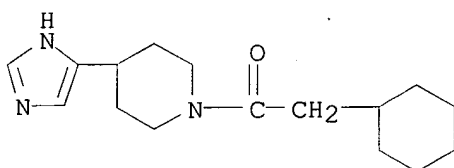
152241-41-3P 152241-42-4P

(prepn. and histamine H3 receptor antagonist activity of)

RN 143211-72-7 USPATFULL
CN Piperidine, 1-benzoyl-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

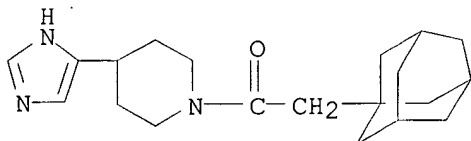


RN 143211-78-3 USPATFULL
CN Piperidine, 1-(cyclohexylacetyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

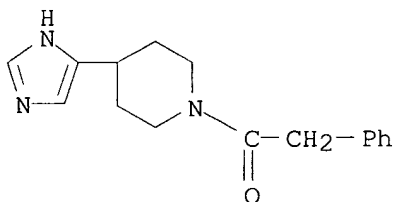


Same as previous

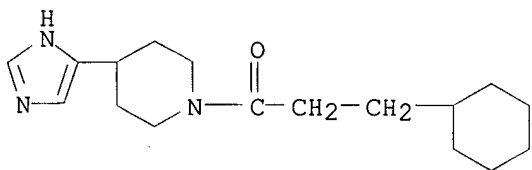
RN 143211-81-8 USPATFULL
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(tricyclo[3.3.1.1^{3,7}]dec-1-ylacetyl)- (9CI) (CA INDEX NAME)



RN 143211-83-0 USPATFULL
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(phenylacetyl)- (9CI) (CA INDEX NAME)

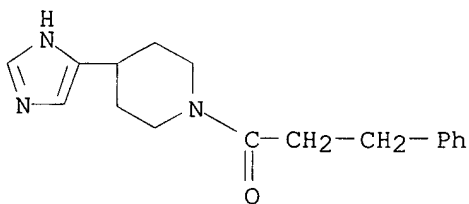


RN 143211-89-6 USPATFULL
CN Piperidine, 1-(3-cyclohexyl-1-oxopropyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



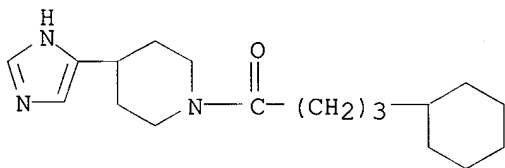
RN 143211-92-1 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-3-phenylpropyl)- (9CI) (CA INDEX NAME)



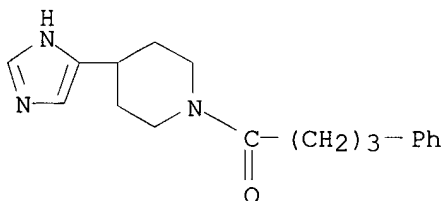
RN 143211-95-4 USPATFULL

CN Piperidine, 1-(4-cyclohexyl-1-oxobutyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



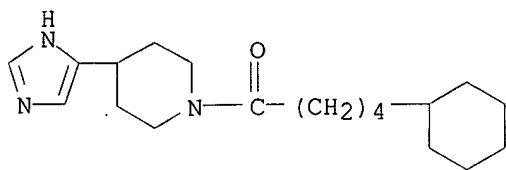
RN 143211-96-5 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-4-phenylbutyl)- (9CI) (CA INDEX NAME)



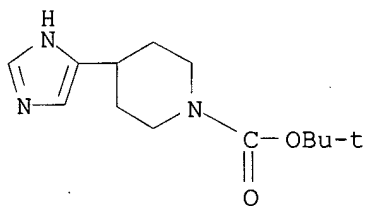
RN 152241-24-2 USPATFULL

CN Piperidine, 1-(5-cyclohexyl-1-oxopentyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



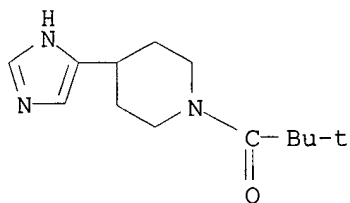
RN 152241-38-8 USPATFULL

CN 1-Piperidinecarboxylic acid, 4-(1H-imidazol-4-yl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



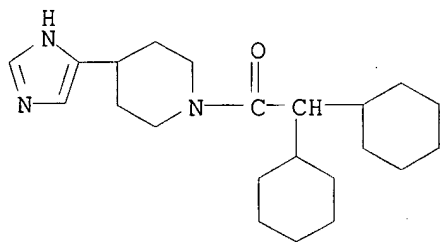
RN 152241-39-9 USPATFULL

CN Piperidine, 1-(2,2-dimethyl-1-oxopropyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



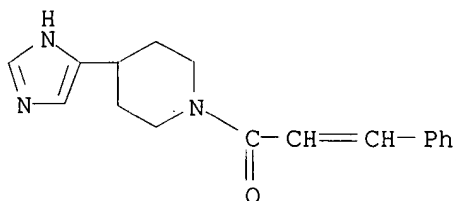
RN 152241-40-2 USPATFULL

CN Piperidine, 1-(dicyclohexylacetyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



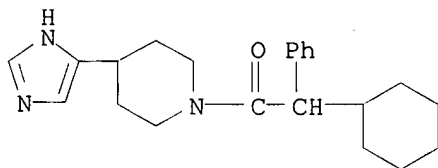
RN 152241-41-3 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)



RN 152241-42-4 USPATFULL

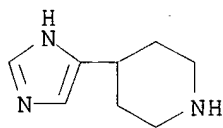
CN Piperidine, 1-(cyclohexylphenylacetyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



IT 51746-88-4, 4-(4-Piperidyl)-1H-imidazole dihydrochloride
(reaction of, in prepn. of piperidinyimidazole histamine H3 receptor antagonists)

RN 51746-88-4 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



2 HCl

LI 4 ANSWER 79 OF 81 USPATFULL

ACCESSION NUMBER: 94:18029 USPATFULL

TITLE: 4-(4-imidazolyl) piperidines substituted at position 1, their preparation and also their therapeutic applications

INVENTOR(S): Arrang, Jean-Michel, Gif/Yvette, France
Garborg, Monique, Paris, France
Lancelot, Jean-Charles M., Tour en Bessin, France
Lecomte, Jeanne-Marie, Paris, France
Robba, Max-Fernand, Caen, France
Schwartz, Jean-Charles, Paris, France
PATENT ASSIGNEE(S): National De La Sante et De La Recherche Medicale, Paris, France (non-U.S. corporation)
Societe Civile Bioprojet, Paris, France (non-U.S. corporation)

France (non-U.S. corporation)

NUMBER KIND DATE

Searched by Barb O'Bryen, STIC 308-4291

PATENT INFORMATION: US 5290790 19940301
APPLICATION INFO.: US 1991-814450 19911230 (7)

| | NUMBER | DATE |
|-----------------------|-------------------|----------|
| PRIORITY INFORMATION: | FR 1990-16540 | 19901231 |
| DOCUMENT TYPE: | Utility | |
| FILE SEGMENT: | Granted | |
| PRIMARY EXAMINER: | Ivy, C. Warren | |
| ASSISTANT EXAMINER: | Chang, Celia | |
| LEGAL REPRESENTATIVE: | Larson and Taylor | |
| NUMBER OF CLAIMS: | 3 | |
| EXEMPLARY CLAIM: | 1 | |
| LINE COUNT: | 719 | |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The compounds correspond to the general formula ##STR1## in which R.sub.1 represents a hydrogen atom or a group --COR.sub.2, in which R.sub.2 represents a benzene ring, cyclopentylmethyl, cyclohexylmethyl, cyclopentylethyl or cyclohexylethyl groups or cyclopentylamine, cyclohexylamine or phenylamine, chlorophenylamine or dichlorophenylamine groups; R represents a hydrogen atom or a group COR.sub.3, in which R.sub.3 represents an aliphatic group, a cyclane or benzene ring-system, a group a group (CH.sub.2).sup.m R.sub.4, a group --CH.dbd.CHR.sub.8 or a secondary amine group --NH(CH.sub.2).sub.n R.sub.g ; R also represents a hydroxyalkenyl group: ##STR2##

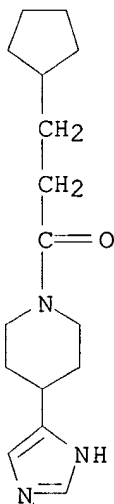
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 143211-88-5P

(prepn. and acylation of, by cyclopentylpropionyl chloride)

RN 143211-88-5 USPATFULL

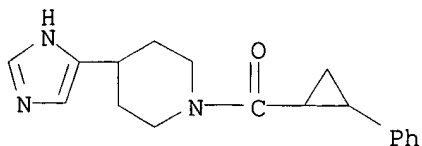
CN Piperidine, 1-(3-cyclopentyl-1-oxopropyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



IT 143211-71-6P 143211-76-1P 143211-79-4P
143211-92-1P 143211-97-6P 143212-02-6P
143212-19-5P 143212-25-3P 143212-37-7P
143212-38-8P 143212-39-9P 143212-40-2P
143412-03-7P 143412-06-0P 143412-13-9P
143412-16-2P 143412-17-3P

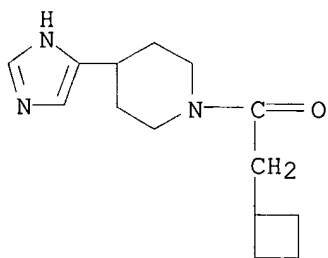
(prepn. and antihistaminic activity of)

RN 143211-71-6 USPATFULL

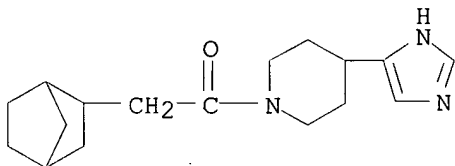
CN Piperidine, 4-(1H-imidazol-4-yl)-1-[(2-phenylcyclopropyl)carbonyl]- (9CI)
(CA INDEX NAME)

RN 143211-76-1 USPATFULL

CN Piperidine, 1-(cyclobutylacetyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

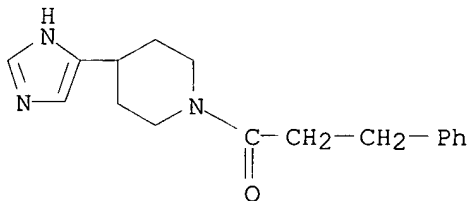


RN 143211-79-4 USPATFULL

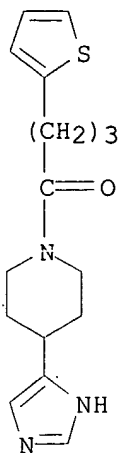
CN Piperidine, 1-(bicyclo[2.2.1]hept-2-ylacetyl)-4-(1H-imidazol-4-yl)- (9CI)
(CA INDEX NAME)

RN 143211-92-1 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-3-phenylpropyl)- (9CI) (CA INDEX NAME)

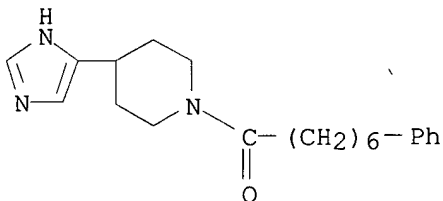


RN 143211-97-6 USPATFULL



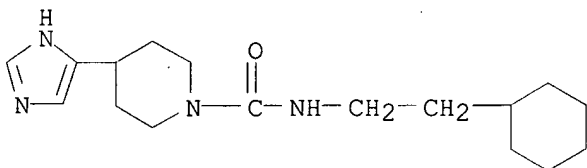
RN 143212-02-6 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-7-phenylheptyl)- (9CI) (CA INDEX NAME)



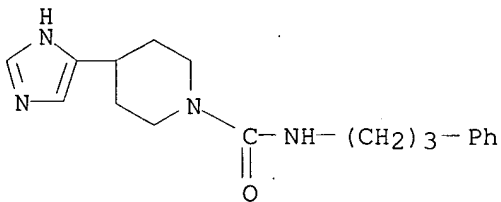
RN 143212-19-5 USPATFULL

CN 1-Piperidinecarboxamide, N-(2-cyclohexylethyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



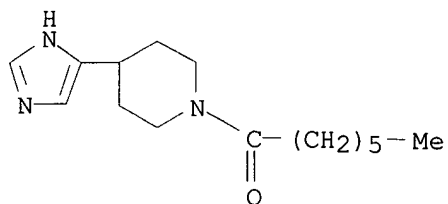
RN 143212-25-3 USPATFULL

CN 1-Piperidinecarboxamide, 4-(1H-imidazol-4-yl)-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)

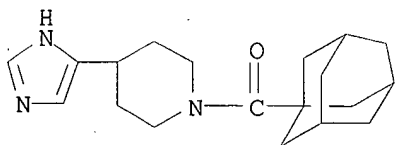


RN 143212-37-7 USPATFULL

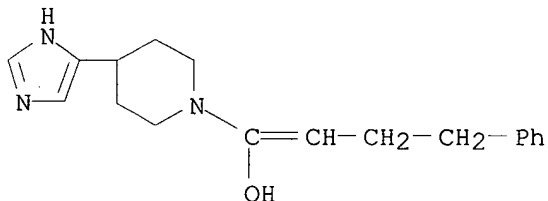
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxoheptyl)- (9CI) (CA INDEX NAME)



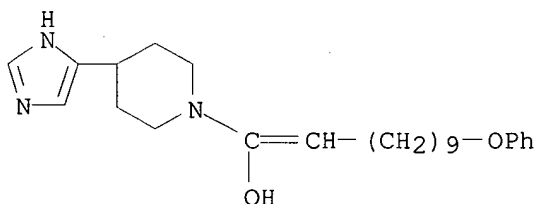
RN 143212-38-8 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(tricyclo[3.3.1.1^{3,7}]dec-1-ylcarbonyl)-
(9CI) (CA INDEX NAME)

RN 143212-39-9 USPATFULL

CN 1-Piperidinemethanol, 4-(1H-imidazol-4-yl)-.alpha.-(3-phenylpropylidene)-
(9CI) (CA INDEX NAME)

RN 143212-40-2 USPATFULL

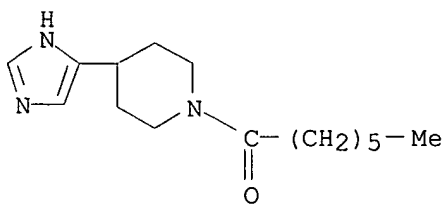
CN 1-Piperidinemethanol, 4-(1H-imidazol-4-yl)-.alpha.-(10-phenoxydecylidene)-
(9CI) (CA INDEX NAME)

RN 143412-03-7 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxoheptyl)-, ethanedioate (1:1)
(9CI) (CA INDEX NAME)

CM 1

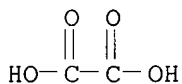
CMF C13 H23 N3 O



CM 2

CRN 144-62-7

CMF C2 H2 O4



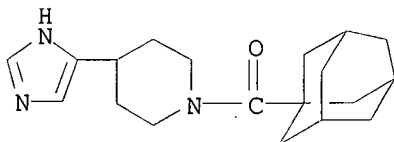
RN 143412-06-0 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(tricyclo[3.3.1.1^{3,7}]dec-1-ylcarbonyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 143212-38-8

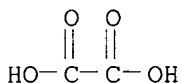
CMF C19 H27 N3 O



CM 2

CRN 144-62-7

CMF C2 H2 O4



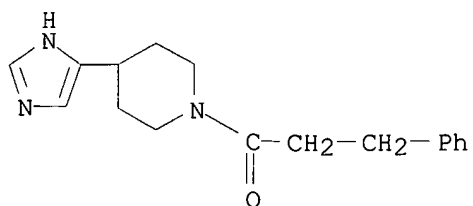
RN 143412-13-9 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-3-phenylpropyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 143211-92-1

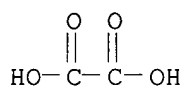
CMF C17 H21 N3 O



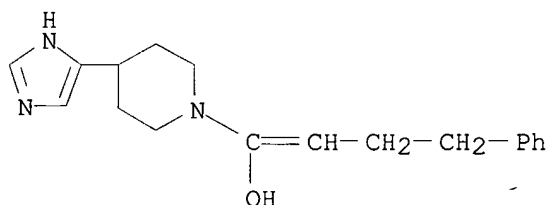
CM 2

CRN 144-62-7

CMF C2 H2 O4

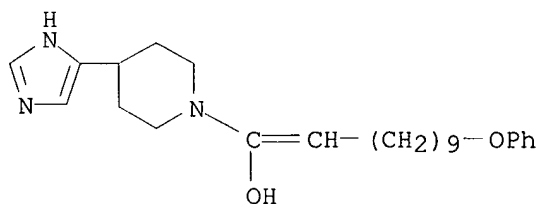


RN 143412-16-2 USPATFULL

CN 1-Piperidinemethanol, 4-(1H-imidazol-4-yl)-.alpha.-(3-phenylpropylidene)-,
monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 143412-17-3 USPATFULL

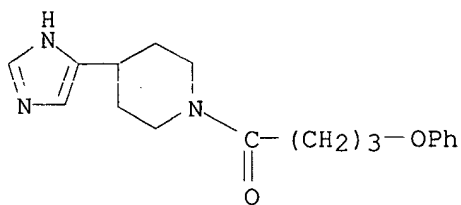
CN 1-Piperidinemethanol, 4-(1H-imidazol-4-yl)-.alpha.-(10-phenoxydecylidene)-,
monohydrochloride (9CI) (CA INDEX NAME)

IT 143212-09-3P

(prepn. and reaction of, with dichlorophenyl isocyanate)

RN 143212-09-3 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-4-phenoxybutyl)- (9CI) (CA INDEX NAME)



IT 143211-64-7P 143211-65-8P 143211-66-9P

143211-67-0P 143211-68-1P 143211-69-2P

143211-70-5P 143211-72-7P 143211-73-8P

143211-74-9P 143211-75-0P 143211-77-2P

143211-78-3P 143211-80-7P 143211-81-8P

143211-82-9P 143211-83-0P 143211-84-1P

143211-85-2P 143211-86-3P 143211-87-4P

143211-89-6P 143211-90-9P 143211-91-0P

143211-93-2P 143211-94-3P 143211-95-4P

143211-96-5P 143211-98-7P 143211-99-8P

143212-00-4P 143212-01-5P 143212-03-7P

143212-04-8P 143212-05-9P 143212-06-0P

143212-07-1P 143212-08-2P 143212-10-6P

143212-11-7P 143212-12-8P 143212-13-9P

143212-14-0P 143212-15-1P 143212-16-2P

143212-18-4P 143212-20-8P 143212-21-9P

143212-22-0P 143212-23-1P 143212-24-2P

143212-26-4P 143412-05-9P 143412-08-2P

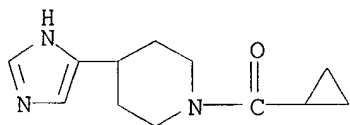
143412-10-6P 143412-12-8P 143412-15-1P

143412-18-4P 143412-20-8P

(prepn. of)

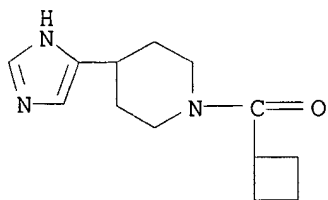
RN 143211-64-7 USPATFULL

CN Piperidine, 1-(cyclobutylcarbonyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

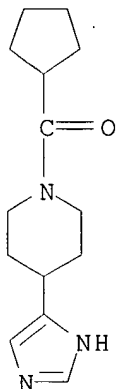


RN 143211-65-8 USPATFULL

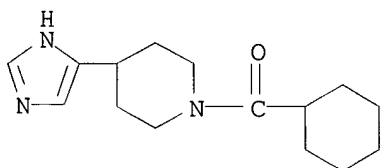
CN Piperidine, 1-(cyclobutylcarbonyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



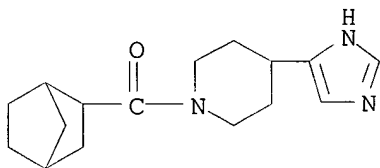
RN 143211-66-9 USPATFULL
CN Piperidine, 1-(cyclopentylcarbonyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



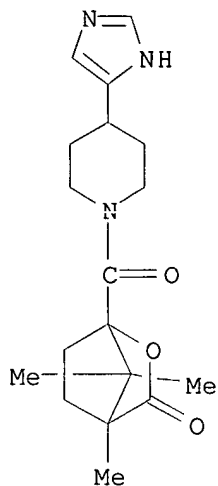
RN 143211-67-0 USPATFULL
CN Piperidine, 1-(cyclohexylcarbonyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



RN 143211-68-1 USPATFULL
CN Piperidine, 1-(bicyclo[2.2.1]hept-2-ylcarbonyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

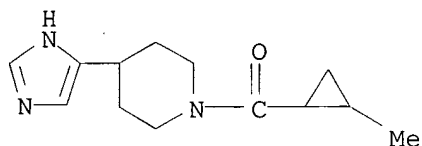


RN 143211-69-2 USPATFULL
CN Piperidine, 4-(1H-imidazol-4-yl)-1-[(4,7,7-trimethyl-3-oxo-2-oxabicyclo[2.2.1]hept-1-yl)carbonyl]- (9CI) (CA INDEX NAME)



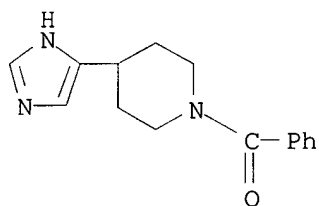
RN 143211-70-5 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)-1-[(2-methylcyclopropyl)carbonyl]- (9CI)
(CA INDEX NAME)



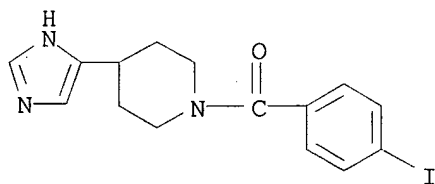
RN 143211-72-7 USPATFULL

CN Piperidine, 1-benzoyl-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



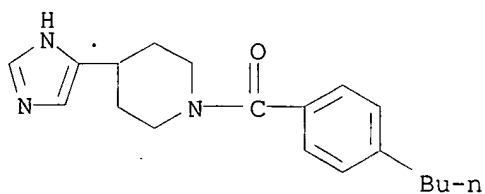
RN 143211-73-8 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(4-iodobenzoyl)- (9CI) (CA INDEX NAME)



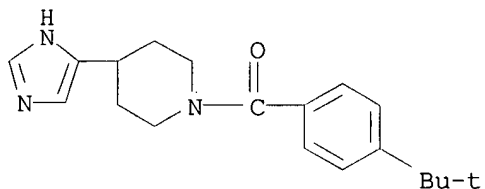
RN 143211-74-9 USPATFULL

CN Piperidine, 1-(4-butylbenzoyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX
NAME)



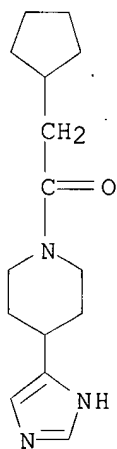
RN 143211-75-0 USPATFULL

CN Piperidine, 1-[4-(1,1-dimethylethyl)benzoyl]-4-(1H-imidazol-4-yl)- (9CI)
(CA INDEX NAME)



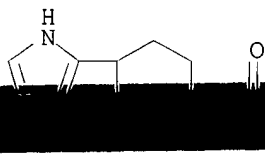
RN 143211-77-2 USPATFULL

CN Piperidine, 1-(cyclopentylacetyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX
NAME)

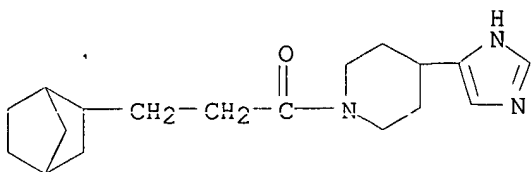


RN 143211-78-3 USPATFULL

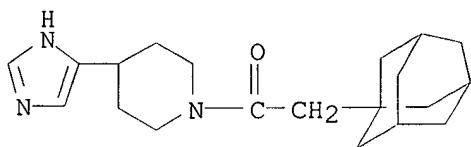
CN Piperidine, 1-(cyclohexylacetyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX
NAME)



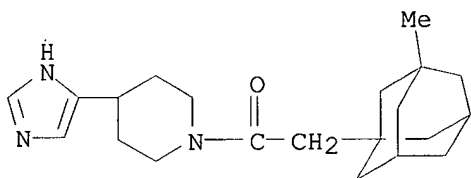
RN 143211-80-7 USPATFULL

CN Piperidine, 1-(3-bicyclo[2.2.1]hept-2-yl-1-oxopropyl)-4-(1H-imidazol-4-yl)-
(9CI) (CA INDEX NAME)

RN 143211-81-8 USPATFULL

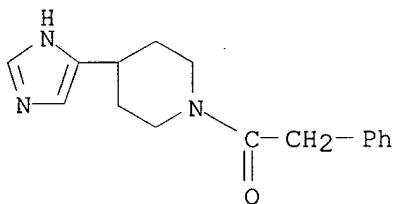
CN Piperidine, 4-(1H-imidazol-4-yl)-1-(tricyclo[3.3.1.1^{3,7}]dec-1-ylacetyl)-
(9CI) (CA INDEX NAME)

RN 143211-82-9 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)-1-[(3-methyltricyclo[3.3.1.1^{3,7}]dec-1-yl)acetyl]- (9CI) (CA INDEX NAME)

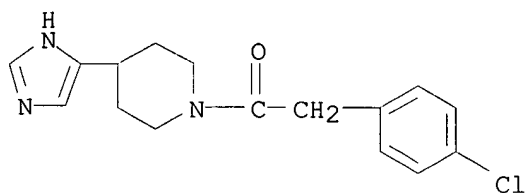
RN 143211-83-0 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(phenylacetyl)- (9CI) (CA INDEX NAME)



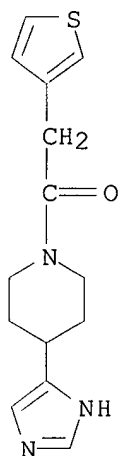
RN 143211-84-1 USPATFULL

CN Piperidine, 1-[(4-chlorophenyl)acetyl]-4-(1H-imidazol-4-yl)- (9CI) (CA
INDEX NAME)



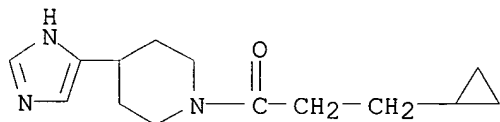
RN 143211-85-2 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(3-thienylacetyl)- (9CI) (CA INDEX NAME)



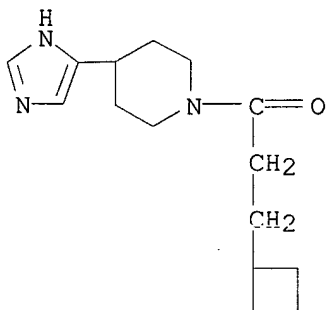
RN 143211-86-3 USPATFULL

CN Piperidine, 1-(3-cyclopropyl-1-oxopropyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



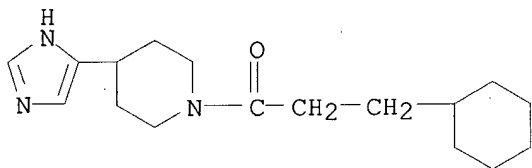
RN 143211-87-4 USPATFULL

CN Piperidine, 1-(3-cyclobutyl-1-oxopropyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



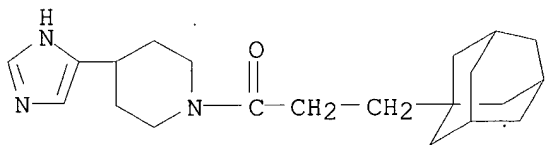
RN 143211-89-6 USPATFULL

CN Piperidine, 1-(3-cyclohexyl-1-oxopropyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



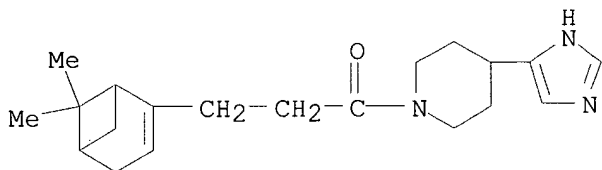
RN 143211-90-9 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-3-tricyclo[3.3.1.1^{3,7}]dec-1-ylpropyl)- (9CI) (CA INDEX NAME)



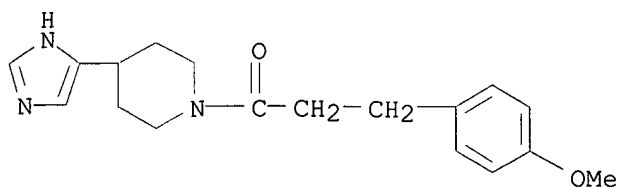
RN 143211-91-0 USPATFULL

CN Piperidine, 1-[3-(6,6-dimethylbicyclo[3.1.1]hept-2-en-2-yl)-1-oxopropyl]-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



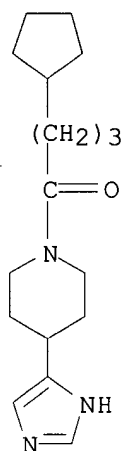
RN 143211-93-2 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)-1-[3-(4-methoxyphenyl)-1-oxopropyl]- (9CI) (CA INDEX NAME)



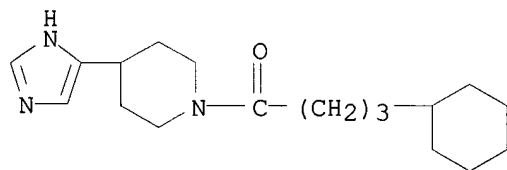
RN 143211-94-3 USPATFULL

CN Piperidine, 1-(4-cyclopentyl-1-oxobutyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



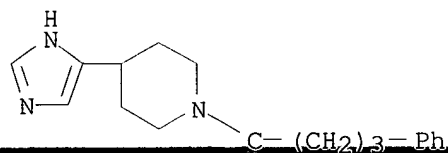
RN 143211-95-4 USPATFULL

CN Piperidine, 1-(4-cyclohexyl-1-oxobutyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



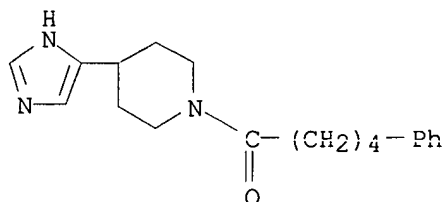
RN 143211-96-5 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-4-phenylbutyl)- (9CI) (CA INDEX NAME)



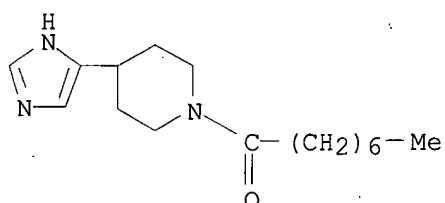
RN 143211-98-7 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-5-phenylpentyl)- (9CI) (CA INDEX NAME)



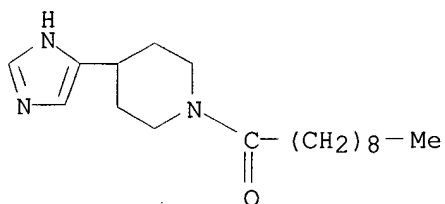
RN 143211-99-8 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxooctyl)- (9CI) (CA INDEX NAME)



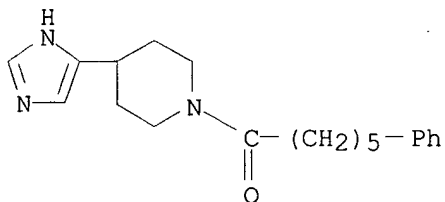
RN 143212-00-4 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxodecyl)- (9CI) (CA INDEX NAME)



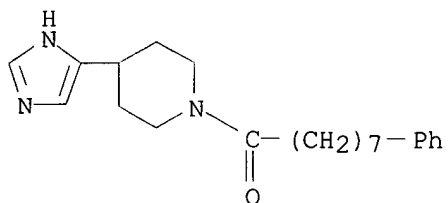
RN 143212-01-5 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-6-phenylhexyl)- (9CI) (CA INDEX NAME)



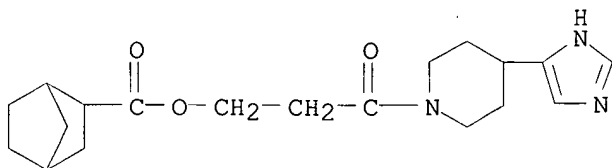
RN 143212-03-7 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-8-phenyloctyl)- (9CI) (CA INDEX NAME)



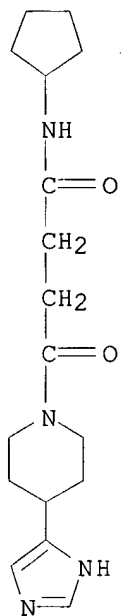
RN 143212-04-8 USPATFULL

CN Bicyclo[2.2.1]heptane-2-carboxylic acid, 3-[4-(1H-imidazol-4-yl)-1-piperidinyl]-3-oxopropyl ester (9CI) (CA INDEX NAME)



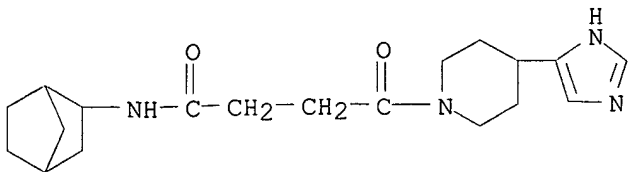
RN 143212-05-9 USPATFULL

CN 1-Piperidinebutanamide, N-cyclopentyl-4-(1H-imidazol-4-yl)-.gamma.-oxo- (9CI) (CA INDEX NAME)

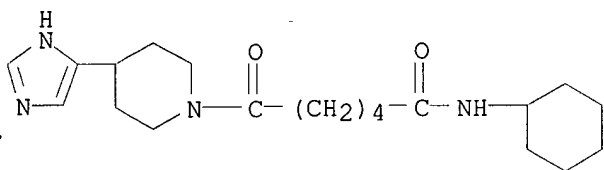


RN 143212-06-0 USPATFULL

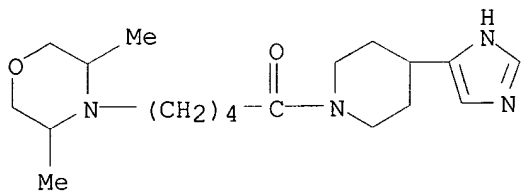
CN 1-Piperidinebutanamide, N-bicyclo[2.2.1]hept-2-yl-4-(1H-imidazol-4-yl)-.gamma.-oxo- (9CI) (CA INDEX NAME)



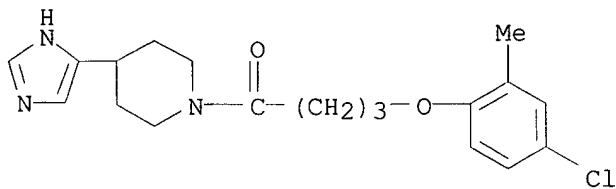
RN 143212-07-1 USPATFULL

CN 1-Piperidinehexanamide, N-cyclohexyl-4-(1H-imidazol-4-yl)-.epsilon.-oxo-
(9CI) (CA INDEX NAME)

RN 143212-08-2 USPATFULL

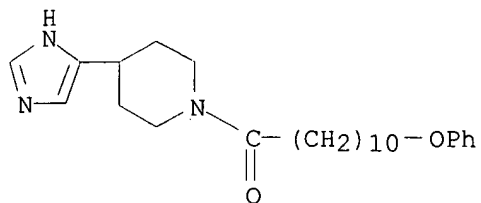
CN Piperidine, 1-[5-(3,5-dimethyl-4-morpholinyl)-1-oxopentyl]-4-(1H-imidazol-
4-yl)- (9CI) (CA INDEX NAME)

RN 143212-10-6 USPATFULL

CN Piperidine, 1-[4-(4-chloro-2-methylphenoxy)-1-oxobutyl]-4-(1H-imidazol-4-
yl)- (9CI) (CA INDEX NAME)

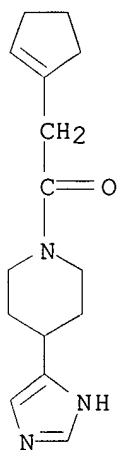
RN 143212-11-7 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxo-11-phenoxyundecyl)- (9CI) (CA
INDEX NAME)



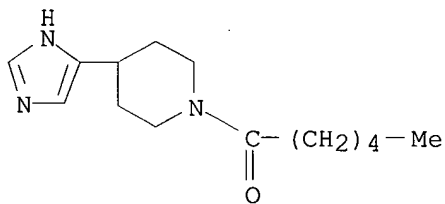
RN 143212-12-8 USPATFULL

CN Piperidine, 1-(1-cyclopenten-1-ylacetyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



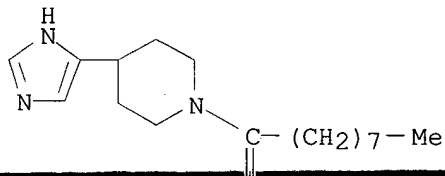
RN 143212-13-9 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxohexyl)- (9CI) (CA INDEX NAME)



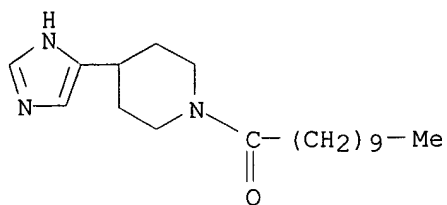
RN 143212-14-0 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxononyl)- (9CI) (CA INDEX NAME)



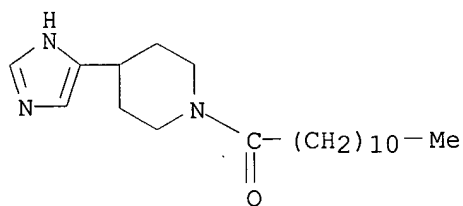
RN 143212-15-1 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxoundecyl)- (9CI) (CA INDEX NAME)

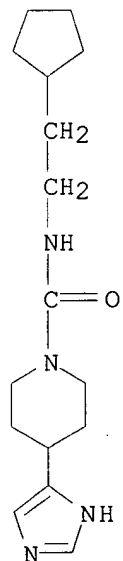


RN 143212-16-2 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-oxododecyl)- (9CI) (CA INDEX NAME)

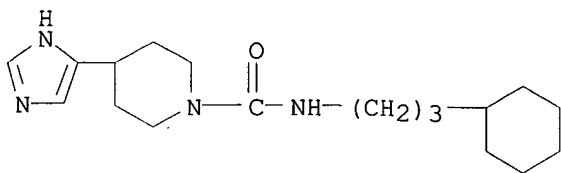


RN 143212-18-4 USPATFULL

CN 1-Piperidinecarboxamide, N-(2-cyclopentylethyl)-4-(1H-imidazol-4-yl)-
(9CI) (CA INDEX NAME)

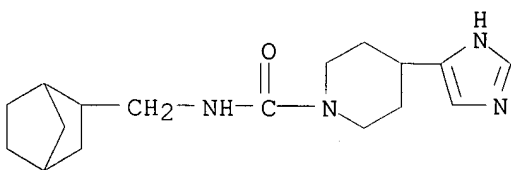
RN 143212-20-8 USPATFULL

CN 1-Piperidinecarboxamide, N-(3-cyclohexylpropyl)-4-(1H-imidazol-4-yl)-
(9CI) (CA INDEX NAME)



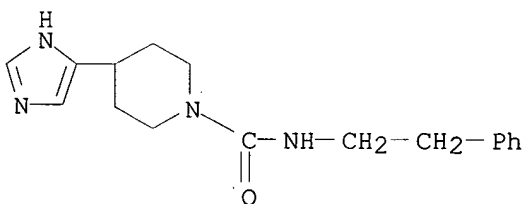
RN 143212-21-9 USPATFULL

CN 1-Piperidinecarboxamide, N-(bicyclo[2.2.1]hept-2-ylmethyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



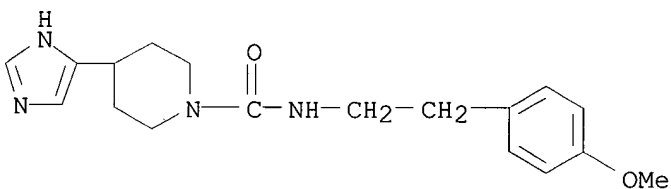
RN 143212-22-0 USPATFULL

CN 1-Piperidinecarboxamide, 4-(1H-imidazol-4-yl)-N-(2-phenylethyl)- (9CI) (CA INDEX NAME)



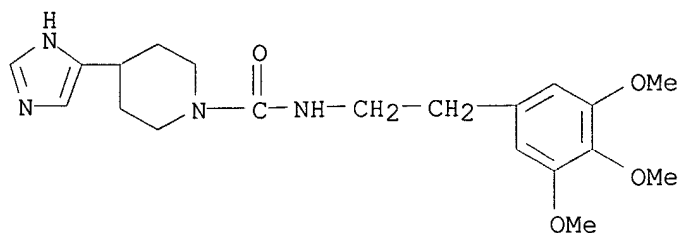
RN 143212-23-1 USPATFULL

CN 1-Piperidinecarboxamide, 4-(1H-imidazol-4-yl)-N-[2-(4-methoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)



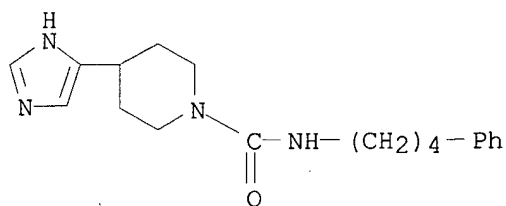
RN 143212-24-2 USPATFULL

CN 1-Piperidinecarboxamide, 4-(1H-imidazol-4-yl)-N-[2-(3,4,5-trimethoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)



RN 143212-26-4 USPATFULL

CN 1-Piperidinecarboxamide, 4-(1H-imidazol-4-yl)-N-(4-phenylbutyl)- (9CI)
(CA INDEX NAME)



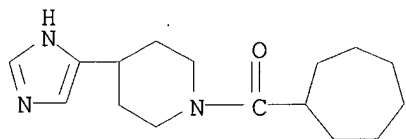
RN 143412-05-9 USPATFULL

CN Piperidine, 1-(cycloheptylcarbonyl)-4-(1H-imidazol-4-yl)-, ethanedioate
(1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 143412-04-8

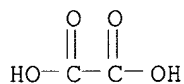
CMF C16 H25 N3 O



CM 2

CRN 144-62-7

CMF C2 H2 O4



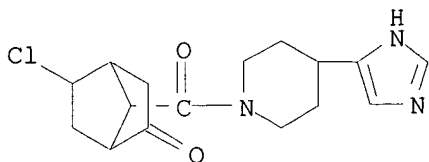
RN 143412-08-2 USPATFULL

CN Piperidine, 1-[(2-chloro-5-oxobicyclo[2.2.1]hept-7-yl)carbonyl]-4-(1H-imidazol-4-yl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 143412-07-1

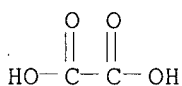
CMF C16 H20 Cl N3 O2



CM 2

CRN 144-62-7

CMF C2 H2 O4



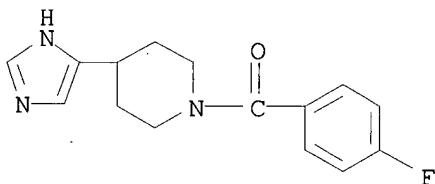
RN 143412-10-6 USPATFULL

CN Piperidine, 1-(4-fluorobenzoyl)-4-(1H-imidazol-4-yl)-, ethanedioate (1:1)
(9CI) (CA INDEX NAME)

CM 1

CRN 143412-09-3

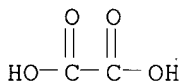
CMF C15 H16 F N3 O



CM 2

CRN 144-62-7

CMF C2 H2 O4



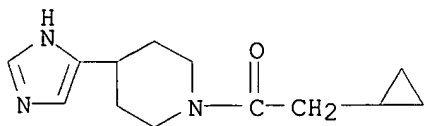
RN 143412-12-8 USPATFULL

CN Piperidine, 1-(cyclopropylacetyl)-4-(1H-imidazol-4-yl)-, ethanedioate
(1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 143412-11-7

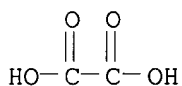
CMF C13 H19 N3 O



CM 2

CRN 144-62-7

CMF C2 H2 O4



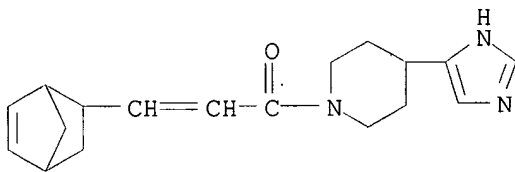
RN 143412-15-1 USPATFULL

CN Piperidine, 1-(3-bicyclo[2.2.1]hept-5-en-2-yl-1-oxo-2-propenyl)-4-(1H-imidazol-4-yl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 143412-14-0

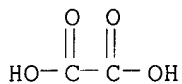
CMF C18 H23 N3 O



CM 2

CRN 144-62-7

CMF C2 H2 O4



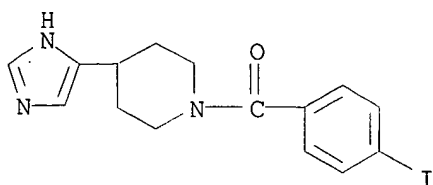
RN 143412-18-4 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(4-iodobenzoyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

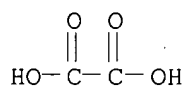
CRN 143211-73-8

CMF C15 H16 I N3 O



CM 2

CRN 144-62-7

C2H2O4

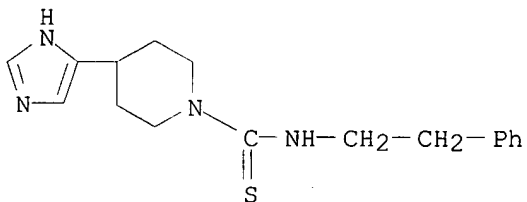
RN 143412-20-8 USPATFULL

| | | |
|----|---|--|
| CN | 1-Piperidinecarbothioamide, 4-(1H-imidazol-4-yl)-N-(2-phenylethyl)-, ethanedioate (1:1) (9CI) | 4-(1H-imidazol-4-yl)-N-(2-phenylethyl)-, (CA INDEX NAME) |
|----|---|--|

CM 1

CRN 143412-19-5

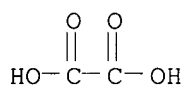
CMF C17 H22 N4 S



CM 2

CRN 144-62-7

CMF C2 H2 O4

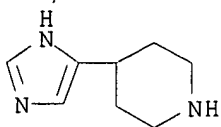


IT 106243-23-6

(reactions of)

RN 106243-23-6 USPATFULL

CIN Pirmenidihimre 40/114-17000000-40000000 - 11000000 11000000 11000000



~~119~~ ANSWER 80 OF 81 USPATFULL

ACCESSION NUMBER: 94:5884 USPATFULL

TITLE: Piperidine derivatives, their preparation and their therapeutic application

INVENTOR(S): Jegham, Samir, Franconville, France
DeFosse, Gerard, Paris, France
Purcell, Thomas, Montfort-l'Amaury, France
Schoemaker, Johannes, Gif-sur-Yvette, France

PATENT ASSIGNEE(S): Synthelabo, Le Plessis-Robinson, France (non-U.S. corporation)

| | NUMBER | KIND | DATE |
|---------------------|----------------|--------------|--------------|
| PATENT INFORMATION: | US 5280030 | <i>App'd</i> | 19940118 |
| APPLICATION INFO.: | US 1992-862376 | | 19920402 (7) |

| | NUMBER | DATE |
|-----------------------|----------------------------------|----------|
| PRIORITY INFORMATION: | FR 1991-4009 | 19910403 |
| DOCUMENT TYPE: | Utility | |
| FILE SEGMENT: | Granted | |
| PRIMARY EXAMINER: | Ivy, C. Warren | |
| ASSISTANT EXAMINER: | Chang, Celia | |
| LEGAL REPRESENTATIVE: | Wegner, Cantor, Mueller & Player | |
| NUMBER OF CLAIMS: | 7 | |
| EXEMPLARY CLAIM: | 1 | |
| LINE COUNT: | 600 | |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A compound which is a piperidine derivative of general formula (I) ##STR1## in which R.sub.1 represents a hydrogen atom, a linear or branched (C.sub.1-6)alkyl group or a cyclo(C.sub.3-8)alkyl group, X represents an oxygen atom, a sulphur atom or a group of general formula N--R.sub.3 in which R.sub.3 is a hydrogen atom, or a linear or branched (C.sub.1-8)alkyl, cyclo(C.sub.3-6)alkyl, cyclo(C.sub.3-6)alkylmethyl, (C.sub.1-4)alkoxy-(C.sub.1-4)alkyl, phenyl, pyridin-4-yl, pyridin-3-yl, pyridin-4-ylmethyl or pyridin-3-ylmethyl group and Z represents a hydrogen or fluorine atom and acid addition salts thereof with pharmaceutically acceptable acids, can be used for the treatment and prevention of disorders in which 5-HT receptors are involved.

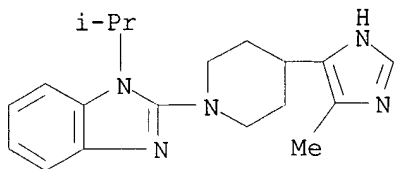
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 146365-53-9P 146365-54-0P 146365-56-2P
146365-58-4P 146365-60-8P 146365-61-9P
146365-62-0P 146365-64-2P 146365-65-3P
146365-66-4P 146365-67-5P 146365-69-7P
146365-71-1P 146365-72-2P 146365-74-4P
146365-75-5P 146365-77-7P 146365-79-9P
146365-80-2P 146365-82-4P 146365-83-5P
146365-85-7P 146365-86-8P 146365-88-0P
146365-90-4P 146365-91-5P 146365-92-6P
146365-93-7P 146365-95-9P 146365-96-0P
146365-97-1P 146365-98-2P 146395-69-9P

(prepn. of, as 5-HT receptor ligand)

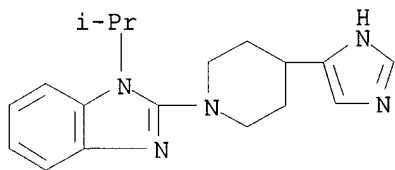
RN 146365-53-9 USPATFULL

CN 1H-Benzimidazole, 1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 146365-54-0 USPATFULL

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



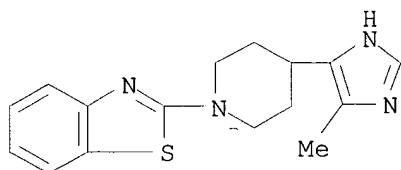
RN 146365-56-2 USPATFULL

CN Benzothiazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-55-1

CMF C16 H18 N4 S



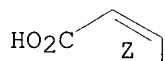
CM 2

CRN 110-16-7

CMF C4 H4 O4

CDES 2:Z

Double bond geometry as shown.



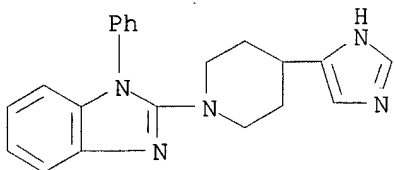
RN 146365-58-4 USPATFULL

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidiny]-1-phenyl-,
(2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-57-3

CMF C21 H21 N5



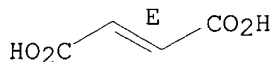
CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.



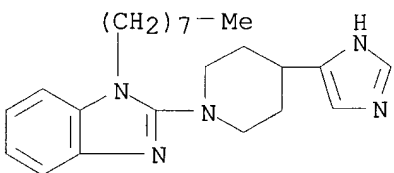
RN 146365-60-8 USPATFULL

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidiny]-1-octyl-,
(2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-59-5

CMF C23 H33 N5



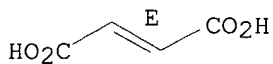
CM 2

CRN 110-17-8

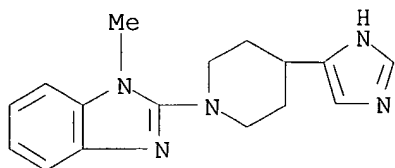
CMF C4 H4 O4

CDES 2:E

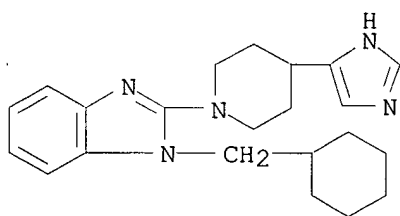
Double bond geometry as shown.



RN 146365-61-9 USPATFULL
CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidiny]-1-methyl- (9CI)
(CA INDEX NAME)



RN 146365-62-0 USPATFULL
CN 1H-Benzimidazole, 1-(cyclohexylmethyl)-2-[4-(1H-imidazol-4-yl)-1-piperidiny]- (9CI) (CA INDEX NAME)

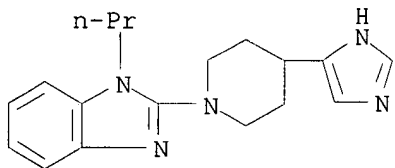


RN 146365-64-2 USPATFULL
CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidiny]-1-propyl-,
(2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-63-1

CMF C18 H23 N5



CM 2

CRN 110-17-8

CMF C4 H4 O4

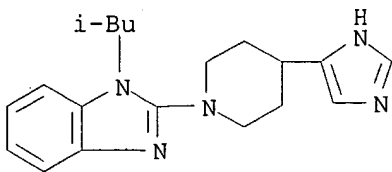
CDES 2:E

Double bond geometry as shown.



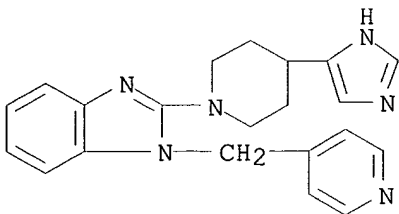
RN 146365-65-3 USPATFULL
CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidiny]-1-(2-

methylpropyl)- (9CI) (CA INDEX NAME)



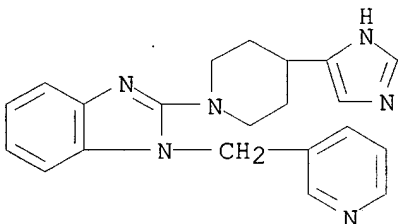
RN 146365-66-4 USPATFULL

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 146365-67-5 USPATFULL

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



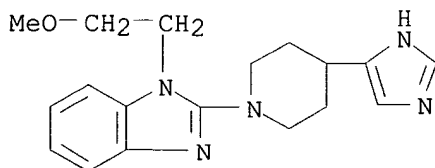
RN 146365-69-7 USPATFULL

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(2-methoxyethyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

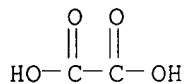
CRN 146365-68-6

CMF C18 H23 N5 O



CM 2

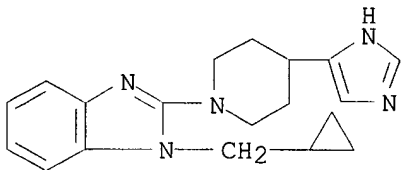
CRN 144-62-7
CMF C2 H2 O4



RN 146365-71-1 USPATFULL
CN 1H-Benzimidazole, 1-(cyclopropylmethyl)-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

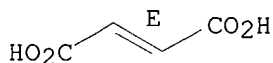
CRN 146365-70-0
CMF C19 H23 N5



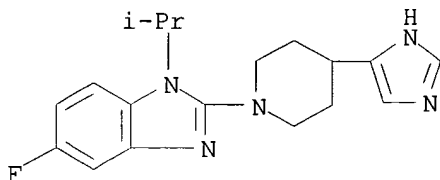
CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



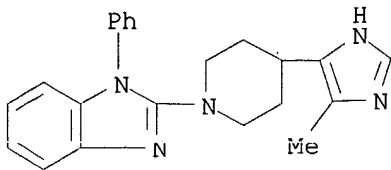
RN 146365-72-2 USPATFULL
CN 1H-Benzimidazole, 5-fluoro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 146365-74-4 USPATFULL
CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1-phenyl-

CM 1

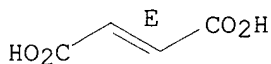
CRN 146365-73-3
CMF C22 H23 N5



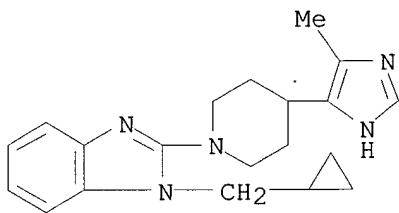
CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



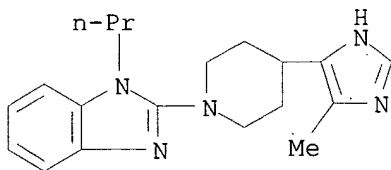
RN 146365-75-5 USPATFULL
CN 1H-Benzimidazole, 1-(cyclopropylmethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 146365-77-7 USPATFULL
CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1-propyl-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

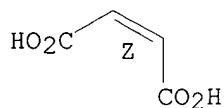
CRN 146365-76-6
CMF C19 H25 N5



CM 2

CRN 110-16-7
CMF C4 H4 O4
CDES 2:Z

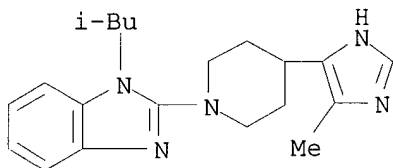
Double bond geometry as shown.



RN 146365-79-9 USPATFULL
CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1-(2-methylpropyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

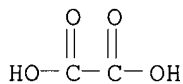
CM 1

CRN 146365-78-8
CMF C20 H27 N5

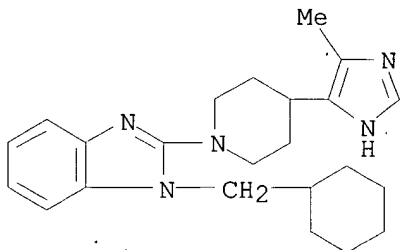


CM 2

CRN 144-62-7
CMF C2 H2 O4



RN 146365-80-2 USPATFULL
CN 1H-Benzimidazole, 1-(cyclohexylmethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

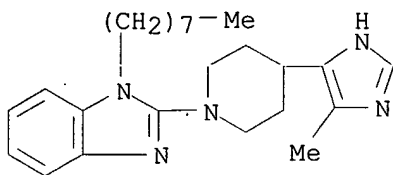


RN 146365-80-2 USPATFULL
CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1-octyl-, (2E)-2-butenedioate (2:5) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-81-3

CMF C24 H35 N5



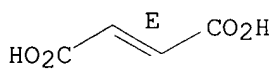
CM 2

CRN 110-17-8

CMF C4 H4 O4

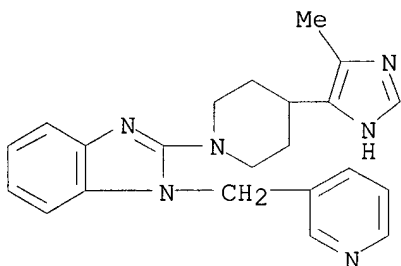
CDES 2:E

Double bond geometry as shown.



RN 146365-83-5 USPATFULL

CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



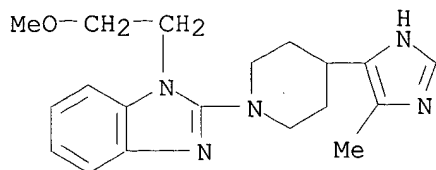
RN 146365-85-7 USPATFULL

CN 1H-Benzimidazole, 1-(2-methoxyethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-84-6

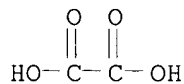
CMF C19 H25 N5 O



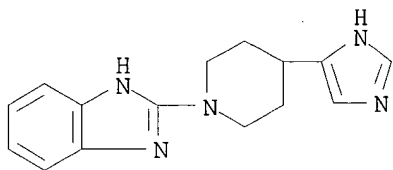
CM 2

CRN 144-62-7

CMF C2 H2 O4



RN 146365-86-8 USPATFULL

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidiny]-, dihydrochloride
(9CI) (CA INDEX NAME)

● 2 HCl

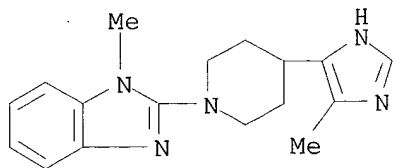
RN 146365-88-0 USPATFULL

CN 1H-Benzimidazole, 1-methyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidiny]-
, ethanedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-87-9

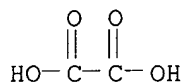
CMF C17 H21 N5



CM 2

CRN 144-62-7

CMF C2 H2 O4



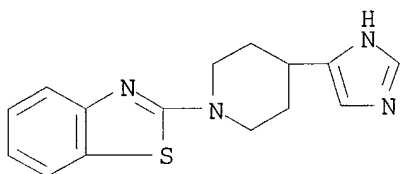
RN 146365-90-4 USPATFULL

CN Benzothiazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-,
(2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-89-1

CMF C15 H16 N4 S



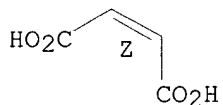
CM 2

CRN 110-16-7

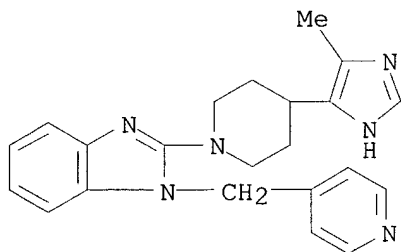
CMF C4 H4 O4

CDES 2:Z

Double bond geometry as shown.

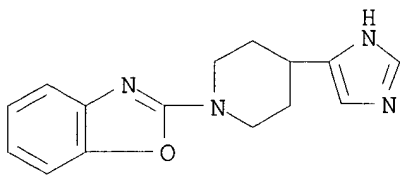


RN 146365-91-5 USPATFULL

CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1-(4-
pyridinylmethyl)- (9CI) (CA INDEX NAME)

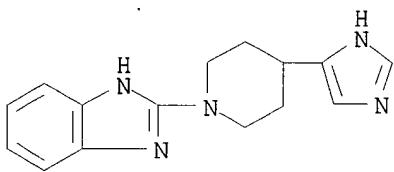
RN 146365-92-6 USPATFULL

CN Benzoxazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX
NAME)



RN 146365-93-7 USPATFULL

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



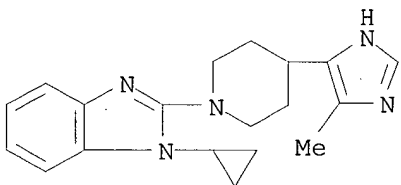
RN 146365-95-9 USPATFULL

CN 1H-Benzimidazole, 1-cyclopropyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-94-8

CMF C19 H23 N5



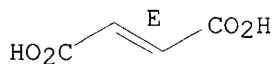
CM 2

CRN 110-17-8

CMF C4 H4 O4

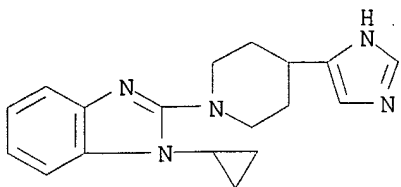
CDES 2:E

Double bond geometry as shown.



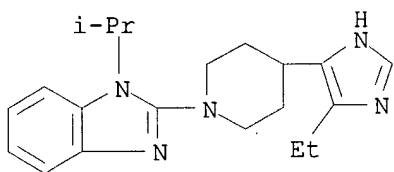
RN 146365-96-0 USPATFULL

CN 1H-Benzimidazole, 1-cyclopropyl-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-
(9CI) (CA INDEX NAME)



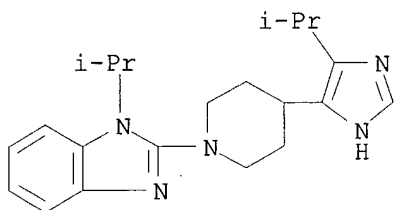
RN 146365-97-1 USPATFULL

CN 1H-Benzimidazole, 2-[4-(5-ethyl-1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



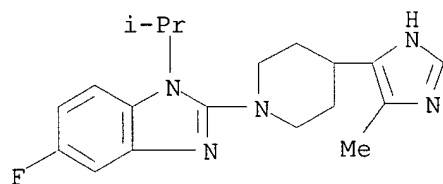
RN 146365-98-2 USPATFULL

CN 1H-Benzimidazole, 1-(1-methylethyl)-2-[4-[5-(1-methylethyl)-1H-imidazol-4-yl]-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 146395-69-9 USPATFULL

CN 1H-Benzimidazole, 5-fluoro-1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



L19 ANSWER 81 OF 81 USPATFULL

ACCESSION NUMBER: 87:79777 USPATFULL

TITLE: (4-imidazolyl)piperidines, the preparation thereof and their application in therapy

INVENTOR(S): Arrang, Jean-Michel, Gif /Yvette, France

Garbarg, Monique, Paris, France

Lancelot, Jean-Charles, Tour En Bessin, France

Lecomte, Jeanne-Marie, Paris, France

PATENT ASSIGNEE(S):

Robba, Max-Fernand, Caen, France
Schwartz, Jean-Charles, Paris, France
Institut National de la Sante et de la Recherche
Medicale (Inserm), Paris, France (non-U.S. corporation)
Universite de Caen, Caen, France (non-U.S. corporation)
Societe Civile Bioprojet, Paris, France (non-U.S.
corporation)

Applied.

| | NUMBER | KIND | DATE |
|---------------------|----------------|------|--------------|
| PATENT INFORMATION: | US 4707487 | | 19871117 |
| APPLICATION INFO.: | US 1986-840956 | | 19860317 (6) |

| | NUMBER | DATE |
|-----------------------|------------------|----------|
| PRIORITY INFORMATION: | FR 1985-4496 | 19850326 |
| DOCUMENT TYPE: | Utility | |
| FILE SEGMENT: | Granted | |
| PRIMARY EXAMINER: | Bond, Robert T. | |
| LEGAL REPRESENTATIVE: | Young & Thompson | |
| NUMBER OF CLAIMS: | 12 | |
| EXEMPLARY CLAIM: | 1,12 | |
| LINE COUNT: | 466 | |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds of general formula ##STR1## in which R.sub.1 denotes H, CH.sub.3 or C.sub.2 H.sub.5, R denotes H or R.sub.2 and R.sub.2 denotes an alkyl, piperonyl, 3-(1-benzimidazolonyl)-propyl group; a group of formula ##STR2## in which n is 0, 1, 2, or 3, X is a single bond or alternatively --O--, --S--, --NH--, --CO--, --CH.dbd.CH-- or ##STR3## and R.sub.3 is H, CH.sub.3, F, CN or an acyl group; or alternatively a group of formula ##STR4## in which Z denotes an O or S atom or a divalent group NH, N --CH.sub.3 or N --CN, and R.sub.5 denotes an alkyl group, a cycloalkyl group which can bear a phenyl substituent, a phenyl group which can bear a CH.sub.3 or F substituent, a phenylalkyl(1-3 C) group or a naphthyl, adamantyl or p-toluenesulphonyl group. These compounds are useful to control the release of cerebral histamine and to increase the rate of renewal of cerebral histamine.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

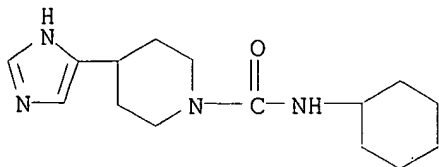
IT 106243-18-9P 106243-20-3P 106243-21-4P
106243-25-8P 106243-26-9P 106243-27-0P
106243-28-1P 106243-29-2P 106243-44-1P
106243-45-2P 106243-46-3P 106243-47-4P
106243-48-5P 106243-49-6P 106243-50-9P
106243-51-0P 106243-52-1P 106243-53-2P
106243-54-3P 106243-55-4P 106243-56-5P
106243-57-6P 106243-58-7P 106243-59-8P
106243-60-1P 106243-61-2P 106243-62-3P
106243-63-4P 106243-64-5P 106243-65-6P
106243-66-7P 106243-67-8P 106243-68-9P
106243-69-0P 106243-70-3P 106243-71-4P
106243-72-5P 106243-73-6P 106243-74-7P
106243-75-8P 106243-76-9P 106243-77-0P
106243-78-1P 106243-79-2P 106243-80-5P
106243-81-6P 106243-82-7P 106243-83-8P
106243-84-9P 106243-85-0P 106243-86-1P
106243-88-3P 106243-89-4P 106243-90-7P

106243-94-1P

(prepn. of, as histamine receptor antagonist)

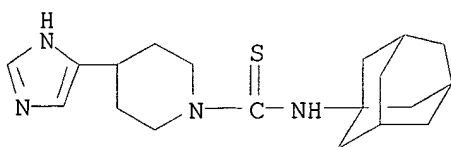
RN 106243-18-9 USPATFULL

CN 1-Piperidinecarboxamide, N-cyclohexyl-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



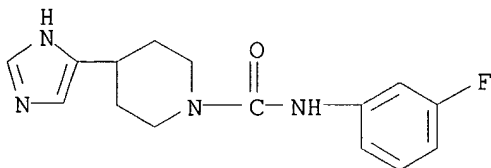
RN 106243-20-3 USPATFULL

CN 1-Piperidinecarbothioamide, 4-(1H-imidazol-4-yl)-N-tricyclo[3.3.1.1^{3,7}]dec-1-yl- (9CI) (CA INDEX NAME)



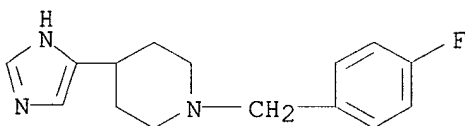
RN 106243-21-4 USPATFULL

CN 1-Piperidinecarboxamide, N-(3-fluorophenyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



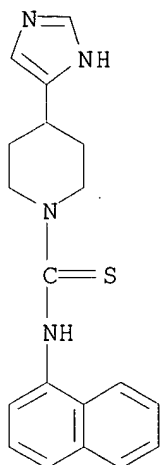
RN 106243-25-8 USPATFULL

CN Piperidine, 1-[(4-fluorophenyl)methyl]-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



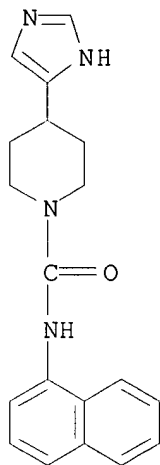
RN 106243-26-9 USPATFULL

CN 1-Piperidinecarbothioamide, 4-(1H-imidazol-4-yl)-N-1-naphthalenyl- (9CI) (CA INDEX NAME)



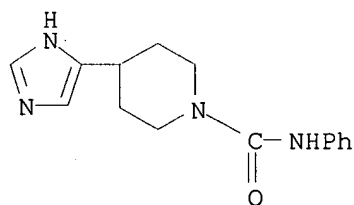
RN 106243-27-0 USPATFULL

CN 1-Piperidinecarboxamide, 4-(1H-imidazol-4-yl)-N-1-naphthalenyl- (9CI) (CA INDEX NAME)

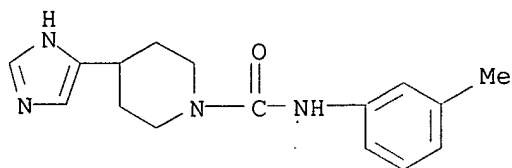


RN 106243-28-1 USPATFULL

CN 1-Piperidinecarboxamide, 4-(1H-imidazol-4-yl)-N-phenyl- (9CI) (CA INDEX NAME)

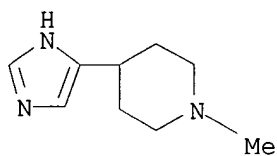


CN 1-Piperidinecarboxamide, 4-(1H-imidazol-4-yl)-N-(3-methylphenyl)- (9CI) (CA INDEX NAME)



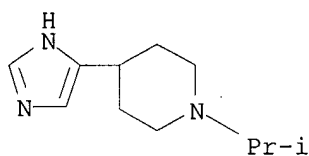
RN 106243-44-1 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)-1-methyl- (9CI) (CA INDEX NAME)



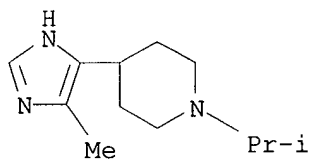
RN 106243-45-2 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



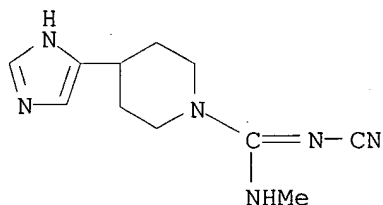
RN 106243-46-3 USPATFULL

CN Piperidine, 1-(1-methylethyl)-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



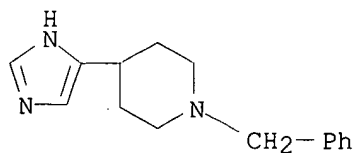
RN 106243-47-4 USPATFULL

CN 1-Piperidinecarboximidamide, N-cyano-4-(1H-imidazol-4-yl)-N'-methyl- (9CI) (CA INDEX NAME)



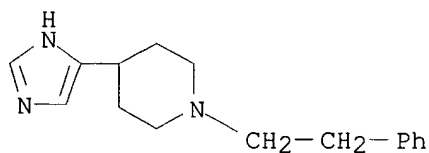
RN 106243-48-5 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



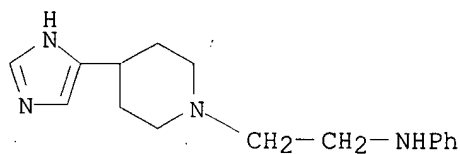
RN 106243-49-6 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(2-phenylethyl)- (9CI) (CA INDEX NAME)



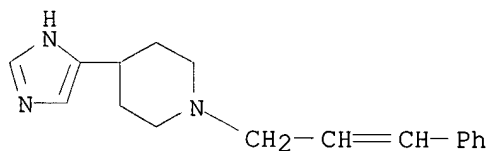
RN 106243-50-9 USPATFULL

CN 1-Piperidineethanamine, 4-(1H-imidazol-4-yl)-N-phenyl- (9CI) (CA INDEX NAME)



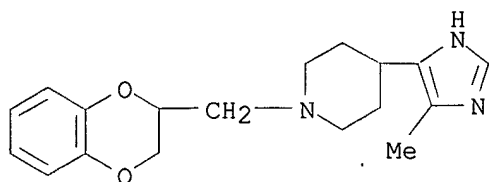
RN 106243-51-0 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)

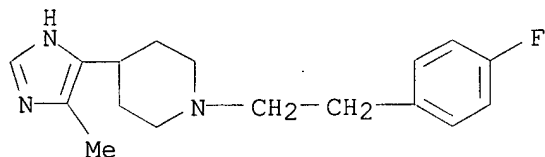


RN 106243-52-1 USPATFULL

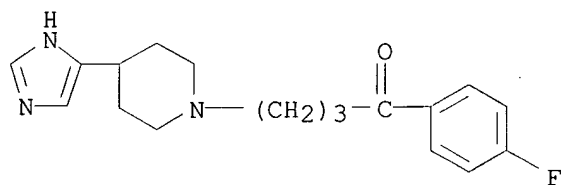
CN Piperidine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



RN 106243-53-2 USPATFULL

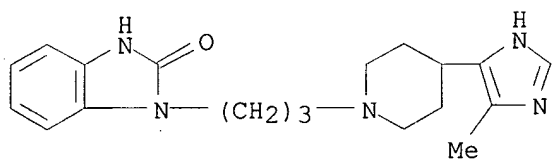
CN Piperidine, 1-[2-(4-fluorophenyl)ethyl]-4-(5-methyl-1H-imidazol-4-yl)-
(9CI) (CA INDEX NAME)

RN 106243-54-3 USPATFULL

CN 1-Butanone, 1-(4-fluorophenyl)-4-[4-(1H-imidazol-4-yl)-1-piperidinyl]-
(9CI) (CA INDEX NAME)

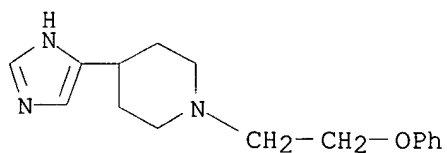
RN 106243-55-4 USPATFULL

CN 2H-Benzimidazol-2-one, 1,3-dihydro-1-[3-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]propyl]- (9CI) (CA INDEX NAME)



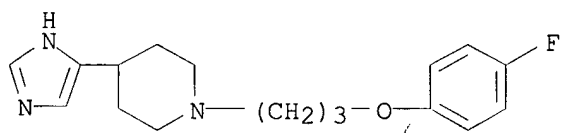
RN 106243-56-5 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(2-phenoxyethyl)- (9CI) (CA INDEX
NAME)



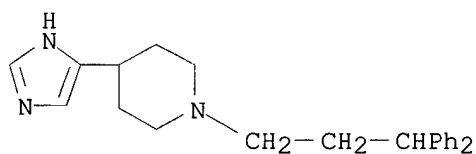
RN 106243-57-6 USPATFULL

CN Piperidine, 1-[3-(4-fluorophenoxy)propyl]-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



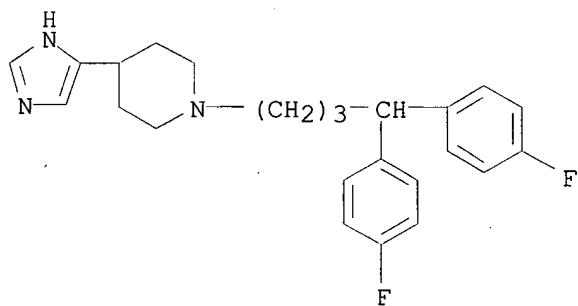
RN 106243-58-7 USPATFULL

CN Piperidine, 1-(3,3-diphenylpropyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



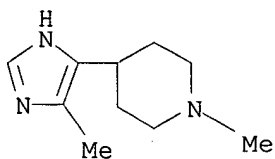
RN 106243-59-8 USPATFULL

CN Piperidine, 1-[4,4-bis(4-fluorophenyl)butyl]-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



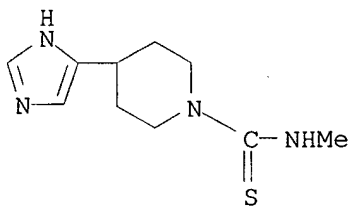
RN 106243-60-1 USPATFULL

CN Piperidine, 1-methyl-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



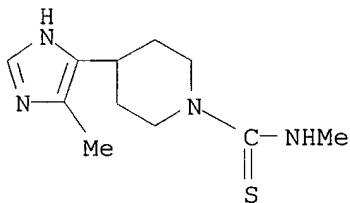
RN 106243-61-2 USPATFULL

CN 1-Piperidinecarbothioamide, 4-(1H-imidazol-4-yl)-N-methyl- (9CI) (CA INDEX NAME)



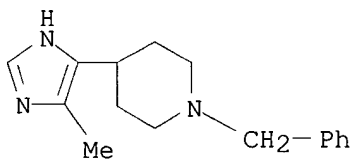
RN 106243-62-3 USPATFULL

CN 1-Piperidinecarbothioamide, N-methyl-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



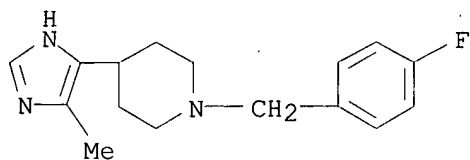
RN 106243-63-4 USPATFULL

CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



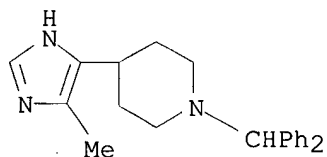
RN 106243-64-5 USPATFULL

CN Piperidine, 1-[(4-fluorophenyl)methyl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



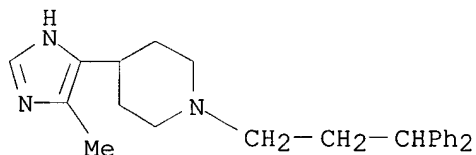
RN 106243-65-6 USPATFULL

CN Piperidine, 1-(diphenylmethyl)-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



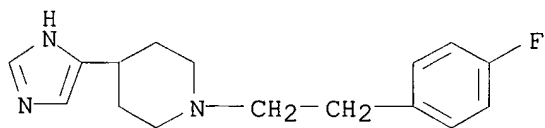
RN 106243-66-7 USPATFULL

CN Piperidine, 1-(3,3-diphenylpropyl)-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



RN 106243-67-8 USPATFULL

CN Piperidine, 1-[2-(4-fluorophenyl)ethyl]-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



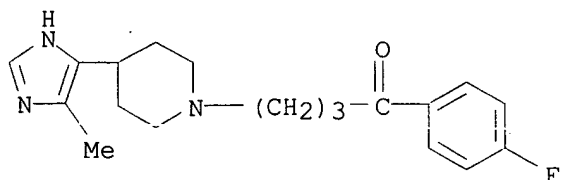
RN 106243-68-9 USPATFULL

CN Piperidine, 1-[3-(4-fluorophenoxy)propyl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



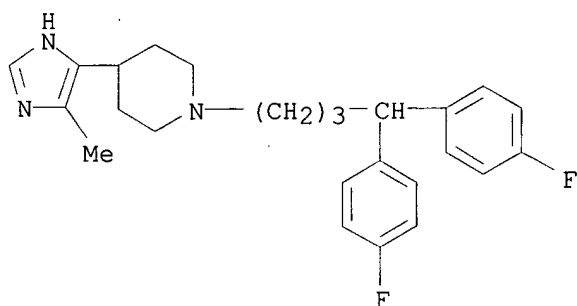
RN 106243-69-0 USPATFULL

CN 1-Butanone, 1-(4-fluorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



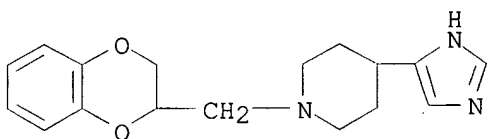
RN 106243-70-3 USPATFULL

CN Piperidine, 1-[4,4-bis(4-fluorophenyl)butyl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



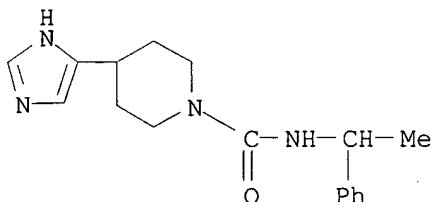
RN 106243-71-4 USPATFULL

CN Piperidine, 1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



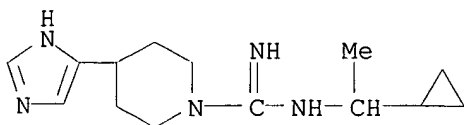
RN 106243-72-5 USPATFULL

CN 1-Piperidinecarboxamide, 4-(1H-imidazol-4-yl)-N-(1-phenylethyl)- (9CI) (CA INDEX NAME)



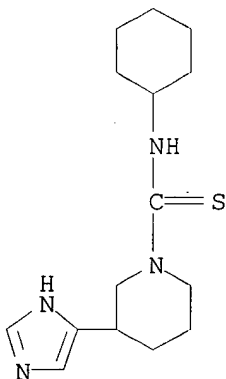
RN 106243-73-6 USPATFULL

CN 1-Piperidinecarboximidamide, N-(1-cyclopropylethyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

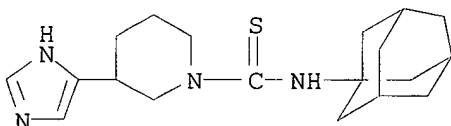


RN 106243-74-7 USPATFULL

CN 1-Piperidinecarbothioamide, N-cyclohexyl-3-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

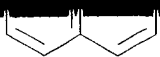
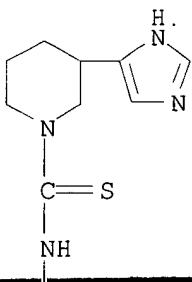


RN 106243-75-8 USPATFULL

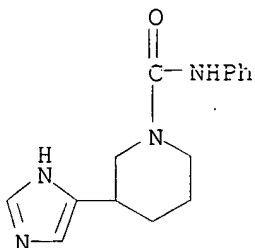
CN 1-Piperidinecarbothioamide, 3-(1H-imidazol-4-yl)-N-tricyclo[3.3.1.1^{3,7}]dec-1-yl- (9CI) (CA INDEX NAME)

RN 106243-76-9 USPATFULL

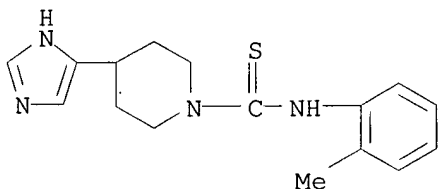
CN 1-Piperidinecarbothioamide, 3-(1H-imidazol-4-yl)-N-1-naphthalenyl- (9CI) (CA INDEX NAME)



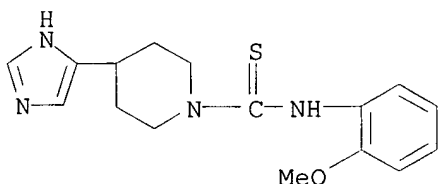
RN 106243-77-0 USPATFULL
CN 1-Piperidinecarboxamide, 3-(1H-imidazol-4-yl)-N-phenyl- (9CI) (CA INDEX NAME)



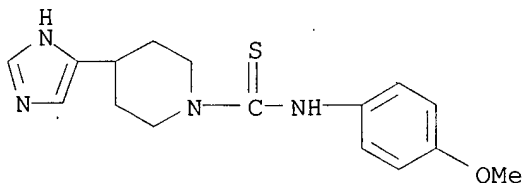
RN 106243-78-1 USPATFULL
CN 1-Piperidinecarbothioamide, 4-(1H-imidazol-4-yl)-N-(2-methylphenyl)- (9CI) (CA INDEX NAME)



RN 106243-79-2 USPATFULL
CN 1-Piperidinecarbothioamide, 4-(1H-imidazol-4-yl)-N-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

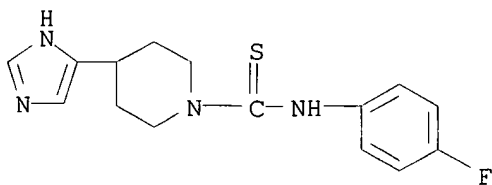


RN 106243-80-5 USPATFULL
CN 1-Piperidinecarbothioamide, 4-(1H-imidazol-4-yl)-N-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



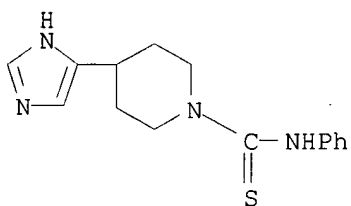
RN 106243-81-6 USPATFULL
CN 1-Piperidinecarbothioamide, N-(4-fluorophenyl)-4-(1H-imidazol-4-yl)- (9CI)

(CA INDEX NAME)



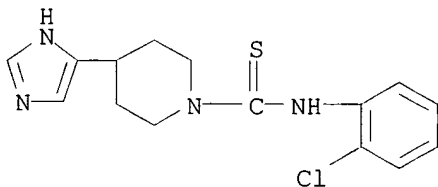
RN 106243-82-7 USPATFULL

CN 1-Piperidinecarbothioamide, 4-(1H-imidazol-4-yl)-N-phenyl- (9CI) (CA INDEX NAME)



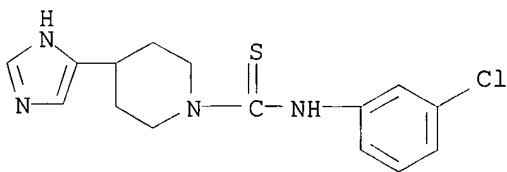
RN 106243-83-8 USPATFULL

CN 1-Piperidinecarbothioamide, N-(2-chlorophenyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



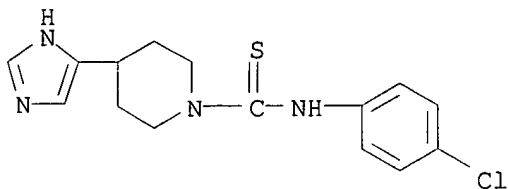
RN 106243-84-9 USPATFULL

CN 1-Piperidinecarbothioamide, N-(3-chlorophenyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

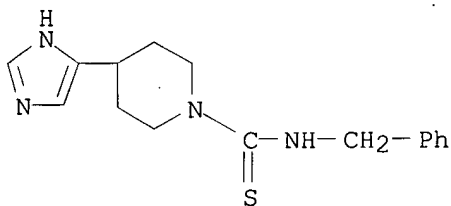


RN 106243-85-0 USPATFULL

CN 1-Piperidinecarbothioamide, N-(4-chlorophenyl)-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

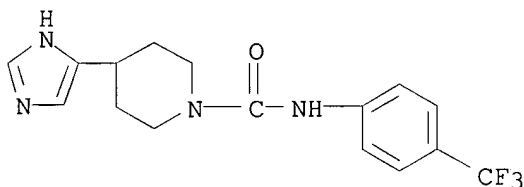


RN 106243-86-1 USPATFULL

CN 1-Piperidinecarbothioamide, 4-(1H-imidazol-4-yl)-N-(phenylmethyl)- (9CI)
(CA INDEX NAME)

RN 106243-88-3 USPATFULL

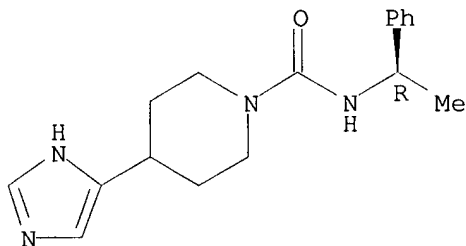
CN 1-Piperidinecarboxamide, 4-(1H-imidazol-4-yl)-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 106243-89-4 USPATFULL

CN 1-Piperidinecarboxamide, 4-(1H-imidazol-4-yl)-N-(1-phenylethyl)-, (R)-
(9CI) (CA INDEX NAME)

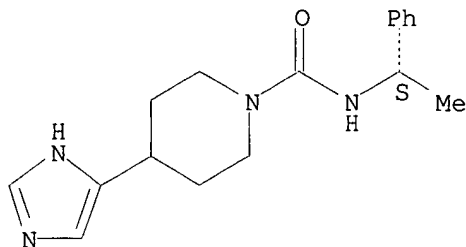
Absolute stereochemistry.



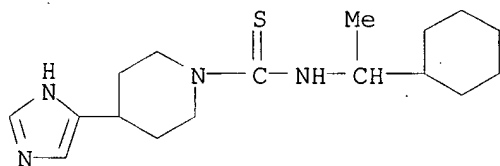
RN 106243-90-7 USPATFULL

CN 1-Piperidinecarboxamide, 4-(1H-imidazol-4-yl)-N-(1-phenylethyl)-, (S)-
(9CI) (CA INDEX NAME)

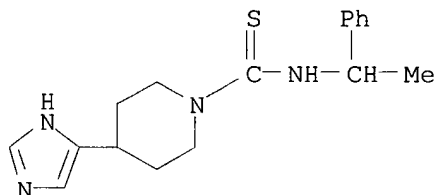
Absolute stereochemistry.



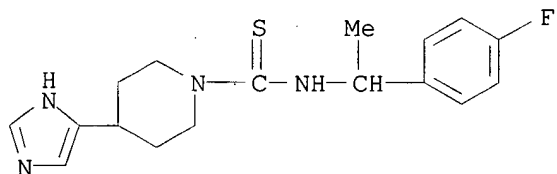
RN 106243-91-8 USPATFULL

CN 1-Piperidinecarbothioamide, N-(1-cyclohexylethyl)-4-(1H-imidazol-4-yl)-
(9CI) (CA INDEX NAME)

RN 106243-92-9 USPATFULL

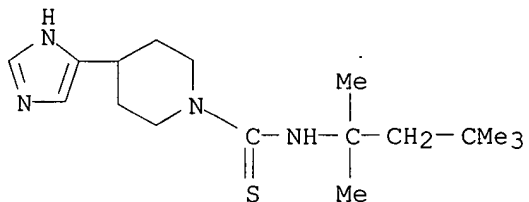
CN 1-Piperidinecarbothioamide, 4-(1H-imidazol-4-yl)-N-(1-phenylethyl)- (9CI)
(CA INDEX NAME)

RN 106243-93-0 USPATFULL

CN 1-Piperidinecarbothioamide, N-[1-(4-fluorophenyl)ethyl]-4-(1H-imidazol-4-yl)-
(9CI) (CA INDEX NAME)

RN 106243-94-1 USPATFULL

CN 1-Piperidinecarbothioamide, 4-(1H-imidazol-4-yl)-N-(1,1,3,3-tetramethylbutyl)- (9CI) (CA INDEX NAME)

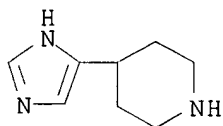


IT 106243-23-6

(reactions of, with isocyanate, thiocyanates, and ketone derivs.)

RN 106243-23-6 USPATFULL

CN Piperidine, 4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



FILE 'CAOLD' ENTERED AT 16:17:24 ON 04 SEP 2001
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2001 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1907-1966

FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

```
L1          STR
L3          869 SEA FILE=REGISTRY SSS FUL L1
L4          STR
L6          74  SEA FILE=REGISTRY SUB=L3 SSS FUL L4
L7          795 SEA FILE=REGISTRY ABB=ON  L3 NOT L6
L9          STR
L11         706 SEA FILE=REGISTRY SUB=L7 SSS FUL L9
L14         1  SEA FILE=REGISTRY ABB=ON  106243-16-7
L15         705 SEA FILE=REGISTRY ABB=ON  L11 NOT L14
L18         0  SEA FILE=CAOLD ABB=ON  L15
```

=> fil reg

FILE 'REGISTRY' ENTERED AT 16:17:50 ON 04 SEP 2001
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2001 American Chemical Society (ACS)

STRUCTURE FILE UPDATES: 3 SEP 2001 HIGHEST RN 354528-22-6
DICTIONARY FILE UPDATES: 3 SEP 2001 HIGHEST RN 354528-22-6

TSCA INFORMATION NOW CURRENT THROUGH January 11, 2001

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Structure search limits have been increased. See HELP SLIMIT
for details.

=> d ide l14; fil capl; s l14 not l16

L14 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2001 ACS

RN 106243-16-7 REGISTRY

CN 1-Piperidinecarbothioamide, N-cyclohexyl-4-(1H-imidazol-4-yl)- (9CI) (CA
INDEX NAME)

OTHER NAMES:

CN MR 12842

CN Thioperamide

FS 3D CONCORD

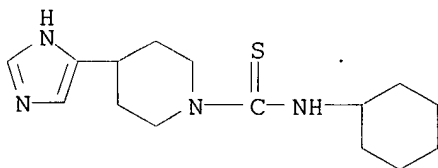
MF C15 H24 N4 S

CI COM

SR CA

LC STN Files: ADISINSIGHT, ADISNEWS, AGRICOLA, BIOBUSINESS, BIOSIS,
BIOTECHNO, CA, CANCERLIT, CAPLUS, CASREACT, CHEMCATS, DDFU, DRUGU,
DRUGUPDATES, EMBASE, IPA, MEDLINE, PHAR, PROMT, TOXLINE, TOXLIT,
USPATFULL, VETU

*this is the Registry # that accounted
for most of the CA answers*



127 REFERENCES IN FILE CA (1967 TO DATE)
3 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
127 REFERENCES IN FILE CAPLUS (1967 TO DATE)

FILE 'CAPLUS' ENTERED AT 16:18:15 ON 04 SEP 2001

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2001 AMERICAN CHEMICAL SOCIETY (ACS)

NOTED by the publishers listed in the PUBLISHER (PB) field (available
for records published or updated in Chemical Abstracts after December
26, 1996), unless otherwise indicated in the original publications.

FILE COVERS 1947 - 4 Sep 2001 VOL 135 ISS 11
FILE LAST UPDATED: 3 Sep 2001 (20010903/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

CAPLUS now provides online access to patents and literature covered in CA from 1947 to the present. On April 22, 2001, bibliographic information and abstracts were added for over 2.2 million references published in CA from 1947 to 1966.

The CA Lexicon is now available in the Controlled Term (/CT) field. Enter HELP LEXICON for full details.

Attention, the CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

L20 127 L14
106 L14 NOT L16

previously printed

=> s 120 and p/dt
3259694 P/DT

L25 4 L20 AND P/DT - *patents*

=> d ibib abs hitrn 1-4

L25 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 2001:472921 CAPLUS

DOCUMENT NUMBER: 135:72190

TITLE: Human G protein-coupled receptor BG26 with homology to human histamine H3 receptor

INVENTOR(S): Itadani, Hiraku; Nakamura, Takao; Tanaka, Kenichi; Ohta, Masataka

PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 50 pp.

CODEN: PIXXD2

DOCUMENT TYPE: **Patent**

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|----------|
| WO 2001046414 | A1 | 20010628 | WO 2000-JP9038 | 20001220 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, | | | |

BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: JP 1999-361687 A 19991220
AB Full-length cDNAs encoding a novel G protein-coupled receptor BG26 (HH4R) isolated from human, with a significant homol. to human histamine H3 receptor, HH3R, and its recombinant expression, are disclosed. Proteins encoded by these cDNAs have an activity of lowering intracellular cAMP or Ca2+ concn. under stimulation with histamine. Use of these proteins as tools in screening ligands or drugs candidates, are also described. PH kit. A new histamine receptor, HH4R, (G protein-coupled receptor BG26) was cloned from human leukocyte cDNA. The deduced amino acid sequence showed about 40% identity to that of the human histamine H3 receptor, HH3R. HH4R-expressing HEK-293 and COS-7 cells responded to histamine, inhibiting forskolin-induced cAMP accumulation. An H3 agonist, N-.alpha.-methylhistamine (NAMHA), bound specifically to HH4R, while another H3 agonist, R(-)-.alpha.-methylhistamine (RAMHA), and the H3 antagonist, thioperamide, competed with this binding. RAMHA, NAMHA, and imetit inhibited forskolin-induced cAMP accumulation in HH4R-expressing cells. However, the binding affinities and agonistic activities of H3 agonists to HH4R were weaker than those to HH3R. Low expression of HH4R was detected in a wide variety of peripheral tissues by RT-PCR; however, in contrast with HH3R, expression was not detected in the brain. These observations indicate that the clone is a distinct histamine receptor from HH3R, and thus is named HH4R.

IT 106243-16-7, Thioperamide
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BIOL (Biological study); PROC (Process)
(binding to BG26 (HH4R); human G protein-coupled receptor BG26 with homol. to human histamine H3 receptor)

REFERENCE COUNT: 7
REFERENCE(S): (1) Arena Pharmaceuticals Inc; WO 0022131 A2 2000
CAPLUS
(2) Arena Pharmaceuticals Inc; WO 0031258 A2 2000
CAPLUS
(3) Banyu Pharmaceutical Co Ltd; EP 1043395 A1 CAPLUS
(4) Banyu Pharmaceutical Co Ltd; AU 9916910 A CAPLUS
(5) Banyu Pharmaceutical Co Ltd; WO 9933978 A1 1999
CAPLUS

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 2000:900443 CAPLUS
DOCUMENT NUMBER: 134:51395
TITLE: 5-HT4 receptor agonists and 5-HT3 receptor antagonists
for treatment of bronchocontraction
INVENTOR(S): Skogvall, Staffan
PATENT ASSIGNEE(S): Respiratorius Ab, Swed.
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| WO 2000076500 | A2 | 20001221 | WO 2000-SE1267 | 20000615 |
| WO 2000076500 | A3 | 20010712 | | |

CK, CO, CZ, CZ, DE, DE, DK, DK, DM, DZ, EE, EE, ES, FI, FI, GB,
GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KR,
KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ,

NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR,
TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD,
RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

WO 2000064441 A2 20001102 WO 2000-SE819 20000428

WO 2000064441 A3 20010614

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN,
CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU,
ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,
LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,
SG, SI, SK, SL, TJ, TM, TR, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW,
AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 2000058619 A5 20010102 AU 2000-58619 20000615

PRIORITY APPLN. INFO.:

SE 1999-2251 A 19990615
SE 1999-2252 A 19990615
US 1999-139632 P 19990617
US 1999-139633 P 19990617
WO 2000-SE819 W 20000428
SE 1999-1531 A 19990428
US 1999-131355 P 19990428
SE 1999-1906 A 19990526
US 1999-136604 P 19990527
WO 2000-SE1267 W 20000615

AB The present invention relates to a compd. having agonist activity to the 5-HT4 receptor for use as a medicament and to the use of said compds. in the manuf. of a medicament for use in therapeutic or prophylactic treatment of disorders involving bronchocontraction of a human or animal body, as well as methods of treatment, wherein said compds. are administered. The present invention also relates to a compd. having antagonist activity to the 5-HT3 receptor for use as a medicament and to the use of said compd. in the manuf. of a medicament for use in therapeutic or prophylactic treatment of disorders involving bronchocontraction of a human or animal body, as well as methods of treatment, wherein said compds. are administered. An example is given showing that the selective 5-HT4 receptor agonist RS 67333 gives a strong sustained relaxing effect on the spontaneous tone in human in vitro preps.

IT 106243-16-7, Thioperamide

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(5-HT4 receptor agonists and 5-HT3 receptor antagonists for treatment of bronchocontraction)

L25 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1997:324427 CAPLUS

DOCUMENT NUMBER: 126:297686

TITLE: Reduction of adverse physiological reactions induced by nanoparticulate formulation administered intravenously

INVENTOR(S): De Garavilla, Lawrence; Liversidge, Elaine M.; Liversidge, Gary G.

PATENT ASSIGNEE(S): Nanosystems L.L.C., USA

SOURCE: PCT Int. Appl., 29 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|--|----------|-----------------|----------|
| WO 9711686 | A1 | 19970403 | WO 1996-US15300 | 19960925 |
| W: | AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| RW: | KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI | | | |
| US 5834025 | A | 19981110 | US 1996-696754 | 19960814 |
| CA 2232879 | AA | 19970403 | CA 1996-2232879 | 19960925 |
| AU 9671171 | A1 | 19970417 | AU 1996-71171 | 19960925 |
| EP 859604 | A1 | 19980826 | EP 1996-932321 | 19960925 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI | | | |

PRIORITY APPLN. INFO.:

| | |
|-----------------|----------|
| US 1995-4488 | 19950929 |
| US 1996-696754 | 19960814 |
| WO 1996-US15300 | 19960925 |

AB Disclosed are methods of i.v. administration of nanoparticulate drug formulations to a mammal to avoid adverse hemodynamic effects: by reducing the rate and concn. of the nanoparticles in the formulations; or by pretreating the subject with histamine; or by pretreating the subject with a desensitizing amt. of the nanoparticulate drug formulations. Following i.v. administration of a 1% suspension of polystyrene nanospheres 200 nm in diam., in a 5% soln. of F 108 at a dose of 0.1 mg/kg and a rate of 5 mL/min to dogs, the mean arterial pressure change from baseline was -4% for dogs pretreated with 10 mg/kg diphenhydramine as compared with -39% for untreated dogs.

IT 106243-16-7, Thioperamide

RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(redn. of adverse physiol. reactions induced by nanoparticulate formulation administered i.v.)

L25 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1994:621989 CAPLUS

DOCUMENT NUMBER: 121:221989

TITLE: Cancer treatment with histamine receptor antagonists which inhibit normal and promote malignant cell proliferation

INVENTOR(S): Brandes, Lorne J.; Reid, Ron

PATENT ASSIGNEE(S): University of Manitoba, Can.

SOURCE: PCT Int. Appl., 33 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|--|----------|-----------------|----------|
| WO 9418961 | A1 | 19940901 | WO 1994-CA87 | 19940217 |
| W: | AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, RO, SD, SE, SK, UA, US, UZ, VN | | | |
| RW: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | |

| | | | | |
|---|----|----------|-----------------|----------|
| CA 2156162 | AA | 19940901 | CA 1994-2156162 | 19940217 |
| AU 9460352 | A1 | 19940914 | AU 1994-60352 | 19940217 |
| AU 693780 | B2 | 19980709 | | |
| EP 684817 | A1 | 19951206 | EP 1994-906813 | 19940217 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| JP 08506593 | T2 | 19960716 | JP 1994-518508 | 19940217 |
| JP 2834328 | B2 | 19981209 | | |
| JP 10182490 | A2 | 19980707 | JP 1998-167 | 19940217 |
| US 5618846 | A | 19970408 | US 1995-458847 | 19950602 |
| US 5747543 | A | 19980505 | US 1995-458243 | 19950602 |
| AU 9714804 | A1 | 19970515 | AU 1997-14804 | 19970220 |
| US 5859065 | A | 19990112 | US 1997-904958 | 19970801 |
| AU 9948810 | A1 | 19991111 | AU 1999-48810 | 19990920 |
| PRIORITY APPLN. INFO.: | | | GB 1993-3210 | 19930217 |
| | | | US 1990-627863 | 19901217 |
| | | | US 1991-711975 | 19910607 |
| | | | US 1993-82785 | 19930628 |
| | | | JP 1994-518508 | 19940217 |
| | | | WO 1994-CA87 | 19940217 |
| | | | US 1995-458243 | 19950602 |
| | | | AU 1997-14804 | 19970220 |

OTHER SOURCE(S): MARPAT 121:221989

AB The in vivo chemotherapeutic treatment of cancer cells in a living animal is improved by first administering to the animal a compd. which inhibits normal cell proliferation while promoting malignant cell proliferation, specifically a potent antagonist selective for intracellular histamine receptors, in an amt. sufficient to inhibit the binding of intracellular histamine to the receptors in normal and malignant cells. An enhanced toxic effect on the cancer cells from the chemotherapeutic agent is obtained while any adverse effect of the chemotherapeutic agent on normal cells, particularly bone marrow and gastrointestinal cells, is inhibited. Thus, N,N-diethyl-2-[4-(4'-fluorophenone)phenoxy]ethanamine-HCl (I) was prepd. by condensation of diethylaminoethyl chloride-HCl with 4-fluoro-4'-hydroxybenzophenone in the presence of NaH. I was antiproliferative and cytotoxic to MCF-7 human breast cancer cells in vitro with an IC50 of 3.0 .times. 10-6M. Similar activity in inhibiting normal cell proliferation, promoting malignant cell proliferation, and/or competing for histamine receptors was shown by amitriptyline, fluoxetine, doxepin, propranolol, loratidine, and astemizole.

IT 106243-16-7, Thioperamide

RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(cancer treatment with histamine receptor antagonists which inhibit normal and promote malignant cell proliferation)

=> s 120 not 125

L26 102 L20 NOT L25

=> sort 126 py a 1-

PROCESSING COMPLETED FOR L26

L27 102 SORT L26 1- PY A

displayed the 10 oldest non-patent references

=> d ibib abs hitrn 1-10; fil hom

L27 ANSWER 1 OF 102 CAPLUS COPYRIGHT 2001 ACS

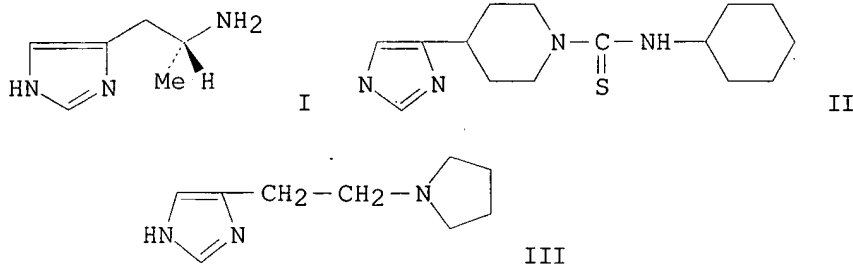
ACCESSION NUMBER: 1987:471318 CAPLUS

DOCUMENT NUMBER: 107:71318

TITLE: Highly potent and selective ligands for histamine H3-receptors

AUTHOR(S): Arrang, J. M.; Garbarg, M.; Lancelot, J. C.; Lecomte,

J. M.; Pollard, H.; Robba, M.; Schunack, W.; Schwartz, J. C.
CORPORATE SOURCE: Cent. Paul Broca, Paris, 75014, Fr.
SOURCE: Nature (London) (1987), 327(6118), 117-23
CODEN: NATUAS; ISSN: 0028-0836
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB The actions of (R)- α -methylhistamine (I), a chiral agonist, and thioperamide (II), an antagonist, on histamine formation and/or release from rat brain and peripheral tissues are discussed. $[3H]I$ is used as a probe for the radioassay and autoradiographic visualization of H_3 receptors in rat brain. 4-[2-(1-pyrrolidinyl)ethyl]imidazole (III) is discussed as a partial H_3 agonist.

IT 106243-16-7

RL: BIOL (Biological study)
(histamine formation and release by brain response to)

L27 ANSWER 2 OF 102 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1989:51854 CAPLUS

DOCUMENT NUMBER: 110:51854

TITLE: Highly potent and selective ligands for a new class H_3 of histamine receptor

AUTHOR(S): Arrang, J. M.; Garbarg, M.; Lancelot, J. C.; Lecomte, J. M.; Pollard, H.; Robba, M.; Schunack, W.; Schwartz, J. C.

CORPORATE SOURCE: INSERM, Paris, Fr.

SOURCE: Invest. Radiol. (1988), 23(Suppl. 1), S130-S132

CODEN: INVRV; ISSN: 0020-9996

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The H_3 histamine receptor was first identified on brain neurons and seems to be present in other cells such as lung mast cells. Hence the novel and potent H_3 -receptor agonist (R)- α -methylhistamine might find therapeutic applications in allergic diseases.

IT 106243-16-7, Thioperamide

RL: BIOL (Biological study)
(as antihistaminic H_3)

L27 ANSWER 3 OF 102 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1989:450925 CAPLUS

DOCUMENT NUMBER: 111:50925

Biochemical indexes of histaminergic neuron activity in rat brain
AUTHOR(S): Garbarg, M.; Trung Tuong, M. D.; Gros, C.; Schwartz,

J. C.
CORPORATE SOURCE: Unite Neurobiol. Pharmacol., INSERM, Paris, 75014, Fr.
SOURCE: Eur. J. Pharmacol. (1989), 164(1), 1-11
CODEN: EJPHAZ; ISSN: 0014-2999
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The interaction of the potent histamine H3-receptor ligands, i.e., (R).alpha.-methylhistamine (an agonist) and thioperamide (an antagonist), with the 3 classes of cerebral histamine receptors was studied in vitro and in vivo. The histamine-induced stimulation of 3',5'-cAMP accumulation in slices of guinea pig hippocampus was not modified by thioperamide (up to 0.1 mM) and (R).alpha.-methylhistamine stimulated cAMP accumulation only at millimolar concns. Hence, both (R).alpha.-methylhistamine and thioperamide were at least 100,000-fold more potent at H3- than at H1- or H2-receptors in brain. In vivo, the turnover of histamine in rat cerebral cortex, as detd. from its depletion elicited by .alpha.-fluoromethylhistidine in a synaptosomal fraction, was not modified by mepyramine and zolantidine but was markedly enhanced by thioperamide at a low dose (ED50 = 2 mg/kg). Thioperamide also elicited a long-lasting decrease in synaptosomal histamine and increase in RIAable N.tau.-methylhistamine. In contrast, (R).alpha.-methylhistamine markedly reduced cortical [3H]histamine synthesis (ED50 = 5 mg/kg). This long-lasting action was accompanied by an increase in synaptosomal histamine and a decrease in N.tau.-methylhistamine levels. These changes were compared with those in plasma drug levels. The 2 H3-receptor ligands appear to modify the activity of cerebral histamine neurons markedly and in a long-lasting and opposite manner.

IT 106243-16-7, Thioperamide
RL: BIOL (Biological study)
(histaminic receptors of brain neurons response to)

L27 ANSWER 4 OF 102 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1989:148520 CAPLUS
DOCUMENT NUMBER: 110:148520
TITLE: The third histamine receptor. Highly potent and selective ligands
AUTHOR(S): Arrang, J. M.; Garbarg, M.; Lancelot, J. C.; Lecomte, J. M.; Pollard, H.; Robba, M.; Schunack, W.; Schwartz, J. C.
CORPORATE SOURCE: Cent. Paul-Broca, INSERM, Paris, Fr.
SOURCE: Int. Arch. Allergy Appl. Immunol. (1989), 88(1-2), 79-81
CODEN: IAAAAM; ISSN: 0020-5915
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The 3rd histamine receptor was 1st identified on brain neurons and seems also to be present in other cells such as the lung mast cells. Hence the novel and potent H3 receptor agonist (R)-.alpha.-methylhistamine might find therapeutic applications in allergic diseases.

IT 106243-16-7, Thioperamide
RL: BIOL (Biological study)
(as H3 histaminic receptor antagonist)

L27 ANSWER 5 OF 102 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1991:36443 CAPLUS
DOCUMENT NUMBER: 114:36443
TITLE: Identification of two H3-histamine receptor subtypes
AUTHOR(S): West, Robert E., Jr.; Zweig, Adam; Shih, Neng Yang; Siegel, Marvin I.; Egan, Robert W.; Clark, Mike A.
CORPORATE SOURCE: Dep. Allergy Immunol., Schering-Plough Res., Bloomfield, NJ, 07003, USA

SOURCE: Mol. Pharmacol. (1990), 38(5), 610-13

CODEN: MOPMA3; ISSN: 0026-895X

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The H3-histamine receptor provides feedback inhibition of histamine synthesis and release as well as inhibition of other neurotransmitter release. This receptor was characterized by radioligand binding studies with the H3 agonist N.alpha.-[3H]methylhistamine([3H]NAMHA). The results of [3H]NAMHA satn. binding and NAMHA inhibition of [3H]NAMHA binding were consistent with an apparently single class of receptors ($K_D = 0.37$ nM, $B_{max} = 73$ fmol/mg of protein); competition assays with other agonists and the antagonists impromidine and dimaprit disclosed only a single class of sites. In contrast, inhibition of [3H]NAMHA binding by the specific high affinity H3 antagonist thioperamide revealed two classes of sites ($K_{iA} = 5$ nM, $B_{maxA} = 30$ fmol/mg of protein; $K_{iB} = 68$ nM, $B_{maxB} = 48$ fmol/mg of protein.). Burimamide, another antagonist that, like thioperamide, contains a thiourea group, likewise discriminated between 2 classes of sites. In addn. to differences between some antagonist potencies for the 2 receptors, there is a differential guanine nucleotide sensitivity of the 2. The affinity of the H3A receptor for [3H]NAMHA was reduced <2-fold, whereas [3H]NAMHA binding to the H3B receptor was undetectable in the presence of GTP.gamma.S. The distinction between H3A and H3B receptor subtypes, the former a high affinity and the latter a low affinity thioperamide site, draws support from published in vitro data.

IT 106243-16-7, Thioperamide

RL: BIOL (Biological study)

(histamine H3 receptor subtype discrimination by)

L27 ANSWER 6 OF 102 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1990:527049 CAPLUS

DOCUMENT NUMBER: 113:127049

TITLE: Is monoamine turnover in the brain regulated by histamine H3 receptors?

AUTHOR(S): Oishi, Ryozi; Nishibori, Masahiro; Itoh, Yoshinori; Shishido, Setsu; Saeki, Kiyomi

CORPORATE SOURCE: Med. Sch., Okayama Univ., Okayama, 700, Japan

SOURCE: Eur. J. Pharmacol. (1990), 184(1), 135-42

CODEN: EJPHAZ; ISSN: 0014-2999

DOCUMENT TYPE: Journal

LANGUAGE: English

AB To clarify whether monoamine neuron activity in the brain is regulated by histamine H3 receptors, the effects of a potent and selective H3 agonist, (R)-.alpha.-methylhistamine, and an antagonist, thioperamide, on monoamine metab. were examd. in the telencephalon, hypothalamus, and brainstem of the rat and the whole mouse brain. Histamine turnover estd. from the pargyline-induced tele-methylhistamine accumulation decreased markedly with (R)-.alpha.-methylhistamine administration (6.3 mg/kg i.p.) and increased with thioperamide administration (5 mg/kg i.p.) in all the brain regions examd. (R)-.alpha.-Methylhistamine and thioperamide, at the doses tested, neither induced any changes in the levels of noradrenaline or DOPAC nor had any influence on the .alpha.-methyl-p-tyrosine-induced declines of the noradrenaline and dopamine levels in all the brain regions examd. However, thioperamide decreased the dopamine level only in the rat telencephalon. In general, thioperamide increased 5-HIAA/5-HT ratios and pargyline-induced 5-HT accumulation. However, (R)-.alpha.-methylhistamine affected neither the 5-HT nor the 5-HIAA level. The pargyline-induced 5-HT accumulation was slightly enhanced by (R)-.alpha.-methylhistamine in

pargyline-induced 5-HT accumulation was not inhibited by (R)-.alpha.-methylhistamine. These results suggest that H3 receptors have no important roles in the regulation of monoaminergic activity, in

contrast with their regulatory function in histaminic activity. In addn., thioperamide at high doses may enhance 5-HT turnover independently of H3 receptors.

IT 106243-16-7, Thioperamide

RL: BAC (Biological activity or effector, except adverse); BIOL
(Biological study)
(serotonin metab. by brain response to)

L27 ANSWER 7 OF 102 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1990:509858 CAPLUS

DOCUMENT NUMBER: 113:109858

TITLE: Involvement of histaminergic neurons in arousal mechanisms demonstrated with H3-receptor ligands in the cat

AUTHOR(S): Lin, Jian Sheng; Sakai, Kazuya; Vanni-Mercier, Giovanna; Arrang, Jean Michel; Garbarg, Monique; Schwartz, Jean Charles; Jouvet, Michel

CORPORATE SOURCE: Dep. Med. Exp., Univ. Claude Bernard, Lyon, 69373, Fr.

SOURCE: Brain Res. (1990), 523(2), 325-30

CODEN: BRREAP; ISSN: 0006-8993

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The effects of histamine H3-receptor ligands on sleep-waking parameters were studied in freely moving cats. Oral administration of (R)-.alpha.-methylhistamine (.alpha.MHA), an H3-agonist, increased deep, slow-wave sleep, whereas that of thioperamide, an H3-antagonist, enhanced wakefulness in a marked and dose-dependent manner. The arousal effects of thioperamide were prevented by pretreatment with .alpha.MHA or mepyramine, an H1-receptor antagonist. The findings support the hypothesis that the histaminergic neurons are critically involved in arousal mechanisms and suggest that H3-receptors play an active part in these mechanisms by regulating histamine transmission.

IT 106243-16-7, Thioperamide

RL: BIOL (Biological study)
(sleep-wake cycle response to)

L27 ANSWER 8 OF 102 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1992:83511 CAPLUS

DOCUMENT NUMBER: 116:83511

TITLE: Synthesis of pyridyl isosteres of thioperamide as H3-receptor histamine antagonists

AUTHOR(S): Ganellin, C. Robin; Jayes, Dalia; Khalaf, Yasmin S.; Tertiuk, Wasyl; Arrang, Jean Michel; Defontaine, Nadia; Schwartz, Jean Charles

CORPORATE SOURCE: Dep. Chem., Univ. College London, London, WC1H 0AJ, UK

SOURCE: Collect. Czech. Chem. Commun. (1991), 56(11A), 2448-55

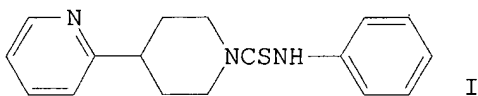
CODEN: CCCCAK; ISSN: 0010-0765

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 116:83511

GI



AB Novel isosteric analogs of thioperamide, e.g. I, were prepd. as

H3-receptor histamine antagonists with fewer NH groups in order to assist brain penetration. However, none of the compds. was sufficiently active as an antagonist; the activity of I was $K_i = 13 \text{ } \mu\text{mol}^{-1}$ compared to $0.0043 \text{ } \mu\text{mol}^{-1}$ for thioperamide.

IT 106243-16-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of antihistaminic analogs of)

L27 ANSWER 9 OF 102 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1992:52118 CAPLUS

DOCUMENT NUMBER: 116:52118

TITLE: Effects of selective activation or blockade of the histamine H3 receptor on sleep and wakefulness

AUTHOR(S): Monti, Jaime M.; Jantos, Hector; Boussard, Maria;

CORPORATE SOURCE: Altier, Humberto; Orellana, Cecilia; Olivera, Silvia
Dep. Pharmacol. Ther., Clin. Hosp., Montevideo, 11600, Urug.

SOURCE: Eur. J. Pharmacol. (1991), 205(3), 283-7

CODEN: EJPHAZ; ISSN: 0014-2999

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The effects of the histamine H3 receptor agonist (R)-.alpha.-methylhistamine were compared with those of the histamine H3 antagonist thioperamide in rats implanted with electrodes for chronic sleep recordings. (R)-.alpha.-Methylhistamine (1.0-4.0 μg) injected bilaterally into the premammillary area where histamine immunoreactive neurons have been detected increased slow wave sleep, whereas wakefulness and REM sleep were decreased. No effects were obsd. when (R)-.alpha.-methylhistamine (1.0-8.0 mg/kg) was administered i.p. Thioperamide (1.0-4.0 mg/kg i.p.) increased wakefulness and decreased slow wave sleep and REM sleep. Pretreatment with thioperamide (4.0 mg/kg) prevented the effects of (R)-.alpha.-methylhistamine (2.0 μg) on slow wave sleep and wakefulness. These results further support an active role for histamine in the control of the waking state.

IT 106243-16-7

RL: BIOL (Biological study)
(sleep-wake cycle response to brain regional specific injection of)

L27 ANSWER 10 OF 102 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1991:401568 CAPLUS

DOCUMENT NUMBER: 115:1568

TITLE: Effects of thioperamide, a histamine H3 receptor antagonist, on locomotor activity and brain histamine content in mast cell-deficient W/Wv mice

AUTHOR(S): Sakai, Naruhiko; Onodera, Kenji; Maeyama, Kazutaka; Yanai, Kazuhiko; Watanabe, Takehiko

CORPORATE SOURCE: Sch. Med., Tohoku Univ., Sendai, 980, Japan

SOURCE: Life Sci. (1991), 48(25), 2397-404

CODEN: LIFSAK; ISSN: 0024-3205

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The purpose of this study was to examine the effects of thioperamide, a histamine H3 antagonist, on the locomotor activity and the brain histamine content in mast-cell-deficient W/Wv mice. Thioperamide (12.5 and 25 mg/kg) caused an increase in the locomotor activity of W/Wv mice, measured by a photobeam system, 1 h after i.p. injection. However, 75 mg/kg of thioperamide showed not only a redn. of the locomotor activity but also

increase in the locomotor activity by thioperamide was blocked by i. p. pretreatment with (R)-.alpha.-methyl-histamine, an H3 agonist, or pyrilamine, an H1 antagonist, or zolantidine, an H2 antagonist. The brain

histamine content was decreased by thioperamide (12.5-765.0 mg/kg), 1 h after administration. Thus, the blockade of histamine H3 receptor by thioperamide caused the activation of locomotor activity of mice, which may be mediated by H2 and/or H2 receptors. The present data support the hypothesis that central histaminic neurons may be involved in the control of state of wakefulness.

IT 106243-16-7, Thioperamide

RL: BIOL (Biological study)

(locomotor behavior response to, histaminic receptor subtypes in mediation of)

FILE 'HOME' ENTERED AT 16:22:02 ON 04 SEP 2001

THIS PAGE BLANK (USPTO)